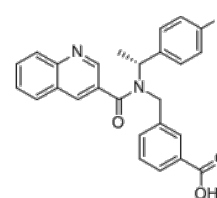


Product Name : PF-05105679
Cat. No. : PC-60785
CAS No. : 1398583-31-7
Molecular Formula : C₂₆H₂₁FN₂O₃
Molecular Weight : 428.463
Target : TRP Channel
Solubility : 10 mM in DMSO



Biological Activity

PF-05105679 is a potent, selective **TRPM8** channel inhibitor with IC₅₀ of 103 nM (human TRPM8 currents inhibition).

PF-05105679 displays >100-fold selectivity against a broad panel of 90 receptors, ion channels, and enzymes.

PF-05105679 demonstrates moderate potency against agonist (WS-12) and cold modalities of activation of TRPM8 in plate-based assay formats with IC₅₀ of 181 and 480 nM, respectively, shows increased potency on voltage activation in HEK-293 cells at 12°C with IC₅₀ of 13.8 nM.

PF-05105679 demonstrates activity in vivo in the guinea pig bladder ice water and menthol challenge tests with an IC₅₀ of 200 nM and reduces core body temperature in the rats, and reduces pain in the cold pressor test in humans.

References

Winchester WJ, et al. *J Pharmacol Exp Ther*. 2014 Nov;351(2):259-69.

Andrews MD, et al. *ACS Med Chem Lett*. 2015 Jan 30;6(4):419-24.

Gosset JR, et al. *Eur J Pharm Sci*. 2017 Nov 15;109S:S161-S167.

Caution: Product has not been fully validated for medical applications. Lab Use Only!

E-mail: tech@probechem.com