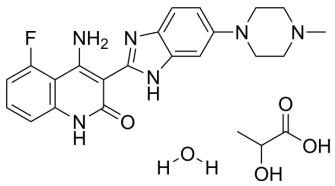
Dovitinib lactate hydrate

Cat. No.:	HY-B0062
CAS No.:	915769-50-5
Molecular Formula:	$C_{24}H_{29}FN_6O_5$
Molecular Weight:	500.52
Target:	FLT3; c-Kit; FGFR; VEGFR; PDGFR
Pathway:	Protein Tyrosine Kinase/RTK
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description	Dovitinib lactate hydrate (TKI258 lactate hydrate) is a multi-targeted tyrosine kinase inhibitor with IC_{50}s of 1, 2, 8/9, 10/13/8, 27/210 nM for FLT3, c-Kit, FGFR1/3, VEGFR1/2/3 and PDGFRα/β, respectively[1].

IC_{50} & Target

FLT3 1 nM (IC_{50})	c-Kit 2 nM (IC_{50})	FGFR1 8 nM (IC_{50})	FGFR3 9 nM (IC_{50})
VEGFR1 1 nM (IC_{50})	VEGFR3 8 nM (IC_{50})	VEGFR2 13 nM (IC_{50})	PDGFRα 27 nM (IC_{50})
PDGFRβ 210 nM (IC_{50})			

In Vitro

Dovitinib potently inhibits the FGF-stimulated growth of WT and F384L-FGFR3-expressing B9 cells with IC_{50} values of 25 nM. B9-MINV cells are resistant to the inhibitory activity of Dovitinib at concentrations up to 1 μM. Dovitinib inhibits cell proliferation of KMS11 (FGFR3-Y373C), OPM2 (FGFR3-K650E), and KMS18 (FGFR3-G384D) cells with IC_{50} of values of 90 nM (KMS11 and OPM2) and 550 nM, respectively[1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

In Vivo

Dovitinib (10 mg/kg, 30 mg/kg, 60 mg/kg, p.o.) shows significant antitumor effect in the KMS11-bearing mice model, and the growth inhibition is 48%, 78.5%, and 94% in the 10 mg/kg, 30 mg/kg, and 60 mg/kg treatment arms, respectively, compared with the placebo-treated mice[1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- Sci Transl Med. 2018 Jul 18;10(450):eaaq1093.
- Theranostics. 2018 Jul 30;8(15):4262-4278.
- NPJ Precis Oncol. 2021 Jul 16;5(1):66.
- Front Cell Dev Biol. 2020 May 7;8:287.

- Biochemistry for Health, NOVA University of Lisbon. 2019 Jul.

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REFERENCES

[1]. Trudel S, et al. CHIR-258, a novel, multitargeted tyrosine kinase inhibitor for the potential treatment of t(4;14) multiple myeloma. Blood. 2005, 105(7), 2941-2948.

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