

Enantiomeric propanolamines as NR2B-selective NMDA receptor antagonists

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(R)-Glycidyl 4-nitrophenyl ether (3) 93% yield as a yellowish solid.

¹H-NMR (400 MHz, CDCl₃) δ 2.79 (1H, dd, *J* = 2.6, 4.9 Hz), 2.95 (1H, t, *J* = 4.2 Hz), 3.4 (1H, m), 4.0 (1H, dd, *J* = 5.9, 11.2 Hz), 4.39 (1H, dd, *J* = 2.6, 11.1 Hz), 7.00 (2H, dd, *J* = 2.4, 6.8 Hz), 8.2 (2H, dd, *J* = 2.4, 6.8 Hz).

(S)-Glycidyl 2-fluoro-4-nitrophenyl ether (4) 92% yield, yellowish solid.

¹H-NMR (400 MHz, CDCl₃) δ 2.75 (1H, dd, *J* = 2.4, 5.0 Hz), 2.96 (1H, t, *J* = 4.8 Hz), 3.36-3.40 (1H, m), 4.01 (1H, dd, *J* = 5.2, 11.0 Hz), 4.26 (1H, dd, *J* = 2.4, 10.8 Hz), 6.84 (1H, d, *J* = 2.8 Hz), 6.86 (1H, d, *J* = 2.8 Hz), 8.11 (1H, dd, *J* = 2.0, 8.4 Hz).

(S)-Glycidyl 3-fluoro-4-nitrophenyl ether(5) 88% yield, yellow solid.

¹H-NMR (400 MHz, CDCl₃) δ 2.77 (1H, dd, *J* = 2.6, 4.9 Hz), 2.94 (1H, t, *J* = 4.4 Hz), 3.35-3.39 (1H, m), 3.98 (1H, dd, *J* = 5.9, 11.2), 4.34 (1H, dd, *J* = 2.8, 11.2 Hz), 6.81 (1H, s), 6.84 (2H, d, *J* = 2.8 Hz), 8.07 (1H, dd, *J* = 1.6, 7.6 Hz).

(S)-Glycidyl 3-methyl-4-nitrophenyl ether (6) 92% yield, yellowish solid.

¹H-NMR (400 MHz, CDCl₃) δ 2.63 (3H, s), 2.77 (1H, dd, *J* = 2.8, 5.2 Hz), 2.95 (1H, t, *J* = 4.2 Hz), 3.36-3.39 (1H, m), 3.96 (1H, dd, *J* = 5.9, 11.2 Hz), 4.39 (1H, dd, *J* = 2.6, 11.1 Hz), 6.76-6.82 (2H, m), 8.08 (1H, t, *J* = 9.2 Hz).

(S)-Glycidyl 3-nitrophenyl ether (7) 80% yield, yellowish solid.

¹H-NMR (400 MHz, CDCl₃) δ 2.78 (1H, dd, *J* = 2.6, 4.8 Hz), 2.95 (1H, t, *J* = 4.2 Hz), 3.39 (1H, m), 4.0 (1H, dd, *J* = 5.8, 10.8 Hz), 4.38 (1H, dd, *J* = 2.4, 10.8 Hz), 7.28 (1H, dd, *J* = 2.6, 7.0 Hz), 7.41(1H, q, *J* = 6.8 Hz), 7.67-7.87(2H, m).

(S)-Glycidyl 2-nitrophenyl ether (8) 90% yield, white solid.

¹H-NMR (400 MHz, CDCl₃) δ 2.87 (1H, dd, *J* = 2.6, 4.6 Hz), 2.92 (1H, t, *J* = 4.6 Hz), 3.37-3.41 (1H, m), 4.14 (1H, dd, *J* = 4.2, 10.8 Hz), 4.40 (1H, dd, *J* = 2.2, 10.6 Hz), 7.06

(1H, dt, J =1.8, 6.8 Hz), 7.12 (1H, d, J =2.8 Hz), 7.53(1H, dt, J =2.4, 6.8 Hz), 7.84 (1H, dd, J =1.8, 6.6 Hz).

(R)-Glycidyl 4-aminophenyl ether (10) 98% yield.

$^1\text{H-NMR}$ (400 MHz, CDCl_3) δ 2.69 (1H, dd, J =2.4, 4.5 Hz), 2.83 (1H, t, J =4.5 Hz), 3.26-3.30 (1H, m), 3.43 (2H, brs), 3.83 (1H, dd, J =5.9, 11.1 Hz), 4.1 (1H, dd, J =3.1, 11.1 Hz), 6.59 (2H, dd, J =2.4, 6.8 Hz), 6.72 (2H, dd, J =2.4, 6.8 Hz).

(S)-Glycidyl 2-fluoro-4-aminophenyl ether (11); the product ratio of the amino reduction and ring opening was 85:15 (92% yield).

$^1\text{H-NMR}$ (400 MHz, CDCl_3) δ 2.70 (1H, dd, J =2.4, 4.5 Hz), 2.87 (1H, t, J =4.5 Hz), 3.30-3.35 (1H, m), 3.55 (2H, brs), 3.33 (1H, dd, J =5.9, 11.1 Hz), 4.17 (1H, dd, J =3.1, 11.1 Hz), 6.34 (1H, dd, J =2.4, 6.8 Hz), 6.43 (1H, dd, J =2.8, 12.4 Hz), 6.84 (2H, t, J =9.2 Hz).

(S)-Glycidyl 3-fluoro-4-aminophenyl ether (12); the product ratio of the amino reduction and ring opening was 90:10 (96% yield).

$^1\text{H-NMR}$ (400 MHz, CDCl_3) δ 2.71 (1H, dd, J =2.4, 4.5 Hz), 2.86 (1H, t, J =4.5 Hz), 3.27-3.32 (1H, m), 3.79 (1H, dd, J =5.9, 11.1 Hz), 4.10 (1H, dd, J =3.1, 11.1 Hz), 6.51-6.72 (3H, m).

(S)-Glycidyl 3-methyl-4-aminophenyl ether (13); the product ratio of the amino reduction and ring opening was 83:17 (89% yield).

$^1\text{H-NMR}$ (400 MHz, CDCl_3) δ 2.41 (3H, s), 2.68 (1H, dd, J =2.4, 4.5 Hz), 2.76 (1H, t, J =4.5 Hz), 3.28-3.35 (1H, m), 3.36 (1H, dd, J =5.9, 11.1 Hz), 4.01 (1H, dd, J =3.1, 11.1 Hz), 6.55-6.69 (3H, m).

(S)-Glycidyl 3-Aminophenyl Ether (14); The product ratio of the amino reduction and ring opening was (80:20) (98% yield).

¹H-NMR (400 MHz, CDCl₃) δ 2.69 (1H, dd, *J* =2.4, 4.8 Hz), 2.83 (1H, t, *J* =4.6 Hz), 3.27-3.32 (1H, m), 3.43 (2H, brs), 4.15 (1H, dd, *J* =5.6, 10.8 Hz), 4.27 (1H, dd, *J* =2.6, 10.8 Hz), 6.13-6.35 (3H, m), 6.89-7.03 (1H, m).

(R)-Glycidyl N-Methylsulfonyl-4-Aminophenyl Ether (16) (white solid, 70% yield).

¹H-NMR (400 MHz, CDCl₃) δ 2.76 (1H, dd, *J* =2.4, 5.2 Hz), 2.92 (1H, t, *J* =4.4 Hz), 2.95 (3H, s), 3.34-3.36 (1H, m), 3.92 (1H, dd, *J* =5.6, 11.2 Hz), 4.24 (1H, dd, *J* =2.8, 11.2 Hz), 6.36 (1H, s), 6.91 (2H, dd, *J* =2.0, 6.9 Hz), 7.19 (2H, dd, *J* =2.0, 6.9 Hz).

¹³C-NMR (100 MHz, CDCl₃) δ 39.197, 44.839, 50.305, 69.298, 115.850, 124.814, 129.770, 157.182

MS (FAB): 243.00 calc. 243.06

(S)-Glycidyl N-Methylsulfonyl-4-Amino-2-fluorophenyl Ether (17); (white solid, 49% yield)

¹H-NMR (400 MHz, CDCl₃) δ 2.76 (1H, dd, *J* =2.4, 5.2 Hz), 2.92 (1H, t, *J* =4.4 Hz), 2.98 (3H, s), 3.34-3.40 (1H, m), 4.00 (1H, dd, *J* =5.6, 11.2 Hz), 4.31 (1H, dd, *J* =2.8, 11.2 Hz), 6.50 (1H, brs), 6.91 (1H, dd, *J* =2.7, 8.7 Hz), 6.98 (1H, d, *J* =8.4 Hz), 7.07 (1H, dd, *J* =2.4, 11.7 Hz).

(S)-Glycidyl N-Methylsulfonyl-4-Amino-3-fluorophenyl Ether (18); (white solid, 43% yield)

¹H-NMR (400 MHz, CDCl₃) δ 2.75 (1H, dd, *J* =2.4, 5.2 Hz), 2.92 (1H, t, *J* =4.4 Hz), 2.96 (3H, s), 3.33-3.36 (1H, m), 3.88 (1H, dd, *J* =5.6, 11.2 Hz), 4.25 (1H, dd, *J* =2.8, 11.2 Hz), 6.36 (1H, brs), 6.71-6.76 (2H, m), 6.98 (1H, d, *J* =8.4 Hz), 7.44 (1H, t, *J* =9.6 Hz).

(S)-Glycidyl N-Methylsulfonyl-4-Amino-3-methylphenyl Ether (19) (white solid, 48% yield)

$^1\text{H-NMR}$ (400 MHz, CDCl_3) δ 2.33 (3H, s), 2.75 (1H, dd, $J=2.4, 4.8$ Hz), 2.91 (1H, t, $J=4.4$ Hz), 2.97 (3H, s), 3.33-3.36 (1H, m), 3.91 (1H, dd, $J=4.8, 10.8$ Hz), 4.22 (1H, dd, $J=2.8, 10.8$ Hz), 6.18 (1H, brs), 6.76 (1H, dd, $J=3.2, 8.4$ Hz), 6.80 (1H, d, $J=3.2$ Hz), 7.30 (1H, d, $J=8.4$ Hz).

(S)-Glycidyl N-Methylsulfonyl-3-Aminophenyl Ether (20); colorless oil (45% yield).

$^1\text{H-NMR}$ (400 MHz, CDCl_3) δ 2.75 (1H dd, $J=2.4, 4.8$ Hz), 2.89 (1H, t, $J=4.8$ Hz), 2.99 (3H, s), 3.33-3.36 (1H, m), 3.88 (1H dd, $J=4.8, 11.2$ Hz), 4.24 (1H, dd, $J=2.8, 10.8$ Hz), 6.70 (1H, dd, $J=2.8, 8.4$ Hz), 6.81 (1H, dt, $J=2.4, 6.6$ Hz), 7.16-7.23 (2H, m), 7.52 (1H, s).

$^{13}\text{C-NMR}$ (100 MHz, CDCl_3) δ 39.23, 44.85, 50.53, 70.36, 116.55, 120.45, 124.25, 125.76, 129.68, 156.02

(S)-Glycidyl N-benzenesulfonyl-4-Aminophenyl Ether (21); (white solid, 75% yield).

$^1\text{H-NMR}$ (400 MHz, CDCl_3) δ 2.74 (1H, dd, $J=2.4, 5.2$ Hz), 2.90 (1H, t, $J=4.4$ Hz), 3.31-3.36 (1H, m), 3.86 (1H, dd, $J=5.6, 11.2$ Hz), 4.18 (1H, dd, $J=2.8, 11.2$ Hz), 6.66 (1H, s), 6.77 (2H, dd, $J=2.0, 6.9$ Hz), 6.97 (2H, dd, $J=2.0, 6.9$ Hz), 7.42 (2H, t, $J=2.0, 6.9$ Hz), 7.52 (1H, dd, $J=2.4, 6.8$ Hz), 7.70 (2H, dd, $J=2.4, 8.8$ Hz).

(S)-Glycidyl N-ethylsulfonyl-4-Aminophenyl Ether (22) (white solid, 72% yield).

$^1\text{H-NMR}$ (400 MHz, CDCl_3) δ 1.36 (3H, t, $J=7.5$ Hz), 2.76 (1H, dd, $J=2.7, 5.1$ Hz), 2.91 (1H, t, $J=4.2$ Hz), 3.05 (2H, q, $J=7.5$ Hz), 3.32-3.38 (1H, m), 3.91 (1H, dd, $J=5.7, 11.1$ Hz), 4.23 (1H, dd, $J=2.7, 10.8$ Hz), 6.60 (1H, brs), 6.88 (2H, dd, $J=2.4, 6.6$ Hz), 7.18 (2H, dd, $J=2.4, 6.6$ Hz).

(S)-Glycidyl N-propylsulfonyl-4-Aminophenyl Ether (23) (white solid, 75% yield)

¹H-NMR (400 MHz, CDCl₃) δ 1.01 (3H, t, *J* = 7.6 Hz), 1.83 (2H, q, *J* = 7.6 Hz), 2.76 (1H, dd, *J* = 2.4, 4.8 Hz), 2.92 (1H, t, *J* = 4.4 Hz), 3.00 (2H, tt, *J* = 2.4, 5.6 Hz), 3.33-3.37 (1H, m), 3.91 (1H, dd, *J* = 4.8, 10.8 Hz), 4.23 (1H, dd, *J* = 3.2, 11.2 Hz), 6.61 (1H, brs), 6.89 (2H, dd, *J* = 2.4, 6.4 Hz), 7.17 (2H, dd, *J* = 2.4, 6.4 Hz).

(S)-Glycidyl N-butylsulfonyl-4-Aminophenyl Ether (24) (white solid, 73% yield)

¹H-NMR (400 MHz, CDCl₃) δ 0.89 (3H, t, *J* = 7.6 Hz), 1.39 (2H, q, *J* = 7.6 Hz), 1.74-1.80 (2H, m), 2.76 (1H, dd, *J* = 2.8, 4.8 Hz), 2.91 (1H, t, *J* = 4.8 Hz), 3.01 (2H, t, *J* = 8.0 Hz), 3.33-3.37 (1H, m), 3.91 (1H, dd, *J* = 4.8, 10.8 Hz), 4.22 (1H, dd, *J* = 2.8, 11.2 Hz), 6.71 (1H, brs), 6.88 (2H, dd, *J* = 2.4, 6.4 Hz), 7.17 (2H, dd, *J* = 2.4, 6.4 Hz).

(S)-Glycidyl N-dichloroacetamidophenyl Ether (26) (white solid, 65% yield)

¹H-NMR (400 MHz, CDCl₃) δ 2.77 (1H, dd, *J* = 2.8, 5.2 Hz), 2.92 (1H, t, *J* = 4.8 Hz), 3.32-3.38 (1H, m), 3.93 (1H, dd, *J* = 5.6, 11.2 Hz), 4.24 (1H, dd, *J* = 3.2, 11.2 Hz), 6.03 (1H, s), 6.92 (2H, dd, *J* = 2.4, 6.8 Hz), 7.38 (2H, dd, *J* = 2.4, 6.8 Hz), 8.06 (1H, brs).

¹³C-NMR (100 MHz, CDCl₃) δ 40.86, 50.30, 67.04, 69.25, 115.37, 122.20, 129.91, 156.43, 161.83

(S)-Glycidyl N-trichloroacetamidophenyl Ether (27) (white solid, 75% yield)

¹H-NMR (400 MHz, CDCl₃) δ 2.77 (1H, dd, *J* = 2.8, 4.8 Hz), 2.92 (1H, t, *J* = 4.8 Hz), 3.35-3.38 (1H, m), 3.94 (1H, dd, *J* = 4.8, 10.8 Hz), 4.24 (1H, dd, *J* = 3.2, 11.2 Hz), 6.95 (2H, dd, *J* = 2.4, 6.8 Hz), 7.49 (2H, dd, *J* = 2.4, 6.8 Hz), 8.25 (1H, brs).

