Usmarapride free base

Cat. No.: HY-116565A

CAS No.: 1428862-32-1

Molecular Formula: $C_{21}H_{29}N_5O_2$

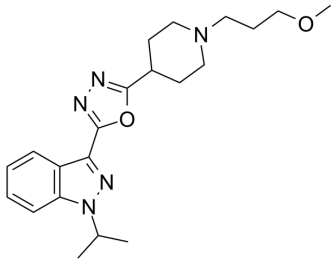
Molecular Weight: 383.49

Target: 5-HT Receptor

Pathway: GPCR/G Protein; Neuronal Signaling

Storage:

Powder	-20°C	3 years
In solvent	-80°C	6 months
	-20°C	1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : ≥ 100 mg/mL (260.76 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	2.6076 mL	13.0381 mL	26.0763 mL
5 mM	0.5215 mL	2.6076 mL	5.2153 mL
10 mM	0.2608 mL	1.3038 mL	2.6076 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 (6.52 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (6.52 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (6.52 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Usmarapride (SUVN-D4010) free base is a potent, selective, orally active and brain penetrant 5-HT_4 receptor partial agonist (EC_{50}=44 nM). Usmarapride (SUVN-D4010) free base 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) free base attenuates the long-term memory deficits in object recognition test (ORT)[1]. Usmarapride (1, 3, and 10 mg/kg; p.o.) free base significantly reverses the scopolamine-induced amnesia[1]. Usmarapride free base shows a statistically significant effect at 3.0 mg/kg on both exploration time and recognition index[1]. Usmarapride (SUVN-D4010) free base shows good oral exposures, good bioavailability, and good brain exposures in rats[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. Nirogi R, et al. Discovery and Preclinical Characterization of Usmarapride (SUVN-D4010): A Potent, Selective 5-HT4 Receptor Partial Agonist for the Treatment of Cognitive Deficits Associated with Alzheimer's Disease. J Med Chem. 2021;64(15):10641-10665.

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