

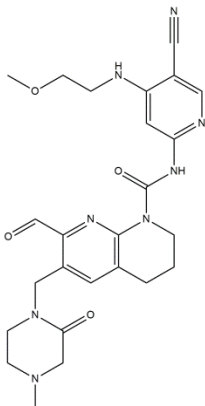
# Roblitinib

03/20

**ALTERNATE NAMES:** FGF401; N-[5-cyano-4-(2-methoxyethylamino)pyridin-2-yl]-7-formyl-6-[(4-methyl-2-oxopiperazin-1-yl)methyl]-3,4-dihydro-2H-1,8-naphthyridine-1-carboxamide; N-(5-cyano-4-((2-methoxyethyl)amino)pyridin-2-yl)-7-formyl-6-((4-methyl-2-oxopiperazin-1-yl)methyl)-3,4-dihydro-1,8-naphthyridine-1(2H)-carboxamide

**CATALOG #:** B3008-1 1 mg  
B3008-5 5 mg

**STRUCTURE:**



**MOLECULAR FORMULA:** C<sub>25</sub>H<sub>30</sub>N<sub>8</sub>O<sub>4</sub>

**MOLECULAR WEIGHT:** 506.6

**CAS NUMBER:** 1708971-55-4

**APPEARANCE:** Pale-yellow Solid

**PURITY:** >98%

**SOLUBILITY:** ~5 mg/ml in DMSO (gently warm and vortex)

**DESCRIPTION:** Roblitinib is a reversible-covalent inhibitor of fibroblast growth factor receptor 4 (FGFR4) tyrosine kinase. It shows 1000-fold selectivity for FGFR4 over FGFR1/2/3 and other kinases, with an IC<sub>50</sub> value of 1.9 nM against FGFR4. It shows potent antitumor activity in mice bearing Hepatocellular carcinoma (HCC) tumor xenografts and patient-derived tumor xenograft PDX models. It has entered phase I/II clinical trials to evaluate its safety and efficacy in HCC and other solid tumors. It leads to upregulation of bile acid synthesis and a subsequent increase in ALT expression in preclinical studies, which can be prevented by combination with the bile acid sequestrant cholestyramine.

**STORAGE TEMPERATURE:** -20°C. Store in the dark. Product is light sensitive. Protect from air. Store under desiccating conditions.

**HANDLING:** Do not take internally. Wear gloves and mask when handling the product! Avoid contact by all modes of exposure.

**REFERENCE:** Lu, X., Chen, H., Patterson, A.V., et al. Fibroblast Growth Factor Receptor 4 (FGFR4) Selective Inhibitors as Hepatocellular Carcinoma Therapy: Advances and Prospects. *J Med Chem.* 62(6):2905-2915 (2019).

**RELATED PRODUCTS:**

Theliatinib (Cat. No. B3004)  
 Zanutrutinib (Cat. No. B2512)  
 Savolitinib (Cat. No. B3006)  
 Merestinib (Cat. No. B3007)  
 Avitinib (Cat. No. B2995)

**DISCLAIMER:** *FOR RESEARCH USE ONLY! Not to be used on humans.*