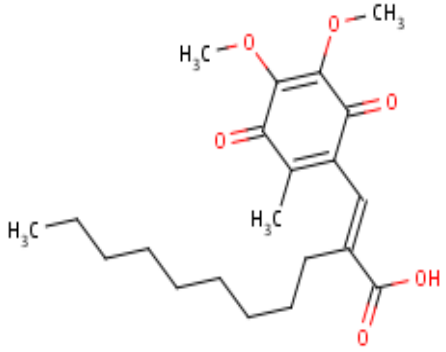
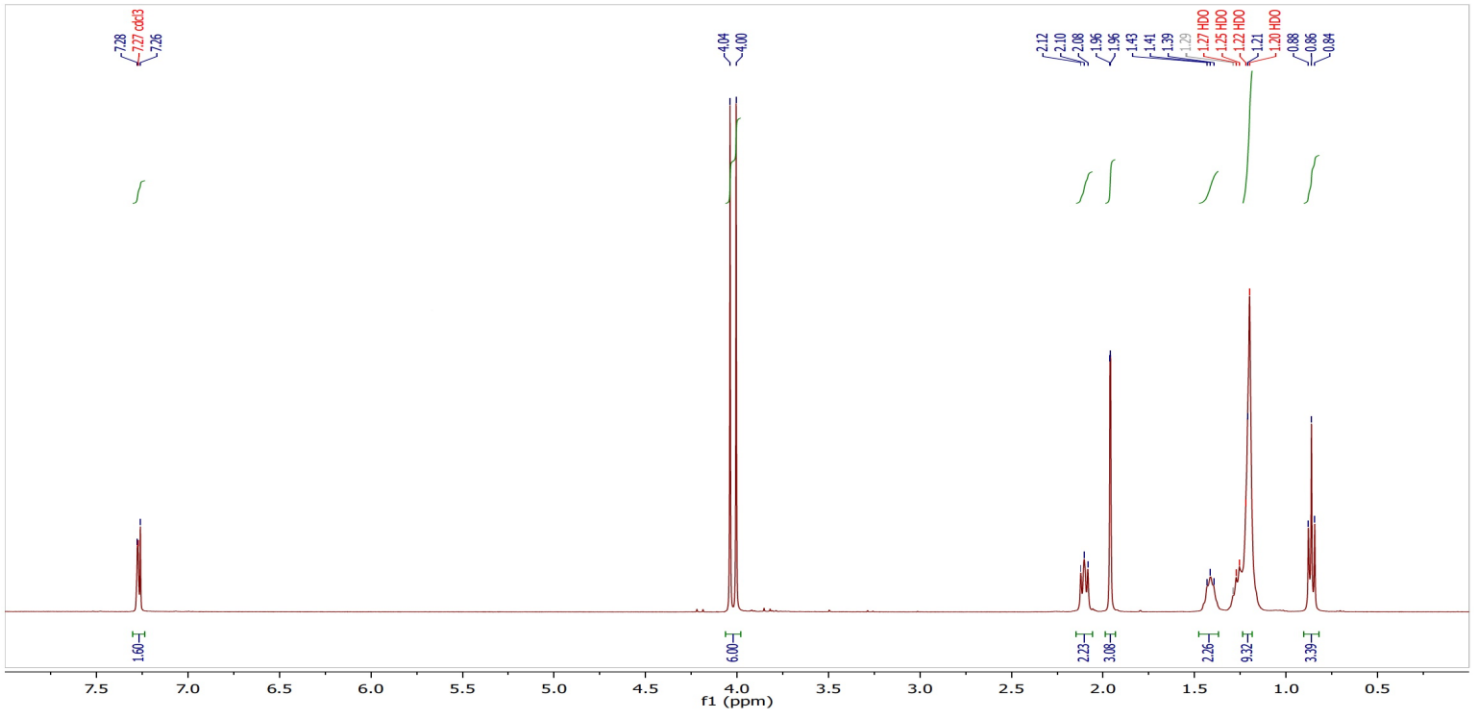
Supplementary Figure 2

