Seralutinib

Cat. No.: HY-109190

CAS No.: 1619931-27-9

Molecular Formula: $C_{27}H_{27}N_5O_3$

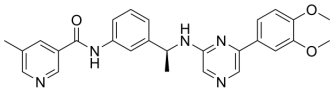
Molecular Weight: 469.53

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

Concentration \ Solvent \ 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	c-KIT
			8 nM (IC_{50})	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 PDGFRα/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 Pdgfr 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).

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