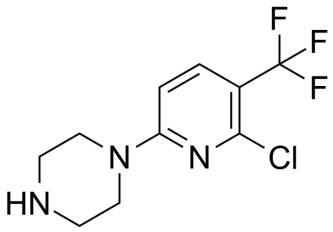
Org-12962

Cat. No.:	HY-118152
CAS No.:	132834-56-1
Molecular Formula:	$C_{10}H_{11}ClF_3N_3$
Molecular Weight:	265.66
Target:	5-HT Receptor
Pathway:	GPCR/G Protein; Neuronal Signaling
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 : 250 mg/mL (941.05 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	3.7642 mL	18.8210 mL	37.6421 mL
	5 mM	0.7528 mL	3.7642 mL	7.5284 mL
	10 mM	0.3764 mL	1.8821 mL	3.7642 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: ≥ 2.17 mg/mL (8.17 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.17 mg/mL (8.17 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.17 mg/mL (8.17 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Org-12962 is a potent, selective and orally active 5-HT_{2C} receptor agonist with a pEC_{50} value of 7.01. Org-12962 also exhibits high effacy for the 5-HT_{2A} and 5-HT_{2B} receptor with pEC_{50}s of 6.38 and 6.28, respectively[1][3].Org-12962 displays antiaversive effects in a rat model of panic-like anxiety[2].

IC_{50} & Target			
	5-HT_{2C} Receptor 7.01 (pEC50)	5-HT_{2A} Receptor 6.38 (pEC50)	5-HT_{2B} Receptor 6.28 (pEC50)

In Vivo

Org-12962 (intraperitoneal injection; 0.3-3.2 mg/kg) significantly increases the postinjection frequency thresholds for self-interruption ($F_{3,71}$=11.40). Org-12962 is dissolved or microsuspended in 0.3% v/v Tween 80 in physiological saline (NaCl 0.9%)[1].

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

Animal Model:	Male Wistar rats[2]
Dosage:	0.3 mg/kg, 1 mg/kg, 3.2 mg/kg
Administration:	Intraperitoneal injection; 0.3-3.2 mg/kg
Result:	Induced a dose-related antipanic-like effect.

REFERENCES

[1]. Porter RH,et al. Functional characterization of agonists at recombinant human 5-HT2A, 5-HT2B and 5-HT2C receptors in CHO-K1 cells.Br J Pharmacol. 1999 Sep;128(1):13-20.

[2]. Jenck F, et al. Antiaversive effects of 5HT2C receptor agonists and fluoxetine in a model of panic-like anxiety in rats.Eur Neuropsychopharmacol. 1998 Aug;8(3):161-8.

[3]. Faassen F, et al. Caco-2 permeability, P-glycoprotein transport ratios and brain penetration of heterocyclic drugs.Int J Pharm. 2003 Sep 16;263(1-2):113-22.

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