¹³C-NMR (100 MHz, CDCl₃) δ 49.86, 50.37, 68.44, 69.29, 115.78, 122.36, 130.23, 157.05, 169.58

(S)-Glycidyl N-methyl-N-Methylsulfonyl-4-Aminophenyl Ether (28):

1 mmol of (S)-Glycidyl N-methylsulfonyl-4-aminophenyl ether and 1.2 mmol of potassium carbonate mixture in 20 ml acetone were stirred for 1 hour at room temperature. Then 1mmol of methyl iodide was added drop wise. After 8 hours of stirring at room temperature excess amount of potassium carbonate was filtered off. Evaporating the solvent gave pure (S)-glycidyl N-methyl-N-methylsulfonyl-p-aminophenyl ether (white solid, 78% yield).

¹H-NMR (400 MHz, CDCl₃) δ 2.76 (1H, dd, *J* =2.4, 5.2 Hz), 2.83 (3H, s), 2.92 (1H, t, *J* =4.4 Hz), 3.28 (3H, s), 3.34-3.39 (1H, m), 3.93 (1H, dd, *J* =5.6, 11.2 Hz), 4.24 (1H, dd, *J* =2.8, 11.2 Hz), 6.92 (2H, dd, *J* =2.0, 6.9 Hz), 7.27 (2H, dd, *J* =2.0, 6.9 Hz).

(S)-1-(4-Methanesulfonamido-2-fluorophenoxy)-3-(3,4-dichlorophenylethylamino)-2-propanol (30): colorless oil, 76% yield.

¹H-NMR (400 MHz, CDCl₃) δ 2.46-2.61 (6H, m), 2.63 (3H, s), 3.71 (2H, d, *J* =4.8 Hz), 3.74-3.78 (1H, m), 6.66 (1H, t, *J* =8.4 Hz), 6.71(1H, dd, *J* =2.0, 9.6 Hz), 6.82 (2H, dt, *J* =2.0, 9.6 Hz), 7.05 (1H, d, *J* =2.0 Hz), 7.08 (1H, d, *J* =8.0 Hz).

¹³C-NMR (100 MHz, CDCl₃) δ 35.10, 38.29, 50.21, 51.59, 67.72, 72.08, 109.65, 109.86, 115.38, 116.60, 127.96, 129.27, 129.81, 130.21, 131.30, 140.25

Compound 30 was dissolved in ethanol and bubbled HCl gas to get the HCl salt of the compound 30 as a white solid.

Anal. (C₁₈H₂₂Cl₃FN₂O₄S)C, H, N.

(S)-1-(4-Methanesulfonamido-3-fluorophenoxy)-3-(3,4-dichlorophenylethylamino)-2-propanol (31): colorless oil, 79% yield.

¹H-NMR (400 MHz, CDCl₃) δ 2.59-2.80 (6H, m), 2.81 (3H, s), 3.80 (2H, d, *J* =4.8 Hz), 3.87-3.92 (1H, m), 6.57 (2H, dd, *J* =2.8, 10.4 Hz), 6.93 (1H, dd, *J* =2.0, 8.0 Hz), 7.19 (2H, dd, *J* =2.8, 10.4 Hz), 7.23 (1H, d, *J* =2.8 Hz).

¹³C-NMR (100 MHz, CDCl₃) δ 35.16, 37.95, 50.28, 52.21, 68.26, 71.89, 110.43, 110.68, 115.32, 116.78, 128.06, 129.30, 129.97, 130.11, 131.85, 140.56

Compound 31 was dissolved in ethanol and bubbled HCl gas to get the HCl salt of the compound 31 as a white solid.

Anal. (C₁₈H₂₂Cl₃FN₂O₄S)C, H, N.

(S)-1-(4-Methanesulfonamido-3-methylphenoxy)-3-(3,4-dichlorophenylethylamino)-2-propanol (32): colorless oil, 67% yield.

¹H-NMR (400 MHz, CDCl₃) δ 2.32 (3H, s), 2.75-2.95 (6H, m), 2.97 (3H, s), 2.94 (2H, d, *J* =4.8 Hz), 3.99-4.05 (1H, m), 6.73 (1H, dd, *J* =2.8, 8.4 Hz), 6.78(1H, d, *J* =2.8 Hz), 7.04 (1H, dd, *J* =2.4, 7.6 Hz), 7.30 (2H, d, *J* =9.2 Hz), 7.35 (1H, d, *J* =8.4 Hz).

¹³C-NMR (100 MHz, CDCl₃) δ 15.24, 35.19, 37.93, 50.25, 51.76, 68.09, 72.17, 110.31, 110.98, 115.35, 115.89, 128.06, 128.79, 130.16, 130.57, 130.85, 132.56, 140.34

Compound 32 was dissolved in ethanol and bubbled HCl gas to get the HCl salt of the compound 32 as a white solid.

Anal. (C₁₉H₂₅Cl₃N₂O₄S)C, H, N.

(S)-1-(3-Methanesulfonamidophenoxy)-3-(3,4-dichlorophenylethylamino)-2-

propanol (33): colorless oil, 55% yield.

¹H-NMR (400 MHz, CDCl₃) δ 2.75-2.95 (6H, m), 3.01 (3H, s), 3.96, (1H dd, H_α, 2.4 Hz), 3.97 (1H, s, H_β), 3.99-4.05 (1H, m), 6.71 (1H dd, *J* =2.4, 8.0 Hz), 6.75 (1H dd, *J* =2.8, 8.0 Hz), 6.82 (1H t *J* = 2.4 Hz), 7.05 (1H dd, *J* =2.0, 8.0 Hz), 7.24 (1H d, *J* =2.4 Hz), 7.30 (1H d, *J* =6.8 Hz), 7.36 (1H d, *J* =8.4 Hz).

¹³C-NMR (1200 MHz, CDCl₃) δ 33.98, 39.23, 50.69, 51.68, 56.95, 68.34, 116.86, 125.78, 129.21, 130.65, 136, 37, 148.00, 130.86, 157.27, 166.23

Compound 33 was dissolved in ethanol and bubbled HCl gas to get the HCl salt of the compound 33 as a white solid.

Anal. (C₁₈H₂₃Cl₃N₂O₄S)C, H, N.

(S)-1-(4-benzenesulfonamidophenoxy)-3-(3,4-dichlorophenylethylamino)-2-propanol

(34): white solid, 90% yield.

¹H-NMR (400 MHz, CDCl₃) δ 2.73-2.94 (6H, m), 3.89, (1H dd, H_α, *J* =2.4 Hz), 3.91 (1H, s, H_β), 3.97-4.01 (1H, m), 6.74 (2H, dd, *J* =2.4, 7.2 Hz), 6.94 (2H, dd, *J* =2.4, 6.4 Hz), 7.03 (1H, dd, *J* =2.9, 8.4 Hz), 7.29 (1H, d, *J* =2.0 Hz), 7.34 (1H, d, *J* =8.4 Hz), 7.43 (2H, t, *J* =8.0 Hz), 7.52 (1H, dd, *J* =2.0, 7.2 Hz), 7.67 (2H, dd, *J* =5.2, 7.0 Hz).

Compound 34 was dissolved in ethanol and bubbled HCl gas to get the HCl salt of the compound 34 as a white solid.

Anal. (C₂₃H₂₅Cl₃N₂O₄S)C, H, N.

(S)-1-(4-ethylsulphonamidophenoxy)-3-(3,4-dichlorophenylethylamino)-2-propanol

(35): colorless oil, 93% yield.

¹H-NMR (400 MHz, CDCl₃) δ 1.36 (3H, t, *J* =7.2 Hz), 2.74-2.94 (6H, m), 3.05 (2H, q, *J* =7.6 Hz), 3.92, (1H, d, H_α, *J* =2.4 Hz), 3.94 (1H, s, H_β), 3.99-4.05 (1H, m), 6.84 (2H, dd, *J* =2.0, 7.2 Hz), 7.04 (1H, dd, *J* =2.0, 8.0 Hz), 7.17 (2H, dd, *J* =2.4, 6.8 Hz), 7.29 (1H, d, *J* =2.4 Hz), 7.34 (1H, d, *J* =8.0 Hz).

¹³C-NMR (100 MHz, CDCl₃) δ 18.24, 30.86, 38.76, 50.76, 52.56, 68.46, 71.18, 115.87, 125.43, 128.57, 129.58, 130, 26, 130.76, 130.97, 140.24, 157.38

Compound 35 was dissolved in ethanol and bubbled HCl gas to get the HCl salt of the compound 35 as a white solid.

Anal. (C₁₉H₂₅Cl₃N₂O₄S)C, H, N.

(S)-1-(4-propylsulfonamidophenoxy)-3-(3,4-dichlorophenylethylamino)-2-propanol

(36): colorless oil, 89% yield.

¹H-NMR (400 MHz, CDCl₃) δ 1.01 (3H, t, *J* =7.2 Hz), 1.84 (2H, q, *J* =7.6 Hz), 2.74-2.94 (6H, m), 2.99 (2H, tt, *J* =2.4, 5.6 Hz), 3.93, (1H, d, H_α, *J* =2.4 Hz), 3.94 (1H, s, H_β), 3.99-4.05 (1H, m), 6.84 (2H, dd, *J* =2.0, 7.2 Hz), 7.04 (1H, dd, *J* =2.0, 8.0 Hz), 7.16 (2H, dd, *J* =2.4, 6.8 Hz), 7.29 (1H, d, *J* =2.4 Hz), 7.34 (1H, d, *J* =8.0 Hz).

¹³C-NMR (100 MHz, CDCl₃) δ 14.46, 20.56, 30.84, 38.78, 50.65, 52.23, 68.49, 71.19, 115.76, 125.34, 128.55, 129.58, 130, 62, 130.77, 130.89, 140.43, 157.34

Compound 36 was dissolved in ethanol and bubbled HCl gas to get the HCl salt of the compound 36 as a white solid.

Anal. (C₂₀H₂₇Cl₃N₂O₄S)C, H, N.

(S)-1-(4-butylsulfonamidophenoxy)-3-(3,4-dichlorophenylethylamino)-2-propanol

(37): colorless oil, 94% yield.

¹H-NMR (400 MHz, CDCl₃) δ 0.90 (3H, t, *J* = 7.6 Hz), 1.40 (2H, q, *J* = 8.0 Hz), 1.75-1.82 (2H, m), 2.74-2.94 (6H, m), 3.01 (2H, t, *J* = 8.0 Hz), 3.93 (1H, d, H_α, *J* = 2.4 Hz), 3.94 (1H, s, H_β), 3.99-4.04 (1H, m), 6.83 (2H, dd, *J* = 2.0, 7.2 Hz), 7.04 (1H, dd, *J* = 2.0, 8.0 Hz), 7.16 (2H, dd, *J* = 2.4, 6.8 Hz), 7.29 (1H, d, *J* = 2.4 Hz), 7.34 (1H, d, *J* = 8.0 Hz).

¹³C-NMR (100 MHz, CDCl₃) δ 13.42, 20.86, 23.45, 32.34, 37.80, 50.77, 52.36, 69.34, 71.11, 115.56, 125.22, 128.47, 129.59, 130, 26, 130.75, 130.83, 140.32, 157.56

Compound 37 was dissolved in ethanol and bubbled HCl gas to get the HCl salt of the compound 37 as a white solid.

Anal. (C₂₁H₂₉Cl₃N₂O₄S)C, H, N.

(S)-1-(4-Acetamidophenoxy)-3-(3,4-dichlorophenylethylamino)-2-propanol (38):

white solid, 83% yield.

¹H-NMR (400 MHz, CDCl₃) δ 2.15 (3H, s), 2.69-2.97 (6H, m), 3.93, (1H, d, H_α, *J* = 2.0 Hz), 3.94 (1H, s, H_β), 3.98-4.03 (1H, m), 6.84 (2H, dd, *J* = 2.4, 6.4 Hz), 7.04 (2H, dd, *J* = 2.0, 8.4 Hz), 7.10 (1H, brs), 7.30 (1H, d, *J* = 2.0 Hz), 7.36 (3H, dt, *J* = 2.0, 9.2 Hz).

¹³C-NMR (100 MHz, CDCl₃) δ 24.62, 35.84, 50.76, 51.72, 68.45, 70.90, 115.07, 122.05, 128.39, 129.58, 130, 61, 130.85, 131.67, 140.57, 158.68, 175.48

Compound 38 was dissolved in ethanol and bubbled HCl gas to get the HCl salt of the compound 38 as a white solid.

Anal. (C₁₉H₂₃Cl₃N₂O₃)C, H, N.

(S)-1-(4-Dichloroacetamidophenoxy)-3-(3,4-dichlorophenylethylamino)-2-propanol

(39): white solid, 86% yield

¹H-NMR (400 MHz, CDCl₃) δ 2.73-2.93 (6H, m), 3.94, (1H, d, H_α, *J* =2.4 Hz), 3.96 (1H, s, H_β), 3.98-4.04 (1H, m), 6.04 (1H, s), 6.88 (2H, dd, *J* =2.0, 6.8 Hz), 7.04 (1H, dd, *J* =2.4, 8.0 Hz), 7.30 (1H, d, *J* =2.0 Hz), 7.34 (1H, d, *J* =8.4 Hz), 7.44 (2H, dd, *J* =2.4, 6.8 Hz), 8.11 (1H, brs).

¹³C-NMR (100 MHz, CDCl₃) δ 35.82, 50.72, 51.66, 67.04, 68.38, 70.89, 115.19, 122.25, 128.37, 129.77, 130.60, 130.83, 132.58, 140.28, 156.56, 161.81

Compound 39 was dissolved in ethanol and bubbled HCl gas to get the HCl salt of the compound 39 as a white solid.

Anal. (C₁₉H₂₁Cl₅N₂O)C, H, N.

(S)-1-(4-Trichloroacetamidophenoxy)-3-(3,4-dichlorophenylethylamino)-2-propanol

(40): white solid, 78% yield

¹H-NMR (400 MHz, CDCl₃) δ 2.75-2.95 (6H, m), 3.96, (1H, d, H_α, *J* =2.4 Hz), 3.98 (1H, s, H_β), 4.00-4.05 (1H, m), 6.91 (2H, dd, *J* =2.0, 6.8 Hz), 7.04 (1H, dd, *J* =2.4, 8.0 Hz), 7.30 (1H, d, *J* =2.0 Hz), 7.36 (1H, d, *J* =8.4 Hz), 7.48 (2H, dd, *J* =2.4, 6.8 Hz), 8.25 (1H, brs).

Compound 40 was dissolved in ethanol and bubbled HCl gas to get the HCl salt of the compound 40 as a white solid.

Anal. (C₁₉H₂₀Cl₆N₂O₃)C, H, N.

(S)-1-(4-(N-methyl)methanesulfonamidophenoxy)3-(3,4-dichlorophenylethylamino)-2-propanol (41): white solid, 85% yield.

¹H-NMR (400 MHz, CDCl₃) δ 2.73-2.78 (3H, m), 2.80 (3H, s), 2.83-2.92 (3H, m), 3.25 (3H, s), 3.93 (2H, d, *J* =4.8 Hz), 4.01-4.04 (1H, m), 6.85 (2H, dd, *J* =2.0, 6.8 Hz), 7.02 (1H, dd, *J* =2.4, 8.0 Hz), 7.24 (2H, dd, *J* =2.4, 6.8 Hz), 7.27 (1H, d, *J* =2.0 Hz), 7.31 (1H, d, *J* =8.4 Hz).

¹³C-NMR (100 MHz, CDCl₃) δ 32.56, 37.82, 39.42, 50.86, 51.39, 68.78, 70.86, 115.66, 124.78, 128.56, 129.66, 130, 23, 130.45, 130.58, 140.76, 157.38

Compound 41 was dissolved in ethanol and bubbled HCl gas to get the HCl salt of the compound 41 as a white solid.

Anal. (C₁₉H₂₅Cl₃N₂O₄S)C, H, N.

(S)-1-(4-Nitrophenoxy)3-(3,4-dichlorophenylethylamino)-2propanol (42): yellow solid, 98% yield

¹H-NMR (400 MHz, CDCl₃) δ 2.74-2.80 (3H, m), 2.86-2.97 (3H, m), 4.02-4.08 (3H, m), 6.96 (2H, dd *J* =2.4, 6.8 Hz), 7.04 (1H, dd, *J* =2.4, 8.0 Hz), 7.31 (1H, d, *J* =2.4 Hz), 7.36 (1H, d, *J* =8.4 Hz), 8.20 (2H, dd, 2.4, 6.8 Hz).

Anal. (C₁₇H₁₈Cl₂N₂O₄)C, H, N.

