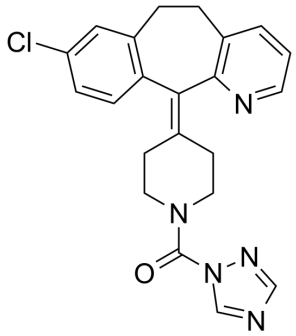
JZP-361



Cat. No.:	HY-121422
CAS No.:	1680193-80-9
Molecular Formula:	$C_{22}H_{20}ClN_5O$
Molecular Weight:	405.88
Target:	MAGL; Histamine Receptor
Pathway:	Metabolic Enzyme/Protease; GPCR/G Protein; Immunology/Inflammation; Neuronal Signaling
Storage:	Powder -20°C 3 years 4°C 2 years In solvent -80°C 6 months -20°C 1 month

SOLVENT & SOLUBILITY

In Vitro

DMSO : 125 mg/mL (307.97 mM; ultrasonic and warming and heat to 60°C)

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	2.4638 mL	12.3189 mL	24.6378 mL
	5 mM	0.4928 mL	2.4638 mL	4.9276 mL
	10 mM	0.2464 mL	1.2319 mL	2.4638 mL

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

BIOLOGICAL ACTIVITY

Description

JZP-361 is a potent, reversible and selective inhibitor of human recombinant MAGL (hMAGL) with an IC_{50} of 46 nM. JZP-361 also shows antihistaminergic activities and can be used for asthma research[1].

IC_{50} & Target

hMAGL	hABHD6	hFAAH	H_1 Receptor
46 nM (IC_{50})	1.79 μM (IC_{50})	7.24 μM (IC_{50})	6.81 (pA2)

In Vitro

JZP-361 has almost 150-fold higher selectivity over human recombinant fatty acid amide hydrolase (hFAAH, IC_{50} = 7.24 μM) and 35-fold higher selectivity over human α/β-hydrolase-6 (hABHD6, IC_{50} = 1.79 μM)[1].

JZP-361 retains H_1 antagonistic affinity (pA2 = 6.81) but did not show cannabinoid receptor activity, when tested at concentrations ≤10 μM[1].

JZP-361 displays favorable interactions within the active site of hMAGL including the important hydrogen-bonding of the carbonyl oxygen to the oxyanion hole[1].

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

REFERENCES

[1]. Jayendra Z Patel, et al. Loratadine analogues as MAGL inhibitors. Bioorg Med Chem Lett. 2015 Apr 1;25(7):1436-42.

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