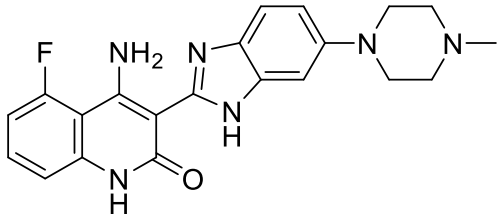
Product data sheet

MedKoo Cat#: 206984 Name: Dovitinib free base CAS: 405169-16-6 (free base) Chemical Formula: $C_{21}H_{21}FN_6O$ Exact Mass: 392.1761 Molecular Weight: 392.4384	
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:

Dovitinib, also known as TKI-258 or CHIR-258, is an orally bioavailable FGFR3 inhibitor, which strongly binds to fibroblast growth factor receptor 3 (FGFR3) and inhibits its phosphorylation, which may result in the inhibition of tumor cell proliferation and the induction of tumor cell death. In addition, this agent may inhibit other members of the RTK superfamily, including the vascular endothelial growth factor receptor; fibroblast growth factor receptor 1; platelet-derived growth factor receptor type 3; FMS-like tyrosine kinase 3; stem cell factor receptor (c-KIT); and colony-stimulating factor receptor 1; this may result in an additional reduction in cellular proliferation and angiogenesis, and the induction of tumor cell apoptosis.

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
DMF	5.0	0.51
DMSO	21.33	54.36

4. Stock solution preparation table:

Concentration / Solvent Volume / Mass	1 mg	5 mg	10 mg
1 mM	2.55 mL	12.74 mL	25.48 mL
5 mM	0.51 mL	2.55 mL	5.10 mL
10 mM	0.25 mL	1.27 mL	2.55 mL
50 mM	0.05 mL	0.25 mL	0.51 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. Chon HJ, Lee Y, Bae KJ, Byun BJ, Kim SA, Kim J. Traf2- and Nck-interacting kinase (TNIK) is involved in the anti-cancer mechanism of dovitinib in human multiple myeloma IM-9 cells. Amino Acids. 2016 Jul;48(7):1591-9. doi: 10.1007/s00726-016-2214-3. Epub 2016 Mar 19. PMID: 26995282.
2. Lee Y, Bae KJ, Chon HJ, Kim SH, Kim SA, Kim J. A Receptor Tyrosine Kinase Inhibitor, Dovitinib (TKI-258), Enhances BMP-2-Induced Osteoblast Differentiation In Vitro. Mol Cells. 2016 May 31;39(5):389-94. doi: 10.14348/molcells.2016.2300. Epub 2016 Mar 30. PMID: 27025387; PMCID: PMC4870186.

In vivo study

1. Huynh H, Chow PK, Tai WM, Choo SP, Chung AY, Ong HS, Soo KC, Ong R, Linnartz R, Shi MM. Dovitinib demonstrates antitumor and antimetastatic activities in xenograft models of hepatocellular carcinoma. J Hepatol. 2012 Mar;56(3):595-601. doi: 10.1016/j.jhep.2011.09.017. Epub 2011 Oct 23. PMID: 22027573.

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2. Trudel S, Li ZH, Wei E, Wiesmann M, Chang H, Chen C, Reece D, Heise C, Stewart AK. CHIR-258, a novel, multitargeted tyrosine kinase inhibitor for the potential treatment of t(4;14) multiple myeloma. Blood. 2005 Apr 1;105(7):2941-8. doi: 10.1182/blood-2004-10-3913. Epub 2004 Dec 14. PMID: 15598814.

7. Bioactivity

Biological target:

Dovitinib (CHIR-258) is an orally active, potent multi-targeted tyrosine kinase (RTK) inhibitor with IC_{50}s of 1, 2, 36, 8/9, 10/13/8, 27/210 nM for FLT3, c-Kit, CSF-1R, FGFR1/FGFR3, VEGFR1/VEGFR2/VEGFR3 and PDGFRα/PDGFRβ, respectively.

In vitro activity

Dovitinib also induced caspase-dependent apoptosis in IM-9 cells without significant cytotoxicity in PBMCs. These results provide new evidence that TNIK may be involved in the proliferation of multiple myeloma IM-9 cells and in the anti-cancer activity of dovitinib via inhibition of the endogenous Wnt signaling pathway.

Reference: Amino Acids. 2016 Jul;48(7):1591-9. https://pubmed.ncbi.nlm.nih.gov/26995282/

In vivo activity

In an orthotopic model, dovitinib potently inhibited primary tumor growth and lung metastasis and significantly prolonged mouse survival. Dovitinib demonstrated significant antitumor and antimetastatic activities in HCC xenograft models.

Reference: J Hepatol. 2012 Mar;56(3):595-601. https://pubmed.ncbi.nlm.nih.gov/22027573/

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.