(S)-1-(4-Methanesulfonamidophenoxy)-3-(3-chlorophenylethylamino)-2-propanol (48): 40% yield

¹H-NMR (400 MHz, DMSO-d₆) δ 2.59-2.80 (6H, m), 2.83 (3H, s), 3.79-3.87 (3H, s), 5.07 (1H, brs), 6.86 (2H, d, *J* =9.0 Hz), 7.09 (2H, d, *J* =9.0 Hz), 7.14-7.29 (4H, m).

Anal. (C₁₈H₂₃ClN₂O₄S x 0.4 H₂O)C, H, N.

(S)-1-(4-Methanesulfonamidophenoxy)-3-(4-chlorophenylethylamino)-2-propanol

(49): 45% yield

¹H-NMR (400 MHz, DMSO-d₆) δ 2.55-2.75 (6H, m), 2.83 (3H, s), 3.76-3.86 (3H, m), 4.95 (1H, brs), 6.85 (2H, d, *J* =9.0 Hz), 7.09 (2H, d, *J* =9.0 Hz), 7.19 (2H, d, *J* =8.4 Hz), 7.28 (2H, d, *J* =8.4 Hz).

Anal. (C₁₈H₂₃ClN₂O₄S x 0.4 H₂O)C, H, N.

(S)-1-(4-Methanesulfonamidophenoxy)-3-(2,4-dichlorophenylethylamino)-2-propanol (50): 73% yield

¹H-NMR (400 MHz, CDCl₃) δ 2.75-2.93 (6H, m), 2.95 (3H, s), 3.94, (1H, d, H_α, *J* =2.4 Hz), 3.96 (1H, s, H_β), 4.00-4.05 (1H, m), 6.88 (2H, dd, *J* =2.0, 6.8 Hz), 7.04 (1H, dd, *J* =2.4, 8.0 Hz), 7.18 (2H, dd, *J* =2.4, 6.8 Hz), 7.30 (1H, d, *J* =2.0 Hz), 7.35 (1H, d, *J* =8.4 Hz).

Compound 50 was dissolved in ethanol and bubbled HCl gas to get the HCl salt of the compound 50 as a white solid.

Anal. (C₁₈H₂₃Cl₃N₂O₄S)C, H, N.

Preparation of 3-chloro-4-fluorophenylethylamine: 3-chloro-4-fluorophenylacetonitrile (1.00 g, 5.9 mmol) was dissolved in 50 ml ethanol, and added Ra-Ni (approximately 10% weight). 5 ml of 7N ammonia solution in methanol was added to the reaction mixture. The bottle was connected to the hydrogenator in 25 psi for 24 hours. After the reaction time it was filtered off. Evaporating the solvent gave pure desired product. (95% yield)

¹H-NMR (400 MHz, CDCl₃) δ 2.44 (2H, t, *J* =6.8 Hz), 2.83 (2H, t, *J* =6.6 Hz), 6.81-6.98 (2H, m), 7.21 (1H, t, *J* =4.8 Hz).

(S)-1-(4-Methanesulfonamidophenoxy)-3-(3-chloro-4-fluorophenylethylamino)-2-propanol (51): 56% yield

¹H-NMR (400 MHz, CDCl₃) δ 2.75-2.93 (6H, m), 2.95 (3H, s), 3.94, (1H, d, H_α, *J* =2.4 Hz), 3.96 (1H, s, H_β), 4.00-4.05 (1H, m), 6.88 (2H, dd, *J* =2.0, 6.8 Hz), 7.04 (1H, dd, *J* =2.4, 8.0 Hz), 7.18 (2H, dd, *J* =2.4, 6.8 Hz), 7.30 (1H, d, *J* =2.0 Hz), 7.35 (1H, d, *J* =8.4 Hz).

Compound 51 was dissolved in ethanol and bubbled HCl gas to get the HCl salt of the compound 51 as a white solid.

Anal. (C₁₈H₂₃Cl₂N₂O₄S)C, H, N.

Preparation of 3-fluoro-4-chlorophenylethylamine:

Step 1: 3-fluoro-4-chlorophenylacetonitrile was synthesized following the literature.¹

¹H-NMR (400 MHz, CDCl₃) δ 3.75 (2H, s), 7.07 (1H, dd, *J* =2.0, 6.4 Hz), 7.15 (1H, dd, *J* =2.0, 9.2 Hz), 7.42 (1H, t, *J* =8.0 Hz).

Step 2: 3-fluoro-4-chlorophenylacetonitrile was hydrogenated with the same method with 3-chloro-4-fluorophenylethylamine.

¹H-NMR (400 MHz, CDCl₃) δ 2.44 (2H, t, *J* =6.8 Hz), 2.83 (2H, t, *J* =6.6 Hz), 6.81-6.98 (2H, m), 7.21 (1H, t, *J* =4.8 Hz).

(S)-1-(4-Methanesulfonamidophenoxy)-3-(3-fluoro-4-chlorophenylethylamino)-2-propanol (52): 83% yield.

¹H-NMR (400 MHz, CDCl₃) δ 2.75-2.93 (6H, m), 2.95 (3H, s), 3.94, (1H, d, H_α, *J* =2.4 Hz), 3.96 (1H, s, H_β), 4.00-4.05 (1H, m), 6.88 (2H, dd, *J* =2.0, 6.8 Hz), 7.04 (1H, dd, *J* =2.4, 8.0 Hz), 7.18 (2H, dd, *J* =2.4, 6.8 Hz), 7.30 (1H, d, *J* =2.0 Hz), 7.35 (1H, d, *J* =8.4 Hz).

Compound 52 was dissolved in ethanol and bubbled HCl gas to get the HCl salt of the compound 52 as a white solid.

Anal. (C₁₈H₂₃Cl₂N₂O₄S)C, H, N.

(S)-1-(4-Methanesulfonamidophenoxy)-3-(2-fluorophenylethylamino)-2-propanol

(53): 65% yield

¹H-NMR (400 MHz, DMSO-d₆) δ 2.52-2.71 (6H, m), 2.83 (3H, s), 3.76-3.88 (3H, m), 4.92 (1H, brs), 6.86 (2H, d, *J* =9.0 Hz), 7.06 (4H, dd, *J* =4.8, 9.0 Hz), 7.12-7.29 (2H, m).

Anal. (C₁₈H₂₃FN₂O₄S)C, H, N.

(S)-1-(4-Methanesulfonamidophenoxy)-3-(3-fluorophenylethylamino)-2-propanol

(54): 46% yield

¹H-NMR (400 MHz, DMSO-d₆) δ 2.53-2.76 (6H, m), 2.83 (3H, s), 3.75-3.86 (3H, m), 4.93 (1H, brs), 6.86 (2H, d, *J* =9.0 Hz), 6.93-7.03 (3H, m), 7.09 (2H, d, *J* =9.0 Hz), 7.25 (1H, q, *J* =8.1 Hz).

Anal. (C₁₈H₂₃FN₂O₄S x 0.2 H₂O)C, H, N.

(S)-1-(4-Methanesulfonamidophenoxy)-3-(4-fluorophenylethylamino)-2-propanol

(55): 31% yield

¹H-NMR (400 MHz, DMSO-d₆) δ 2.51-2.72 (6H, m), 2.83 (3H, s), 3.76-3.86 (3H, m), 4.91 (1H, brs), 6.86 (2H, d, *J* =8.7 Hz), 7.01 (2H, d, *J* =8.7 Hz), 7.08 (2H, t, *J* =8.7 Hz), 7.20 (2H, t, *J* =8.7 Hz).

Anal. (C₁₈H₂₃FN₂O₄S x 0.2 H₂O)C, H, N.

3,4-fluorophenylethylamine was synthesized following the literature.²

¹H-NMR (400 MHz, CDCl₃) δ 2.77 (2H, t, *J* =6.8 Hz), 2.94 (2H, t, *J* =6.8 Hz), 3.56 (2H, brs), 7.02-7.10 (1H, m), 7.35 (2H, dt, *J* =2.4, 8.4 Hz).

(S)-1-(4-Methanesulfonamidophenoxy)-3-(3,4-difluorophenylethylamino)-2-

propanol (56): 75% yield

¹H-NMR (400 MHz, CDCl₃) δ 2.65 (3H, s), 2.70-2.88 (6H, m), 3.71, (1H, dd, *J* =5.6, 9.2 Hz), 3.78 (1H, dd, *J* =4.8, 9.6 Hz), 4.04-4.09 (1H, m), 6.62 (2H, dd, *J* =2.0, 6.8 Hz), 6.77 (1H, dd, *J* =2.4, 8.0 Hz), 6.88 (2H, dd, *J* =2.4, 6.8 Hz), 6.99 (2H, dd, *J* =2.0, 6.8 Hz).

Compound 56 was dissolved in ethanol and bubbled HCl gas to get the HCl salt of the compound 56 as a white solid.

Anal. (C₁₈H₂₃ClF₂N₂O₄S)C, H, N.

Preparation of 2-hydroxyphenylethylamine:

Step 1: 2-hydroxyphenylacetonitrile was synthesized according to the literature.³

Step 2: 0.788 g Palladium on activated carbon (10%) was added to the solution of 2-hydroxyphenylacetonitrile (2.05 g) and 2.8 ml HCl in 100 ml EtOH. Hydrogenation was carried out for 10 hours at 40 psi. The catalyst was filtered off and solvent was evaporated. The residue was recrystallized from ethanol-ether to give the desired phenyl ethylamine salt. The amine salt was dissolved in DCM and added 1.2 equivalence sodium bicarbonate and extracted with water to get the free amine.

(S)-1-(4-Methanesulfonamidophenoxy)-3-(2-hydroxyphenylethylamino)-2-propanol

(57): 73% yield

¹H-NMR (400 MHz, CDCl₃) δ 2.88 (3H, s), 2.90-3.20 (6H, m), 3.94, (2H, d, *J* =4.8 Hz), 4.12-4.35 (1H, m), 5.85 (1H, brs), 6.75 (1H, t, *J* =7.2 Hz), 6.84 (1H, dd, *J* =3.2, 7.6 Hz), 6.95 (2H, dd, *J* =2.0, 8.8 Hz), 7.07 (2H, dd, *J* =2.4, 7.6 Hz), 7.16 (2H, dd, *J* =2.4, 7.6 Hz).

¹³C-NMR (100 MHz, CDCl₃) δ 32.76, 43.36, 47.15, 48.64, 49.73, 65.20, 70.05, 115.14, 119.03, 123.23, 123.68, 127.89, 130.20, 131.22, 155.47, 155.55

Anal. (C₁₈H₂₄N₂O₅S)C, H, N.

Preparation of 3/4-hydroxyphenylethylamine:

A mixture of methoxyphenylethylamine (25 mmol), acetic acid (15 ml), and 48% hydrobromic acid (15 ml) was heated under reflux for 4 hours. After cooling, the solvent was removed under reduced pressure. The remaining residue was treated with methanol and evaporated again under reduced pressure. The residue was dissolved in a small amount of methanol. The solution was treated with diethyl ether and left in the refrigerator for crystallization. The corresponding hydrobromides were isolated in 86-88 %yield.

(S)-1-(4-Methanesulfonamidophenoxy)-3-(3-hydroxyphenylethylamino)-2-propanol

(58): 36% yield

¹H-NMR (400 MHz, CDCl₃) δ 2.84 (3H, s), 2.95-3.23 (6H, m), 3.94, (2H, d, *J* =4.8 Hz), 4.01-4.15 (1H, m), 5.81 (1H, brs), 6.62 (3H, d, *J* =9.0 Hz), 6.90 (2H, d, *J* =9.0 Hz), 7.11 (3H, dd, *J* =3.2, 9.0 Hz), 8.45 (1H, brs), 9.35 (1H, s).

Anal. (C₁₈H₂₄N₂O₅S)C, H, N.

(S)-1-(4-Methanesulfonamidophenoxy)-3-(4-hydroxyphenylethylamino)-2-propanol

(59): 61% yield

¹H-NMR (400 MHz, CDCl₃) δ 2.51-2.67 (6H, m), 2.83 (3H, s), 3.79, (2H, d, *J* =4.8 Hz), 3.82-4.86 (1H, m), 4.98 (1H, brs), 6.59 (2H, d, *J* =3.3 Hz), 6.84 (2H, d, *J* =3.3 Hz), 6.94 (2H, d, *J* =7.8 Hz), 7.09 (2H, d, *J* =9.0 Hz), 9.09 (1H, brs).

Anal. (C₁₈H₂₄N₂O₅S)C, H, N.

Preparation of 3,5-dihydroxyphenylethylamine:

Step 1: A mixture of 3,5-dimethoxybenzaldehyde (4.15 g, 25 mmol), ammonium acetate (1.55 g, 20 mmol), and nitro methane (2.7 ml, 50 mmol) in acetic acid (20 ml) was gently refluxed for 2 hours. On cooling, a crystalline material separated. This material was filtered off, washed with methanol, and recrystallized from methanol to give pure, 3,5-dimethoxy- β -styrene in 78% yield.

Step 2: In the two-necked flask lithium aluminum hydride (2.43 g, 64 mmol) was placed and anhydrous diethyl ether (40 ml) was added. To the well-stirred mixture, a solution of 3,5-dimethoxy- β -styrene (3.35 g, 16 mmol) in anhydrous THF (120 ml) was added drop wise over a period of 4 hours. The reaction mixture was heated under gently reflux. After the last addition of organic compound the heating was continued for an additional 2 hours. To the well-cooled ice-bath, stirred reaction mixture, water (20 ml) was added drop wise over a period 1 hour. Then the reaction mixture was stirred at room temperature for an additional 1 hour and filtered through a pad of Celite. The filter cake was washed with THF. The combined filtrates were concentrated to dryness under reduced pressure. The residue was dissolved in anhydrous diethyl ether and the HCl salt of the amine was precipitated by addition of diethyl ether saturated HCl. (78% yield).

(S)-1-(4-Methanesulfonamidophenoxy)-3-(3,5-dihydroxyphenylethylamino)-2-

propanol (60): 33% yield

$^1\text{H-NMR}$ (400 MHz, CDCl_3) δ 2.58-2.84 (6H, m), 2.87 (3H, s), 3.96, (2H, d, $J=4.8$ Hz), 3.97-4.01 (1H, m), 6.17 (1H, d, $J=2.4$ Hz), 6.21 (2H, d, $J=1.8$ Hz), 6.91 (2H, d, $J=9.3$ Hz), 7.24 (2H, d, $J=8.7$ Hz).

Anal. ($\text{C}_{18}\text{H}_{24}\text{N}_2\text{O}_6\text{S}$)C, H, N.

(S)-1-(4-Methanesulfonamidophenoxy)-3-(3,4-dihydroxyphenylethylamino)-2-

propanol (61): 43% yield

¹H-NMR (400 MHz, CDCl₃) δ 2.67-2.80 (6H, m), 2.84 (3H, s), 3.92 (2H, d, *J* =4.8 Hz), 4.05-4.12 (1H, m), 6.42 (1H, dd, *J* =1.5, 7.5 Hz), 6.58 (1H, d, *J* =2.1 Hz), 6.62 (1H, d, *J* =8.1 Hz), 6.89 (2H, dd, *J* =3.0, 8.7 Hz), 7.13 (2H, d, *J* =8.7 Hz).

Anal. (C₁₈H₂₄N₂O₆S)C, H, N.

(S)-1-(4-Methanesulfonamidophenoxy)-3-(3,4-methylenedioxyphenylethylamino)-2-

propanol (62): 61% yield

¹H-NMR (400 MHz, CDCl₃) δ 2.84 (3H, s), 2.86-3.22 (6H, m), 3.89 (2H, d, *J* =4.8 Hz), 4.07-4.14 (1H, m), 5.94 (2H, s), 6.67 (1H, dd, *J* =1.6, 7.8 Hz), 6.74 (2H, d, *J* =3.3 Hz), 6.88 (2H, d, *J* =9.0 Hz), 7.07 (2H, d, *J* =8.7 Hz).

Compound 62 was dissolved in ethanol and bubbled HCl gas to get the HCl salt of the compound 62 as a white solid

Anal. (C₁₉H₂₅ClN₂O₆S)C, H, N.

