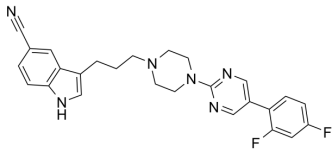
SERT-IN-2

Cat. No.:	HY-148565
CAS No.:	2055228-33-4
Molecular Formula:	$C_{26}H_{24}F_2N_6$
Molecular Weight:	458.51
Target:	Serotonin Transporter
Pathway:	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 : 200 mg/mL (436.20 mM; Need ultrasonic)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	2.1810 mL	10.9049 mL	21.8098 mL
5 mM	0.4362 mL	2.1810 mL	4.3620 mL
10 mM	0.2181 mL	1.0905 mL	2.1810 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: ≥ 5 mg/mL (10.90 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 5 mg/mL (10.90 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

SERT-IN-2 is a potent SERT inhibitor (IC_{50}=0.58 nM) with promising anti-depression efficacy. SERT-IN-2 shows good bioavailability of 83.28% in rats. SERT-IN-2 can cross the blood-brain barrier[1].

IC_{50} & Target

IC50: 0.58 nM (SERT)[1]

In Vivo

SERT-IN-2 (DH4) (2.5 mg/kg, 5 mg/kg; p.o.; single dose) shows high plasma exposure and oral bioavailability in dogs and rats [1].

SERT-IN-2 (10 mg/kg; i.p.; single dose) can cross the blood brain barrier in SD rats[1].

SERT-IN-2 (1-10 mg/kg; i.p.; single dose) inhibited serotonin uptake and potently antagonizes the p-chloroamphetamine (PCA)-induced depletion of 5-HT in the rat hypothalamus[1].

SERT-IN-2 (1-10 mg/kg; i.v.; 3 times before the test) exerts antidepressant effect in rat, reduces the immobility times in the forced swimming test (FST) in a dose-dependent manner[1].

Pharmacokinetic Analysis[1]

	Route	Dose (mg/kg)	C_{max} (ng/mL)	$AUC_{(0-t)}$ (ng·h/mL)	$T_{1/2}$ (h)	V_{ss} (L/kg)	Cl (L/h/kg)	F (%)
Rat	IV	1	193	1340	7.53	6.41	11.6	/
	PO	2.5	180	2790	7.28	/	/	83.28
Dog	IV	1	520	2820	4.39	3.4	5.14	/
	PO	5	794	7540	16.4	/	/	53.5

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

REFERENCES

[1]. Wang ZZ, et al. Deciphering Nonbioavailable Substructures Improves the Bioavailability of Antidepressants by Serotonin Transporter. J Med Chem. 2023 Jan 12;66(1):371-383.

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