

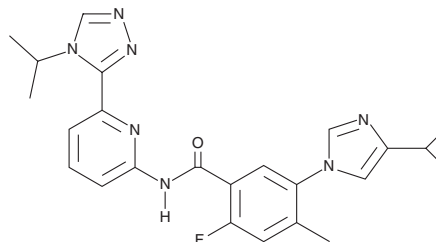
PRODUCT INFORMATION



Selonsertib

Item No. 20972

CAS Registry No.: 1448428-04-3
Formal Name: 5-(4-cyclopropyl-1H-imidazol-1-yl)-2-fluoro-4-methyl-N-[6-[4-(1-methylethyl)-4H-1,2,4-triazol-3-yl]-2-pyridinyl]-benzamide
Synonyms: GS-4977, GS-4997
MF: C₂₄H₂₄FN₇O
FW: 445.5
Purity: ≥95%
UV/Vis.: λ_{max}: 234, 297 nm
Supplied as: A crystalline solid
Storage: -20°C
Stability: ≥4 years



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

Laboratory Procedures

Selonsertib is supplied as a crystalline solid. A stock solution may be made by dissolving the selonsertib in the solvent of choice, which should be purged with an inert gas. Selonsertib is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF). The solubility of selonsertib in these solvents is approximately 1, 10, and 30 mg/ml, respectively.

Selonsertib is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, selonsertib should first be dissolved in DMF and then diluted with the aqueous buffer of choice. Selonsertib has a solubility of approximately 0.125 mg/ml in a 1:7 solution of DMF:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

Selonsertib is an inhibitor of apoptosis signal-regulating kinase 1 (ASK1; IC₅₀ = 5.01 nM).¹ It decreases apoptosis and stellate cell activation in an *in vitro* 3D-human liver microtissue model of non-alcoholic steatohepatitis (NASH) induced by palmitic acid (Item No. 10006627) when used at a concentration of 1 μM.² Selonsertib (10 μM) sensitizes KB-C2 epidermoid carcinoma cells, which endogenously express ATP-binding cassette family B member 1 (ABCB1), to doxorubicin (Item No. 15007) and paclitaxel (Item No. 10461).³ It reduces hepatic necrosis and increases in serum TNF-α, IL-6, and chemokine (C-C motif) ligand 2 (CCL2) levels in a mouse model of LPS/D-galactosamine-induced acute liver failure when administered at doses of 15, 30, or 60 mg/kg.⁴

References

1. Lanier, M., Pickens, J., Bigi, S.V., *et al.* Structure-based design of ASK1 inhibitors as potential agents for heart failure. *ACS Med. Chem. Lett.* **8(3)**, 316-320 (2017).
2. Mukherjee, S., Zhelnin, L., Sanfiz, A., *et al.* Development and validation of an *in vitro* 3D model of NASH with severe fibrotic phenotype. *Am. J. Transl. Res.* **11(3)**, 1531-1540 (2019).
3. Ji, N., Yang, Y., Cai, C.-Y., *et al.* Selonsertib (GS-4997), an ASK1 inhibitor, antagonizes multidrug resistance in ABCB1- and ABCG2-overexpressing cancer cells. *Cancer Lett.* **440-441**, 82-93 (2018).
4. Lou, G., Li, A., Cen, Y., *et al.* Selonsertib, a potential drug for liver failure therapy by rescuing the mitochondrial dysfunction of macrophage via ASK1-JNK-DRP1 pathway. *Cell Biosci.* **11(1)**, 9 (2021).

WARNING

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

SAFETY DATA

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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