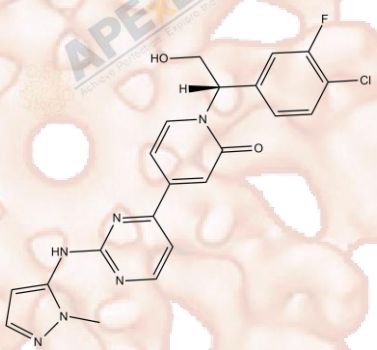
Product Data Sheet

GDC-0994

Cat. No.:	B5817
CAS No.:	1453848-26-4
Formula:	C21H18ClFN6O2
M.Wt:	440.86
Synonyms:	
Target:	MAPK Signaling
Pathway:	MEK1/2
Storage:	Store at -20°C



Solvent & Solubility

In Vitro

⩾44.1 mg/mL in DMSO; insoluble in H2O; ⩾19.2 mg/mL in EtOH

Preparing Stock Solutions

Concentration \ Mass / Solvent	1mg	5mg	10mg
1 mM	2.2683 mL	11.3415 mL	22.6829 mL
5 mM	0.4537 mL	2.2683 mL	4.5366 mL
10 mM	0.2268 mL	1.1341 mL	2.2683 mL

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

Biological Activity

Shortsummary	ERK1/2 inhibitor
IC_{50} & Target	

In Vitro

Cell Viability Assay

Cell Line:	BRAFV600E cell lines
Preparation method:	The solubility of this compound in DMSO is >22.1mg/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.
Applications:	In BRAFV600E cell lines, treatment with GDC-0994 resulted in stronger

		pathway inhibition and subsequent suppression of cell proliferation when compared to BRAF inhibitors.
In Vivo	**Animal experiment**	
	Animal models:	Mice bearing KRAS-mutant and BRAF-mutant human xenograft tumors, HT29 colorectal cancer xenograft model.
	Dosage form:	Oral administration, daily
	Applications:	Daily, oral administration of GDC-0994 resulted in significant single-agent activity in KRAS-mutant and BRAF-mutant human xenograft tumors in mice.
	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

2. Zhang XH, Li CY, et al. "Pro-angiogenic activity of isoliquiritin on HUVECs in vitro and zebrafish in vivo through Raf/MEK signaling pathway." Life Sci. 2019 Apr 15;223:128-136.PMID:30876941

3. Duan T, Cil O, et al. "Intestinal epithelial potassium channels and CFTR chloride channels activated in ErbB tyrosine kinase inhibitor diarrhea." JCI Insight. 2019 Feb 21;4(4). pii: 126444.PMID:30668547

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References

[1]. Nambu T, Iwai K, Shibata S, et al. Identification of driver of anti-tumor activity of TAK-931 in human colorectal cancer xenograft model[J]. European Journal of Cancer, 2016, 69: S30.

[2]. Robarge K, Schwarz J, Blake J, et al. Abstract DDT02-03: Discovery of GDC-0994, a potent and selective ERK1/2 inhibitor in early clinical development. AACR Annual Meeting, 2014, San Diego, CA.

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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