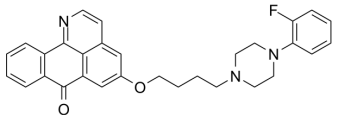
MAO-A/5-HT2AR-IN-1

Cat. No.:	HY-151596
CAS No.:	2769156-00-3
Molecular Formula:	$C_{30}H_{28}FN_3O_2$
Molecular Weight:	481.56
Target:	Monoamine Oxidase; 5-HT Receptor
Pathway:	Neuronal Signaling; GPCR/G Protein
Storage:	Powder -20°C 3 years 4°C 2 years In solvent -80°C 6 months -20°C 1 month



BIOLOGICAL ACTIVITY

Description

MAO-A/5-HT2AR-IN-1 (compound I14) is a potent MAO-A and 5-HT2AR dual inhibitor, with IC_{50} values of 0.004 and 0.014 μM, respectively. MAO-A/5-HT2AR-IN-1 is a potential antidepressant agent[1].

IC_{50} & Target

MAO-A	5-HT_{2A} Receptor	MAO-B
0.004 ± 0. μM (IC_{50})	0.014 μM (IC_{50})	1.05 ± 0.0 μM (IC_{50})

In Vitro

MAO-A/5-HT2AR-IN-1 (compound I14) (0-4 μM, 24 h) exhibits a significant neurocytoprotective effect on the CORT-induced cell depression model[1].

MAO-A/5-HT2AR-IN-1 is able to occupy the active cavity of 5-HT2AR and MAO-A with multiple hydrogen bonding forces and π–π stacking interaction[1].

MAO-A/5-HT2AR-IN-1 exhibits low proliferation inhibitory activities against L02 cells (IC_{50} > 100 μM), SH-SY5Y (IC_{50} > 10 μM) and PC12 (IC_{50} > 10 μM), indicating it has a good safety profile[1].

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

[1][1]

Cell Line:	PC12 cells
Concentration:	4.0, 2.0, 1.0, and 0.5 μM (and 500 μM CORT)
Incubation Time:	24 h
Result:	Showed a significant protective effect on PC12 cells injury at different concentrations compared with the model group, where the best protective effect was observed at 0.5 μM.

In Vivo

MAO-A/5-HT2AR-IN-1 (compound I14) (10 and 20 mg/kg) significantly ameliorates the depression-like behavior of mice[1].

MAO-A/5-HT2AR-IN-1 (0-1 μM, for 7 days) improves zebrafish locomotion and the depression-like behavior[1].

MAO-A/5-HT2AR-IN-1 is able to repair the damage of mice hippocampal neuronal cells and reduce the expression of 5-HT2AR in mice brain tissue[1].

MAO-A/5-HT2AR-IN-1 (2 mg/kg (i.v.) 10 mg/kg (i.g.); once) has a good clearance rate of 345.69 mL/min/kg in rats[1].

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

Animal Model:	ICR male mice (8–10 weeks old, weight 18-20 g)[1]
Dosage:	10 mg/kg, 20 mg/kg
Administration:	For 2 weeks
Result:	Significantly improved depression-like behavior in mice, with the low dose group (10 mg/kg) being more potent than with the positive drug (Flu, 20 mg/kg). Had no relevant toxic effects on the liver, kidney, lung, and spleen of mice during the treatment period.

Animal Model:	Zebrafish (AB strain, Reserpine-induced zebrafish depression model)[1]
Dosage:	0.1, 0.5, 1 μM
Administration:	Given 24 h after reserpine, for 7 days.
Result:	Showed that zebrafish in the I14 administered group moved significantly more distance, faster, and spent significantly more time in the upper part compared to the model group.

Animal Model:	Sprague-Dawley rats (male)[1]
Dosage:	2 mg/kg (i.v.) 10 mg/kg (i.g.)
Administration:	IV, IG; once (Pharmacokinetic Analysis)
Result:	Pharmacokinetic Parameters of MAO-A/5-HT2AR-IN-1 in male Sprague-Dawley rats[1].

parameter	2 mg/kg (i.v.)	10 mg/kg (i.g.)
T_{max} (h)	0.08 ± 0.00	1.33 ± 0.33
C_{max} (ng/mL)	673.33 ± 25.41	99.67 ± 6.01
AUC_{0-24} (ng/mL·h)	2230.67 ± 153.78	490.67 ± 70.43
AUC_{0-inf} (ng/mL·h)	2322.67 ± 178.02	504.00 ± 71.08
$t_{1/2}$ (h)	6.31 ± 0.55	5.22 ± 0.79
CL (mL/min/kg)	14.54 ± 1.20	345.69 ± 53.40
MRT_{inf} (h)	4.19 ± 0.14	4.94 ± 0.36
F (%)		4.40

REFERENCES

[1]. Sun X, Li N, et al. Development of MAO-A and 5-HT2AR Dual Inhibitors with Improved Antidepressant Activity. J Med Chem. 2022 Oct 13;65(19):13385-13400.

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