(S)-1-(4-Methanesulfonamidophenoxy)-3-(3,4-dimethylphenylethylamino)-2-

propanol (63): 88% yield

¹H-NMR (400 MHz, CDCl₃) δ 2.23 (6H, d, *J* =3.2 Hz), 2.73-2.93 (6H, m), 2.94 (3H, s), 3.93, (1H, d, H_α, *J* =2.0 Hz), 3.95 (1H, s, H_β), 3.99-4.03 (1H, m), 6.87 (2H, dd, *J* =2.0, 6.8 Hz), 6.94 (1H, d, *J* =7.6 Hz), 6.98 (1H, s), 7.06 (1H, d, *J* =7.6 Hz), 7.17 (2H, dd, *J* =2.0, 6.8 Hz).

¹³C-NMR (100 MHz, CDCl₃) δ 15.96, 16.32, 35.67, 38.46, 49.83, 50.87, 66.98, 71.18, 115.36, 125.78, 129.57, 129.68, 129, 80, 130.36, 130.47, 140.75, 157.66

Compound 63 was dissolved in ethanol and bubbled HCl gas to get the HCl salt of the compound 63 as a white solid

Anal. (C₂₀H₂₉ClN₂O₄S)C, H, N.

(S,S)-1-(4-Methanesulfonamidophenoxy)-3-(1-naphtyl-1-ethylamino)-2-propanol

(64): 68% yield

¹H-NMR (400 MHz, CDCl₃) δ 1.54 (3H, d, *J* =6.4 Hz), 2.70 (1H, dd, *J* =7.6, 12.4 Hz), 2.86 (1H, dd, *J* =2.4, 12.0 Hz), 2.93 (3H, s), 3.91 (2H, dd, *J* =6.4, 12.4 Hz), 4.04-4.08 (1H, m), 4.68 (1H, q, *J* =6.8 Hz), 6.84 (2H, d, *J* =8.8 Hz), 7.15 (2H, dd, *J* =2.4, 6.8 Hz), 7.46-7.54 (3H, m), 7.59 (1H, d, *J* =6.4 Hz), 7.76 (1H, d, *J* =8.4 Hz), 7.88 (1H, dd, *J* =1.6, 8.0 Hz), 8.18 (1H, d, *J* =8.4 Hz).

Compound 64 was dissolved in ethanol and bubbled HCl gas to get the HCl salt of the compound 64 as a white solid

Anal. (C₂₂H₂₇ClN₂O₄S)C, H, N.

(S)-1-(4-Methanesulfonamidophenoxy)-3-(4-nitrophenylethylamino)-2-propanol

(65): 75% yield

¹H-NMR (400 MHz, CDCl₃) δ 2.88 (3H, s), 2.90-3.21 (6H, m), 3.89, (2H, d, *J* = 4.8 Hz), 4.02-4.13 (1H, m), 6.89 (2H, d, *J* =9.0 Hz), 7.11 (2H, d, *J* =9.0 Hz), 7.52 (2H, d, *J* =8.4 Hz), 8.16 (2H, d, *J* =8.4Hz).

Compound 65 was dissolved in ethanol and bubbled HCl gas to get the HCl salt of the compound 65 as a yellow solid

Anal. (C₁₈H₂₄ClN₃O₆S)C, H, N.

N-methyl-3,4-dichlorophenylethylamine was synthesized according to the literature.⁴

¹H-NMR (400 MHz, CDCl₃) δ 2.74 (3H, s), 3.08-3.17 (4H, m), 7.10 (1H, dd, *J* = 1.8, 8.1 Hz), 7.35 (1H, d, *J* = 3.2 Hz), 7.36 (1H, d, *J* = 8.7 Hz).

(S)-1-(4-Methanesulfonamidophenoxy)-3-(N-methyl-3,4-dichlorophenylethyl-amino)-2-propanol (66): colorless oil (30% yield).

$[\alpha]_D^{20} = -12.4$

¹H-NMR (400 MHz, CDCl₃) δ 2.37 (3H, s), 2.52-2.78 (6H, m), 2.93 (3H, s), 3.91, (1H, d, H_α, *J* = 4.8 Hz), 3.92 (1H, s, H_β), 3.98-4.04 (1H, m), 6.89 (2H, dd, *J* = 4.2, 6.9 Hz), 7.01 (1H, dd, *J* = 1.6, 10 Hz), 7.17 (2H, dd, *J* = 2.4, 6.8 Hz), 7.28 (1H, d, *J* = 8.8 Hz), 7.33 (1H, d, *J* = 8.4 Hz).

¹³C-NMR (100 MHz, CDCl₃) δ 33.11, 39.17, 42.24, 59.29, 60.11, 66.29, 70.64, 115.68, 124.90, 128.34, 129.54, 130.36, 130.56, 130.81, 140.41, 157.43

MS (FAB): 446.30, calc. 446.0834

Compound 66 was dissolved in ethanol and bubbled HCl gas to get the HCl salt of the compound 66 as a white solid which moisturize 1 mol of water.

Anal. (C₁₉H₂₅Cl₃N₂O₄S x H₂O)C, H, N.

(S)-1-(4-Methanesulfonamidophenoxy)-3-(N-(2-butyroxyethyl)-3,4-dichlorophenylethylamino)-2-propanol (68a): 85% yield solvent system for flash chromatography DCM: Ethyl acetate (70:30).

¹H-NMR (400 MHz, CDCl₃) δ 0.89 (3H, t, *J* = 6.8 Hz), 1.56-1.64 (2H, m), 2.24 (2H, t, *J* = 7.6 Hz), 2.64-2.87 (6H, m), 2.90 (3H, s), 3.87-4.13 (6H, m), 4.38-4.44 (1H, m), 6.83 (2H, dd, *J* = 2.8, 7.2 Hz), 6.99 (1H, dd, *J* = 2.0, 8.4 Hz), 7.16 (2H, dd, *J* = 2.0, 6.8 Hz), 7.25 (1H, d, *J* = 1.6 Hz), 7.31 (1H, d, *J* = 8.0 Hz).

Preparation of (S)-1-(4-Methanesulfonamidophenoxy)-3-(N-(2-hydroxyethyl)-3,4-dichlorophenylethylamino)-2-propanol (68):

Reaction of the compound **68a** with 2 equivalent of sodium methoxide in methanol gave the compound **68** in 75% yield as colorless oil. This compound was purified with flash chromatography using DCM:MeOH (90:10) solvent system.

¹H-NMR (400 MHz, CDCl₃) δ 2.72-2.86 (8H, m), 2.94 (3H, s), 3.64, (2H, dt, *J* = 2.0, 4.0 Hz), 3.87 (1H, s, H_β), 3.89 (1H, dd, H_α, *J* = 3.2, 8.8 Hz), 3.98-4.04 (1H, m), 6.84 (2H, dd, *J* = 2.8, 7.2 Hz), 7.03 (1H, dd, *J* = 2.0, 8.4 Hz), 7.17 (2H, dd, *J* = 2.0, 6.8 Hz), 7.29 (1H, d, *J* = 1.6 Hz), 7.33 (1H, d, *J* = 8.0 Hz).

¹³C-NMR (100 MHz, CDCl₃) δ 32.89, 39.14, 56.53, 56.79, 57.09, 59.94, 67.73, 70.39, 99.49, 115.60, 124.87, 128.42, 129.74, 130.61, 130.87, 140.31, 157.13

Compound **68** was dissolved in ethanol and bubbled HCl gas to get the HCl salt of the compound **68** as a white solid.

Anal. (C₂₀H₂₇Cl₃N₂O₅S)C, H, N.

(S)-1-(4-Methanesulfonamidophenoxy)3-(N-propyl-3,4-dichlorophenylethylamino)-2-propanol (69): 80% yield, solvent system for flash chromatography DCM:MeOH (90:10).

¹H-NMR (400 MHz, CDCl₃) δ 0.86 (3H, t, *J* = 7.4 Hz), 1.39-1.56 (2H, m), 2.56-2.82 (8H, m), 2.91 (3H, s), 3.89, (1H, d, H_α, *J* = 4.4 Hz), 3.90 (1H, s, H_β), 3.92-3.96 (1H, m), 6.84 (2H, dd, *J* = 2.0, 6.8 Hz), 6.99 (1H, dd, *J* = 2.0, 8.0 Hz), 7.16 (2H, dd, *J* = 2.4, 6.4 Hz), 7.25 (1H, d, *J* = 2.4 Hz), 7.31 (1H, d, *J* = 7.6 Hz).

¹³C-NMR (100 MHz, CDCl₃) δ 13.61, 24.64, 30.56, 43.42, 55.76, 59.29, 60.12, 66.30, 70.65, 115.64, 123.35, 128.24, 128.37, 130.06, 130.33, 130.42, 140.56, 157.68

Compound 69 was dissolved in ethanol and bubbled HCl gas to get the HCl salt of the compound 69 as a white solid which moisturizes 1 mol of water.

Anal. (C₂₁H₂₉Cl₃N₂O₄S)C, H, N.

(S)-1-(4-Methanesulfonamidophenoxy)-3-(N-butyl-3,4-dichlorophenylethylamino)-2-propanol (70): 74% yield, solvent system for flash chromatography DCM:MeOH (90:10).

$[\alpha]_D^{20} = -12.6$

¹H-NMR (400 MHz, CDCl₃) δ 0.88 (3H, t, *J* = 7.4 Hz), 1.22-1.30 (2H, m), 1.36-1.45 (2H, m), 2.44-2.80 (8H, m), 2.89 (3H, s), 3.88, (1H, d, H_α, *J* = 4.8 Hz), 3.89 (1H, s, H_β), 3.92-3.96 (1H, m), 6.82 (2H, dd, *J* = 2.0, 6.8 Hz), 6.98 (1H, dd, *J* = 2.0, 8.4 Hz), 7.16 (2H, dd, *J* = 1.6, 7.2 Hz), 7.24 (1H, d, *J* = 1.6 Hz), 7.29 (1H, d, *J* = 8.4 Hz).

¹³C-NMR (100 MHz, CDCl₃) δ 14.17, 20.64, 29.30, 32.90, 38.81, 53.96, 55.61, 56.88, 66.36, 70.54, 115.49, 124.61, 128.35, 129.74, 130.101, 130.44, 130.73, 132.31, 140.57, 157.16

Compound 70 was dissolved in ethanol and treated with HCl gas to obtain the HCl salt as a white solid.

Anal. (C₂₂H₃₁Cl₃N₂O₄S)C, H, N.

Preparation of Compound 70's Mosher ester:

To the solution of Compound 70 (0.328 g, 0.67 mmol) in 5 ml dichloromethane pyridine(0.42 ml, 5.23 mmol) and DMAP (catalytic amount) was added After stirring for 5-10 minutes at room temperature (S)-2-methoxy-3,3,3-trifluoro-2-phenylpropanoyl chloride(0.19 ml, 1.01 mmol) was added to the reaction mixture. The reaction was stirred overnight. The reaction was extracted with water and followed by brine, organic phase

was dried over MgSO₄, and evaporated. Product was purified with column chromatography using EtOAc:DCM (3:7) (85% yield).

¹H-NMR (400 MHz, CDCl₃) δ 0.88 (3H, t, *J* = 7.4 Hz), 0.98 (2H, dd, *J* = 2.8, 6.4 Hz), 1.22-1.29 (2H, m), 1.36-1.45 (2H, m), 2.57-2.88 (6H, m), 2.91 (3H, s), 3.51 (3H, s), 3.94 (1H, d, *J* = 6.0 Hz), 4.02 (1H, dd, *J* = 2.8, 10.4 Hz), 5.38-5.52 (1H, m), 6.57 (1H, bs), 6.72 (2H, dd, *J* = 2.0, 6.8 Hz), 6.98 (1H, dd, *J* = 2.0, 8.4 Hz), 7.16 (2H, dd, *J* = 1.6, 7.2 Hz), 7.23-7.38 (5H, m), 7.54 (2H, d, *J* = 8.4 Hz).

(S)-1-(4-Methanesulfonamidophenoxy)-3-(N-pentyl-3,4-dichlorophenylethylamino)-2-propanol (71): 68% yield, solvent system for flash chromatography DCM:MeOH (90:10).

¹H-NMR (400 MHz, CDCl₃) δ 0.87 (3H, t, *J* = 7.2 Hz), 1.17-1.32 (6H, m), 2.49-2.80 (8H, m), 2.93 (3H, s), 3.91 (1H, d H_α, *J* = 2.0 Hz), 3.92 (1H, s, H_β), 3.93-3.95 (1H, m), 6.87 (2H, dd, *J* = 2.0, 6.8 Hz), 7.00 (1H, dd, *J* = 2.0, 8.0 Hz), 7.17 (2H, dd, *J* = 2.0, 6.8 Hz), 7.25 (1H, d, *J* = 2.4 Hz), 7.32 (1H, d, *J* = 8.4 Hz).

¹³C-NMR (100 MHz, CDCl₃) δ 13.65, 20.35, 22.78, 28.83, 32.25, 39.70, 52.86, 56.92, 66.87, 69.76, 70.97, 114.93, 124.58, 128.88, 128.99, 130.36, 130.73, 131.26, 140.53, 157.67

Compound 71 was dissolved in ethanol and bubbled HCl gas to get the HCl salt of the compound 71 as a white solid.

Anal. (C₂₃H₃₃Cl₃N₂O₄S)C, H, N.

(S)-1-(4-Methanesulfonamidophenoxy)-3-(N-isobutyl-3,4-dichlorophenylethylamino)-2-propanol (72): 75% yield, solvent system for flash chromatography DCM:MeOH (90:10).

¹H-NMR (400 MHz, CDCl₃) δ 0.87 (6H, t, *J* = 6.4 Hz), 1.70-1.77 (1H, m), 2.24-2.34 (2H, m), 2.65 (2H, d, *J* = 6 Hz), 2.67-2.80 (4H, m), 2.94 (3H, s), 3.93 (2H, d H_β, *J* = 2.0 Hz), 3.94-3.99 (1H, m), 6.88 (2H, dd, *J* = 2.4, 6.4 Hz), 7.00 (1H, dd, *J* = 2.4, 7.6 Hz), 7.17 (2H, dd, *J* = 2.0, 6.8 Hz), 7.26 (1H, d, *J* = 2.0 Hz), 7.33 (1H, d, *J* = 8.4 Hz).

¹³C-NMR (100 MHz, CDCl₃) δ 20.16, 23.94, 32.78, 40.46, 54.68, 59.29, 60.54, 66.91, 69.97, 115.45, 124.35, 128.45, 128.89, 130.22, 130.37, 130.45, 140.79, 157.24

Compound 72 was dissolved in ethanol and bubbled HCl gas to get the HCl salt of the compound 72 as a white solid.

Anal. (C₂₂H₃₁Cl₃N₂O₄S)C, H, N.

(S)-1-(4-Methanesulfonamidophenoxy)-3-(N-cyclohexylmethyl-3,4-

dichlorophenylethylamino)-2-propanol (73): 73% yield, solvent system for flash chromatography DCM:MeOH (90:10).

¹H-NMR (CDCl₃) δ 0.81-0.86 (2H, m), 1.15-1.27 (2H, m), 1.32-1.46 (1H, m), 1.62-1.68 (6H, m), 2.31 (2H, d, *J* = 9.2 Hz), 2.59 (2H, d, *J* = 8.4 Hz), 2.66-2.79 (4H, m), 2.94 (3H, s), 3.91 (1H, d H_α, *J* = 5.6 Hz), 3.93 (1H, s, H_β), 3.94-3.99 (1H, m), 6.88 (2H, dd, *J* = 2.8, 9.2 Hz), 7.01 (1H, dd, *J* = 2.8, 10.8 Hz), 7.18 (2H, dd, *J* = 3.2, 8.8 Hz), 7.27 (1H, d, *J* = 2.8 Hz), 7.34 (1H, d, *J* = 10.8 Hz).

¹³C-NMR (100 MHz, CDCl₃) δ 23.91, 27.54, 28.88, 32.64, 36.03, 42.47, 56.67, 56.98, 59.23, 70.13, 79.26, 115.56, 124.86, 128.52, 129.68, 130, 23, 130.46, 130.97, 140.72, 157.63

Compound 73 was dissolved in ethanol and bubbled HCl gas to get the HCl salt of the compound 73 as a white solid.

Anal. (C₂₅H₃₅Cl₃N₂O₄S)C, H, N.