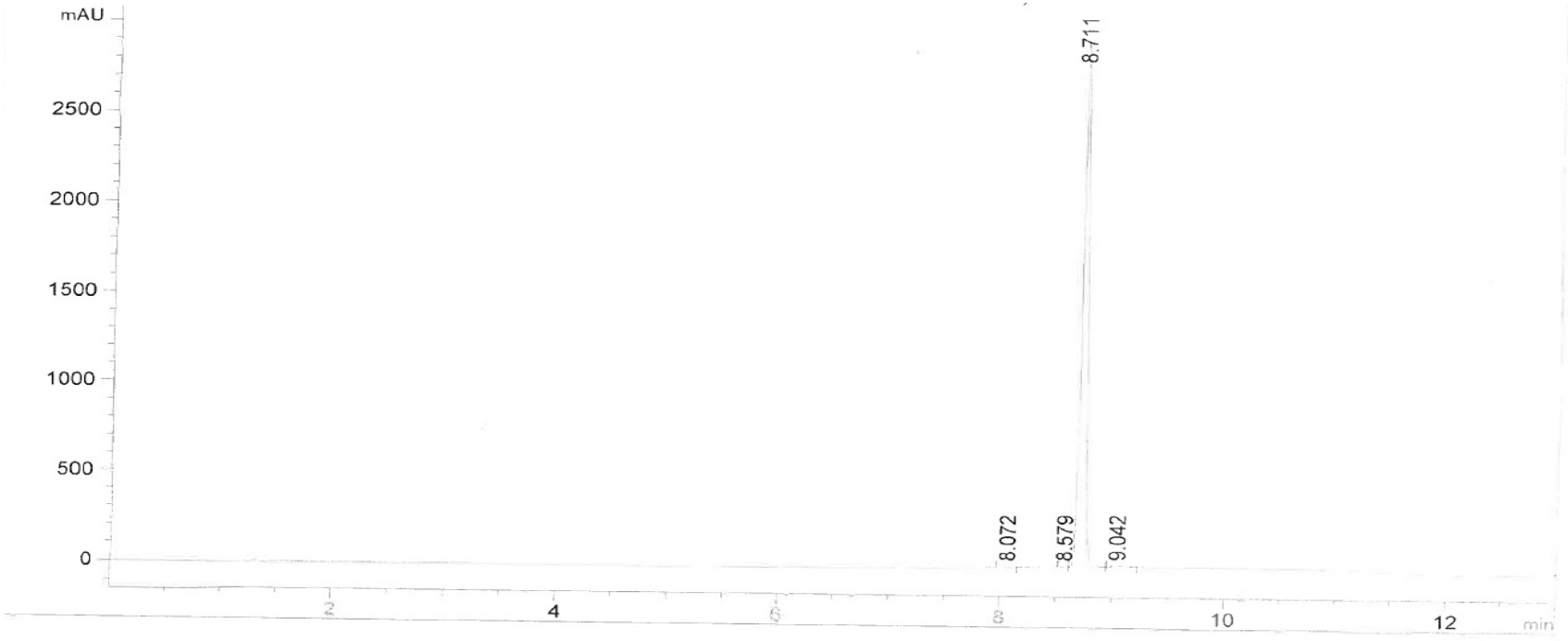
APX3330



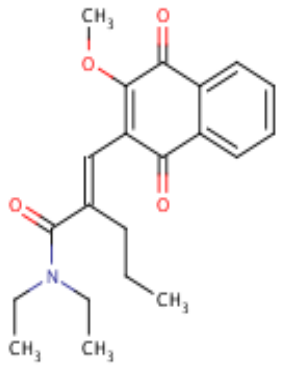
NMR



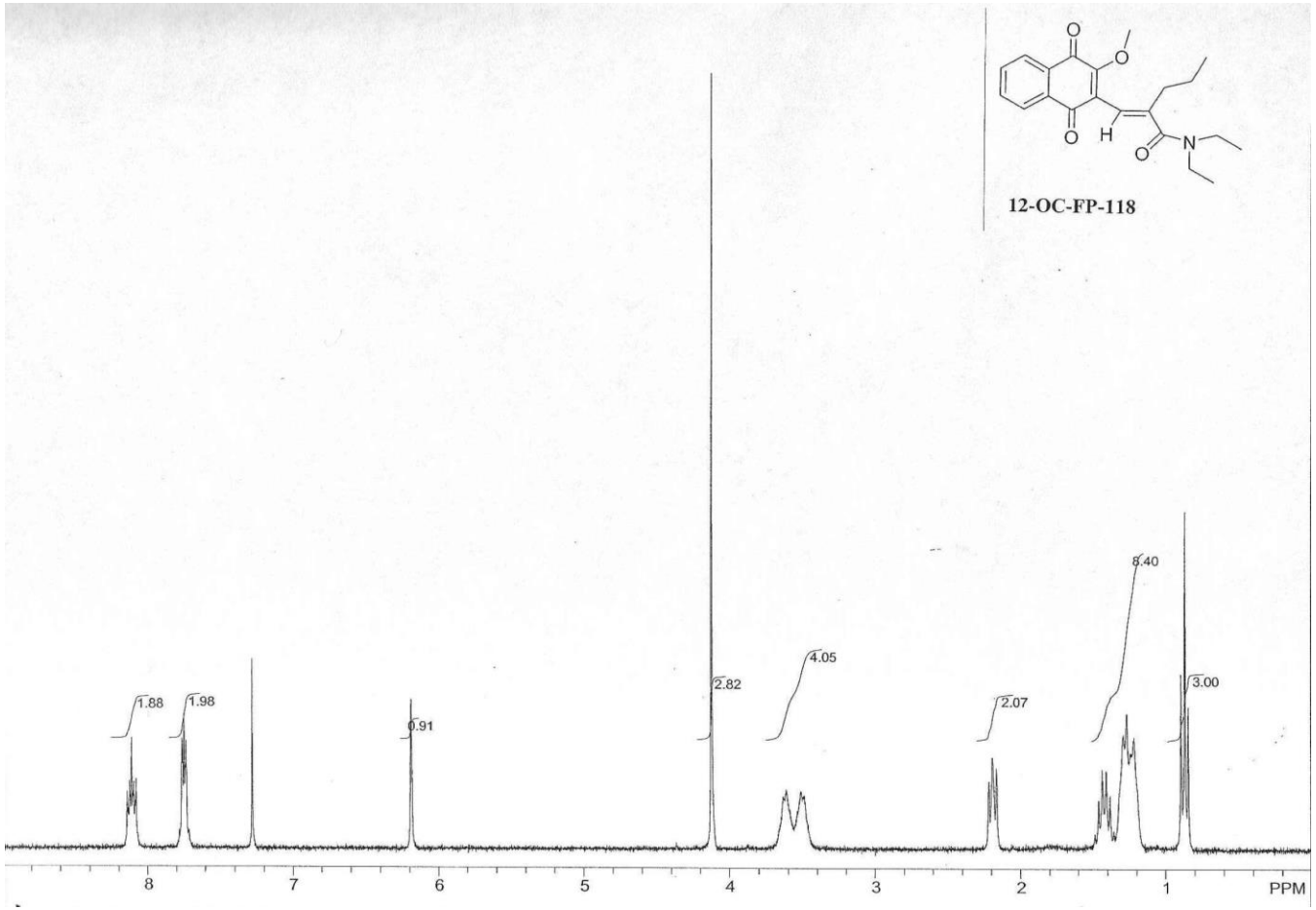
HPLC



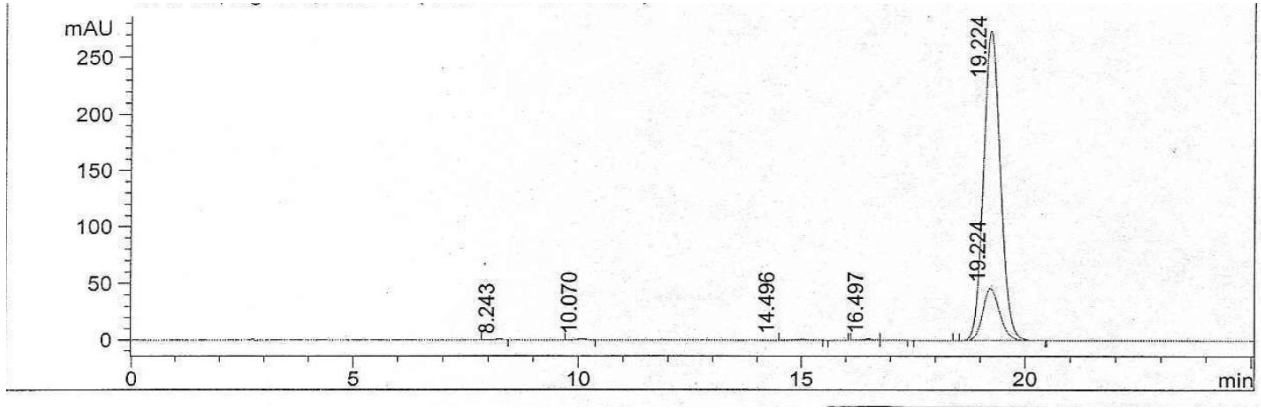
APX2009



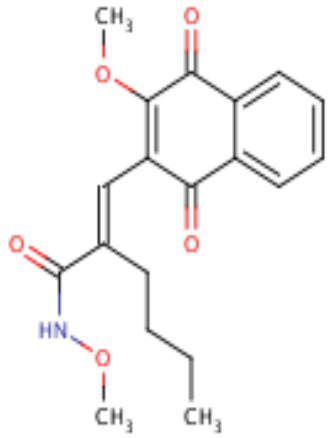
NMR



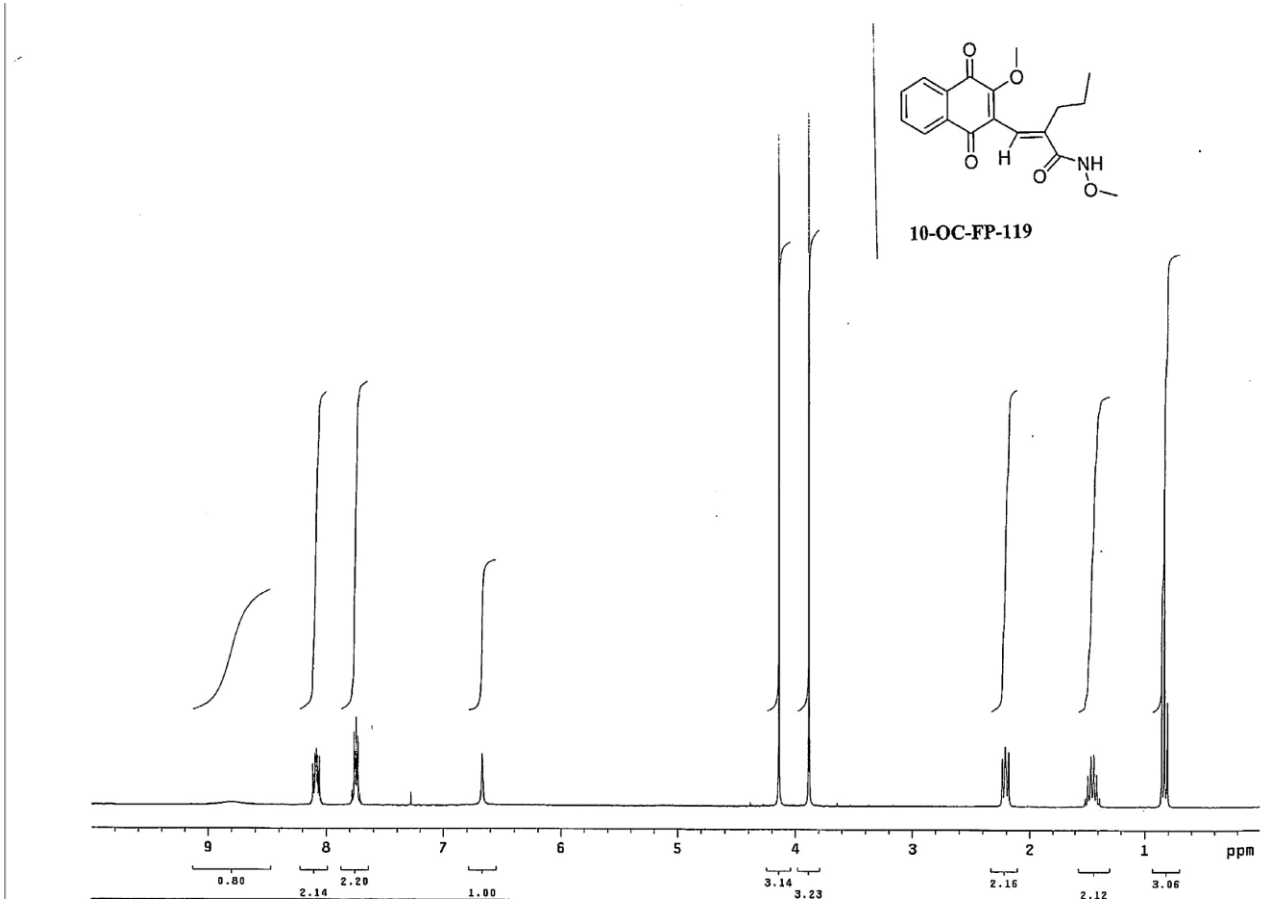
HPLC



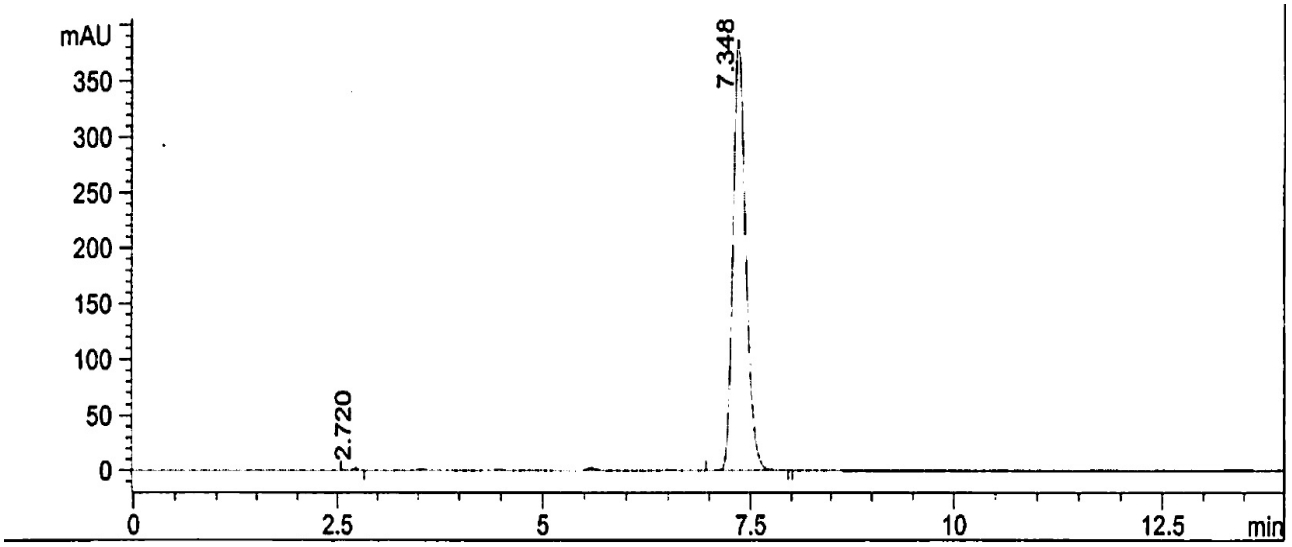
APX2014



NMR



HPLC



