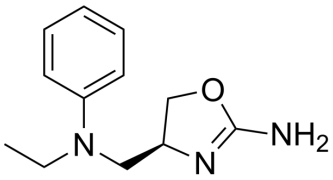
RO5166017

Cat. No.:	HY-12699
CAS No.:	1048346-74-2
Molecular Formula:	$C_{12}H_{17}N_3O$
Molecular Weight:	219.28
Target:	Trace Amine-associated Receptor (TAAR)
Pathway:	GPCR/G Protein
Storage:	-20°C, sealed storage, away from moisture * In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 25 mg/mL (114.01 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	4.5604 mL	22.8019 mL	45.6038 mL
	5 mM	0.9121 mL	4.5604 mL	9.1208 mL
	10 mM	0.4560 mL	2.2802 mL	4.5604 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 (11.40 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: 2.5 mg/mL (11.40 mM); Suspended solution; Need ultrasonic
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (11.40 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

RO5166017 is an orally active and species-crosses TAAR1 agonist, with K_i values of 1.9 nM, 2.7 nM, 31 nM and 24 nM for mouse, rat, human and cynomolgus monkey, respectively[1].

In Vitro

RO5166017 showed high affinity and potent functional activity at mouse, rat, cynomolgus monkey, and human TAAR1 stably expressed in HEK293 cells as well as high selectivity vs. other targets[1].

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

In Vivo

RO5166017 prevents stress-induced hyperthermia and blocked dopamine-dependent hyperlocomotion in cocaine-treated and dopamine transporter knockout mice as well as hyperactivity induced by an NMDA antagonist[1].

?RO5166017 (0.01-1 mg/kg, orally) dose-dependently prevents the SIH in NMRI mice. RO5166017 exhibits TAAR1-mediated anxiolytic-like properties at doses 0.1-0.3 mg/kg.[1].

?RO5166017 prevents the cocaine-induced hyperlocomotion. RO5166017 also inhibits stereotypies induced by cocaine in WT mice similar to olanzapine, and this effect is lost in Taar1$^{?/?}$ mice[1].

?TAAR1 activation by RO5166017 increases glucose-dependent insulin secretion in INS1E cells and human islets and elevated plasma and peptide YY (PYY) and glucagon like peptide 1 (GLP-1) levels in mice[2].?Subchronic treatment of diet-induced obese (DIO) mice with RO5166017 results in reduced food intake and body weight[2].

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CUSTOMER VALIDATION

- Oregon Health and Science University. 2023 Jun 29.

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REFERENCES

[1]. Florent G Revel, et al. TAAR1 activation modulates monoaminergic neurotransmission, preventing hyperdopaminergic and hypoglutamatergic activity. Proc Natl Acad Sci U S A

[2]. Justin N Siemian, et al. Trace amine-associated receptor 1 agonists RO5263397 and RO5166017 attenuate quinpirole-induced yawning but not hypothermia in rats. Behav Pharmacol. 2017 Oct;28(7):590-593.

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