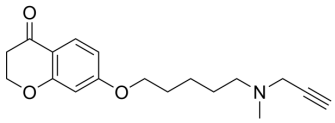
hMAO-B-IN-2

Cat. No.:	HY-146691
CAS No.:	2454459-87-9
Molecular Formula:	$C_{18}H_{23}NO_3$
Molecular Weight:	301.38
Target:	Monoamine Oxidase
Pathway:	Neuronal Signaling
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description

hMAO-B-IN-2 (compound 6j) is an orally active, potent, selective and BBB penetrated and competitive reversible hMAO-B inhibitor, with an IC_{50} of 4 nM. hMAO-B-IN-2 shows low toxicity and good neuroprotective effects in SH-SY5Y cell. hMAO-B-IN-2 can be used for alzheimer's disease research[1]. hMAO-B-IN-2 is a click chemistry reagent, itcontains an Alkyne group and can undergo copper-catalyzed azide-alkyne cycloaddition (CuAAc) with molecules containing Azide groups.

IC_{50} & Target

MAO-B
4 nM (IC_{50})

In Vitro

hMAO-B-IN-2 (compound 6j) (0-100 μM, 15 min) exhibits potent inhibitory activity, with IC_{50} values of 4 nM (hMAO-B) and 6.04 nM (hMAO-A), respectively[1].
hMAO-B-IN-2 (30 min) is a reversible MAO-B inhibitor, the activity of MAO-B enzyme was restored to about 82% and 45% after compound 6j dilution to 0.1 × IC_{50} and 1 × $_{50}$, respectively[1].
hMAO-B-IN-2 (25 μM, 48 h) exhibits remarkable inhibitory activities against MAO-B, had good inhibition property of Aβ self-induce aggregation (40.78 ± 6.27%)[1].
hMAO-B-IN-2 (0-100 μM, 24 h) shows non-toxic at the concentrations of 25 μM[1].
hMAO-B-IN-2 (0-25 μM, 24 h) has neuroprotective capability against neurodegeneration disease, and increases cell survival rates in a dose-dependent manner[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Cell Cytotoxicity Assay

Cell Line:	SH-SY5Y neuroblastoma cell[1]
Concentration:	0, 6.25, 12.5, 25, 50, 100 μM
Incubation Time:	24 h
Result:	Showed non-toxic at the concentrations of 25 μM.

In Vivo

hMAO-B-IN-2 (compound 6j) (Sprague-Dawley rats; 3 mg/kg, IV; 10 mg/kg, PO; once) has acceptable pharmacokinetic properties[1].
Pharmacokinetic Parameters of hMAO-B-IN-2 in male Sprague-Dawley rats[1].

Parameters	IV (3 mg/kg)	PO (10 mg/kg)
$T_{1/2}$ (h)	1.02 ± 0.17	1.33 ± 0.16
T_{max} (h)		0.3
C_{max} (μg/L)	639.29 ± 89.06	142.17 ± 72.21
AUC_{0-inf} (μg/L⋅h)	247.74 ± 11.48	268.49 ± 69.72
CL (L/h/kg)	3.33 ± 0.15	
F (%)		36.10%

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

Animal Model:	Sprague-Dawley rats (male, 220±20 g)[1]
Dosage:	3 mg/kg (IV), 10 mg/kg (PO)
Administration:	IV, PO (Pharmacokinetic Analysis)
Result:	Had acceptable pharmacokinetic properties, and showed a high maximal concentration, appropriate half-life, and good oral bioavailability.

REFERENCES

[1]. Xie SS, Liu J, Tang C, et al. Design, synthesis and biological evaluation of rasagiline-clorgyline hybrids as novel dual inhibitors of monoamine oxidase-B and amyloid-β aggregation against Alzheimer's disease. Eur J Med Chem. 2020;202:112475.

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