PDE10A-IN-2 hydrochloride

Cat. No.: HY-131973

Molecular Formula: $C_{33}H_{38}Cl_3N_5O$

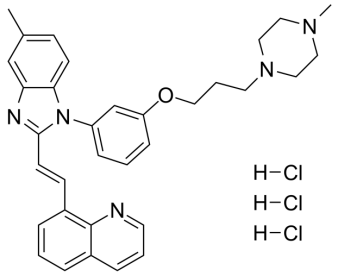
Molecular Weight: 627.05

Target: Phosphodiesterase (PDE)

Pathway: Metabolic Enzyme/Protease

Storage: 4°C, sealed storage, away from moisture

* In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 100 mg/mL (159.48 mM; Need ultrasonic)

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	1.5948 mL	7.9738 mL	15.9477 mL
	5 mM	0.3190 mL	1.5948 mL	3.1895 mL
	10 mM	0.1595 mL	0.7974 mL	1.5948 mL

Please refer to the solubility information to select the appropriate solvent.

BIOLOGICAL ACTIVITY

Description

PDE10A-IN-2 hydrochloride is a potent, highly selective and orally active phosphodiesterase 10A (PDE10A) inhibitor with an IC_{50} of 2.8 nM. PDE10A-IN-2 hydrochloride shows selectivity of >3500-fold against other PDE subtypes. PDE10A-IN-2 hydrochloride can be used for pulmonary arterial hypertension (PAH) research[1].

IC_{50} & Target

PDE10A

2.8 nM (IC_{50})

In Vivo

PDE10A-IN-2 hydrochloride (compound 14 3HCL; 2.5 mg/kg; oral administration; daily; for 3 weeks) treatment decreases the typical symptoms of PAH in rats[1].

In Sprague-Dawley rats, the pharmacokinetic study of PDE10A-IN-2 hydrochloride (compound 14 3HCL; 10 mg/kg) shows the oral bioavailability up to -50%, and the $T_{1/2}$ is 5.2 hours (p.o.), and the C_{max} is 272 ng/mL[1].

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

Animal Model:	Wister rats (6 weeks, 160-180 g) injected with Monocrotaline[1]
Dosage:	2.5 mg/kg

Administration:	Oral administration; daily; for 3 weeks
Result:	Decreased symptoms of the pulmonary arterial hypertension (PAH) rats.

REFERENCES

[1]. Yuncong Yang, et al. Discovery of highly selective and orally available benzimidazole-based phosphodiesterase 10 inhibitors with improved solubility and pharmacokinetic properties for treatment of pulmonary arterial hypertension. Acta Pharm Sin B. 2020 Dec;10(12):2339-2347.

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