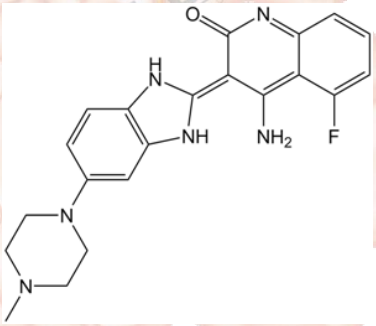
Product Data Sheet

Dovitinib (TKI-258, CHIR-258)

Cat. No.: A2168
CAS No.: 405169-16-6
Formula: C21H21FN6O
M.Wt: 392.43
Synonyms:
Target: Tyrosine Kinase
Pathway: FGFR
Storage: Store at -20°C



Solvent & Solubility

insoluble in H2O; insoluble in EtOH; ⩾36.35 mg/mL in DMSO

In Vitro — Preparing Stock Solutions

Concentration \ Mass / Solvent	1mg	5mg	10mg
1 mM	2.5482 mL	12.7411 mL	25.4823 mL
5 mM	0.5096 mL	2.5482 mL	5.0965 mL
10 mM	0.2548 mL	1.2741 mL	2.5482 mL

Please refer to the solubility information to select the appropriate solvent.

Biological Activity

Shortsummary	Multitargeted RTK inhibitor
IC_{50} & Target	1 nM (FLT3), 2 nM (c-Kit), 8 nM (FGFR1), 8 nM (VEGFR3/FLT4), 9 nM (FGFR3), 10 nM (VEGFR1/FLT1)

In Vitro

Cell Viability Assay

Cell Line:	Human multiple myeloma (MM) cell lines and B9 cells
Preparation method:	The solubility of this compound in DMSO is >36.4mg/mL. General tips for obtaining a higher concentration: Please warm the tube at 37 °C for 10 minutes and/or shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.
Reacting conditions:	100 nM CHIR-258; 48-96 h.

	Applications:	Dovitinib is a receptor tyrosine kinases inhibitor. Dovitinib selectively inhibits the growth of human myeloma cell lines and B9 cells expressing wild-type (WT) or activated mutant FGFR3. Dovitinib also causes cytostatic and cytotoxic effects and inhibits downstream extracellular signal-regulated kinase (ERK) 1/2 phosphorylation.
In Vivo	**Animal experiment**	
	Animal models:	6- to 8-week-old female BNX mice bearing 3 ×107 KMS11 cells.
	Dosage form:	10, 30, or 60 mg/kg for 21 days by gavage.
	Applications:	Dovitinib causes antitumor effect and inhibits tumor growths by 48%, 78.5%, and 94% in the 10 mg/kg, 30 mg/kg, and 60 mg/kg treatment arms, respectively. Weight loss, as a marker of significant toxicity, is not observed in any of the treatment groups. Dovitinib completely inhibits FGFR3 at the 60 mg/kg dose. CHIR-258 induces both cytostatic and cytotoxic responses.
	Preparation method:	Dissolved in dimethyl sulfoxide (DMSO) at a stock concentration of 20 mM. For animal experiments: formulated in 5 mM citrate buffer.
	Other notes:	Please test the solubility of all compounds indoor, and the actual solubility may slightly differ with the theoretical value. This is caused by an experimental system error and it is normal.

Product Citations

1. Korbee CJ, Heemskerk MT, et al. "Combined chemical genetics and data-driven bioinformatics approach identifies receptor tyrosinekinase inhibitors as host-directed antimicrobials." Nat Commun. 2018 Jan 24;9(1):358.PMID:29367740

2. Shin WS, Hong Y, et al. "Catalytically defective receptor protein tyrosine kinase PTK7 enhances invasive phenotype by inducing MMP-9 through activation of AP-1 and NF-κB in esophageal squamous cell carcinoma cells."Oncotarget. 2016 Nov 8;7(45):73242-73256.PMID:27689325

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References

[1]. Trudel S, Li ZH, Wei E, 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.

Caution

FOR RESEARCH PURPOSES ONLY.

NOT FOR HUMAN, VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

Specific storage and handling information for each product is indicated on the product datasheet. Most APExBIO products are stable under the recommended conditions. Products are sometimes shipped at a temperature that differs from the recommended storage temperature. Shortterm storage of many products are stable in the short-term at temperatures that differ from that required for

long-term storage. We ensure that the product is shipped under conditions that will maintain the quality of the reagents. Upon receipt of the product, follow the storage recommendations on the product data sheet.

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