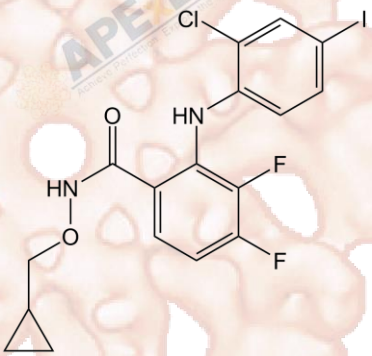
Product Data Sheet

PD184352 (CI-1040)

Cat. No.:	A1792
CAS No.:	212631-79-3
Formula:	C17H14ClF2IN2O2
M.Wt:	478.67
Synonyms:	
Target:	MAPK Signaling
Pathway:	MEK1/2
Storage:	Store at -20°C



Solvent & Solubility

In Vitro

⩾47.9 mg/mL in DMSO; insoluble in H2O; ⩾12.5 mg/mL in EtOH with ultrasonic

Preparing Stock Solutions

Concentration \ Mass / Solvent	1mg	5mg	10mg
1 mM	2.0891 mL	10.4456 mL	20.8912 mL
5 mM	0.4178 mL	2.0891 mL	4.1782 mL
10 mM	0.2089 mL	1.0446 mL	2.0891 mL

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

Biological Activity

Shortsummary	Selective MEK inhibitor
IC_{50} & Target	300 nM (Ki) (MEK)

In Vitro

Cell Viability Assay

Cell Line:	CCl39 cells expressing FLAG-ERK5
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:	IC50: < 1 μM, 90 min

	Applications:	Cells were serum-starved for 16 h prior to the addition of PD184352, or an equivalent of DMSO vehicle control for 90 min. Single dishes of cells were then stimulated with 10% FBS for 15 min and immune-complex kinase assays performed with either myelin basic protein (MBP; ERK1) or GST-MEF2C (ERK5), as a substrate. PD184352 inhibited FBS-induced ERK1 activation with an IC50 below 1 μM, whereas even a dose of 20 μM PD184352 was insufficient to inhibit ERK5 activity, induced in the same manner and assayed from the same cell extracts as ERK1.
In Vivo	**Animal experiment**	
	Animal models:	DBA/2-pcy/pcy mice
	Dosage form:	Oral administration, 400 mg/kg daily for the first week and then every third day for 6 additional weeks
	Applications:	The body weight of the PD184352-treated pcy mice was slightly but significantly lower than that of the control pcy mice. The kidney weight, kidney weight to body weight ratio, BP, and serum creatinine levels of the PD184352-treated pcy mice also were significantly less at the end of treatment. Water intake of PD184352-treated pcy mice was reduced, and urine osmolality was increased. The cystic index also was significantly lower in the PD184352-treated pcy mice than in the control pcy 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

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References

[1] SQUIRES M, NIXON P, COOK S. Cell-cycle arrest by PD184352 requires inhibition of extracellular signal-regulated kinases (ERK) 1/2 but not ERK5/BMK1. Biochem. J, 2002, 366: 673-680.

[2] Omori S, Hida M, Fujita H, et al. Extracellular signal–regulated kinase inhibition slows disease progression in mice with polycystic kidney disease. Journal of the American Society of Nephrology, 2006, 17(6): 1604-1614.

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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