(S)-1-(4-Methanesulfonamidophenoxy)-3-(N-isopropyl-

3,4-dichlorophenylethylamino)-2-propanol (74): 75% yield, solvent system for flash chromatography DCM:MeOH (90:10).

¹H-NMR (400 MHz, CDCl₃) δ 0.99 (3H, d, *J* = 6.4 Hz), 1.03 (3H, d, *J* = 6.8 Hz), 2.50-2.74 (6H, m), 2.94 (3H, s), 2.98-3.04 (1H, m), 3.91 (2H, d, *J* = 4.0 Hz), 3.87-3.94 (1H, m), 6.88 (2H, dd, *J* = 2.0, 6.8 Hz), 7.01 (1H, dd, *J* = 2.4, 8.4 Hz), 7.18 (2H, dd, *J* = 2.0, 6.8 Hz), 7.27 (1H, d, *J* = 1.6 Hz), 7.34 (1H, d, *J* = 8.4 Hz).

¹³C-NMR (100 MHz, CDCl₃) δ 16.67, 20.03, 34.99, 39.01, 50.90, 52.11, 52.35, 66.25, 70.67, 115.60, 124.77, 128.42, 129.62, 130.31, 130.51, 130.83, 132.43, 140.50, 157.36

Compound 74 was dissolved in ethanol and bubbled HCl gas to get the HCl salt of the compound 74 as a white solid.

Anal. (C₂₁H₂₉Cl₃N₂O₄S)C, H, N.

(S)-1-(4-Methanesulfonamidophenoxy)-3-(N-benzyl-3,4-dichlorophenylethylamino)-

2-propanol (75): 70% yield, solvent system for flash chromatography DCM:MeOH (90:10).

¹H-NMR (400 MHz, CDCl₃) δ 2.62-2.84 (6H, m), 2.91 (3H, s), 3.57 (1H, d, *J* = 13.2 Hz), 3.79 (1H, d, *J* = 13.2 Hz), 3.84, (1H, d, H_α, *J* = 1.2 Hz), 3.86 (1H, s, H_β), 3.92-4.08 (1H, m), 6.80 (2H, dd, *J* = 2.0, 6.8 Hz), 6.92 (1H, dd, *J* = 2.0, 8.4 Hz), 7.15 (2H, dd, *J* = 2.4, 6.8 Hz), 7.19 (1H, d, *J* = 2.4 Hz), 7.24-7.36 (6H, m).

¹³C-NMR (100 MHz, CDCl₃) δ 32.82, 38.99, 55.34, 56.65, 59.04, 66.66, 70.53, 115.58, 124.73, 127.67, 128.33, 128.038, 128.58, 128.71, 129.12, 129.68, 130.46, 130.81, 138.027, 140.36, 157.24

Compound 75 was dissolved in ethanol and bubbled HCl gas to get the HCl salt of the compound 75 as a white solid.

Anal. (C₂₅H₂₉Cl₃N₂O₄S)C, H, N.

(S)-1-(4-Methanesulfonamidophenoxy)-3-(N-(2-hydroxybenzyl)-3,4-

dichlorophenylethylamino)-2-propanol (76): 55% yield, solvent system for flash chromatography DCM:MeOH (90:10).

¹H-NMR (400 MHz, CDCl₃) δ 2.78-2.92 (6H, m), 2.94 (3H, s), 3.81-3.85 (2H, m), 3.88-3.97 (2H, m), 4.22-4.26 (1H, m), 6.80 (2H, d, *J* = 7.6 Hz), 6.83 (2H, dd, *J* = 2.4, 6.8 Hz), 6.94 (1H, dd, *J* = 1.6, 8.4 Hz), 7.00 (1H, d, *J* = 6.4 Hz), 7.17-7.20 (3H, m), 7.30 (1H, d, *J* = 8 Hz).

¹³C-NMR (100 MHz, CDCl₃) δ 32.02, 39.17, 55.71, 56.48, 58.67, 68.09, 70.73, 115.67, 116.56, 119.77, 121.94, 124.82, 128.37, 129.01, 129.37, 129.91, 130.63, 132.89, 139.61, 156.88, 157.44

Compound 76 was dissolved in ethanol and bubbled HCl gas to get the HCl salt of the compound 76 as a yellowish solid.

Anal. (C₂₅H₂₉Cl₃N₂O₅S)C, H, N.

(S)-1-(4-Methanesulfonamidophenoxy)-3-(N-(3-hydroxybenzyl)-3,4-

dichlorophenylethylamino)-2-propanol (77): 62% yield, solvent system for flash chromatography DCM:MeOH (90:10).

$[\alpha]_D^{20} = -12.5$

¹H-NMR (400 MHz, CDCl₃) δ 2.65-2.84 (6H, m), 2.89 (3H, s), 3.51 (1H, d, *J* = 13.6 Hz), 3.71-3.82 (3H, m), 3.86-4.01 (1H, m), 6.68-6.74 (5H, m), 6.90 (1H, dd, *J* = 2.0, 8.4 Hz), 7.07-7.17 (4H, m), 7.27 (1H, d, *J* = 8.4 Hz).

^{13}C -NMR (100 MHz, CDCl_3) δ 32.02, 39.15, 55.77, 56.43, 58.76, 68.11, 70.37, 115.56, 116.71, 119.34, 121.49, 124.68, 128.36, 129.10, 129.76, 130.16, 130.89, 132.67, 139.41, 156.45, 157.42

Compound 77 was dissolved in ethanol and bubbled HCl gas to get the HCl salt of the compound 77 as a yellowish green solid which moisturizes 1 mol of water.

Anal. ($\text{C}_{25}\text{H}_{29}\text{Cl}_3\text{N}_2\text{O}_5\text{S} \times \text{H}_2\text{O}$)C, H, N.

(S)-1-(4-Methanesulfonamidophenoxy)-3-(N-(4-hydroxybenzyl)-3,4-dichlorophenylethylamino)-2-propanol (78): 73% yield, solvent system for flash chromatography DCM:EtOAc (70:30).

^1H -NMR (400 MHz, CDCl_3) δ 2.59-2.86 (6H, m), 2.97 (3H, s), 3.52 (1H, d, $J = 13.2$ Hz), 3.66 (1H, d, $J = 13.6$ Hz), 3.80, (1H, d, $\text{H}\alpha$, $J = 3.2$ Hz), 3.81 (1H, s, $\text{H}\beta$), 3.87-3.92 (1H, m), 6.67 (2H, d, $J = 8.0$ Hz), 6.77 (2H, dd, $J = 2.0, 6.8$ Hz), 6.95 (1H, dd, $J = 2.4, 8.0$ Hz), 7.02 (2H, d, $J = 8.4$ Hz), 7.14-7.19 (3H, m), 7.31 (1H, d, $J = 8.4$ Hz).

^{13}C -NMR (100 MHz, CDCl_3) δ 32.17, 38.86, 55.48, 56.34, 58.82, 68.12, 70.79, 115.16, 115.89, 116.36, 120.71, 124.34, 129.23, 130.14, 130.54, 130.75, 130.86, 131.13, 138.64, 140.12, 157.44

Compound 78 was dissolved in ethanol and bubbled HCl gas to get the HCl salt of the compound 78 as a yellowish solid.

Anal. ($\text{C}_{25}\text{H}_{29}\text{Cl}_3\text{N}_2\text{O}_5\text{S}$)C, H, N.

(S)-1-(4-Methanesulfonamidophenoxy)-3-(N-(2,3-dihydroxybenzyl)-3,4-dichlorophenylethylamino)-2-propanol (79): 45% yield, solvent system for flash chromatography DCM:MeOH (90:10).

¹H-NMR (400 MHz, CDCl₃) δ 2.70-2.94 (6H, m), 2.96 (3H, s), 3.77 (1H, d, *J* = 13.6 Hz), 3.95-3.97 (4H, m), 4.10-4.14 (1H, m), 6.54 (1H, d, *J* = 6.8 Hz), 6.71 (1H, t, *J* = 8.0 Hz), 6.85 (3H, d, *J* = 8.8 Hz), 6.93 (1H, dd, *J* = 2.0, 8.4 Hz), 7.20 (3H, dd, *J* = 2.0, 5.6 Hz), 7.31 (1H, d, *J* = 8.0 Hz).

¹³C-NMR (100 MHz, CDCl₃) δ 32.94, 39.23, 55.65, 56.86, 56.95, 66.42, 70.32, 112.94, 113.15, 115.68, 115.76, 117.56, 124.34, 128.57, 129.72, 130.52, 133.14, 140.75, 157.43

Compound 79 was dissolved in ethanol and bubbled HCl gas to get the HCl salt of the compound 79 as a white solid.

Anal. (C₂₅H₂₉Cl₃N₂O₆S)C, H, N.

(S)-1-(4-Methanesulfonamidophenoxy)-3-(N-(2,4-dihydroxybenzyl)-3,4-

dichlorophenylethylamino)-2-propanol (80): 67% yield, solvent system for flash chromatography DCM:MeOH (90:10).

¹H-NMR (400 MHz, CDCl₃) δ 2.49-2.74 (6H, m), 2.87 (3H, s), 3.77 (1H, d, *J* = 13.6 Hz), 3.67-3.81 (4H, m), 3.91-3.94 (1H, m), 6.15 (2H, dd, *J* = 2.0, 8.4 Hz), 6.82 (3H, d, *J* = 8.8 Hz), 7.11 (3H, dd, *J* = 2.0, 13.6 Hz), 7.40 (1H, d, *J* = 2.0 Hz), 7.43 (1H, d, *J* = 8.4 Hz).

¹³C-NMR (100 MHz, CDCl₃) δ 30.42, 34.26, 53.67, 55.53, 56.23, 64.78, 70.34, 111.98, 112.75, 115.70, 115.75, 117.78, 124.87, 128.52, 129.70, 130.75, 133.26, 140.80, 157.44

Compound 80 was dissolved in ethanol and bubbled HCl gas to get the HCl salt of the compound 80 as a white solid.

Anal. (C₂₅H₂₉Cl₃N₂O₆S)C, H, N.

(S)-1-(4-Methanesulfonamidophenoxy)-3-(N-(2-methoxybenzyl)-3,4-

dichlorophenylethylamino)-2-propanol (81): 85% yield, solvent system for flash chromatography DCM:MeOH (90:10).

¹H-NMR (400 MHz, CDCl₃) δ 2.63-2.81 (6H, m), 2.95 (3H, s), 3.49 (1H, d, *J* = 13.2 Hz), 3.76 (3H, s), 3.86 (1H, d, *J* = 12.8 Hz), 3.93, (1H, d, *J* = 6.4 Hz), 4.08-4.12 (1H, m), 6.83 (2H, dd, *J* = 2.0, 8.0 Hz), 6.88-6.92 (3H, m), 7.05 (1H, d, *J* = 2.0 Hz), 7.14 (1H, dd, *J* = 1.6, 7.2 Hz), 7.18 (2H, dd, *J* = 2.4, 6.4 Hz), 7.22 (1H, d, *J* = 8Hz), 7.28 (1H, d, *J* = 7.6 Hz).

Compound 81 was dissolved in ethanol and bubbled HCl gas to get the HCl salt of the compound 81 as a yellowish solid.

Anal. (C₂₆H₃₁Cl₃N₂O₅S)C, H, N.

(S)-1-(4-Methanesulfonamidophenoxy)-3-(N-(2,3-dimethoxybenzyl)-3,4-dichlorophenylethylamino)-2-propanol (82): 57% yield, solvent system for flash chromatography DCM:EtOAc (70:30).

¹H-NMR (400 MHz, CDCl₃) δ 2.67-2.76 (6H, m), 2.93 (3H, s), 3.60 (1H, d, *J* = 13.2 Hz), 3.79 (1H, d, *J* = 13.2 Hz), 3.80 (3H, s), 3.86 (3H, s), 3.91 (2H, d, *J* = 4.4 Hz), 4.03-4.11 (1H, m), 6.84 (1H, d, *J* = 6.8 Hz), 6.91 (1H, t, *J* = 8.0 Hz), 7.05 (3H, d, *J* = 8.8 Hz), 7.16 (1H, dd, *J* = 2.0, 8.4 Hz), 7.20 (3H, dd, *J* = 2.0, 5.6 Hz), 7.31 (1H, d, *J* = 8.0 Hz).

Compound 82 was dissolved in ethanol and bubbled HCl gas to get the HCl salt of the compound 82 as a white solid which moisturize 1 mol of water.

Anal. (C₂₇H₃₃Cl₃N₂O₆S x H₂O)C, H, N.

(S)-1-(4-Methanesulfonamidophenoxy)-3-(N-(2,6-dimethoxybenzyl)-3,4-dichlorophenylethylamino)-2-propanol (83): 60% yield, solvent system for flash chromatography DCM:EtOAc (70:30).

¹H-NMR (400 MHz, CDCl₃) δ 2.63-2.76 (6H, m), 2.94 (3H, s), 3.68 (1H, d, *J* = 12.0 Hz), 3.74 (1H, d, *J* = 12.0 Hz), 3.79 (6H, s), 3.94(2H, d, *J* = 4.8 Hz), 4.10-4.13 (1H, m), 6.52

(2H, d, $J = 8.8$ Hz), 6.82 (1H, dd, $J = 2.0, 8.4$ Hz), 6.90 (2H, dd, $J = 2.4, 6.8$ Hz), 7.04 (1H, d, $J = 2.4$ Hz), 7.17-7.20 (3H, m), 7.23 (1H, d, $J = 5.2$ Hz).

^{13}C -NMR (100 MHz, CDCl_3) δ 39.18, 45.49, 55.08, 55.80, 57.32, 66.47, 70.87, 71.87, 103.89, 110.68, 115.72, 124.96, 128.39, 129.18, 130.09, 130.72, 132.05, 136.67, 159.12, 162.43, 164.54

Compound 83 was dissolved in ethanol and bubbled HCl gas to get the HCl salt of the compound 83 as a white solid.

Anal. ($\text{C}_{27}\text{H}_{33}\text{Cl}_3\text{N}_2\text{O}_6\text{S}$)C, H, N.

(S)-1-(4-Methanesulfonamidophenoxy)-3-(N-(2,3-methylenedioxybenzyl)-3,4-dichlorophenylethylamino)-2-propanol (84): 75% yield, solvent system for flash chromatography DCM:MeOH (90:10).

^1H -NMR (CDCl_3) δ 2.66-2.88 (6H, m), 2.94 (3H, s), 3.53 (1H, d, $J = 13.2$ Hz), 3.82 (1H, d, $J = 13.2$ Hz), 3.90 (2H, dd, $J = 1.8, 5.1$ Hz), 4.01-4.12 (1H, m), 5.85 (2H, dd, $J = 1.5, 7.2$ Hz), 6.42 (1H, s), 6.66 (1H, dd, $J = 3.9, 8.4$ Hz), 6.78 (2H, d, $J = 4.5$ Hz), 6.87 (3H, dt, $J = 2.1, 6.3$ Hz), 7.17 (3H, dt, $J = 2.4, 6.6$ Hz), 7.27 (1H, d, $J = 5.4$ Hz).

Compound 84 was dissolved in ethanol and bubbled HCl gas to get the HCl salt of the compound 84 as a white solid.

Anal. ($\text{C}_{26}\text{H}_{29}\text{Cl}_3\text{N}_2\text{O}_6\text{S}$)C, H, N.

(S)-1-(4-Methanesulfonamidophenoxy)-3-(N-(2-chlorobenzyl)-3,4-dichlorophenylethylamino)-2-propanol (85): 79% yield, solvent system for flash chromatography DCM:EtOAc (70:30).

^1H -NMR (400 MHz, CDCl_3) δ 2.69-2.90 (6H, m), 2.95 (3H, s), 3.18 (1H, brs), 3.72 (1H, d, $J = 13.6$ Hz), 3.90 (1H, d, $J = 13.6$ Hz), 3.90 (2H, d, $J = 4.8$ Hz), 4.01-4.06 (1H, m),

6.26 (1H, brs), 6.86 (2H, dd, $J = 2.0, 6.8$ Hz), 6.91 (1H, dd, $J = 2.4, 8.0$ Hz), 7.13 (1H, d, $J = 2.0$ Hz), 7.16-7.29 (5H, m), 7.35 (1H, dd, $J = 2.0, 7.2$ Hz).

