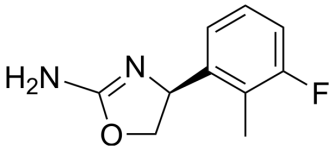
RO5263397

Cat. No.:	HY-108015
CAS No.:	1357266-05-7
Molecular Formula:	$C_{10}H_{11}FN_2O$
Molecular Weight:	194.21
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 : 260 mg/mL (1338.76 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	5.1491 mL	25.7453 mL	51.4907 mL
	5 mM	1.0298 mL	5.1491 mL	10.2981 mL
	10 mM	0.5149 mL	2.5745 mL	5.1491 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: ≥ 6.5 mg/mL (33.47 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 6.5 mg/mL (33.47 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 6.5 mg/mL (33.47 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

RO5263397 is a potent, selective, and orally available TAAR1 agonist, with EC_{50}s of 17 and 35 nM for human TAAR1 and rat TAAR1, respectively. RO5263397 regulates wakefulness and EEG spectral composition. Antidepressant-like effect[1][2][3].

In Vivo

RO5263397 (0.1-1.0 mg/kg; p.o.; dosing at the mid-light phase (ZT6)) increased wake time at 0.3 and 1 mg/kg[2].
RO5263397 (0.3 and 1.0 mg/kg; p.o.) decreases NREM time in WT mice. RO5263397 (0.3 and 1.0 mg/kg; p.o.; in OE mice) powerfully increases W time in OE mice for 5-6 h. NREM sleep is suppressed for 4-6 h and REM sleep is almost completely suppressed for 6 h after all doses of RO5263397[3].

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

Animal Model:	Adult 4-5-month-old male WT littermates (C57BL/6 background)[2]
Dosage:	0.1, 0.3, 1 mg/kg
Administration:	p.o.; dosing at the mid-light phase (ZT6)
Result:	Increased wake time at 0.3 and 1 mg/kg

REFERENCES

[1]. Galley G, et al. Discovery and Characterization of 2-Aminooxazolines as Highly Potent, Selective, and Orally Active TAAR1 Agonists.ACS Med Chem Lett. 2015 Dec 30;7(2):192-7.

[2]. Schwartz MD, et al. Trace Amine-Associated Receptor 1 Regulates Wakefulness and EEG Spectral Composition.Neuropsychopharmacology. 2017 May;42(6):1305-1314.

[3]. Espinoza S, et al. Biochemical and Functional Characterization of the Trace Amine-Associated Receptor 1 (TAAR1) Agonist RO5263397.Front Pharmacol. 2018 Jun 21;9:645.

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