MAO-B-IN-6

Cat. No.: HY-115987

CAS No.: 2376198-66-0

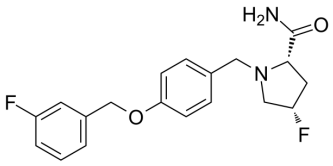
Molecular Formula: $C_{19}H_{20}F_2N_2O_2$

Molecular Weight: 346.37

Target: Monoamine Oxidase

Pathway: Neuronal Signaling

Storage: Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description

MAO-B-IN-6 is a potent, selective and orally active MAO-B inhibitor with an IC_{50} of 0.019 μM. MAO-B-IN-6 shows more efficacious than Safinamide in vitro and in vivo. MAO-B-IN-6 has the potential for the research of parkinson's disease (PD)[1].

IC_{50} & Target

MAO-B	MAO-A
0.019 μM (IC_{50})	46.365 μM (IC_{50})

In Vitro

MAO-B-IN-6 (compound D5) (Sf9 cells) shows inhibitory activities towards monoamine oxidase (MAO) with the IC_{50}s of 46.365 μM and 0.019 μM for MAO-A and MAO-B, respectively[1].

MAO-B-IN-6 shows no inhibition potential towards cytochrome P450 (IC_{50}=>29 μM for 1A2, 2B6, 2C8, 2C9, 2C19, 2D6 and 3A4/5 enzymes)[1].

MAO-B-IN-6 (10 μM) shows high permeability through MDR1-MDCK II cell monolayers[1].

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

In Vivo

MAO-B-IN-6 (1 mg/kg, i.v.; 5 mg/kg, p.o.) shows oral bioavailability in rats (F=55.2%) and monkeys (F=107.1%)[1].

MAO-B-IN-6 (0.08, 0.4, 2 mg/kg; i.p.) diaplays stronger MAO-B inhibitory activity[1].

MAO-B-IN-6 (0.625, 1.25, 2.5 mg/kg; i.p.) increases the rearing activity in a dose-dependent manner[1].

MAO-B-IN-6 (0.625, 1.25, 2.5 mg/kg; i.p.) shows a potential efficacy for alleviating dopamine (DA) deficits in the MPTP-induced Parkinson's disease (PD) mouse model[1].

MAO-B-IN-6 (0.156, 0.312, 0.625, 1.25 mg/kg; i.p.) increases the effect of levodopa on dopamine concentration in the striatum [1].

MAO-B-IN-6 (0.156, 0.312, 0.625, 1.25 mg/kg; i.p.) shows a significant reduction in galantamine-induced tremulous jaw movements[1].

Pharmacokinetic Parameters of MAO-B-IN-6 in SD rats and cynomolgus monkeys[1].

Compound	Route	Dose (mg/kg)	C_{max} (ng/mL)	AUC_t (ng·h/mL)	$T_{1/2}$ (h)	Vss (h)	Cl (mL/min/kg)	F (%)
D5 (Rats)	iv	1	690	505	0.67	1.37	32.9	/
D5 (Rats)	po	5	1000	1400	0.57	/	/	55.2

D5 (Monkeys)	iv	1	924	2120	1.77	1.06	7.54	/
D5 (Monkeys)	po	5	2280	11,300	2.80	/	/	107.1

SD rats; 1 mg/kg, i.v.; 5 mg/kg, p.o.; Cynomolgus monkeys; 1 mg/kg, i.v.; 5 mg/kg, p.o.[1].

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

Animal Model:	SD rats[1]
Dosage:	1, 5 mg/kg
Administration:	1 mg/kg, i.v.; 5 mg/kg, p.o.
Result:	Showed oral bioavailability in rats (F=55.2%).

Animal Model:	cynomolgus monkeys[1]
Dosage:	1, 5 mg/kg
Administration:	1 mg/kg, i.v.; 5 mg/kg, p.o.
Result:	Showed oral bioavailability in monkeys (F=107.1%).

Animal Model:	mice[1]
Dosage:	0.08, 0.4, 2 mg/kg
Administration:	i.p.
Result:	Displayed stronger MAO-B inhibitory activity.

Animal Model:	PD mouse mode[1]
Dosage:	0.625, 1.25, 2.5 mg/kg
Administration:	i.p.
Result:	Increased the rearing activity in a dose-dependent manner.

Animal Model:	C57BL/6 mice[1]
Dosage:	0.156, 0.312, 0.625, 1.25 mg/kg
Administration:	i.p.
Result:	Increased the effect of levodopa on dopamine concentration in the striatum.

Animal Model:	SD rats[1]

Dosage:	0.156, 0.312, 0.625, 1.25 (3.0 mg/kg galantamine, i.p.)
Administration:	i.p.
Result:	Showed a significant reduction in galantamine-induced tremulous jaw movements.

REFERENCES

[1]. Wang Z,et al. Enhancing monoamine oxidase B inhibitory activity via chiral fluorination: Structure-activity relationship, biological evaluation, and molecular docking study. Eur J Med Chem. 2022; 228:114025.

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