

Product Data Sheet

Product Name: RQ-00203078

Cat. No.: GC14635

Chemical Properties

Cas. No. 1254205-52-1

Chemical Name 4-(N-(3-chloro-5-(trifluoromethyl)pyridin-2-yl)-N-(4-(trifluoromethoxy)benzyl)sulfamoyl)benzoic acid

SMILES C1C=C(N(CC2=CC=C(OC(F)(F)F)C=C2)S(C3=CC=C(C(=O)O)C=C3)(=O)=O)N=CC(C(F)(F)F)=C1

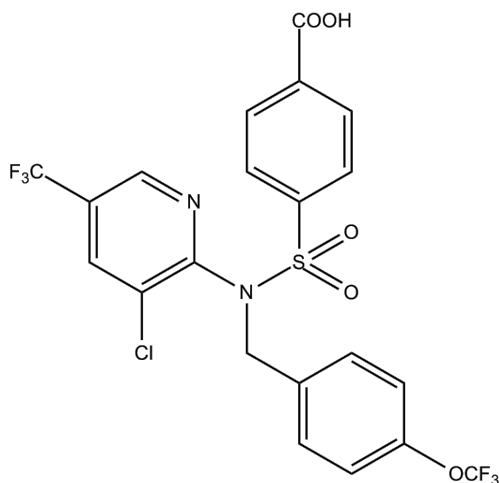
Formula $C_{21}H_{13}ClF_6N_2O_5S$ M.Wt 554.85

Solubility $\geq 18.85\text{mg/mL}$ in DMSO Storage Store at -20°C

General tips For obtaining a higher solubility, please warm the tube at 37°C and shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.

Shipping Condition Evaluation sample solution: ship with blue ice All other available size: ship with RT, or blue ice upon request.

Structure



Background

Description:

IC50: 8.3 and 5.8 nM for hTRPM8 and rTRPM8, respectively

Caution: Product has not been fully validated for medical applications. For research use only.

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The transient receptor potential melastatin 8 (TRPM8), a member of the TRP melastatin sub-group, is known to play a role in cold hyperalgesia and cold allodynia caused by disease conditions such as chemotherapy-induced peripheral neuropathy (CIPN, using the agents oxaliplatin, vincristine, or paclitaxel), diabetic neuropathy, migraine and overactive bladder (OAB). RQ-00203078 is identified as a selective and orally active TRPM8 antagonist.

In vitro: In the menthol-induced calcium influx assay, RQ-00203078 is a novel and highly potent TRPM8 antagonist with human and rat IC₅₀ values of 8.3 nM and 5.8 nM, respectively. RQ-00203078 was highly selective over other TRP channels [1].

In vivo: RQ-00203078 demonstrated excellent activity in vivo in a dose dependent manner with an ED₅₀ value of 0.65 mg/kg in the icilin-induced wet-dog shakes model in rats after oral administration [1].

Clinical trial: Up to now, RQ-00203078 is still in the preclinical development stage.

Reference:

[1] Ohmi M, Shishido Y, Inoue T, Ando K, Fujiuchi A, Yamada A, Watanabe S, Kawamura K. Identification of a novel 2-pyridyl-benzensulfonamide derivative, RQ-00203078, as a selective and orally active TRPM8 antagonist. *Bioorg Med Chem Lett*. 2014 Dec 1;24(23):5364-8.

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