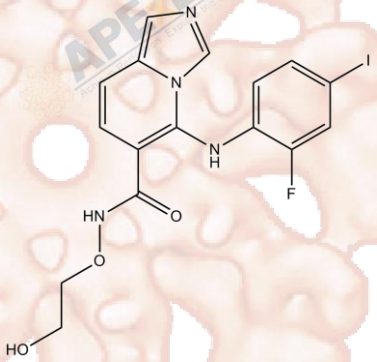
Product Data Sheet

GDC-0623

Cat. No.:	B1135
CAS No.:	1168091-68-6
Formula:	C16H14FIN4O3
M.Wt:	456.21
Synonyms:	
Target:	MAPK Signaling
Pathway:	MEK1/2
Storage:	Store at -20°C



Solvent & Solubility

In Vitro

insoluble in H2O; ⩾16.85 mg/mL in DMSO; ⩾2.69 mg/mL in EtOH with ultrasonic

Preparing Stock Solutions

Solvent / Mass / Concentration	1mg	5mg	10mg
1 mM	2.1920 mL	10.9599 mL	21.9197 mL
5 mM	0.4384 mL	2.1920 mL	4.3839 mL
10 mM	0.2192 mL	1.0960 mL	2.1920 mL

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

Biological Activity

Shortsummary	MEK1 inhibitor, potent and ATP-uncompetitive
IC_{50} & Target	0.13 nM (Ki) (MEK1)

In Vitro

Cell Viability Assay

Cell Line:	KRAS mutant HCT116 or SW620 cells
Preparation method:	Soluble in DMSO. 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:	0.01-0.15 μmol/L; 3h-48 h;

	Applications:	GDC-0623 dose- and time-dependently up-regulated the pro-apoptotic BH3-only protein BIM in HCT116 cells or KRAS mutant HCT116 or SW620 cells. GDC-0623 inhibited cellular proliferation with EC50 values of 4 nM, 53 nM, 11 nM, 18 nM and 94 nM for A375 (BRAFV600E), HCT116 (KRASG13D), COLO 205, HT-29, and HCT116 cells, respectively.
In Vivo	**Animal experiment**	
	Animal models:	Mice bearing A375, MiaPaCa-2, and HCT116 xenografts
	Dosage form:	40 mg/kg, oral gavage (PO), by mouth once a day, for 20 days
	Applications:	GDC-0623 showed tumour growth inhibition of 120% and 115% in MiaPaCa-2 and HCT116 xenografts tumour models, respectively.
	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. White SM, Avantaggiati ML, et al. "YAP/TAZ Inhibition Induces Metabolic and Signaling Rewiring Resulting in Targetable Vulnerabilities in NF2-Deficient Tumor Cells." Dev Cell. 2019 May 6;49(3):425-443.e9.PMID:31063758

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References

1. Hatzivassiliou, G., Haling, J. R., Chen, H., Song, K., Price, S., Heald, R., Hewitt, J. F., Zak, M., Peck, A., Orr, C., Merchant, M., Hoeflich, K. P., Chan, J., Luoh, S. M., Anderson, D. J., Ludlam, M. J., Wiesmann, C., Ultsch, M., Friedman, L. S., Malek, S. and Belvin, M. (2013) Mechanism of MEK inhibition determines efficacy in mutant KRAS- versus BRAF-driven cancers. Nature. 501, 232-236

2. Zaanan, A., Okamoto, K., Kawakami, H., Khazaie, K., Huang, S. and Sinicrope, F. A. (2015) The Mutant KRAS Gene Up-regulates BCL-XL Protein via STAT3 to Confer Apoptosis Resistance That Is Reversed by BIM Protein Induction and BCL-XL Antagonism. J Biol Chem. 290, 23838-23849

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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