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Zuschläge

- Mindermengenzuschlag
- Trockeneiszuschlag
- Gefahrgutzuschlag
- Expressversand

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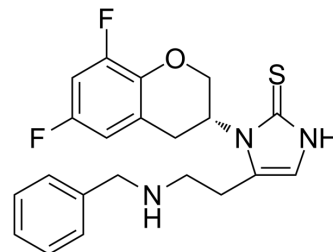
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Zamicastat

Cat. No.:	HY-106004		
CAS No.:	1080028-80-3		
Molecular Formula:	C ₂₁ H ₂₁ F ₂ N ₃ OS		
Molecular Weight:	401.47		
Target:	Dopamine β-hydroxylase; P-glycoprotein; BCRP		
Pathway:	Metabolic Enzyme/Protease; Membrane Transporter/Ion Channel		
Storage:	Powder	-20°C	3 years
		4°C	2 years
	In solvent	-80°C	2 years
		-20°C	1 year



SOLVENT & SOLUBILITY

In Vitro	DMSO : 150 mg/mL (373.63 mM; Need ultrasonic)				
		Solvent Concentration	Mass 1 mg	5 mg	10 mg
	Preparing Stock Solutions	1 mM	2.4908 mL	12.4542 mL	24.9085 mL
		5 mM	0.4982 mL	2.4908 mL	4.9817 mL
10 mM		0.2491 mL	1.2454 mL	2.4908 mL	
Please refer to the solubility information to select the appropriate solvent.					
In Vivo	<ol style="list-style-type: none"> Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline Solubility: ≥ 2.5 mg/mL (6.23 mM); Clear solution Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline) Solubility: ≥ 2.5 mg/mL (6.23 mM); Clear solution Add each solvent one by one: 10% DMSO >> 90% corn oil Solubility: ≥ 2.5 mg/mL (6.23 mM); Clear solution 				

BIOLOGICAL ACTIVITY

Description	Zamicastat (BIA 5-1058) is a dopamine β-hydroxylase (DBH) inhibitor and can cross the blood-brain barrier (BBB) to cause central as well as peripheral effects. Zamicastat is also a concentration-dependent dual P-gp and BCRP inhibitor with IC ₅₀ values of 73.8 μM and 17.0 μM, respectively ^[1] . Zamicastat reduces high blood pressure ^[2] .
IC₅₀ & Target	Dopamine β-hydroxylase (DBH) ^[1] IC ₅₀ : 73.8 μM (P-gp), 17.0 μM (BCRP) ^[1]

In Vitro

Following 4 hours of incubation (5, 10, 20, 50, 80, 100 μ M), a significant loss of cell viability is verified with 100 μ M Zamicastat ($p=0.010$) in MDCK-BCRP cells. No significant losses of cell viability are observed after 4 h of incubation for other concentrations in all cell lines. By decreasing the incubation period to 30 min, there is no significant loss of cell viability ($p>0.05$) at 100 μ M in all cell lines^[1].

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

Cell Viability Assay^[1]

Cell Line:	MDCK II, MDCK-MDR1 and MDCK-BCRP cells
Concentration:	5, 10, 20, 50, 80, 100 μ M
Incubation Time:	4 hours (5, 10, 20, 50, 80, 100 μ M) or 30 min (only 100 μ M)
Result:	A significant loss of cell viability was verified with 100 μ M in MDCK-BCRP cells.

In Vivo

Zamicastat (10, 30 and 100 mg/kg/day; oral bolus, 7 days) is tested acutely against salt-induced hypertension in the Dahl SS rat. Zamicastat produces a dose-dependent decrease in blood pressure. 24 h after Zamicastat administration mean systolic blood pressure (SBP) decrease is -12.6 \pm 4.1 mm Hg ($P=0.0284$), -15.2 \pm 2.7 mm Hg ($P=0.0026$) and -19.0 \pm 3.7 mm Hg ($P=0.0036$) for the 10, 30, and 100 mg/kg body weight dose, respectively. Zamicastat administration also produces a significant 24-h average decrease in diastolic blood pressure (DBP) of -14.6 \pm 3.4 mm Hg ($P=0.0073$) with 10 mg/kg body weight dose, -13.0 \pm 4.5 mm Hg ($P=0.0347$) with 30 mg/kg body weight dose and -15.0 \pm 3.1 mm Hg ($P=0.0046$) with 100 mg/kg body weight dose. Zamicastat administration leads to a decrease in the 24h post-dose mean arterial pressure (MAP) of -13.4 \pm 3.8 mm Hg ($P=0.0162$), -14.0 \pm 3.5 mm Hg ($P=0.0101$) and -20.6 \pm 3.7 mm Hg ($P=0.0026$) for the 10, 30, and 100 mg/kg body weight dose, respectively. There is a small, but significant, effect of Zamicastat on the 24-h mean heart rate (HR) post-dose for all tested doses (10 mg/kg: -19.1 \pm 3.2 beats/min, $P=0.0019$; 30 mg/kg: -13.0 \pm 4.5 beats/min, $P=0.0347$; 100 mg/kg: -21.6 \pm 6.6 beats/min, $P=0.0235$)^[2].

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Animal Model:	Six-week-old male inbred male Dahl SS rats ^[2]
Dosage:	10, 30, or 100 mg/kg; 4 mL/kg
Administration:	Oral bolus, daily, seven days
Result:	Treatment produced a dose-dependent decrease in blood pressure. Twenty four hours after administration mean SBP decrease was -12.6 \pm 4.1 mm Hg ($P=0.0284$), -15.2 \pm 2.7 mm Hg ($P=0.0026$) and -19.0 \pm 3.7 mm Hg ($P=0.0036$) for the 10, 30, and 100 mg/kg body weight dose, respectively.

Animal Model:	ten-week-old male Wistar Han rats ^[2]
Dosage:	30 mg/kg/day
Administration:	in animal feedings (mixed in meal rodent food) everyday
Result:	lead to a significant 51% decrease in noradrenaline levels excreted in urine

REFERENCES

[1]. Bicker J, et al. In vitro assessment of the interactions of dopamine β -hydroxylase inhibitors with human P-glycoprotein and Breast Cancer Resistance Protein. Eur J Pharm Sci. 2018 May 30;117:35-40.

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

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