Camlipixant

Cat. No.: HY-136026

CAS No.: 1621164-74-6

Molecular Formula: $C_{23}H_{24}F_2N_4O_4$

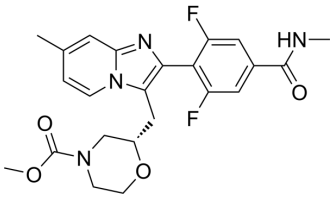
Molecular Weight: 458.46

Target: P2X Receptor

Pathway: Membrane Transporter/Ion Channel

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 : 100 mg/mL (218.12 mM; Need ultrasonic)

DMSO : 100 mg/mL (218.12 mM; ultrasonic and adjust pH to 3 with 1M HCl)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	2.1812 mL	10.9061 mL	21.8122 mL
5 mM	0.4362 mL	2.1812 mL	4.3624 mL
10 mM	0.2181 mL	1.0906 mL	2.1812 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 (5.45 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (5.45 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (5.45 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Camlipixant (BLU-5937) a potent, selective, non-competitive and orally active P2X3 homotrimeric receptor antagonist with an IC_{50} of 25 nM against hP2X3 homotrimeric. Camlipixant shows potent anti-tussive effect and no taste alteration. Camlipixant can be used for the research of unexplained, refractory chronic cough[1].

IC_{50} & Target

IC50: 25 nM (hP2X3), >24000 nM (hP2X2/3), 92 nM (rP2X3), 1820 nM (rP2X2/3), 126 nM (gpP2X3), 3450 nM (gpP2X2/3)[1]

In Vitro	Camlipixant (BLU-5937; 500 nM) blocks ATP-mediated dorsal root ganglion (DRG) neuron sensitization[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	Camlipixant (BLU-5937; 3-30 mg/kg; oral) reduces histamine- and ATP- induced cough hypersensitivity in guinea pigs[1]. Camlipixant (BLU-5937; 10-20 mg/kg; i.p.) does not alter taste perception as compared to control animals[1]. Camlipixant (BLU-5937) exhibits excellent drug-like characteristics, including good oral bioavailability, low predicted clearance in human, no blood-brain barrier permeability and high safety margin versus human predicted efficacious exposure[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, 3, 30 mg/kg
Administration:	PO, approximately 2 h prior to tussive agent exposure
Result:	Significantly reduced the histamine-induced enhancement in the number of citric acid-induced coughs. Reduced significantly and dose-dependently the ATP-induced enhancement of citric acid-induced coughs.

REFERENCES

[1]. Garceau D, Chauret N. 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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