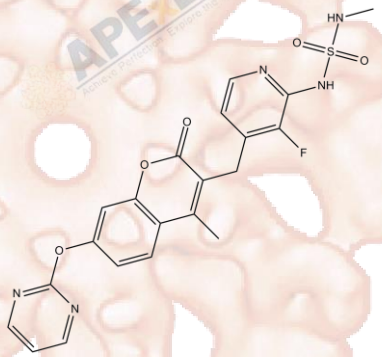
Product Data Sheet

RO5126766(CH5126766)

Cat. No.:	B5820
CAS No.:	946128-88-7
Formula:	C21H18FN5O5S
M.Wt:	471.46
Synonyms:	
Target:	MAPK Signaling
Pathway:	MEK1/2
Storage:	Store at -20°C



Solvent & Solubility

In Vitro

insoluble in H2O; insoluble in EtOH; ⩾35.7 mg/mL in DMSO

Preparing Stock Solutions

Mass / Solvent / Concentration	1mg	5mg	10mg
1 mM	2.1211 mL	10.6054 mL	21.2107 mL
5 mM	0.4242 mL	2.1211 mL	4.2421 mL
10 mM	0.2121 mL	1.0605 mL	2.1211 mL

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

Biological Activity

Shortsummary	Raf/MEK dual inhibitor
IC_{50} & Target	

In Vitro

Cell Viability Assay

Cell Line:	HCT116 cell line
Preparation method:	This compound is 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:	Cells were treated with 250 nmol/L CH5126766 in 0.1% DMSO for 2 hours.

	Applications:	CH5126766 binding could cause MEK to adopt a conformation in which MEK could not be phosphorylated by RAF, resulting in the formation of a stable MEK/RAF complex and inhibition of RAF kinase. Consistent with this mechanism, CH5126766 did not induce MEK phosphorylation.
In Vivo	**Animal experiment**	
	Animal models:	HCT116 mouse xenograft model
	Dosage form:	CH5126766 was dissolved in distilled water containing 5% DMSO and 10% HPCD. Drugs were administrated orally once a day at 1.5 mg/kg.
	Applications:	Daily oral administration of CH5126766 caused significant tumor regression in HCT116 mouse xenograft model.
	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] Ishii N, et al. Enhanced inhibition of ERK signaling by a novel allosteric MEK inhibitor, CH5126766, that suppresses feedback reactivation of RAF activity. Cancer Res. 2013 Jul 1;73(13):4050-60.

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