^{13}C -NMR (100 MHz, CDCl_3) δ 32.79, 39.20, 55.69, 56.62, 57.17, 66.75, 70.49, 115.67, 122.87, 124.92, 127.03, 128.37, 129.13, 130.10, 130.47, 130.76, 131.46, 133.60, 134.66, 152.39, 157.42

Compound 85 was dissolved in ethanol and bubbled HCl gas to get the HCl salt of the compound 85 as a white solid.

Anal. ($\text{C}_{25}\text{H}_{28}\text{Cl}_4\text{N}_2\text{O}_4\text{S}$)C, H, N.

(S)-1-(4-Methanesulfonamidophenoxy)-3-(N-(2-bromobenzyl)-3,4-dichlorophenylethylamino)-2-propanol (86): 85% yield, solvent system for flash chromatography DCM:EtOAc (70:30).

^1H -NMR (400 MHz, CDCl_3) δ 2.69-2.93 (6H, m), 2.95 (3H, s), 3.20 (1H, brs), 3.71 (1H, d, $J = 13.6$ Hz), 3.89 (1H, d, $J = 13.6$ Hz), 3.89, (2H, d, $J = 4.8$ Hz), 4.01-4.06 (1H, m), 6.45 (1H, brs), 6.85 (2H, dd, $J = 2.0, 6.8$ Hz), 6.91 (1H, dd, $J = 1.6, 8.4$ Hz), 7.13-7.19 (4H, m), 7.25 (2H, dd, $J = 2.4, 6.4$ Hz), 7.28 (1H, d, $J = 8.0$ Hz), 7.54 (1H, d, $J = 7.6$ Hz).

^{13}C -NMR (100 MHz, CDCl_3) δ 32.77, 39.17, 55.71, 57.13, 59.14, 66.82, 70.49, 115.66, 124.88, 127.64, 128.39, 129.36, 129.52, 130.47, 130.78, 131.54, 133.40, 133.91, 137.48, 140.32, 148.77, 157.38.

Compound 86 was dissolved in ethanol and bubbled HCl gas to get the HCl salt of the compound 86 as a white solid.

Anal. ($\text{C}_{25}\text{H}_{28}\text{BrCl}_3\text{N}_2\text{O}_4\text{S}$)C, H, N.

(S)-1-(4-Methanesulfonamidophenoxy)-3-(N-(2-fluorobenzyl)-3,4-

dichlorophenylethylamino)-2-propanol (87): 65% yield, solvent system for flash chromatography DCM:EtOAc (70:30).

¹H-NMR (400 MHz, CDCl₃) δ 2.69-2.88 (6H, m), 2.93 (3H, s), 3.55 (1H, d, *J* = 13.6 Hz), 3.76 (1H, d, *J* = 13.6 Hz), 3.88, (1H, d, H α , *J* = 5.2 Hz), 3.89 (1H, s, H β), 4.01-4.06 (1H, m), 6.83 (2H, dd, *J* = 2.0, 6.8 Hz), 6.91 (1H, dd, *J* = 1.6, 8.4 Hz), 7.08 (2H, dd, *J* = 1.2, 7.2 Hz), 7.14-7.20 (3H, m), 7.22-7.29 (3H, m).

¹³C-NMR (100 MHz, CDCl₃) δ 32.82, 39.05, 51.98, 55.34, 56.78, 66.61, 70.43, 115.60, 115.89, 124.28, 124.79, 128.37, 129.58, 130.43, 130.75, 131.62, 132.39, 140.33, 157.31, 162.89

Compound 87 was dissolved in ethanol and bubbled HCl gas to get the HCl salt of the compound 87 as a white solid.

Anal. (C₂₅H₂₈Cl₃FN₂O₄S)C, H, N.

(S)-1-(4-Methanesulfonamidophenoxy)-3-(N-(3-fluorobenzyl)-3,4-

dichlorophenylethylamino)-2-propanol (88): 85% yield, solvent system for flash chromatography DCM:EtOAc (70:30).

¹H-NMR (400 MHz, CDCl₃) δ 2.69-2.88 (6H, m), 2.94 (3H, s), 3.59 (1H, d, *J* = 13.6 Hz), 3.78 (1H, d, *J* = 13.6 Hz), 3.86, (1H, d, H α , *J* = 5.2 Hz), 3.88 (1H, s, H β), 3.98-4.03 (1H, m), 6.83 (2H, dd, *J* = 2.4, 6.8 Hz), 6.93 (1H, dd, *J* = 2.0, 8.4 Hz), 7.17 (2H, dd, *J* = 2.0, 4.4 Hz), 7.16-7.21 (3H, m), 7.24-7.32 (3H, m).

¹³C-NMR (100 MHz, CDCl₃) δ 32.84, 39.06, 55.51, 56.71, 58.67, 66.83, 70.43, 114.49, 114.70, 115.57, 115.70, 115.91, 124.58, 124.78, 128.34, 129.66, 130.15, 130.24, 130.37, 130.50, 130.79, 132.48, 140.19, 157.21

Compound 88 was dissolved in ethanol and bubbled HCl gas to get the HCl salt of the compound 88 as a white solid.

Anal. (C₂₅H₂₈Cl₃FN₂O₄S)C, H, N.

(S)-1-(4-Methanesulfonamidophenoxy)-3-(N-(4-fluorobenzyl)-3,4-

dichlorophenylethylamino)-2-propanol (89): 80% yield, solvent system for flash chromatography DCM:EtOAc (70:30).

¹H-NMR (CDCl₃) δ 2.67-2.86 (6H, m), 2.94 (3H, s), 3.55 (1H, d, *J* = 13.6 Hz), 3.76 (1H, d, *J* = 13.6 Hz), 3.88, (1H, d, H_α, *J* = 4.8 Hz), 3.87 (1H, s, H_β), 3.97-4.03 (1H, m), 6.83 (2H, dd, *J* = 2.0, 6.8 Hz), 6.92 (1H, dd, *J* = 1.6, 8.4 Hz), 6.97 (2H, dd, *J* = 8.8 Hz), 7.14-7.20 (5H, m), 7.29 (1H, d, *J* = 8.0 Hz).

¹³C-NMR (100 MHz, CDCl₃) δ 32.77, 38.99, 55.23, 56.49, 58.28, 66.68, 70.39, 115.42, 115.52, 115.63, 124.71, 128.34, 129.69, 130.28, 130.47, 130.56, 130.64, 130.74, 132.43, 133.98, 140.24, 157.16, 161.05

Compound 89 was dissolved in ethanol and bubbled HCl gas to get the HCl salt of the compound 89 as a white solid.

Anal. (C₂₅H₂₈Cl₃FN₂O₄S)C, H, N.

(S)-1-(4-Methanesulfonamidophenoxy)-3-(N-(2,6-difluorobenzyl)-3,4-

dichlorophenylethylamino)-2-propanol (90): 60% yield, solvent system for flash chromatography DCM:EtOAc (70:30).

¹H-NMR (400 MHz, CDCl₃) δ 2.67-2.81 (6H, m), 2.94 (3H, s), 3.77 (1H, d, *J* = 13.2 Hz), 3.85 (1H, d, *J* = 13.6 Hz), 3.89, (1H, d, H_α, *J* = 4.8 Hz), 3.90 (1H, s, H_β), 4.02-4.09 (1H, m), 6.85 (2H, dd, *J* = 1.6, 10.4 Hz), 6.86 (1H, s), 6.92 (2H, dd, *J* = 2.0, 8.0 Hz), 7.15 (2H, dd, *J* = 1.6, 5.2 Hz), 7.17 (1H, d, *J* = 1.6 Hz), 7.25 (2H, dd, *J* = 2.4, 8.4 Hz).

¹³C-NMR (100 MHz, CDCl₃) δ 32.81, 39.16, 55.36, 56.60, 56.87, 66.57, 70.40, 100.93, 111.75, 115.66, 124.92, 128.39, 129.48, 130.76, 132.40, 140.45, 157.45, 160.89, 163.34

Compound 90 was dissolved in ethanol and bubbled HCl gas to get the HCl salt of the compound 90 as a white solid.

Anal. (C₂₅H₂₇Cl₃F₂N₂O₄S)C, H, N.

(S)-1-(4-Methanesulfonamidophenoxy)-3-(N-(2,3,4-trifluorobenzyl)-3,4-dichlorophenylethylamino)-2-propanol (91): 65% yield, solvent system for flash chromatography DCM:EtOAc (70:30).

¹H-NMR (400 MHz, CDCl₃) δ 2.65-2.80 (6H, m), 2.95 (3H, s), 3.68 (1H, d, *J* = 13.6 Hz), 3.78 (1H, d, *J* = 13.6 Hz), 3.87, (1H, d, H_α, *J* = 4.4 Hz), 3.89 (1H, s, H_β), 4.00-4.04 (1H, m), 6.83 (1H, dd, *J* = 2.0, 7.2 Hz), 6.88-6.95 (3H, m), 7.16 (2H, dd, *J* = 2.0, 6.8 Hz), 7.18 (2H, dd, *J* = 1.6, 2.8 Hz), 7.30 (1H, dd, *J* = 0.8, 8.4 Hz).

¹³C-NMR (100 MHz, CDCl₃) δ 32.90, 39.11, 55.43, 56.29, 56.62, 66.85, 70.17, 105.88, 112.33, 115.56, 122.90, 124.83, 128.38, 129.69, 130.44, 130.74, 132.52, 140.20, 157.21, 161.39, 162.87, 191.11

Compound 91 was dissolved in ethanol and bubbled HCl gas to get the HCl salt of the compound 91 as a white solid.

Anal. (C₂₅H₂₆Cl₃F₃N₂O₄S)C, H, N.

(S)-1-(4-Methanesulfonamidophenoxy)-3-(N-(2,3,4,5,6-pentafluorobenzyl)-3,4-dichlorophenylethylamino)-2-propanol (92): 40% yield, solvent system for flash chromatography DCM:EtOAc (70:30).

¹H-NMR (400 MHz, CDCl₃) δ 2.58-2.79 (6H, m), 2.93 (3H, s), 3.82 (1H, d, *J* = 13.8 Hz), 3.87 (1H, d, *J* = 13.8 Hz), 3.90 (2H, d, *J* = 4.8 Hz), 4.50-4.60 (1H, m), 6.85 (2H, dd, *J* = 2.0, 6.8 Hz), 6.95 (1H, dd, *J* = 2.0, 8.0 Hz), 7.17-7.21 (3H, m), 7.31 (1H, d, *J* = 8.0 Hz).

¹³C-NMR (100 MHz, CDCl₃) δ 32.86, 39.01, 45.31, 55.52, 56.58, 66.90, 69.84, 111.14, 114.29, 115.45, 124.67, 128.37, 129.76, 130.46, 130.57, 132.45, 136.31, 138.83, 140.14, 144.52, 157.07

Compound 92 was dissolved in ethanol and bubbled HCl gas to get the HCl salt of the compound 92 as a white solid.

Anal. (C₂₅H₂₄Cl₃F₅N₂O₄S)C, H, N.

(S)-1-(4-Methanesulfonamidophenoxy)-3-(N-(2-methylbenzyl)-3,4-

dichlorophenylethylamino)-2-propanol (93): 77% yield, solvent system for flash chromatography DCM:EtOAc (70:30).

¹H-NMR (400 MHz, CDCl₃) δ 2.27 (3H, s), 2.70-2.84 (6H, m), 2.92 (3H, s), 3.57 (1H, d, *J* = 13.2 Hz), 3.77 (1H, d, *J* = 13.2 Hz), 3.83, (2H, d, *J* = 4.0 Hz), 3.96-4.01 (1H, m), 6.80 (2H, dd, *J* = 2.0, 6.8 Hz), 6.88 (1H, dd, *J* = 1.6, 8.0 Hz), 7.11-7.19 (7H, m), 7.27 (1H, d, *J* = 8.4 Hz).

¹³C-NMR (100 MHz, CDCl₃) δ 21.26, 32.57, 38.46, 55.19, 57.03, 58.58, 65.58, 70.35, 115.59, 115.92, 124.62, 125.57, 128.73, 129.08, 129.45, 129.86, 130.14, 130.46, 131.23, 131.87, 135.04, 139.83, 157.26

Compound 93 was dissolved in ethanol and bubbled HCl gas to get the HCl salt of the compound 93 as a white solid.

Anal. (C₂₆H₃₁Cl₃N₂O₄S)C, H, N.

(S)-1-(4-Methanesulfonamidophenoxy)-3-(N-(4-methylbenzyl)-3,4-

dichlorophenylethylamino)-2-propanol (94): 74% yield, solvent system for flash chromatography DCM:EtOAc (70:30).

¹H-NMR (400 MHz, CDCl₃) δ 2.34 (3H, s), 2.66-2.87 (6H, m), 2.93 (3H, s), 3.54 (1H, d, *J* = 13.2 Hz), 3.77 (1H, d, *J* = 13.2 Hz), 3.86 (2H, d, *J* = 4.8 Hz), 3.98-4.04 (1H, m), 6.82 (2H, dd, *J* = 2.0, 6.8 Hz), 6.93 (1H, dd, *J* = 1.6, 8.4 Hz), 7.10 (4H, d, *J* = 1.6 Hz), 7.15 (1H, d, *J* = 2.0 Hz) 7.18 (2H, dd, *J* = 2.0, 6.4 Hz), 7.29 (1H, d, *J* = 8.4 Hz).

¹³C-NMR (100 MHz, CDCl₃) δ 21.29, 32.77, 38.92, 55.21, 56.56, 58.63, 66.55, 70.52, 115.53, 124.66, 128.37, 129.05, 129.34, 129.66, 130.13, 130.38, 130.75, 132.33, 135.04, 137.26, 140.38, 157.20

Compound 94 was dissolved in ethanol and bubbled HCl gas to get the HCl salt of the compound 94 as a white solid.

Anal. (C₂₆H₃₁Cl₃N₂O₄S)C, H, N.

(S)-1-(4-Methanesulfonamidophenoxy)-3-(N-(2-trifluoromethyl-benzyl)-3,4-

dichlorophenylethylamino)-2-propanol (95): 69% yield, solvent system for flash chromatography DCM:EtOAc (70:30).

¹H-NMR (400 MHz, CDCl₃) δ 2.70-2.86 (6H, m), 2.94 (3H, s), 3.81 (1H, d, *J* = 14.8 Hz), 3.89 (2H, d, *J* = 2.4 Hz), 3.93 (1H, d, *J* = 14.4 Hz), 3.99-4.44 (1H, m), 6.74 (1H, s), 6.83 (2H, dd, *J* = 2.0, 6.8 Hz), 6.94 (1H, dd, *J* = 2.0, 8.4 Hz), 7.16-7.20 (3H, m), 7.33 (2H, dd, *J* = 8.4, 14.4 Hz), 7.44 (2H, dd, *J* = 8.0, 14.8 Hz), 7.63 (1H, d, *J* = 8.0 Hz).

¹³C-NMR (100 MHz, CDCl₃) δ 32.72, 39.07, 55.20, 55.95, 57.03, 67.06, 70.45, 115.62, 124.77, 126.00, 126.18, 126.24, 127.51, 128.47, 128.59, 128.87, 129.75, 130.36, 130.53, 130.87, 132.20, 132.49, 137.74, 140.33, 157.22

Compound 95 was dissolved in ethanol and bubbled HCl gas to get the HCl salt of the compound 95 as a white solid.

Anal. (C₂₆H₂₈Cl₃F₃N₂O₄S)C, H, N.

(S)-1-(4-Methanesulfonamidophenoxy)-3-(N-(2-nitrobenzyl)-3,4-dichlorophenylethylamino)-2-propanol (96): 87% yield, solvent system for flash chromatography DCM:EtOAc (70:30).

¹H-NMR (400 MHz, CDCl₃) δ 2.64-2.76 (6H, m), 2.95 (3H, s), 3.85 (2H, d, *J* = 4.4 Hz), 3.92 (1H, d, *J* = 14.4 Hz), 3.98-4.02 (1H, m), 4.06 (1H, d, *J* = 14.4 Hz), 6.21 (1H, s), 6.86 (2H, dd, *J* = 2.0, 6.8 Hz), 6.91 (1H, dd, *J* = 2.0, 8.4 Hz), 7.12 (1H, d, *J* = 2Hz), 7.18 (2H, dd, *J* = 2.4, 6.4 Hz), 7.29 (1H, d, *J* = 8.4 Hz), 7.42 (2H, dd, *J* = 8.0, 14.8 Hz), 7.49 (1H, dd, *J* = 1.6, 7.2 Hz), 7.77 (1H, dd, *J* = 1.2, 8.0 Hz).

