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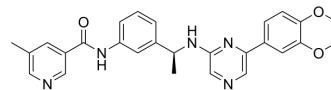
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Seralutinib

Cat. No.:	HY-109190
CAS No.:	1619931-27-9
Molecular Formula:	C ₂₇ H ₂₇ N ₅ O ₃
Molecular Weight:	469.53
Target:	PDGFR; c-Fms; c-Kit
Pathway:	Protein Tyrosine Kinase/RTK
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 : 200 mg/mL (425.96 mM; Need ultrasonic)					
	Preparing Stock Solutions	Solvent Concentration	Mass	1 mg	5 mg	10 mg
		1 mM		2.1298 mL	10.6489 mL	21.2979 mL
		5 mM		0.4260 mL	2.1298 mL	4.2596 mL
		10 mM		0.2130 mL	1.0649 mL	2.1298 mL
Please refer to the solubility information to select the appropriate solvent.						
In Vivo	1. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline) Solubility: ≥ 5.75 mg/mL (12.25 mM); Clear solution					
	2. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline Solubility: ≥ 2.5 mg/mL (5.32 mM); Clear solution					
	3. Add each solvent one by one: 10% DMSO >> 90% corn oil					
	Solubility: ≥ 2.5 mg/mL (5.32 mM); Clear solution					

BIOLOGICAL ACTIVITY

Description	Seralutinib (GB002) is an inhaled PDGFRα and PDGFRβ inhibitor. Seralutinib also targets to CSF1R and c-KIT with IC ₅₀ s of 8 nM and 14 nM, respectively. Seralutinib (GB002) is used in the study for pulmonary arterial hypertension ^{[1][2]} .			
IC ₅₀ & Target	PDGFRα	PDGFRβ	CSF1R 8 nM (IC ₅₀)	c-KIT 14 nM (IC ₅₀)
In Vivo	Seralutinib (GB002) (two-week treatment, delivered by inhalation) significantly reduces right ventricular systolic pressure			

and mean pulmonary artery pressure. Hemodynamic changes are accompanied by reduced pulmonary arteriole muscularization and restoration of BMPR2 protein expression in the lung lobes in Seralutinib (GB002)-treated animals. Seralutinib (GB002) is well tolerated^[1]. Seralutinib-mediated inhibition of lung PDGFR α / β phosphorylation in healthy Sprague Dawley rats immediately post inhalation^[2]. Seralutinib dose- and time-dependently induces lung BMPR2 protein expression^[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- Patent. US20220242943A1.

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REFERENCES

- [1]. Robert P. Frantz1, et.al. Phase 2 Clinical Study to Evaluate the Efficacy and Safety of Inhaled GB002 (Seralutinib) for the Treatment of World Health Organization Group 1 Pulmonary Arterial Hypertension
- [2]. Anna Galkin, et al. Abstract 11102: Gb002, A Novel Inhaled Pdgr Kinase Inhibitor, Demonstrates Efficacy in the Su5416 Hypoxia Rat Model of Pulmonary Arterial Hypertension (pah). Circulation. 2019;140:A11102.
- [3]. Anna Galkin, et al. Pharmacologic Characterization of GB002, a Novel Inhaled PDGFR Kinase Inhibitor in Development for Pulmonary Arterial Hypertension (PAH).

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

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