PRODUCT INFORMATION



Seralutinib

Item No. 37754

CAS Registry No.: 1619931-27-9

Formal Name: N-[3-[(1S)-1-[[6-(3,4-dimethoxyphenyl)-

2-pyrazinyl]amino]ethyl]phenyl]-5-

methyl-3-pyridinecarboxamide

Synonyms: GB002, PK 10571

MF: $C_{27}H_{27}N_5O_3$ FW: 469.5

Purity: ≥98% UV/Vis.: λ_{max} : 273 nm

Supplied as: A solid -20°C Storage: Stability: ≥4 years

Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

Seralutinib is supplied as a solid. A stock solution may be made by dissolving the seralutinib in the solvent of choice, which should be purged with an inert gas. Seralutinib is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF). The solubility of seralutinib in DMSO is approximately 25 mg/ml and approximately 10 mg/ml in ethanol and DMF.

Description

Seralutinib is an inhibitor of PDGFR, c-Kit, and colony stimulating factor 1 receptor (CSF1R). It inhibits PDGF-BB-induced phosphorylation of ERK in PDGFRα-dependent H1703 human lung epithelial cells, human pulmonary arterial smooth muscle cells (HPASMCs), which express PDGFRα and PDGFRβ, and human lung fibroblasts (HLFs), which express higher levels of PDGFR β than PDGFR α (IC₅₀s = 74, 49, and 62 nM, respectively). Seralutinib also inhibits stem cell factor-induced c-Kit autophosphorylation in human pulmonary endothelial cells (HPAECs) and M-CSF-induced CSF1R phosphorylation in human primary differentiated macrophages (IC50s = 7.8 and 14.4 nM, respectively). It inhibits the proliferation of H1703 cells and PDGF-BB-induced HPASMCs and HLFs (IC₅₀s = 32, 33, and 29 nM, respectively). Seralutinib (2.5 mg/kg) prevents increases in pulmonary artery systolic pressure in a rat model of pulmonary arterial hypertension induced by monocrotaline (Item No. 16666) pneumonectomy when administered via passive inhalation.

Reference

1. Galkin, A., Sitapara, R., Clemons, B., et al. Inhaled seralutinib exhibits potent efficacy in models of pulmonary arterial hypertension. Eur. Respir. J. 60(6), 2102356 (2022).

WARNING
THIS PRODUCT IS FOR RESEARCH ONLY - NOT FOR HUMAN OR VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

This material should be considered hazardous until further information becomes available. Do not ingest, inhale, get in eyes, on skin, or on clothing. Wash thoroughly after handling. Before use, the user must review the complete Safety Data Sheet, which has been sent via email to your institution.

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