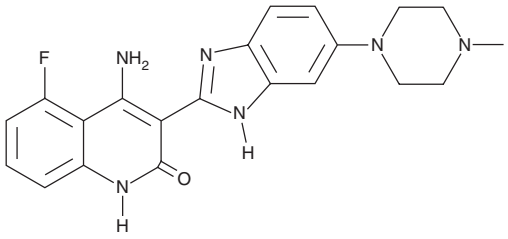
PRODUCT INFORMATION

Dovitinib

Item No. 15220

CAS Registry No.:	405169-16-6
Formal Name:	4-amino-5-fluoro-3-[6-(4-methyl-1-piperazinyl)-1H-benzimidazol-2-yl]-2(1H)-quinolinone
Synonyms:	CHIR258, TKI-258
MF:	$C_{21}H_{21}FN_6O$
FW:	392.4
Purity:	≥98%
UV/Vis.:	λ_{max}: 232, 290, 368 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

Dovitinib is supplied as a crystalline solid. A stock solution may be made by dissolving the dovitinib in the solvent of choice, which should be purged with an inert gas. Dovitinib is soluble in organic solvents such as DMSO and dimethyl formamide. The solubility of dovitinib in these solvents is approximately 5 mg/ml.

Description

Dovitinib is a multi-kinase inhibitor.[1] It inhibits the receptor tyrosine kinases FLT3, CSF1R, and c-Kit (IC_{50}s = 1, 36, and 2 nM, respectively), as well as FGFR1, FGFR3, VEGFR1-3, PDFGRα, and PDGFRβ (IC_{50}s = 8, 9, 10, 13, 8, 27, and 210 nM, respectively). Dovitinib inhibits proliferation of human multiple myeloma cell lines expressing mutant, but not wild-type, FGFR3 (IC_{50}s = 90-550 and >2,500 nM, respectively). It decreases FGF-induced ERK1/2 phosphorylation and induces apoptosis in patient-derived multiple myeloma cells when used at a concentration of 500 nM. Dovitinib (3-300 mg/kg for eight days) inhibits bFGF-induced angiogenesis in a Matrigel™ plug assay in mice.[2] It reduces tumor growth in KM12L4A colon, DU145 prostate, and MV4-11 acute myelogenous leukemia mouse xenograft models with ED_{50} values of 17, 23, and 3 mg/kg per day, respectively.

References

1. Trudel, S., Li, Z.H., Wei, E., *et al.* CHIR-258, a novel, multitargeted tyrosine kinase inhibitor for the potential treatment of t(4;14) multiple myeloma. *Blood* **105(7),** 2941-2948 (2005).
2. Renhowe, P.A., Pecchi, S., Shafer, C.M., *et al.* Design, structure-activity relationships and in vivo characterization of 4-amino-3-benzimidazol-2-ylhydroquinolin-2-ones: A novel class of receptor tyrosine kinase inhibitors. *J. Med. Chem.* **52(2)**, 278-292 (2009).

CAYMAN CHEMICAL
1180 EAST ELLSWORTH RD
ANN ARBOR, MI 48108 · USA
PHONE: [800] 364-9897
[734] 971-3335
FAX: [734] 971-3640
CUSTSERV@CAYMANCHEM.COM
WWW.**CAYMANCHEM**.COM