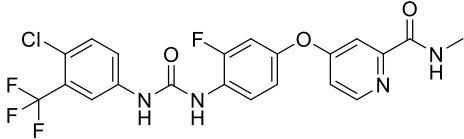


Product data sheet



MedKoo Cat#: 202436 Name: Regorafenib CAS#: 755037-03-7 Chemical Formula: C ₂₁ H ₁₅ ClF ₄ N ₄ O ₃ Exact Mass: 482.07688 Molecular Weight: 482.81541	
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:

Regorafenib, also known as BAY 73-4506; binds to and inhibits vascular endothelial growth factor receptors (VEGFRs) 2 and 3, and Ret, Kit, PDGFR and Raf kinases, which may result in the inhibition of tumor angiogenesis and tumor cell proliferation. Regorafenib demonstrated to increase the overall survival of patients with metastatic colorectal cancer.

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	128.67	266.50
DMF	30.0	62.14
Ethanol	14.0	30.0

4. Stock solution preparation table:

Concentration / Solvent Volume / Mass	1 mg	5 mg	10 mg
1 mM	2.07 mL	10.36 mL	20.71 mL
5 mM	0.41 mL	2.07 mL	4.14 mL
10 mM	0.21 mL	1.04 mL	2.07 mL
50 mM	0.04 mL	0.21 mL	0.41 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

- Liu YC, Tsai JJ, Weng YS, Hsu FT. Regorafenib suppresses epidermal growth factor receptor signaling-modulated progression of colorectal cancer. *Biomed Pharmacother.* 2020 Aug;128:110319. doi: 10.1016/j.biopha.2020.110319. Epub 2020 Jun 2. PMID: 32502841.
- Subramonian D, Phanhtilath N, Rinehardt H, Flynn S, Huo Y, Zhang J, Messer K, Mo Q, Huang S, Lesperance J, Zage PE. Regorafenib is effective against neuroblastoma in vitro and in vivo and inhibits the RAS/MAPK, PI3K/Akt/mTOR and Fos/Jun pathways. *Br J Cancer.* 2020 Aug;123(4):568-579. doi: 10.1038/s41416-020-0905-8. Epub 2020 May 27. PMID: 32457362; PMCID: PMC7434894.

In vivo study

- Ou DL, Chen CW, Hsu CL, Chung CH, Feng ZR, Lee BS, Cheng AL, Yang MH, Hsu C. Regorafenib enhances antitumor immunity via inhibition of p38 kinase/Creb1/Klf4 axis in tumor-associated macrophages. *J Immunother Cancer.* 2021 Mar;9(3):e001657. doi: 10.1136/jitc-2020-001657. PMID: 33753566; PMCID: PMC7986673.

Product data sheet



- Li X, Ma L, Huang K, Wei Y, Long S, Liu Q, Zhang D, Wu S, Wang W, Yang G, Zhou H, Yang C. Regorafenib-Attenuated, Bleomycin-Induced Pulmonary Fibrosis by Inhibiting the TGF- β 1 Signaling Pathway. *Int J Mol Sci.* 2021 Feb 17;22(4):1985. doi: 10.3390/ijms22041985. PMID: 33671452; PMCID: PMC7922359.

7. Bioactivity

Biological target:

Regorafenib (BAY 73-4506) is a multi-targeted receptor tyrosine kinase inhibitor with IC50s of 13/4.2/46, 22, 7, 1.5 and 2.5 nM for VEGFR1/2/3, PDGFR β , Kit, RET and Raf-1, respectively.

In vitro activity

Regorafenib acts as an inhibitor of EGFR signaling that attenuated the activation of EGFR and EGFR related downstream signaling cascades in colorectal cancer. This study's results suggested that the suppression of EGFR signaling was associated with regorafenib-inhibited progression of colorectal cancer.

Reference: *Biomed Pharmacother.* 2020 Aug;128:110319. <https://pubmed.ncbi.nlm.nih.gov/32502841/>

In vivo activity

This study found that regorafenib may enhance antitumor immunity through modulation of macrophage polarization, independent of its anti-angiogenic effects. Optimization of regorafenib dosage for rational design of combination therapy regimen may improve the therapeutic index in the clinic.

Reference: *J Immunother Cancer.* 2021; 9(3): e001657. <https://www.ncbi.nlm.nih.gov/pmc/articles/PMC7986673/>

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.