PRODUCT INFORMATION



PF-05105679

Item No. 29489

CAS Registry No.: 1398583-31-7

Formal Name: 3-[[(1R)-1-(4-fluorophenyl)ethyl]

(3-quinolinylcarbonyl)amino]

methyl]-benzoic acid

MF: $C_{26}H_{21}FN_2O_3$

428.5 FW: ≥98% **Purity:** UV/Vis.:

 λ_{max} : 232 nm Supplied as: A solid Storage: -20°C Stability: ≥4 years

Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

PF-05105679 is supplied as a solid. A stock solution may be made by dissolving the PF-05105679 in the solvent of choice, which should be purged with an inert gas. PF-05105679 is soluble in DMSO at a concentration of approximately 10 mg/ml.

Description

PF-05105679 is an antagonist of transient receptor potential melastatin 8 (TRPM8; IC₅₀ = 103 nM for inhibition of voltage-activated currents in HEK293 cells expressing the human receptor).¹ It is greater than 100-fold selective for TRPM8 over a panel of 90 receptors, ion channels, and enzymes. PF-05105679 inhibits calcium flux induced by cold or the TRPM8 agonist WS-12 in HEK293 cells expressing the human TRPM8 (IC_{so}s = 480 and 181 nM, respectively). Intravenous infusion of PF-05105679 (46.67 μ g/kg per minute) reverses cold-induced reductions in bladder capacity in anesthetized guinea pigs. It decreases the core body temperature in rats when administered at doses of 100 and 300 mg/kg.

Reference

1. Winchester, W.J., Gore, K., Glatt, S., et al. Inhibition of TRPM8 channels reduces pain in the cold pressor test in humans. J. Pharmacol. Exp. Ther. 351(2), 259-269 (2014).

WARNING
THIS PRODUCT IS FOR RESEARCH ONLY - NOT FOR HUMAN OR VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

This material should be considered hazardous until further information becomes available. Do not ingest, inhale, get in eyes, on skin, or on clothing. Wash thoroughly after handling. Before use, the user must review the complete Safety Data Sheet, which has been sent via email to your institution.

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