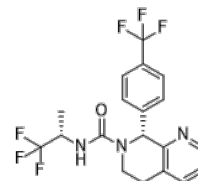


Product Name : AMG2850
Cat. No. : PC-28382
CAS No. : 1470018-52-0
Molecular Formula : C₁₉H₁₇F₆N₃O
Molecular Weight : 417.36
Target : TRP Channel
Solubility : 10 mM in DMSO



Biological Activity

AMG2850 is a potent and selective antagonists of **TRPM8**, potently inhibits menthol and cold-induced increase in intracellular calcium in cells expressing rat TRPM8 with IC₅₀ of 156 nM.

AMG2850 is selective for TRPM8 relative to the recombinant TRP family members TRPA1, capsaicin activated TRPV1, 2-Aminoethoxydiphenyl borate activated TRPV3, and 4 α -phorbol 12, 13-didecanoate activated TRPV4.

AMG2850 is potent in vitro at rat TRPM8 (IC₉₀ against icilin activation of 204 $\pm$ 28 nM), highly selective (>100-fold IC₉₀ over TRPV1 and TRPA1 channels), and orally bioavailable (F po > 40 %).

AMG2850 blocks menthol-induced action potentials but not mechanical activation in C fibers.

AMG2850 exhibits significant target coverage in vivo in a TRPM8-mediated icilin-induced wet-dog shake (WDS) model in rats (at 10 mg/kg p.o.).

References

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Liu B, et al. *Pain*. 2013 Oct;154(10):2169-2177.

Lehto SG, et al. *Naunyn Schmiedebergs Arch Pharmacol*. 2015 Apr;388(4):465-76.

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

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