RQ-00203078

Cat. No.: HY-18662

CAS No.: 1254205-52-1

Molecular Formula: $C_{21}H_{13}ClF_6N_2O_5S$

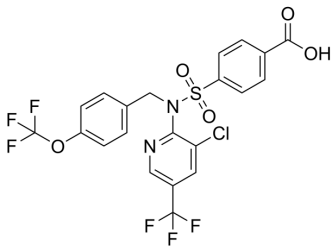
Molecular Weight: 554.85

Target: TRP Channel

Pathway: Membrane Transporter/Ion Channel; Neuronal Signaling

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	2 years
	-20°C	1 year



SOLVENT & SOLUBILITY

In Vitro

DMSO : 100 mg/mL (180.23 mM; Need ultrasonic)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	1.8023 mL	9.0114 mL	18.0229 mL
5 mM	0.3605 mL	1.8023 mL	3.6046 mL
10 mM	0.1802 mL	0.9011 mL	1.8023 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.5 mg/mL (4.51 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (4.51 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

RQ-00203078 is a highly selective, potent and orally active TRPM8 antagonist with IC_{50}s of 5.3 nM and 8.3 nM for rat and human TRPM8 channels, respectively. RQ-00203078 shows little inhibitory action against TRPV1, TRPA1, TRPV4, or TRPM2 channels[1][2].

IC_{50} & Target

TRPM8 5.3 nM (IC_{50}, Rat TRPM8 channels)	TRPM8 8.3 nM (IC_{50}, Human TRPM8 channels)	TRPV4 10 μM (IC_{50})

In Vitro

Intracellular Ca^{2+} imaging reveals that menthol induced both intracellular Ca^{2+} release and store-operated Ca^{2+} entry, with RQ-00203078 inhibiting each effect. RQ-00203078 (1-10 μM) inhibits HSC3 and HSC4 oral squamous carcinoma cell migration

	and invasion in vitro[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	RQ-00203078 (compound 36) demonstrates excellent in vivo activity in a dose dependent manner with an ED_{50} value of 0.65 mg/kg in the Icilin-induced wet-dog shakes model in rats after oral administration[1]. Excellent oral exposure of RQ-00203078 (compound 36) is confirmed independently in rat pharmacokinetics studies at 3 mg/kg (p.o.) administration, with a C_{max} value of 2300 ng/mL and 86% bioavailability[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- J Ethnopharmacol. 2023 Dec 14:117581.
- Environ Toxicol Pharmacol. 2020 Nov;80:103469.

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REFERENCES

[1]. Masashi Ohmi, et al. 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.

[2]. Yoshihiko Okamoto, et al. Blockade of TRPM8 activity reduces the invasion potential of oral squamous carcinoma cell lines. Int J Oncol. 2012 May;40(5):1431-40.

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