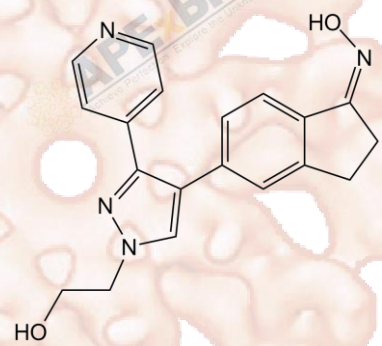
Product Data Sheet

GDC-0879



Cat. No.:	A5071
CAS No.:	905281-76-7
Formula:	C19H18N4O2
M.Wt:	334.37
Synonyms:	
Target:	MAPK Signaling
Pathway:	Raf
Storage:	Store at -20°C

Solvent & Solubility

In Vitro

insoluble in EtOH; insoluble in H2O; ⩾16.7 mg/mL in DMSO

Preparing Stock Solutions

Mass / Solvent / Concentration	1mg	5mg	10mg
1 mM	2.9907 mL	14.9535 mL	29.9070 mL
5 mM	0.5981 mL	2.9907 mL	5.9814 mL
10 mM	0.2991 mL	1.4953 mL	2.9907 mL

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

Biological Activity

Shortsummary	B-Raf inhibitor,potent and selective
IC_{50} & Target	0.13 nM (B-Raf)

In Vitro

Cell Viability Assay

Cell Line:	Human melanoma A375 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:	24 h, 10 μM

	Applications:	GDC-0879 is a potent, selective and orally bioavailable RAF small-molecule inhibitor. GDC-0879 effectively inhibited phospho-ERK with an IC50 value of 63 nmol/L and inhibited cellular viability of BRAF-mutant Malme3M cells with an EC50 value of 0.75 μmol/L. Moreover, there was a strong correlation between activating mutations of the BRAF oncogene and GDC-0879 sensitivity.
In Vivo	**Animal experiment**	
	Animal models:	Tumor xenograft female athymic nu/nu mice
	Dosage form:	Oral administration, 15, 25, 50, 100, and 200 mg/kg
	Applications:	GDC-0879 inhibited tumor growth in A375 xenograft tumor-bearing mice in a dose-dependent manner and inhibited phosphorylation of MEK1 in A375 xenografts in a concentration-dependent manner with IC50 value of 3.06 μM.
	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. Sieber J, Wieder N, et al. "GDC-0879, a BRAF(V600E) Inhibitor, Protects Kidney Podocytes fromDeath." Cell Chem Biol. 2017 Dec 6.PMID:29249695

See more customer validations on www.apexbt.com.

References

[1]. Hoeflich K P, Herter S, Tien J, et al. Antitumor efficacy of the novel RAF inhibitor GDC-0879 is predicted by BRAFV600E mutational status and sustained extracellular signal-regulated kinase/mitogen-activated protein kinase pathway suppression[J]. Cancer research, 2009, 69(7): 3042-3051.

[2]. Wong H, Belvin M, Herter S, et al. Pharmacodynamics of 2-{4-[(1E)-1-(hydroxyimino)-2, 3-dihydro-1H-inden-5-yl]-3-(pyridine-4-yl)-1H-pyrazol-1-yl} ethan-1-ol (GDC-0879), a potent and selective B-Raf kinase inhibitor: understanding Relationships between systemic concentrations, phosphorylated mitogen-activated protein kinase kinase 1 inhibition, and efficacy[J]. Journal of Pharmacology and Experimental Therapeutics, 2009, 329(1): 360-367.

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