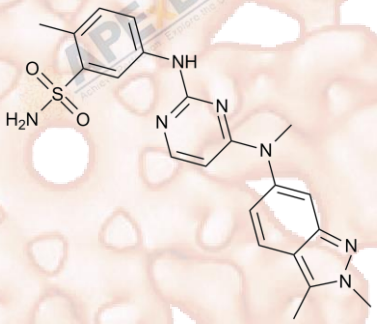
Product Data Sheet

Pazopanib (GW-786034)



Cat. No.:	A3022
CAS No.:	444731-52-6
Formula:	C21H23N7O2S
M.Wt:	437.52
Synonyms:	Pazopanib, Votrient, GW786034B, GW 786034, GW-786034
Target:	Tyrosine Kinase
Pathway:	PDGFR
Storage:	Desiccate at -20°C

Solvent & Solubility

In Vitro

insoluble in EtOH; insoluble in H2O; ≥10.95 mg/mL in DMSO

Preparing Stock Solutions

Mass / Solvent / Concentration	1mg	5mg	10mg
1 mM	2.2856 mL	11.4280 mL	22.8561 mL
5 mM	0.4571 mL	2.2856 mL	4.5712 mL
10 mM	0.2286 mL	1.1428 mL	2.2856 mL

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

Biological Activity

Shortsummary	VEGFR/PDGFR/FGFR inhibitor
IC_{50} & Target	10 nM (VEGFR1), 30 nM (VEGFR2), 47 nM (VEGFR3), 84 nM (PDGFR), 74 nM (FGFR)

In Vitro

Cell Viability Assay

Cell Line:	Primary human brain microvascular endothelial cells (HBMEC)
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:	IC50: 2 μM, 48 hours
	Applications:	The IC50 for pazopanib for anchorage-dependent growth was 2 μM and 1 μM after 48 h and 72 h, respectively. Pazopanib abrogated the phosphorylation of VEGFR2 with disruption of downstream PLCγ1. It also disrupted the Ras-Raf-ERK pathway through decreased phosphorylated MEK1/2 and ERK1/2 and affected the phosphorylation of 70S6K. Our findings confirmed that pazopanib targeted endothelial cells, affecting cell growth, VEGFR-induced signaling, and tube formation.
In Vivo	**Animal experiment**	
	Animal models:	Immune-deficient beige-nude-xid (BNX) mice injected with MM.1S cells
	Dosage form:	Oral administration, 30 mg/kg and 100 mg/kg, daily for five weeks
	Applications:	Tumor growth in treated mice was significantly delayed (30 mg/kg) or almost totally inhibited (100 mg/kg) compared with the control group. However, tumors rapidly regrew after cessation of treatment at day 30. Using Kaplan–Meier and log-rank analysis, the mean overall survival (OS) was 20 days in the control cohort versus 41 days and 51 days in groups treated with 30 mg/kg and 100 mg/kg pazopanib, respectively. Statistically significant prolongation in mean OS compared with control mice was observed in animals treated with 30 mg/kg and 100 mg/kg. Importantly, treatment with either the vehicle alone or pazopanib did not affect body weight.
	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

See more customer validations on www.apexbt.com.

References

[1] Gril B, Palmieri D, Qian Y, et al. Pazopanib reveals a role for tumor cell B-Raf in the prevention of HER2+ breast cancer brain metastasis. Clinical Cancer Research, 2011, 17(1): 142-153.

[2] Podar K, Tonon G, Sattler M, et al. The small-molecule VEGF receptor inhibitor pazopanib (GW786034B) targets both tumor and endothelial cells in multiple myeloma. Proceedings of the National Academy of Sciences, 2006, 103(51): 19478-19483.

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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