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Zuschläge

- Mindermengenzuschlag
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- Expressversand

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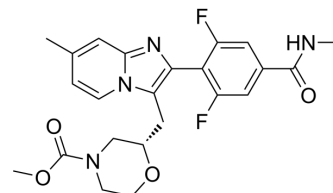
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Camlipixant

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)

Preparing Stock Solutions	Solvent Concentration	Mass	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 P2X ₃ homotrimeric receptor antagonist with an IC ₅₀ of 25 nM against hP2X ₃ 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	IC ₅₀ : 25 nM (hP2X ₃), >24000 nM (hP2X _{2/3}), 92 nM (rP2X ₃), 1820 nM (rP2X _{2/3}), 126 nM (gpP2X ₃), 3450 nM (gpP2X _{2/3}) ^[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. <table> <tr> <td>Animal Model:</td><td>Male Dunkin Hartley guinea pigs^[1]</td></tr> <tr> <td>Dosage:</td><td>0.3, 3, 30 mg/kg</td></tr> <tr> <td>Administration:</td><td>PO, approximately 2 h prior to tussive agent exposure</td></tr> <tr> <td>Result:</td><td>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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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.

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

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