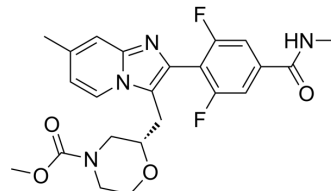


Camlipixant

Cat. No.:	HY-136026
CAS No.:	1621164-74-6
Molecular Formula:	C ₂₃ H ₂₄ F ₂ N ₄ O 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)

	Solvent Concentration	Mass		
		1 mg	5 mg	10 mg
Preparing Stock Solutions	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

- 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
- 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
- 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 IC₅₀ 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].

IC₅₀ & Target

IC₅₀: 25 nM (hP2X₃), >24000 nM (hP2X_{2/3}), 92 nM (rP2X₃), 1820 nM (rP2X_{2/3}), 126 nM (gpP2X₃), 3450 nM (gpP2X_{2/3})^[1]

In Vitro	<p>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.</p>								
In Vivo	<p>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.</p> <table border="1" data-bbox="347 449 1515 758"> <tr> <td data-bbox="347 449 618 516">Animal Model:</td> <td data-bbox="618 449 1515 516">Male Dunkin Hartley guinea pigs^[1]</td> </tr> <tr> <td data-bbox="347 516 618 573">Dosage:</td> <td data-bbox="618 516 1515 573">0.3, 3, 30 mg/kg</td> </tr> <tr> <td data-bbox="347 573 618 630">Administration:</td> <td data-bbox="618 573 1515 630">PO, approximately 2 h prior to tussive agent exposure</td> </tr> <tr> <td data-bbox="347 630 618 758">Result:</td> <td data-bbox="618 630 1515 758">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.</td> </tr> </table>	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.
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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.

Caution: Product has not been fully validated for medical applications. For research use only.

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