Structures and NMR analysis of novel ref-1 inhibitors APX2009 and APX2014.

A. APX3330; NMR and HPLC as previously published.15-18

B. APX2009; HPLC: HPLC were performed using an Alltech Alltima column C18 5u, 250 x 5.6 mm, flow 1 mL/min at 40 .C. Elution was with a mobile phase of 15:10:75 water:A1:methanol where A1 was made using 700 mL of water, 300 mL methanol and 3mL trimethylamine to which phosphoric acid was added to bring the pH to 3.4. HPLC analysis showed a purity of >99%.

NMR: 300 MHz NMR -conforms to structure. NMR (CDCl3) δ 8.15 (m, 2H), 7.75 (m,2H), 6.2 (s, 1H), 4.1 (s, 3H), 3.6 (br d, 4H), 2.2 (t, 2H), 1.4 (m, 4H), 1.25 (br d, 4H), 0.85(t, 3H).

B. APX2014; HPLC: HPLC analysis was performed as for APX2009, but using a Zorbax SB Phenyl C18 5u, 250 x 4.6 mm column. Elution was with a mobile phase of 25:10:65 water:A1:methanol where A1 was made using 700 mL of water, 300 mL methanol and 3mL trimethylamine to which phosphoric acid was added to bring the pH to 3.4. Flow 1 mL/min at 40 .C. and showed a purity of >99%.

NMR: 300 MHz NMR -conforms to structure. NMR (CDCl3) δ 8.8 (br s,1H), 8.1 (m, 2H), 7.75 (m, 2H), 6.7 (s, 1H), 4.15 (s, 3H), 3.9 (s, 3H), 2.2 (m, 2H), 1.4 (m, 2H), 0.85 (t, 3H).