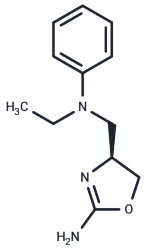
Data Sheet (Cat.No.T34373)

RO5166017

Chemical Properties



CAS No. : 1048346-74-2

Formula: C12H17N3O

Molecular Weight: 219.28

Storage: Store at low temperature,Keep away from direct sunlight
Powder: -20°C for 3 years | In solvent: -80°C for 1 year
Actual storage temperature shall be subject to the COA.

Biological Description

Description	RO5166017 is an orally active, potent and selective trace amine-associated receptor 1 (TAAR1) agonist for the study of conditioned taste aversion frontal nerve disorders.
Targets(IC50)	Others
In vitro	RO5166017 exhibits high affinity and potent functional activity against mouse/rat/cynomolgus/human TAAR1 stably expressed in HEK293 cells and demonstrates high selectivity relative to other targets[2].
In vivo	RO5166017 prevents stress-induced hyperthermia and blocks dopamine-dependent hyperlocomotion in cocaine-treated and dopamine transporter knockout mice, as well as NMDA antagonist-induced hyperactivity[2].Administered orally at doses of 0.01-1 mg/kg, RO5166017 dose-dependently prevents stress-induced hyperthermia in NMRI mice. At doses of 0.1-0.3 mg/kg, RO5166017 exhibits TAAR1-mediated anxiolytic-like properties[2].RO5166017 prevents cocaine-induced hyperlocomotion and inhibits cocaine-induced stereotypies in WT mice, similar to olanzapine, an effect that disappears in Taar1 mice[1].Activation of TAAR1 by RO5166017 increases glucose-dependent insulin secretion in INS1E cells and human islets, and elevates plasma levels of peptide YY (PYY) and glucagon-like peptide 1 (GLP-1) in mice[1]. Chronic treatment of diet-induced obese (DIO) mice with RO5166017 reduces food intake and body weight[1].

Solubility Information

Solubility	DMSO: 20 mg/mL (91.21 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+40% PEG300+5% Tween 80+45% Saline: 2 mg/mL (9.12 mM),Sonication is recommended. *Please add the solvents sequentially, clarifying the solution as much as possible before adding the next one. Dissolve by heating and/or sonication if necessary. Working solution is recommended to be prepared and used immediately. The formulation provided above is for reference purposes only. In vivo formulations may vary and should be modified based on specific experimental conditions.*

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	4.5604 mL	22.8019 mL	45.6038 mL
5 mM	0.9121 mL	4.5604 mL	9.1208 mL
10 mM	0.456 mL	2.2802 mL	4.5604 mL
50 mM	0.0912 mL	0.456 mL	0.9121 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

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.
Revel FG,et al. TAAR1 activation modulates monoaminergic neurotransmission, preventing hyperdopaminergic and hypoglutamatergic activity. Proc Natl Acad Sci U S A. 2011 May 17;108(20):8485-90.
Cichero E, Espinoza S, Franchini S, Guariento S, Brasili L, Gainetdinov RR, Fossa P. Further insights into the pharmacology of the human trace amine-associated receptors: discovery of novel ligands for TAAR1 by a virtual screening approach. Chem Biol Drug Des. 2014 Dec;84(6):712-20. doi: 10.1111/cbdd.12367. Epub 2014 Jun 30. PubMed PMID: 24894156.
Leo D, Mus L, Espinoza S, Hoener MC, Sotnikova TD, Gainetdinov RR. Taar1-mediated modulation of presynaptic dopaminergic neurotransmission: role of D2 dopamine autoreceptors. Neuropharmacology. 2014 Jun;81:283-91. doi: 10.1016/j.neuropharm.2014.02.007. Epub 2014 Feb 22. PubMed PMID: 24565640.