Compound 96 was dissolved in ethanol and bubbled HCl gas to get the HCl salt of the compound 96 as a white solid.

Anal. (C₂₅H₂₈Cl₃N₃O₆S)C, H, N.

(S)-1-(4-Methanesulfonamidophenoxy)-3-(N-(2-acetyloxybenzyl)-3,4-dichlorophenylethylamino)-2-propanol (97): 45% yield, solvent system for flash chromatography DCM:EtOAc (70:30).

¹H-NMR (400 MHz, CDCl₃) δ 2.11 (3H, s), 2.78-2.90 (6H, m), 2.94 (3H, s), 3.74 (1H, d, *J* = 14.1 Hz), 3.94 (1H, d, *J* = 10.2 Hz), 3.98 (2H, d, *J* = 4.5 Hz), 5.31-5.36 (1H, m), 6.27 (1H, s), 6.77 (2H, d, *J* = 11.2 Hz), 6.83 (2H, dd, *J* = 2.1, 6.9 Hz), 6.96 (2H, dt, *J* = 1.8, 8.4 Hz), 7.17 (2H, dd, *J* = 2.1, 6.6 Hz), 7.21 (2H, d, *J* = 1.8 Hz), 7.32 (1H, d, *J* = 8.1 Hz).

Compound 97 was dissolved in ethanol and bubbled HCl gas to get the HCl salt of the compound 97 as a white solid.

Anal. (C₂₇H₃₁Cl₃N₂O₆S)C, H, N.

(S)-1-(4-Methanesulfonamidophenoxy)-3-(N-(2-*pridylmethyl*))-3,4-

dichlorophenylethylamino)-2-propanol (98): 72% yield, solvent system for flash chromatography DCM:MeOH (90:10).

¹H-NMR (400 MHz, CDCl₃) δ 2.70-2.80 (3H, m), 2.86-2.92 (3H, m), 2.94 (3H, s), 3.83 (1H, d, *J* = 14.8 Hz), 3.90 (2H, dd, *J* = 5.2, 13.6 Hz), 3.96 (1H, d, *J* = 14.8 Hz), 4.01-4.07 (1H, m), 6.85 (2H, d, *J* = 8.8 Hz), 6.93 (1H, dd, *J* = 1.6, 8.4 Hz), 7.15-7.26 (5H, m), 7.27 (1H, d, *J* = 8.4 Hz), 7.62 (1H, dt, *J* = 2.0, 7.6 Hz), 8.54 (1H, d, *J* = 4.8 Hz).

¹³C-NMR (100 MHz, CDCl₃) δ 33.10, 39.75, 55.46, 56.67, 56.89, 67.26, 70.34, 106.37, 115.79, 124.09, 124.98, 128.65, 129.36, 131.85, 131.98, 134.46, 136.75, 140.70, 148.78, 150.73, 158.21.

Compound 98 was dissolved in ethanol and bubbled HCl gas to get the 2 mol of HCl salt of the compound 98 as a white solid.

Anal. (C₂₄H₂₉Cl₄N₃O₄S)C, H, N.

(S)-1-(4-Methanesulfonamidophenoxy)-3-(N-(3-*pridylmethyl*))-3,4-

dichlorophenylethylamino)-2-propanol (99): 76% yield, solvent system for flash chromatography DCM:MeOH (90:10).

¹H-NMR (400 MHz, CDCl₃) δ 2.70-2.92 (6H, m), 2.95 (3H, s), 3.62 (1H, d, *J* = 14.0 Hz), 3.80 (1H, d, *J* = 14.0 Hz), 3.87 (2H, d, *J* = 5.2 Hz), 3.98-4.06 (1H, m), 6.84 (2H, dd, *J* = 2.4, 7.2 Hz), 6.92 (1H, dd, *J* = 2.4, 8.4 Hz), 7.16-7.24 (4H, m), 7.31 (1H, d, *J* = 8.0 Hz), 7.50 (1H, td, *J* = 2.0, 7.6 Hz), 8.50 (1H, d, *J* = 1.6 Hz), 8.53 (1H, dd, *J* = 1.6, 4.8 Hz).

^{13}C -NMR (100 MHz, CDCl_3) δ 32.90, 39.25, 55.39, 56.41, 56.66, 66.93, 70.43, 105.97, 115.64, 123.77, 124.93, 128.32, 129.65, 130.58, 130.76, 133.84, 136.70, 140.07, 149.24, 150.37, 157.26.

Compound 99 was dissolved in ethanol and bubbled HCl gas to get the 2 mol of HCl salt of the compound 99 which moisturizes 1 mol of water as a white solid.

Anal. ($\text{C}_{24}\text{H}_{29}\text{Cl}_4\text{N}_3\text{O}_4\text{S} \times \text{H}_2\text{O}$)C, H, N.

(S)-1-(4-Methanesulfonamidophenoxy)-3-(N-(2-thiazolylmethyl)-3,4-

dichlorophenylethylamino)-2-propanol (100): 46% yield, solvent system for flash chromatography DCM:MeOH (90:10).

^1H -NMR (400 MHz, CDCl_3) δ 2.74-2.92 (6H, m), 2.94 (3H, s), 3.90 (2H, d, $J = 4.8$ Hz), 4.01-4.08 (1H, m), 4.10 (2H, d, $J = 1.6$ Hz), 6.83 (2H, dd, $J = 1.6, 7.2$ Hz), 6.98 (1H, dd, $J = 1.6, 8.4$ Hz), 7.17 (2H, dd, $J = 2.0, 6.8$ Hz), 7.23 (1H, d, $J = 2.0$ Hz), 7.30 (2H, dd, $J = 3.2, 9.2$ Hz), 7.72 (1H, d, $J = 3.6$ Hz).

^{13}C -NMR (100 MHz, CDCl_3) δ 33.19, 39.11, 55.81, 56.14, 57.31, 67.41, 70.37, 115.63, 119.85, 124.79, 128.45, 129.79, 128.45, 129.79, 130.42, 130.56, 130.94, 132.50, 140.08, 142.69, 157.20, 169.54

Compound 100 was dissolved in ethanol and bubbled HCl gas to get the HCl salt of the compound 100 as a white solid.

Anal. ($\text{C}_{22}\text{H}_{26}\text{Cl}_3\text{N}_3\text{O}_4\text{S}_2$)C, H, N.

(S)-1-(4-Methanesulfonamidophenoxy)-3-(N-phenylethyl-3,4-

dichlorophenylethylamino)-2-propanol (101): 56% yield, solvent system for flash chromatography DCM:MeOH (90:10).

¹H-NMR (400 MHz, CDCl₃) δ 2.64-2.92 (10H, m), 2.94 (3H, s), 3.84-3.90 (3H, m), 6.87 (2H, dd, *J* = 2.4, 6.4 Hz), 6.92 (1H, dd, *J* = 2.0, 8.4 Hz), 7.12-7.33 (9H, m).

Compound 101 was dissolved in ethanol and bubbled HCl gas to get the HCl salt of the compound 101 as a white solid.

Anal. (C₂₆H₃₁Cl₃N₂O₄S)C, H, N.

Preparation of 3-hydroxyphenylacetaldehyde:

Step 1: To the suspension of (methoxymethyl)triphenyl phosphonium chloride (1.64 g, 13 mmol) in THF (30 ml) at 0°C was added potassium t-butoxide drop wise. After stirring for 1 hour at 0°C, 3-hydroxybenzaldehyde was added partly. The reaction mixture was stirred at room temperature for 7 hours, and quenched with 10-15 ml water. The solvent removed under the reduced pressure. The residue was acidified with 2N HCl and extracted with ethyl acetate (3 x 25 ml). Combined organic layers were extracted with water, followed by brine, dried over magnesium sulfate and evaporated under reduced pressure. The product was purified with flash chromatography using Hexane:ethyl acetate (4:1) (90% yield).

Step 2: The compound from step 1 (1.75 g, 11.65 mmol) was dissolved in 26 ml THF and treated with 4.12 ml 2N HCl. The reaction was heated under reflux for 6 hours. After the reflux time 25 ml water was added and stirred for additional 1 hour at room temperature. The solvent was concentrated under reduced pressure, and extracted with ethyl acetate (3 x 50 ml). Organic layer was dried over magnesium sulfate and evaporated under reduced pressure. The aldehyde was purified with flash chromatography using hexane:ethyl acetate (3:1) solvent system (76% yield).

¹H-NMR (400 MHz, CDCl₃) δ 3.64 (2H, s), 6.69 (1H, s), 6.76-6.80 (2H, m), 7.22 (1H, t, *J* = 8.0 Hz), 9.71 (1H, s).

(S)-1-(4-Methanesulfonamidophenoxy)-3-(N-(3-hydroxyphenyl)ethyl-3,4-dichlorophenylethylamino)-2-propanol (102): 76% yield, solvent system for flash chromatography DCM:MeOH (90:10).

¹H-NMR (400 MHz, CDCl₃) δ 2.60-2.90 (10H, m), 2.92 (3H, s), 3.82 (2H, d, *J* = 4.4 Hz), 3.84-3.92 (1H, m), 6.62 (1H, d, *J* = 2.0 Hz), 6.67 (2H, d, *J* = 8.0 Hz), 6.78 (2H, d, *J* = 8.8 Hz), 6.84 (1H, dd, *J* = 2.4, 8.4 Hz), 7.13 (3H, dt, *J* = 2.0, 9.2 Hz), 7.18 (1H, d, *J* = 2.0 Hz), 7.30 (1H, d, *J* = 8.0 Hz).

Compound 102 was dissolved in ethanol and bubbled HCl gas to get the HCl salt of the compound 102 as a white solid.

Anal. (C₂₆H₃₁Cl₃N₂O₅S)C, H, N.

(R)-1-(4-Methanesulfonamidophenoxy)-3-(3,4-dichlorophenylethylamino)-2-propanol (103):

$[\alpha]_D^{20} = +12.5$

¹H-NMR (400 MHz, CDCl₃) δ 2.75-2.94 (6H, m), 2.95 (3H, s), 3.94, (1H, dd, H_α, *J* = 2.4 Hz), 3.96 (1H, s, H_β), 3.99-4.05 (1H, m), 6.87 (2H, dd, *J* = 2.0, 6.8 Hz), 7.04 (1H, dd, *J* = 2.4, 8.0 Hz), 7.18 (2H, dd, *J* = 2.4, 6.8 Hz), 7.30 (1H, d, *J* = 2.0 Hz), 7.35 (1H, d, *J* = 8.4 Hz).

¹³C-NMR (100 MHz, CDCl₃) δ 35.82, 39.24, 50.71, 51.65, 68.35, 70.91, 115.68, 124.92, 128.39, 129.63, 130.49, 130.63, 130.85, 140.26, 157.31

Compound 103 was dissolved in ethanol and bubbled HCl gas to get the HCl salt of the compound 103 as a white solid.

MS (FAB): 469.7695 calc. 469.8100

Anal. (C₁₈H₂₃Cl₃N₂O₄S)C, H, N.

(R)-1-(4-Methanesulfonamidophenoxy)3-(N-methyl-3,4-dichlorophenylethylamino)-2-propanol (104):

$[\alpha]_D^{20} = +12.5$

¹H-NMR (400 MHz, CDCl₃) δ 2.37 (3H, s), 2.52-2.78 (6H, m), 2.93 (3H, s), 3.91, (1H, d, H_α, *J* = 4.8 Hz), 3.92 (1H, s, H_β), 3.98-4.04 (1H, m), 6.86 (2H, dd, *J* = 4.2, 6.9 Hz), 7.01 (1H, dd, *J* = 1.6, 10 Hz), 7.17 (2H, dd, *J* = 2.4, 6.8 Hz), 7.28 (1H, d, *J* = 8.8 Hz), 7.33 (1H, d, *J* = 8.4 Hz).

¹³C-NMR (100 MHz, CDCl₃) δ 33.11, 39.18, 42.24, 59.29, 60.12, 66.30, 70.65, 115.69, 124.90, 128.34, 129.54, 130.37, 130.57, 130.82, 140.42, 157.44

Compound 104 was dissolved in ethanol and bubbled HCl gas to get the HCl salt of the compound 104 as a white solid which moisturize 1 mol of water.

Anal. (C₁₉H₂₅Cl₃N₂O₄S x H₂O)C, H, N.

(R)-1-(4-Methanesulfonamidophenoxy)-3-(N-(2-hydroxyethyl)-3,4-dichlorophenylethylamino)-2-propanol (105):

¹H-NMR (400 MHz, CDCl₃) δ 2.72-2.86 (8H, m), 2.94 (3H, s), 3.64, (2H, dt, *J* = 2.0, 4.0 Hz), 3.87 (1H, s, H_β), 3.89 (1H, dd, H_α, *J* = 3.2, 8.8 Hz), 3.98-4.04 (1H, m), 6.84 (2H, dd, *J* = 2.8, 7.2 Hz), 7.03 (1H, dd, *J* = 2.0, 8.4 Hz), 7.17 (2H, dd, *J* = 2.0, 6.8 Hz), 7.29 (1H, d, *J* = 1.6 Hz), 7.33 (1H, d, *J* = 8.0 Hz).

¹³C-NMR (100 MHz, CDCl₃) δ 32.98, 39.07, 56.45, 56.79, 57.09, 59.94, 67.74, 70.39, 99.49, 115.69, 124.76, 128.42, 129.47, 130.61, 130.87, 140.31, 157.13

Compound 105 was dissolved in ethanol and bubbled HCl gas to get the HCl salt of the compound 105 as a white solid.

Anal. (C₂₀H₂₇Cl₃N₂O₅S)C, H, N.

(R)-1-(4-Methanesulfonamidophenoxy)-3-(N-butyl-3,4-dichlorophenylethylamino)-2-propanol (106):

$[\alpha]_D^{20} = +12.7$

¹H-NMR (400 MHz, CDCl₃) δ 0.88 (3H, t, *J* = 7.4 Hz), 1.22-1.30 (2H, m), 1.36-1.45 (2H, m), 2.44-2.80 (8H, m), 2.89 (3H, s), 3.88, (1H, d, H_α, *J* = 4.8 Hz), 3.89 (1H, s, H_β), 3.92-3.96 (1H, m), 6.82 (2H, dd, *J* = 2.0, 6.8 Hz), 6.98 (1H, dd, *J* = 2.0, 8.4 Hz), 7.16 (2H, dd, *J* = 1.6, 7.2 Hz), 7.24 (1H, d, *J* = 1.6 Hz), 7.29 (1H, d, *J* = 8.4 Hz).

¹³C-NMR (100 MHz, CDCl₃) δ 14.21, 20.43, 29.56, 32.14, 38.76, 54.05, 55.33, 56.86, 66.63, 71.04, 115.54, 124.81, 128.86, 129.44, 130.12, 130.32, 130.56, 132.33, 140.35, 157.46

Compound **106** was dissolved in ethanol followed by bubbling HCl gas to obtain the HCl salt as a white solid.

Anal. (C₂₂H₃₁Cl₃N₂O₄S)C, H, N.

Preparation of Compound 106's Mosher ester:

To the solution of Compound **106** (0.328 g, 0.67 mmol) in 5 ml dichloromethane pyridine(0.42 ml, 5.23 mmol) and DMAP (catalytic amount) was added After stirring for 5-10 minutes at room temperature (S)-2-methoxy-3,3,3-trifluoro-2-phenylpropanoyl chloride(0.19 ml, 1.01 mmol) was added to the reaction mixture. The reaction was stirred overnight. The reaction was extracted with water and followed by brine, organic phase

was dried over MgSO₄, and evaporated. Product was purified with column chromatography using EtOAc:DCM (3:7) (83% yield).

¹H-NMR (400 MHz, CDCl₃) δ 0.89 (3H, t, *J* = 7.4 Hz), 1.05 (2H, dd, *J* = 2.8, 6.4 Hz), 1.23-1.29 (2H, m), 1.35-1.42 (2H, m), 2.44-2.69 (6H, m), 2.96 (3H, s), 3.57 (3H, s), 3.94 (1H, dd, *J* = 3.6, 6.8 Hz), 4.05 (1H, dd, *J* = 2.8, 10.4 Hz), 5.37-5.42 (1H, m), 6.57 (1H, bs), 6.79 (2H, dd, *J* = 2.4, 7.2 Hz), 6.97 (1H, dd, *J* = 2.4, 8.4 Hz), 7.21 (2H, dd, *J* = 1.6, 7.2 Hz), 7.28-7.40 (5H, m), 7.56 (2H, d, *J* = 8.4 Hz).

