HY-078020

Cat. No.: HY-162231

CAS No.: 2756222-90-7

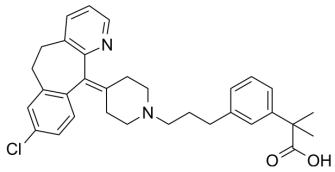
Molecular Formula: $C_{32}H_{35}ClN_2O_2$

Molecular Weight: 515.09

Target: Histamine Receptor; mAChR

Pathway: GPCR/G Protein; Immunology/Inflammation; Neuronal Signaling

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



BIOLOGICAL ACTIVITY

Description

HY-078020 (compound III-4) is a selective, orally active antagonist for histamine H1 receptor with an IC_{50} of 24.12 nM. HY-078020 exhibits an anti-inflammatory effect in allergic diseases[1].

IC_{50} & Target

H_1 Receptor 24.12 nM (IC_{50})	mAChR3

In Vitro

HY-078020 reveals a potent inhibitory activity towards H1R (IC_{50} is 24.12 nM) and weak inhibition against M3R and hERG, with IC_{50}s of >10 and 17.6 μM, respectively[1].

HY-078020 exhibits moderate permeability (efflux ratio rate <2), liver microsomes stability with long half-life in human, beagles and mice (T1/2 = 86.625 min) [1].

HY-078020 exhibits inhibitory activity against cytochrome P450 (CYP) isozymes CYP3A4 of rates[1].

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

In Vivo

HY-078020 (5 mg/kg, i.g.) inhibits the histamine induced skin vasodilation and capillary permeability in ICR/KM mice, with a vascular permeability inhibition rates of 58.71 %[1].

HY-078020 (10 mg/kg, i.v.) exhibits aweak anticholinergic activity with an inhibition rate of salivary secretion of 10.8% in Wistar mice[1].

HY-078020 reveals a pharmacokinetic profils in mice[1]:

Pharmacokinetic Analysis of HY-078020 in wistar male rats[1]

route	Dose (mg/kg)	$T_{1/2}$ (h)	T_{max} (h)	C_{max} (ng/mL)	AUC_{0-t} (ng·h/mL)	AUC_{0-inf} (ng·h/mL)	V_d (L/kg)	CL (mL/h/kg)	MRT_{0-inf} (h)	F (%)
iv	4	0.653 ± 0.12	-	-	1678 ± 152.59	1822.38 ± 224.97	1.77 ± 0.22	37.00 ± 4.62	0.70 ± 0.14	59.55
po	25	4.05 ± 0.81	0.38 ± 0.16	1722.06 ± 337.11	6246.92 ± 1443.28	7209.70 ± 1419.01	21.26 ± 7.42	59.35 ± 10.59	6.01 ± 1.42	-

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

Animal Model:	histamine-induced vascular permeability in ICR and Kunming mice[1]
Dosage:	5 mg/kg
Administration:	i.g.
Result:	Reduced the vascular permeability with an inhibition rate of 58.71%.

Animal Model:	Wistar mice[1]
Dosage:	10 mg/kg
Administration:	i.v., once a day
Result:	Inhibited salivary secretion with an inhibition rate of 10.8%.

REFERENCES

[1]. Chu Z, et al., Discovery of the novel and potent histamine H1 receptor antagonists for treatment of allergic diseases. Eur J Med Chem. 2024 Feb 3;268:116197.

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