## Supplemental Information Methods

Synthesis of SUN11602. Reagents and conditions: The synthesis of SUN11602 was accomplished by utilizing methods similar to the patent (US6559146B1: Scheme 1). The obtained product was recrystallized from *N*-methylpyrrolidone/ethanol to afford SUN11602 as a pale yellow solid.



Scheme 1

Characterization. 1H and 13C nuclear magnetic resonance (NMR) spectra were recorded using an ARX400 400 (100.5) MHz spectrometer (Bruker BioSpin K.K., Osaka, Japan). Chemical shifts are reported in  $\delta$  (ppm) units using 1H (residual) and 13C signals with tetramethylsilane used as an internal standard. Data are reported as follows: chemical shift, multiplicity (s = singlet, m = multiplet, brs = broad singlet) integration. Mass spectra were obtained using a JEOL MS Station JMS-700 in fast atom bombardment (FAB) mode.

Elemental analyses were performed by Sumika Chemical Analysis Service, Ltd. and were consistent with theoretical values to less than  $\pm 0.4\%$ , unless otherwise indicated.

## SUN11602

4-({4-[[(4-amino-2,3,5,6-tetramethylanilino)acetyl](methyl)amino]-1-piperidinyl}methyl)

benzamide

1H NMR (*d*6-DMSO, 400 MHz) & 7.90 (brs, 1H), 7.81 (m, 2H), 7.35 (m, 2H), 7.28 (brs, 1H), 4.29 & 3.44 (m, 1H), 4.07 (m, 2H), 3.88 (m, 1H), 3.51 (m, 2H), 3.44 (m, 2H), 2.78 (m, 2H), 2.76 & 2.72 (s, 3H), 2.11 (s, 6H), 2.02 (m, 2H), 1.98 (s, 6H), 1.70 (m, 2H), and 1.46 (m, 2H).

13C NMR (*d*6-DMSO, 100 MHz) δ 169.9 & 169.7, 167.7, 141.9 & 141.8, 139.6 & 139.4, 136.4 & 136.0, 132.9, 128.3, 127.3, 127.1 & 126.7, 117.4, 61.4, 52.9 & 50.9, 52.4 & 52.0, 51.2 & 50.5, 29.1 & 28.2, 27.9 & 26.9, 14.6, and 13.9.

MS: *m*/*z* 451 [M]+. mp: 216°C-217°C. Calc'd for (C<sub>26</sub>H<sub>37</sub>N<sub>5</sub>O<sub>2</sub>) C 69.15; H 8.26; N 15.51; Found: C 68.99; H 8.28; N 15.51.