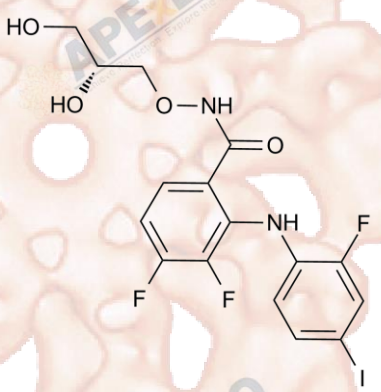
Product Data Sheet

PD0325901



Cat. No.:	A3013
CAS No.:	391210-10-9
Formula:	C16H14F3IN2O4
M.Wt:	482.19
Synonyms:	PD0325901,PD-0325901,PD 0325901,PD325901,PD 325901,PD-325901
Target:	MAPK Signaling
Pathway:	MEK1/2
Storage:	Store at -20°C

Solvent & Solubility

In Vitro

⩾24.1 mg/mL in DMSO; insoluble in H2O; ⩾55.4 mg/mL in EtOH

Preparing Stock Solutions

Mass / Solvent / Concentration	1mg	5mg	10mg
1 mM	2.0739 mL	10.3694 mL	20.7387 mL
5 mM	0.4148 mL	2.0739 mL	4.1477 mL
10 mM	0.2074 mL	1.0369 mL	2.0739 mL

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

Biological Activity

Shortsummary	MEK inhibitor
IC_{50} & Target	

In Vitro

Cell Viability Assay

Cell Line:	M14 (BRAFV600E) 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:	1 μM, 48 hours for cell cycle accumulation≥100 nM, 72 hours for DNA decrease
	Applications:	PD0325901 caused a dose- and time-dependent cell cycle accumulation at the G1/S boundary and depletion of cells in the S-phase. It also caused a dose- and time-dependent increase in the percentage of cellswith sub-G1 DNA content, thus indicating induction of apoptosis. Compared with the kinetics and dose-response curve of cell cycle inhibition, DNA decrease to sub-G1 levels required longer times of exposure (72 hours) and higher concentrations of the drug (≥100 nM).
In Vivo	**Animal experiment**	
	Animal models:	Female CD-1 nude (nu/nu) mice injected with M14 (BRAFV600E) and ME8959 (wtBRAF) cells
	Dosage form:	Oral administration, 50 mg/kg per day for 21 days
	Applications:	Daily oral treatment of established tumors with 50 mg/kg per day of PD0325901 significantly impaired in vivo tumor growth (60%-65% inhibition compared with controls at the end of a 21-day treatment cycle) in both M14 and ME8959 xenografts. The effects of PD0325901 were reversible, and tumors grew back after treatment interruption.
	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. Sima J, Chakraborty A, et al. "Identifying cis Elements for Spatiotemporal Control of Mammalian DNA Replication." Cell. 2019 Feb 7;176(4):816-830.e18.PMID:30595451

3. Wang Y, Li Y, et al. "The cerebral cavernous malformation disease causing gene KRIT1 participates in intestinal epithelial barrier maintenance and regulation." FASEB J. 2018 Sep 25:fj201800343R.PMID:30252535

4. Kopanitsa MV, Gou G, et al. "Chronic treatment with a MEK inhibitor reverses enhanced excitatory field potentials in Syngap1(+/-) mice." Pharmacol Rep. 2018 Jun 22;70(4):777-783.PMID:29940508

5. Potonjak I, Gobin I, et al. "Carvacrol induces cytotoxicity in human cervical cancer cells but causes cisplatin resistance: Involvement of MEK-ERK activation." Phytother Res. 2018 Feb 8.PMID:29417642

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References

[1] Ciuffreda L, Del Bufalo D, Desideri M, et al. Growth-inhibitory and antiangiogenic activity of the MEK inhibitor PD0325901 in malignant melanoma with or without BRAF mutations. Neoplasia, 2009, 11(8): 720-W6.

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