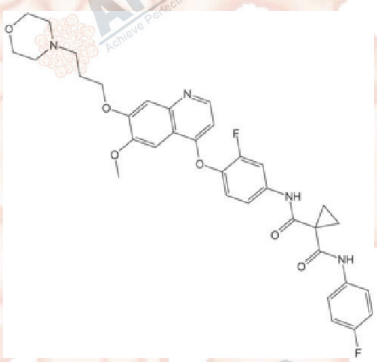
Product Data Sheet

Foretinib (GSK1363089)

Cat. No.:	A2974
CAS No.:	849217-64-7
Formula:	C34H34F2N4O6
M.Wt:	632.65
Synonyms:	
Target:	Tyrosine Kinase
Pathway:	VEGFR
Storage:	Store at -20°C



Solvent & Solubility

In Vitro

≥31.65 mg/mL in DMSO; insoluble in H2O; insoluble in EtOH

Preparing Stock Solutions

Mass / Solvent / Concentration	1mg	5mg	10mg
1 mM	1.5807 mL	7.9033 mL	15.8065 mL
5 mM	0.3161 mL	1.5807 mL	3.1613 mL
10 mM	0.1581 mL	0.7903 mL	1.5807 mL

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

Biological Activity

Shortsummary	VEGF and HGF receptor inhibitor
IC_{50} & Target	0.4 nM (Met), 0.9 nM (KDR), 1.1 nM (Tie-2), 2.8 nM (VEGFR3/FLT4), 3 nM (RON)

In Vitro

Cell Viability Assay

Cell Line:	SK-HEP1 cells
Preparation method:	The solubility of this compound in DMSO is >10 mM. 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:	1 μM, 48 hours for cell number inhibition 1 μM, 24 hours for cell motility

		inhibition and cell cycle arrest
	Applications:	Treatment of SK-HEP1 cells with 0.25, 05, 1 and 1.5 μM foretinib resulted in 30, 60, 68 and 70% reduction in cell number, respectively when analyzed on day 2. Maximal inhibition was observed at approximately 1 μM. Foretinib also blocked HGF-induced cell motility and caused G2/M phase arrest with reduction in the G0/G1 and S phases.
In Vivo	**Animal experiment**	
	Animal models:	Female athymic nude mice injected with SKOV3ip1 or HeyA8 cells
	Dosage form:	Oral administration, 30 mg/kg, 6 days/week for 21 days (SKOV3ip1)Oral administration, 30 mg/kg, 6 days/week for 16 days (HeyA8)
	Applications:	In the SKOV3ip1 xenograft model, Foretinib reduced the number of metastatic tumor nodules (30 mg/kg: 67% inhibition) and tumor weight (30 mg/kg: 86% inhibition) in a dose-dependent fashion. Similar effects were also seen in a second xenograft model by HeyA8 cells in reduction of tumor weight (30 mg/kg: 71% inhibition).
	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. Shih CH, Chang YJ, et al. "EZH2-mediated upregulation of ROS1 oncogene promotes oral cancer metastasis." Oncogene. 2017 Nov 23;36(47):6542-6554.PMID:28759046

See more customer validations on www.apexbt.com.

References

[1] Huynh H, Ong R, Soo K C. Foretinib demonstrates anti-tumor activity and improves overall survival in preclinical models of hepatocellular carcinoma. Angiogenesis, 2012, 15(1): 59-70.

[2] Zillhardt M, Park S M, Romero I L, et al. Foretinib (GSK1363089), an orally available multikinase inhibitor of c-Met and VEGFR-2, blocks proliferation, induces anoikis, and impairs ovarian cancer metastasis. Clinical Cancer Research, 2011, 17(12): 4042-4051.

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.

APExBIO Technology
www.apexbt.com
7505 Fannin street, Suite 410, Houston, TX 77054.
Tel: +1-832-696-8203 | Fax: +1-832-641-3177 | Email: info@apexbt.com