Product Data Sheet

Product Name: Dovitinib (TKI258) Lactate Hydrate
Cat. No.: GC10165

Chemical Properties

Cas. No.	915769-50-5		
Chemical Name	4-amino-5-fluoro-3-(6-(4-methylpiperazin-1-yl)-1H-benzo[d]imidazol-2-yl)quinolin-2(1H)-one 2-hydroxypropanoate hydra		
SMILES	FC1=C(C(N)=C(C2=O)C3=NC4=C(N3)C=C(N5CCN(C)CC5)C=C4)C(N2)=CC=C1.CC(O)C(O)=O.O		
Formula	$C_{24}H_{29}FN_6O_5$	M.Wt	500.52
Solubility	≥ 168.2mg/mL in Water	Storage	Store at -20°C
General tips	For obtaining a higher solubility , please warm the tube at 37 ℃ and shake it in the ultrasonic bath for a while.Stock solution can be stored below -20℃ for several months.		
Shipping Condition	Evaluation sample solution : ship with blue ice All other available size: ship with RT , or blue ice upon request.		
Structure	Dovitinib (TKI258) Lactate Hydrate		

Background

Description:

IC50: ~10 nmol/L for FGFR1–3

Fibroblast growth factor receptor 1 (FGFR1) and FGFR2 amplifications are observed in approximately 10% of breast cancers and are related to poor outcomes. Dovitinib (TKI258) is an oral tyrosine kinase inhibitor (TKI) against FGFR1–3, VEGFR1–3, and platelet-derived growth factor receptor (PDGFR).

In vitro: Dovitinib decreased the concentrations of pFRS2 and pERK/MAPK in a dose-dependent manner in FGFR1 amplified and FGFR2 amplified cell lines. The IC50 for cell growth inhibition was 190 and 180 nmol/L in MDA-MB-134 and SUM52, respectively. Conversely, IC50 values were more than 2,000 nmol/L in the 11 breast cancer cell lines that had neither FGFR1 nor FGFR2 amplification [1].

In vivo: In vivo model (HBCx-2 breast cancer primary xenograft, with 8 FGFR1 gene copies), dovitinib prevented tumor growth at the 30 mg/kg dose and caused tumor regression at the 50 mg/kg dose. Similarly, dovitinib caused tumor regression in HBCx-3 xenografts when administered at a dose of 40 mg/kg daily until day 35 [1].

Clinical trial: Eighty-one patients were enrolled in the trial. Unconfirmed response or stable disease for over 6 months was observed in 5 and 1 patient(s) with FGFR1-amplified/HR-positive and FGFR1-nonamplified/HR-positive breast cancer. When qPCR-identified amplifications in FGFR1, FGFR2, or FGF3 were grouped to define an FGF pathway–amplified breast cancer in HR-positive patients, the mean reduction in target lesions was 21.1% compared with a 12.0% increase in patients that did not present with FGF pathway–amplified breast cancer [1].

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Reference:
[1] André F, Bachelot T, Campone M, Dalenc F, Perez-Garcia JM, Hurvitz SA, Turner N, Rugo H, Smith JW, Deudon S, Shi M, Zhang Y, Kay A, Porta DG, Yovine A, Baselga J. Targeting FGFR with dovitinib (TKI258): preclinical and clinical data in breast cancer. Clin Cancer Res. 2013 Jul 1;19(13):3693-702.

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