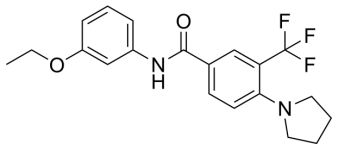
Ro 5212773

Cat. No.:	HY-110098
CAS No.:	1110781-88-8
Molecular Formula:	$C_{20}H_{21}F_3N_2O_2$
Molecular Weight:	378.39
Target:	Trace Amine-associated Receptor (TAAR)
Pathway:	GPCR/G Protein
Storage:	Powder -20°C 3 years 4°C 2 years In solvent -80°C 6 months -20°C 1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 100 mg/mL (264.28 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.6428 mL	13.2139 mL	26.4278 mL
	5 mM	0.5286 mL	2.6428 mL	5.2856 mL
	10 mM	0.2643 mL	1.3214 mL	2.6428 mL

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

In Vivo

1. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (6.61 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Ro 5212773 (EPPTB) is a potent and selective trace amine-associated receptor 1 (TAAR1) antagonist (K_i=0.9 nM for mouse TAAR1), with no significant effects on other TAARs. TAAR1 is a G protein-coupled receptor (GPCR) that is nonselectively activated by endogenous metabolites of amino acids[1][2].

In Vitro

Ro 5212773 (EPPTB) suppresses the excitability of hippocampal pyramidal neurons. EPPTB also reduceds seizure-like events (SLEs) and seizure activity[1].

Ro 5212773 blocks the TAAR1-mediated activation of an inwardly rectifying K^+ current[2].

Ro 5212773 potently antagonizes cAMP production induced by activating mouse TAAR1 with 1.5 μM β-phenylethylamine (IC_{50}=27.5 nM). cAMP levels are dose-dependently reduced by Ro 5212773 in HEK293 cells in the absence of TAAR1 agonist (IC_{50} = 19 nM). EPPTB is significantly more potent in antagonizing cAMP production by mouse, as compared to rat (IC_{50}= 4539 nM) and human (IC_{50}= 7487 nM) TAAR1[2].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. Bradaia A, et al. The selective antagonist EPPTB reveals TAAR1-mediated regulatory mechanisms in dopaminergic neurons of the mesolimbic system. Proc Natl Acad Sci U S A. 2009;106(47):20081-20086.

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