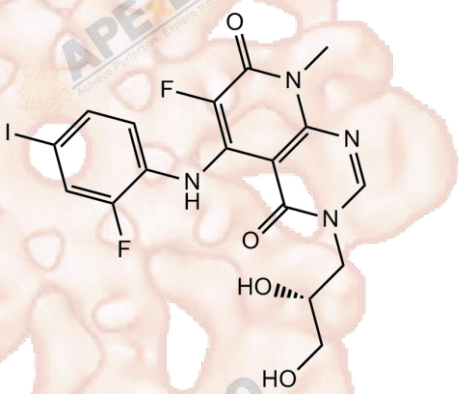
Product Data Sheet

TAK-733



Cat. No.:	B1621
CAS No.:	1035555-63-5
Formula:	C17H15F2IN4O4
M.Wt:	504.23
Synonyms:	
Target:	MAPK Signaling
Pathway:	MEK1/2
Storage:	Store at -20°C

Solvent & Solubility

In Vitro

≥25.2 mg/mL in DMSO; insoluble in EtOH; insoluble in H2O

Preparing Stock Solutions

Mass / Solvent / Concentration	1mg	5mg	10mg
1 mM	1.9832 mL	9.9161 mL	19.8322 mL
5 mM	0.3966 mL	1.9832 mL	3.9664 mL
10 mM	0.1983 mL	0.9916 mL	1.9832 mL

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

Biological Activity

Shortsummary	MEK allosteric site inhibitor
IC_{50} & Target	3.2 nM (MEK1)

In Vitro

Cell Viability Assay

Cell Line:	Human cutaneous melanoma cell lines; Colorectal cancer (CRC) cell lines
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:	0.01-0.125 μM; 72h

	Applications:	TAK-733 showed broad activity in most melanoma cell lines with relative resistance observed at IC50 > 0.1 μmol/L in vitro [1]. Moreover, Cell lines with a BRAF or KRAS mutation were associated with sensitivity to TAK-733 with an IC50 value of < 0.5μM [2].
In Vivo	**Animal experiment**	
	Animal models:	Mice bearing A375 human melanoma xenografts; patient-derived CRC xenograft models
	Dosage form:	1, 3, 10, or 35 mg/kg; oral gavage; once daily for 2 weeks; or 10 mg/kg; oral gavage, once daily for 28 days.
	Applications:	TAK-733 showed statistically significant tumor growth inhibition in patient-derived xenograft models [1]. Moreover, TAK-733 induced tumor growth inhibition and MEK pathway inhibition in patient-derived CRC xenografts [2].
	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. Micel, L. N., Tentler, J. J., Tan, A. C., Selby, H. M., Brunkow, K. L., Robertson, K. M., Davis, S. L., Klauck, P. J., Pitts, T. M., Gangolli, E., Fabrey, R., O'Connell, S. M., Vincent, P. W. and Eckhardt, S. G. (2015) Antitumor activity of the MEK inhibitor TAK-733 against melanoma cell lines and patient-derived tumor explants. Mol Cancer Ther. 14, 317-325

2. Lieu, C. H., Klauck, P. J., Henthorn, P. K., Tentler, J. J., Tan, A. C., Spreafico, A., Selby, H. M., Britt, B. C., Bagby, S. M., Arcaroli, J. J., Messersmith, W. A., Pitts, T. M. and Eckhardt, S. G. (2015) Antitumor activity of a potent MEK inhibitor, TAK-733, against colorectal cancer cell lines and patient derived xenografts. Oncotarget. 6, 34561-34572

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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