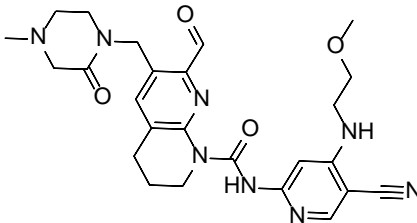


Product data sheet



MedKoo Cat#: 206533 Name: Roblitinib CAS#: 1708971-55-4 Chemical Formula: C ₂₅ H ₃₀ N ₈ O ₄ Exact Mass: 506.2390 Molecular Weight: 506.57	
Product supplied as:	Powder
Purity (by HPLC):	≥ 98%
Shipping conditions	Ambient temperature
Storage conditions:	Powder: -20°C 3 years; 4°C 2 years. In solvent: -80°C 3 months; -20°C 2 weeks.

1. Product description:

Roblitinib, also known as FGF401, is an inhibitor of human fibroblast growth factor receptor 4 (FGFR4) with potential antineoplastic activity. Upon administration, FGF401 binds to and inhibits the activity of FGFR4, which leads to an inhibition of tumor cell proliferation in FGFR4-overexpressing cells. FGFR4 is a receptor tyrosine kinase upregulated in certain tumor cells and involved in tumor cell proliferation, differentiation, angiogenesis, and survival.

2. CoA, QC data, SDS, and handling instruction

SDS and handling instruction, CoA with copies of QC data (NMR, HPLC and MS analytical spectra) can be downloaded from the product web page under “QC And Documents” section. Note: copies of analytical spectra may not be available if the product is being supplied by MedKoo partners. Whether the product was made by MedKoo or provided by its partners, the quality is 100% guaranteed.

3. Solubility data

Solvent	Max Conc. mg/mL	Max Conc. mM
DMSO	5.40	10.66
Chloroform	30.0	59.22

4. Stock solution preparation table:

Concentration / Solvent Volume / Mass	1 mg	5 mg	10 mg
1 mM	1.97 mL	9.87 mL	19.74 mL
5 mM	0.39 mL	1.97 mL	3.95 mL
10 mM	0.20 mL	0.99 mL	1.97 mL
50 mM	0.04 mL	0.20 mL	0.39 mL

5. Molarity Calculator, Reconstitution Calculator, Dilution Calculator

Please refer the product web page under section of “Calculator”

6. Recommended literature which reported protocols for in vitro and in vivo study

In vitro study

1. Huynh H, Prawira A, Le TBU, Vu TC, Hao HX, Huang A, Wang Y, Porta DG. FGF401 and vinorelbine synergistically mediate antitumor activity and vascular normalization in FGF19-dependent hepatocellular carcinoma. *Exp Mol Med.* 2020 Nov;52(11):1857-1868. doi: 10.1038/s12276-020-00524-4. Epub 2020 Nov 25. PMID: 33235319; PMCID: PMC8080677.

In vivo study

1. Huynh H, Prawira A, Le TBU, Vu TC, Hao HX, Huang A, Wang Y, Porta DG. FGF401 and vinorelbine synergistically mediate antitumor activity and vascular normalization in FGF19-dependent hepatocellular carcinoma. *Exp Mol Med.* 2020 Nov;52(11):1857-1868. doi: 10.1038/s12276-020-00524-4. Epub 2020 Nov 25. PMID: 33235319; PMCID: PMC8080677.

7. Bioactivity

Biological target: Roblitinib (FGF-401) is a FGFR4 inhibitor with an IC₅₀ of 1.9 nM.

In vitro activity

Product data sheet



FGF401 increased the percentage of cells in the G1 and sub-G1 phases with a concomitant decrease in the percentage of cells in the G2/M and S phases, suggesting that FGF401 causes G1 cell cycle arrest (Fig. 1c). The phosphorylation of FRS-2 α was assessed at Tyr436 and p-Erk1/2 as a measure of FGF19/FGFR-4 signaling activity. FGF19 stimulated the phosphorylation of FRS-2 α and the downstream signaling molecule Erk1/2; however, this was abolished when cells were pretreated with FGF401 for 24 h, suggesting the ability of FGF401 to inhibit the FRS-2 α /Erk1/2 pathway (Fig. 1d).

Reference: Exp Mol Med. 2020 Nov;52(11):1857-1868. <https://www.ncbi.nlm.nih.gov/pmc/articles/PMC8080677/>

In vivo activity

Treatment of mice bearing high FGF19-expressing HCC25-0705A tumors with 20, 30, and 40 mg/kg FGF401 twice a day led to 83.5%, 86.8, and 87% reductions in tumor burden, respectively ($p < 0.0001$, Fig. 1e). Moreover, FGF401 significantly reduced p-Histone H3 Ser10 and increased the proportion of cleaved PARP-positive cells in a dose-dependent manner (Fig. 1f). Moreover, the suppression of p-FRS-2 α and p-Erk1/2 and the increase in cleaved caspase 3 occurred within 3–12 h after drug administration (Supplementary Fig. 2a).

Reference: Exp Mol Med. 2020 Nov;52(11):1857-1868. <https://www.ncbi.nlm.nih.gov/pmc/articles/PMC8080677/>

Note: The information listed here was extracted from literature. MedKoo has not independently retested and confirmed the accuracy of these methods. Customer should use it just for a reference only.