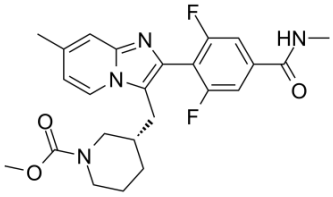
P2X3 antagonist 34

Cat. No.:	HY-135976
CAS No.:	2417288-67-4
Molecular Formula:	$C_{24}H_{26}F_2N_4O_3$
Molecular Weight:	456.49
Target:	P2X Receptor
Pathway:	Membrane Transporter/Ion Channel
Storage:	-20°C, protect from light * In solvent : -80°C, 6 months; -20°C, 1 month (protect from light)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 100 mg/mL (219.06 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.1906 mL	10.9531 mL	21.9063 mL
	5 mM	0.4381 mL	2.1906 mL	4.3813 mL
	10 mM	0.2191 mL	1.0953 mL	2.1906 mL

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

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.5 mg/mL (5.48 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (5.48 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (5.48 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

P2X3 antagonist 34 is a potent, selective and orally active P2X3 homotrimeric receptor antagonist with IC_{50}s of 25 nM, 92 nM and 126 nM for human P2X3, rat P2X3 and guinea pig P2X3 receptors, respectively. P2X3 antagonist 34 is less active against human, rat and guinea pig P2X2/3 heterotrimeric receptors. P2X3 antagonist 34 has strong anti-tussive effect[1].

IC_{50} & Target

IC50: 25 nM (Human P2X3 receptor), 92 nM (Rat P2X3 receptor)and 126 nM (Guinea pig P2X3 receptor), 1820 nM (Rat P2X2/3 heterotrimeric receptor) and 3450 nM (Guinea pig P2X2/3 heterotrimeric receptor)[1]

In Vitro

P2X3 antagonist 34 (BLU-5937; 500 nM) is able to block αβ-meATP-induced sensitization and firing activity of isolated primary nociceptors in rat dorsal root ganglions (DRGs), through P2X3 homotrimeric receptor antagonism. The sensitizing

	effect of αβ-meATP and the inhibition of P2X3 antagonist 34 are reversible after washout[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	P2X3 antagonist 34 (BLU-5937; 0.3-0 mg/kg, oral administration; male Dunkin Hartley guinea pigs) treatment significantly reduces the histamine-induced enhancement in the number of citric acid-induced coughs in a dose-dependent fashion in a guinea pig cough model[1]. P2X3 antagonist 34 (BLU-5937; 3 and 30 mg/kg, oral) is also shown to reduce significantly and dose-dependently the ATP-induced enhancement of citric acid-induced coughs in the guinea pig[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Male Dunkin Hartley guinea pigs[1]
Dosage:	0.3 mg/kg, 3 mg/kg, 30 mg/kg
Administration:	Oral administration
Result:	Significantly reduced the histamine-induced enhancement in the number of citric acid-induced coughs in a dose-dependent fashion.

REFERENCES

[1]. Garceau D, et al. BLU-5937: A selective P2X3 antagonist with potent anti-tussive effect and no taste alteration. Pulm Pharmacol Ther. 2019 Jun;56:56-62.

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