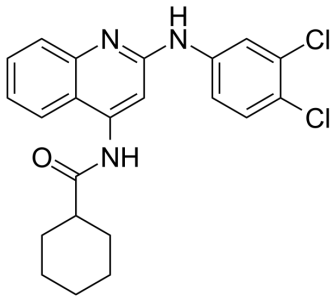
LUF6096

Cat. No.:	HY-10915
CAS No.:	1116652-18-6
Molecular Formula:	$C_{22}H_{21}Cl_2N_3O$
Molecular Weight:	414.33
Target:	Adenosine Receptor
Pathway:	GPCR/G Protein
Storage:	Powder -20°C 3 years In solvent -80°C 6 months -20°C 1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 20 mg/mL (48.27 mM; ultrasonic and warming and heat to 60°C)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.4135 mL	12.0677 mL	24.1354 mL
	5 mM	0.4827 mL	2.4135 mL	4.8271 mL
	10 mM	0.2414 mL	1.2068 mL	2.4135 mL

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

In Vivo

1. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2 mg/mL (4.83 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

LUF6096, a potent allosteric enhancer of the adenosine A3 receptor, is able to allosterically enhance agonist binding. LUF6096 shows low orthosteric affinity for any of the adenosine receptors. LUF6096 shows protective effects in myocardial ischemia/reperfusion injury[1][2].

IC_{50} & Target

adenosine A3 receptor[1]

In Vitro

LUF6096 (10 μM; 30-120 min) decreases the dissociation rate of ^{125}I-AB-MECA from the A_3 receptor by 2.5 times in CHO cell membranes[1].

LUF6096 (10 μM; pretreated for 15 min) significantly and dramatically enhances the intrinsic activity of Cl-IB-MECA for the inhibition of the forskolin-stimulated cAMP production in CHO cells[1].

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

In Vivo

LUF6096 (twice i.v. bolus for 0.5 mg/kg or single i.v. bolus for 1 mg/kg) protects against myocardial ischemia/reperfusion

injury in dogs[1].

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

Animal Model:	Adult mongrel dogs (15-25 kg) were subjected left anterior descending (LAD) coronary artery occlusion and reperfusion[1]
Dosage:	Twice i.v. bolus for 0.5 mg/kg or single i.v. bolus for 1 mg/kg
Administration:	I.v. bolus
Result:	Produced a marked reduction in infarct size (≥50% reduction) compared with vehicle-treated dogs.

REFERENCES

[1]. Heitman LH, et, al. A series of 2,4-disubstituted quinolines as a new class of allosteric enhancers of the adenosine A3 receptor. J Med Chem. 2009 Feb 26;52(4):926-31.

[2]. Du L, et, al. Protection from myocardial ischemia/reperfusion injury by a positive allosteric modulator of the A_3 adenosine receptor. J Pharmacol Exp Ther. 2012 Jan;340(1):210-7.

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