

## **Data Sheet**

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 Product Name
 :
 PF-05105679

 Cat. No.
 :
 PC-60785

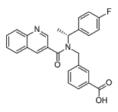
 CAS No.
 :
 1398583-31-7

 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 moderately 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!

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