Usmarapride

Cat. No.: HY-116565

CAS No.: 1428862-33-2

Molecular Formula: $C_{23}H_{31}N_5O_6$

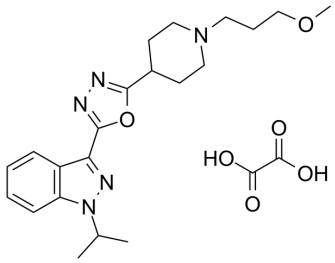
Molecular Weight: 473.52

Target: 5-HT Receptor

Pathway: GPCR/G Protein; Neuronal Signaling

Storage: 4°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 : 33.33 mg/mL (70.39 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.1118 mL	10.5592 mL	21.1184 mL
	5 mM	0.4224 mL	2.1118 mL	4.2237 mL
	10 mM	0.2112 mL	1.0559 mL	2.1118 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 (5.28 mM); Suspended solution; Need ultrasonic
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (5.28 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (5.28 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Usmarapride (SUVN-D4010) is a potent, selective, orally active and brain penetrant 5-HT_4 receptor partial agonist (EC_{50}=44 nM). Usmarapride (SUVN-D4010) can be used for the research of cognitive deficits associated with Alzheimer's disease[1].

IC_{50} & Target

5-HT_4 Receptor
44 nM (EC50)

In Vivo

Usmarapride (SUVN-D4010) (1-3 mg/kg; p.o.; Male Wistar rats 10-12 weeks old) attenuates the long-term memory deficits in object recognition test (ORT)[1].
Usmarapride (1, 3, and 10 mg/kg; p.o.) significantly reverses the scopolamine-induced amnesia[1].

Usmarapride shows a statistically significant effect at 3.0 mg/kg on both exploration time and recognition index[1].
Usmarapride (SUVN-D4010) shows good oral exposures, good bioavailability, and good brain exposures in rats[1].
Pharmacokinetic of Usmarapride in Rats[1]
(3.0 mg/kg for p.o. dosing; 1.0 mg/kg for i.v.)

F%	C_{max} (ng/mL)	AUC (ng·hr/mL)	$t_{1/2}$ (h)	V_{dss} (L/kg)	CL (mLg/min/kg)
34	360	709	1.7	8.0	76

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

REFERENCES

[1]. Nirogi, Ramakrishna; Mohammed, Abdul Rasheed; Yarlgadda, Suresh; Ravella, Srinivasa Rao; Shinde, Anil Karbhari; Kambhampati, Ramasastri; Roayalley, Praveen Kumar; Jayarajan, Pradeep; Bhyrapuneni, Gopinadh; Patnala, Sriramachandra Murthy; et al. Preparation of heteroaryl compounds as 5-HT4 receptor ligands.WO2013042135A1

Tel: 609-228-6898 Fax: 609-228-5909 E-mail: tech@MedChemExpress.com
Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA