MAO-B-IN-20

Cat. No.: HY-149242

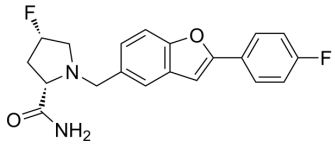
Molecular Formula: $C_{20}H_{18}F_2N_2O_2$

Molecular Weight: 356.37

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-20 (Compound C14) is a potent MAO-B inhibitor with an IC_{50} of 0.037 μM. MAO-B-IN-20 displays good metabolic stability and brain-blood barrier permeability. MAO-B-IN-20 can be used for the research of Parkinson's disease[1].

IC_{50} & Target

MAO-B	MAO-A
0.037 μM (IC_{50})	>10 μM (IC_{50})

In Vitro

MAO-B-IN-20 (Compound C14) can well bind into the active site of MAO-B and shares a similar binding mode with Safinamide (HY-70057)[1].

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

In Vivo

MAO-B-IN-20 (Compound C14; 5 mg/kg; i.v.) is rapidly absorbed and crossed the blood-brain barrier within 15 min, reaching the C_{max} in 60 min in both plasma and brain. MAO-B-IN-20 exhibits an adequate brain to plasma ratio of 16.20 and high concentration in brain (8753 ng/g) at 60 min[1].

MAO-B-IN-20 (0.08-1.28 mg/kg; i.p.; once) prominently inhibits the MAO-B activity in a dose-dependent manner in the mouse brain[1].

MAO-B-IN-20 (0.3-3 mg/kg; i.p.; once) exhibits a potential efficacy for dopamine deficits in the MPTP (HY-15608)-induced mouse model and significantly increased dopamine concentration in the striatum[1].

Pharmacokinetic profile of MAO-B-IN-20 (Compound C14) in SD rats[a][1]

Compound	Route	Dose (mg/kg)	C_{max} (ng/mL)	AUC_t (ng•h/mL)	$T_{1/2}$ (h)	V_{ss} (L/kg)	CL (mL/min/kg)	F (%)
MAO-B-IN-20 (Compound C14)	iv	1	273	305	0.74	3.33	54.2	/
	ig	5	436	2280	4.22	/	/	149.5

[a]Fasted male SD rats. Dosing volumes: 5 mL/kg for ig and 1 mL/kg for iv. C_{max}: Maximum Concentration, AUC_t: Area under the plasma concentration-time curve from time 0 to last time of quantifiable concentration; $T_{1/2}$: Elimination half time, V_{ss}: Steady-state distribution volume, CL: plasma clearance, F: bioavailability.

Pharmacokinetic profile of MAO-B-IN-20 (Compound C14) in ICR mice[a][1]

Compound	Route	Dose (mg/kg)	C_{max} (ng/mL)	AUC_t (ng•h/mL)	$T_{1/2}$ (h)	V_{ss} (L/kg)	CL (mL/min/kg)	F (%)
MAO-B-IN-20 (Compound C14)	iv	2	900	2680	3.37	2.72	11	/
	ig	5	913	7950	3.80	/	/	104.8

[a]Fasted male ICR mice. Dosing volumes: 5 mL/kg for ig and 2 mL/kg for iv. C_{max}: Maximum Concentration, AUC_t: Area under the plasma concentration-time curve from time 0 to last time of quantifiable concentration; $T_{1/2}$: Elimination half time, V_{ss}: Steady-state distribution volume, CL: plasma clearance, F: bioavailability.

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

Animal Model:	MPTP-induced acute mouse model of PD[1]
Dosage:	0.3, 1.0, 3.0 mg/kg
Administration:	Intraperitoneal injection, 30 min before MPTP (20 mg/kg, ip) injection
Result:	Dopamine concentration in the striatum of mice significantly increased compared with the MPTP-alone-injected group.

Animal Model:	SD rats and ICR mice[1]
Dosage:	1, 2 and 5 mg/kg
Administration:	IV and IG (Pharmacokinetic Analysis)
Result:	Showed good pharmacokinetic profiles.

REFERENCES

[1]. Yi C, et al. Design, synthesis and evaluation of novel monoamine oxidase B (MAO-B) inhibitors with improved pharmacokinetic properties for Parkinson's disease. Eur J Med Chem. 2023 Apr 5;252:115308.

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