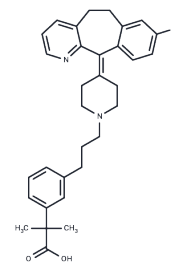


HY-078020

Chemical Properties

CAS No. : 2756222-90-7
 Formula: C₃₂H₃₅ClN₂O₂
 Molecular Weight: 515.09
 Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year
 Actual storage temperature shall be subject to the COA.



Biological Description

Description	HY-078020 (compound III-4), a selective and orally active histamine H1 receptor antagonist, demonstrates an IC ₅₀ of 24.12 nM. It exhibits anti-inflammatory effects in allergic diseases [1].
Targets(IC ₅₀)	AChR,Histamine Receptor
In vitro	HY-078020 is a potent H1R inhibitor with an IC ₅₀ of 24.12 nM, while showing weaker inhibition of M3R and hERG, with IC ₅₀ values of >10 μM and 17.6 μM, respectively [1]. It exhibits moderate permeability (efflux ratio <2) and is stable in liver microsomes, demonstrating a long half-life (T _{1/2} = 86.625 min) in humans, beagle dogs, and mice [1]. Additionally, HY-078020 inhibits cytochrome P450 (CYP) isoenzyme CYP3A4 in rats [1].
In vivo	HY-078020, administered at 5 mg/kg via oral gavage in an ICR/KM mouse model, effectively inhibited histamine-induced vasodilation and increased vascular permeability of the skin, achieving an inhibition rate of 58.71%[1]. When the dose was increased to 10 mg/kg and administered intravenously, HY-078020 displayed mild anticholinergic effects in Wistar rats, with a 10.8% reduction in saliva secretion[1]. Detailed pharmacokinetic analysis in male Wistar rats revealed that intravenous injection (iv) at 4 mg/kg resulted in a half-life (T _{1/2}) of 0.653 ± 0.12 hours, total exposure (AUC 0-inf) of 1822.38 ± 224.97 ng·h/mL, distribution volume (V _d) of 1.77 ± 0.22 L/kg, and a clearance (CL) of 37.00 ± 4.62 mL/h/kg. Oral administration (po) at 25 mg/kg showed a peak concentration time (T _{max}) of 0.38 ± 0.16 hours, maximum concentration (C _{max}) of 1722.06 ± 337.11 ng/mL, and exposure (AUC 0-t) of 6246.92 ± 1443.28 ng·h/mL.

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	1.9414 mL	9.707 mL	19.4141 mL
5 mM	0.3883 mL	1.9414 mL	3.8828 mL
10 mM	0.1941 mL	0.9707 mL	1.9414 mL
50 mM	0.0388 mL	0.1941 mL	0.3883 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Inhibitor · Natural Compounds · Compound Libraries · Recombinant Proteins

This product is for Research Use Only · Not for Human or Veterinary or Therapeutic Use

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