(R)-1-(4-Methanesulfonamidophenoxy)-3-(N-(2-hydroxybenzyl)-3,4-dichlorophenylethylamino)-2-propanol (107):

¹H-NMR (400 MHz, CDCl₃) δ 2.78-2.92 (6H, m), 2.94 (3H, s), 3.81-3.85 (2H, m), 3.88-3.97 (2H, m), 4.22-4.26 (1H, m), 6.80 (2H, d, *J* = 7.6 Hz), 6.83 (2H, dd, *J* = 2.4, 6.8 Hz), 6.94 (1H, dd, *J* = 1.6, 8.4 Hz), 7.00 (1H, d, *J* = 6.4 Hz), 7.17-7.20 (3H, m), 7.30 (1H, d, *J* = 8 Hz).

¹³C-NMR (CDCl₃) δ 32.02, 39.17, 55.71, 56.48, 58.67, 68.09, 70.73, 115.67, 116.56, 119.77, 121.94, 124.82, 128.37, 129.01, 129.37, 129.91, 130.63, 132.89, 139.61, 156.88, 157.44

Compound 107 was dissolved in ethanol and bubbled HCl gas to get the HCl salt of the compound 107 as a yellowish solid.

Anal. (C₂₅H₂₉Cl₃N₂O₅S)C, H, N.

(R)-1-(4-Methanesulfonamidophenoxy)-3-(N-(3-hydroxybenzyl)-3,4-dichlorophenylethylamino)-2-propanol (108):

$[\alpha]_D^{20} = +12.6$

¹H-NMR (400 MHz, CDCl₃) δ 2.65-2.84 (6H, m), 2.89 (3H, s), 3.51 (1H, d, *J* = 13.6 Hz), 3.71-3.82 (3H, m), 3.86-4.01 (1H, m), 6.68-6.74 (5H, m), 6.90 (1H, dd, *J* = 2.0, 8.4 Hz), 7.07-7.17 (4H, m), 7.27 (1H, d, *J* = 8.4 Hz).

¹³C-NMR (CDCl₃) δ 32.04, 39.35, 55.56, 56.24, 58.86, 68.24, 70.41, 115.65, 116.95, 119.48, 121.50, 124.70, 128.40, 129.16, 129.45, 130.32, 130.87, 132.76, 139.57, 156.50, 157.44

Compound 108 was dissolved in ethanol and bubbled HCl gas to get the HCl salt of the compound 108 as a yellowish green solid which moisturizes 1 mol of water.

Anal. (C₂₅H₂₉Cl₃N₂O₅S x H₂O)C, H, N.

Table for the Elem. Anal. Results

No	Formula	Calcd.	Found
29	C ₁₈ H ₂₃ Cl ₃ N ₂ O ₄ S	C, 46.02; H, 4.93; N, 5.96	C, 46.44; H, 4.95; N, 5.78
30	C ₁₈ H ₂₂ Cl ₃ FN ₂ O ₄ S	C, 44.32; H, 4.55; N, 5.74	C, 44.46; H, 4.57; N, 5.62
31	C ₁₈ H ₂₂ Cl ₃ FN ₂ O ₄ S	C, 44.32; H, 4.55; N, 5.74	C, 44.55; H, 4.61; N, 5.53
32	C ₁₉ H ₂₅ Cl ₃ N ₂ O ₄ S	C, 47.17; H, 5.21; N, 5.79	C, 46.90; H, 5.48; N, 5.62
33	C ₁₈ H ₂₃ Cl ₃ N ₂ O ₄ S	C, 46.02; H, 4.93; N, 5.96	C, 46.06; H, 4.87; N, 5.86
34	C ₂₃ H ₂₅ Cl ₃ N ₂ O ₄ S	C, 55.76; H, 4.88; N, 5.65	C, 55.72; H, 4.98; N, 5.51
35	C ₁₉ H ₂₅ Cl ₃ N ₂ O ₄ S	C, 47.17; H, 5.21; N, 5.79	C, 47.23; H, 5.17; N, 5.73
36	C ₂₀ H ₂₇ Cl ₃ N ₂ O ₄ S	C, 48.25; H, 5.47; N, 5.63	C, 48.49; H, 5.58; N, 5.70
37	C ₂₁ H ₂₉ Cl ₃ N ₂ O ₄ S	C, 49.27; H, 5.71; N, 5.47	C, 49.39; H, 5.77; N, 5.45
38	C ₁₉ H ₂₃ Cl ₃ N ₂ O ₃	C, 52.61; H, 5.34; N, 6.46	C, 52.27; H, 5.42; N, 6.17
39	C ₁₉ H ₂₁ Cl ₅ N ₂ O	C, 45.40; H, 4.21; N, 5.57	C, 45.65; H, 4.28; N, 5.48

40	$C_{19}H_{20}Cl_6N_2O_3$	C, 42.49; H, 3.75; N, 5.22	C, 42.50; H, 3.94; N, 5.18
41	$C_{19}H_{25}Cl_3N_2O_4S$	C, 47.17; H, 5.21; N, 5.79	C, 47.36; H, 5.24; N, 5.77
42	$C_{17}H_{18}Cl_2N_2O_4$	C, 53.00; H, 4.71; N, 7.27	C, 52.84; H, 4.64; N, 7.33
43	$C_{18}H_{23}Cl_3N_2O_4S$	C, 46.02; H, 4.93; N, 5.96	C, 46.25; H, 5.12; N, 5.78.
47	$C_{18}H_{24}Cl_2N_2O_4S$	C, 54.20; H, 5.81; N, 7.02	C, 54.18; H, 5.84; N, 6.99
48	$C_{18}H_{23}ClN_2O_4S \times 0.4 H_2O$	C, 53.24; H, 5.91; N, 6.90	C, 53.22; H, 5.76; N, 6.85
49	$C_{18}H_{23}ClN_2O_4S \times 0.4 H_2O$	C, 53.24; H, 5.91; N, 6.90	C, 53.48; H, 5.79; N, 6.87
50	$C_{18}H_{23}Cl_3N_2O_4S$	C, 46.02; H, 4.93; N, 5.96	C, 46.36; H, 5.06; N, 5.87
51	$C_{18}H_{23}Cl_2N_2O_4S$	C, 47.69; H, 5.11; N, 6.18	C, 47.95; H, 5.50; N, 5.90
52	$C_{18}H_{23}Cl_2N_2O_4S$	C, 47.69; H, 5.11; N, 6.18	C, 47.95; H, 5.15; N, 6.29
53	$C_{18}H_{23}FN_2O_4S$	C, 56.53; H, 6.06; N, 7.32	C, 56.32; H, 6.19; N, 7.32
54	$C_{18}H_{23}FN_2O_4S \times 0.2 H_2O$	C, 56.00; H, 6.11; N, 7.26	C, 55.97; H, 6.15; N, 7.27
55	$C_{18}H_{23}FN_2O_4S \times 0.2 H_2O$	C, 56.00; H, 6.11; N, 7.26	C, 56.04; H, 6.17; N, 7.22
56	$C_{18}H_{23}ClF_2N_2O_4S$	C, 49.48; H, 5.31; N, 6.41	C, 49.45; H, 5.22; N, 6.68
57	$C_{18}H_{24}N_2O_5S$	C, 56.82; H, 6.36; N, 7.36	C, 56.87; H, 6.43; N, 7.13
58	$C_{18}H_{24}N_2O_5S$	C, 56.82; H, 6.36; N, 7.36	C, 56.87; H, 6.44; N, 7.16
59	$C_{18}H_{24}N_2O_5S$	C, 56.82; H, 6.36; N, 7.36	C, 56.52; H, 6.58; N, 7.17
60	$C_{18}H_{24}N_2O_6S$	C, 54.53; H, 6.10; N, 7.07	C, 54.27; H, 6.45; N, 6.84
61	$C_{18}H_{24}N_2O_6S$	C, 54.53; H, 6.10; N, 7.07	C, 54.41; H, 6.40; N, 6.77
62	$C_{19}H_{25}ClN_2O_6S$	C, 51.29; H, 5.66; N, 6.30	C, 51.63; H, 5.60; N, 6.28
63	$C_{20}H_{29}ClN_2O_4S$	C, 56.00; H, 6.81; N, 6.53	C, 55.78; H, 6.80; N, 6.32
64	$C_{22}H_{27}ClN_2O_4S$	C, 58.59; H, 6.03; N, 6.21	C, 58.72; H, 6.21; N, 5.93
65	$C_{18}H_{24}ClN_3O_6S$	C, 48.48; H, 5.42; N, 9.42	C, 48.47; H, 5.40; N, 9.35

66	$C_{19}H_{25}Cl_3N_2O_4S \times H_2O$	C, 45.47; H, 5.42; N, 5.58	C, 45.68; H, 5.40; N, 5.27
67	$C_{20}H_{27}Cl_3N_2O_4S$	C, 48.25; H, 5.47; N, 5.63	C, 48.10; H, 5.59; N, 5.34
68	$C_{20}H_{27}Cl_3N_2O_5S$	C, 46.75; H, 5.30; N, 5.45	C, 46.87; H, 5.21; N, 5.17
69	$C_{21}H_{29}Cl_3N_2O_4S$	C, 47.60; H, 5.90; N, 5.29	C, 47.40; H, 5.67; N, 5.09
70	$C_{22}H_{31}Cl_3N_2O_4S$	C, 50.24; H, 5.94; N, 5.33	C, 50.22; H, 5.97; N, 5.10
71	$C_{23}H_{33}Cl_3N_2O_4S$	C, 51.16; H, 6.16; N, 5.19	C, 51.26; H, 6.41; N, 4.92
72	$C_{22}H_{31}Cl_3N_2O_4S$	C, 50.24; H, 5.94; N, 5.33	C, 50.24; H, 5.94; N, 5.33
73	$C_{25}H_{35}Cl_3N_2O_4S$	C, 53.05; H, 6.23; N, 4.95	C, 52.90; H, 6.26; N, 4.75
74	$C_{21}H_{29}Cl_3N_2O_4S$	C, 49.27; H, 5.71; N, 5.47	C, 49.07; H, 5.57; N, .67
75	$C_{25}H_{29}Cl_3N_2O_4S$	C, 53.63; H, 5.22; N, 5.00	C, 53.82; H, 5.19; N, 4.82
76	$C_{25}H_{29}Cl_3N_2O_5S$	C, 52.14; H, 5.08; N, 4.86	C, 52.19; H, 5.11; N, 4.52
77	$C_{25}H_{29}Cl_3N_2O_5S \times H_2O$	C, 50.55; H, 5.26; N, 4.72	C, 50.94; H, 5.23; N, 4.69
78	$C_{25}H_{29}Cl_3N_2O_5S$	C, 52.14; H, 5.08; N, 4.86	C, 52.01; H, 5.12; N, 4.63
79	$C_{25}H_{29}Cl_3N_2O_6S$	C, 50.73; H, 4.94; N, 4.73	C, 50.44; H, 5.16; N, 4.49
80	$C_{25}H_{29}Cl_3N_2O_6S$	C, 50.73; H, 4.94; N, 4.73	C, 50.72; H, 5.11; N, 4.87
81	$C_{26}H_{31}Cl_3N_2O_5S$	C, 52.93; H, 5.30; N, 4.75	C, 52.64; H, 5.41; N, 4.63
82	$C_{27}H_{33}Cl_3N_2O_6S \times H_2O$	C, 50.83; H, 5.53; N, 4.39	C, 51.14; H, 5.53; N, 4.27
83	$C_{27}H_{33}Cl_3N_2O_6S$	C, 52.31; H, 5.36; N, 4.52	C, 52.01; H, 5.49; N, 4.39
84	$C_{26}H_{29}Cl_3N_2O_6S$	C, 51.71; H, 4.84; N, 4.64	C, 51.63; H, 4.92; N, 4.55
85	$C_{25}H_{28}Cl_4N_2O_4S$	C, 50.52; H, 4.75; N, 4.71	C, 50.43; H, 4.82; N, 4.58
86	$C_{25}H_{28}BrCl_3N_2O_4S$	C, 47.00; H, 4.42; N, 4.39	C, 47.03; H, 4.54; N, 4.25
87	$C_{25}H_{28}Cl_3FN_2O_4S$	C, 51.96; H, 4.88; N, 4.85	C, 51.96; H, 4.84; N, 4.59
88	$C_{25}H_{28}Cl_3FN_2O_4S$	C, 51.96; H, 4.88; N, 4.85	C, 51.67; H, 4.89; N, 4.63

89	$C_{25}H_{28}Cl_3FN_2O_4S$	C, 51.96; H, 4.88; N, 4.85	C, 51.89; H, 4.93; N, 4.69
90	$C_{25}H_{27}Cl_3F_2N_2O_4S$	C, 50.39; H, 4.57; N, 4.70	C, 50.15; H, 4.57; N, 4.64
91	$C_{25}H_{26}Cl_3F_3N_2O_4S$	C, 48.91; H, 4.27; N, 4.56	C, 48.96; H, 4.33; N, 4.33
92	$C_{25}H_{24}Cl_3F_5N_2O_4S$	C, 46.20; H, 3.72; N, 4.31	C, 46.21; H, 3.82; N, 4.26
93	$C_{26}H_{31}Cl_3N_2O_4S$	C, 54.41; H, 5.44; N, 4.88	C, 54.06; H, 5.66; N, 4.65
94	$C_{26}H_{31}Cl_3N_2O_4S$	C, 54.41; H, 5.44; N, 4.88	C, 54.44; H, 5.44; N, 4.89
95	$C_{26}H_{28}Cl_3F_3N_2O_4S$	C, 49.73; H, 4.49; N, 4.46	C, 50.12; H, 4.48; N, 4.44
96	$C_{25}H_{28}Cl_3N_3O_6S$	C, 49.64; H, 4.67; N, 6.95	C, 49.92; H, 4.76; N, 6.85
97	$C_{27}H_{31}Cl_3N_2O_6S$	C, 52.48; H, 5.06; N, 4.53	C, 53.46; H, 5.06; N, 4.19
98	$C_{24}H_{29}Cl_4N_3O_4S$	C, 48.25; H, 4.89; N, 7.03	C, 48.22; H, 5.16; N, 6.84
99	$C_{24}H_{29}Cl_4N_3O_4S \times H_2O$	C, 46.84; H, 5.08; N, .6.83	C, 46.87; H, 5.11; N, 6.75
100	$C_{22}H_{26}Cl_3N_3O_4S_2$	C, 46.61; H, 4.62; N, .7.41	C, 46.88; H, 4.72; N, 7.42
101	$C_{26}H_{31}Cl_3N_2O_4S$	C, 54.41; H, 5.44; N, .4.88	C, 54.10; H, 5.42; N, 4.58
102	$C_{26}H_{31}Cl_3N_2O_5S$	C, 52.93; H, 5.30; N, .4.75	C, 52.88; H, 5.39; N, 4.61
103	$C_{18}H_{23}Cl_3N_2O_4S$	C, 46.02; H, 4.93; N, 5.96	C, 46.29; H, 5.06; N, 5.84
104	$C_{19}H_{25}Cl_3N_2O_4S \times H_2O$	C, 45.47; H, 5.42; N, 5.58	C, 45.73; H, 5.38; N, 5.16
105	$C_{20}H_{27}Cl_3N_2O_5S$	C, 46.75; H, 5.30; N, 5.45	C, 46.78; H, 5.31; N, 5.16
106	$C_{22}H_{31}Cl_3N_2O_4S$	C, 50.24; H, 5.94; N, 5.33	C, 49.99; H, 5.96; N, 5.26
107	$C_{25}H_{29}Cl_3N_2O_5S$	C, 52.14; H, 5.08; N, 4.86	C, 52.44; H, 5.17; N, 4.86
108	$C_{25}H_{29}Cl_3N_2O_5S \times H_2O$	C, 50.55; H, 5.26; N, 4.72	C, 50.84; H, 5.28; N, 4.89

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