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Zuschläge

- Mindermengenzuschlag
- Trockeneiszuschlag
- Gefahrgutzuschlag
- Expressversand

SZABO-SCANDIC HandelsgmbH

Quellenstraße 110, A-1100 Wien

T. +43(0)1 489 3961-0

F. +43(0)1 489 3961-7

mail@szabo-scandic.com

www.szabo-scandic.com

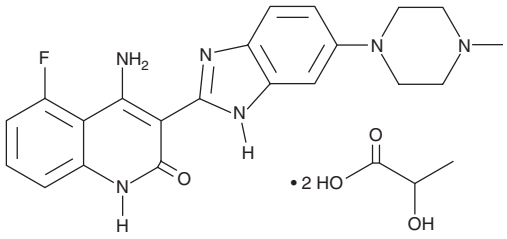
linkedin.com/company/szaboscandic

PRODUCT INFORMATION

Dovitinib (lactate)

Item No. 41394

CAS Registry No.: 852433-84-2
Formal Name: 2-hydroxy-propanoic acid, compd. with 4-amino-5-fluoro-3-[6-(4-methyl-1-piperazinyl)-1H-benzimidazol-2-yl]-2(1H)-quinolinone (2:1)
Synonyms: CHIR258, TKI-258
MF: $C_{21}H_{21}FN_6O \bullet 2C_3H_6O_3$
FW: 572.6
Purity: ≥98%
Supplied as: A 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 (lactate) is supplied as a solid. A stock solution may be made by dissolving the dovitinib (lactate) in the solvent of choice, which should be purged with an inert gas. Dovitinib (lactate) is slightly soluble (0.1-1 mg/ml) in DMSO.

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 the 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