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Zuschläge

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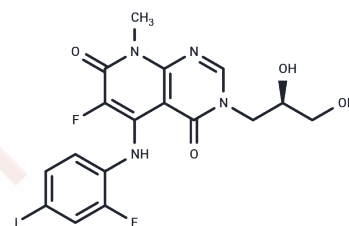
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TAK-733

Chemical Properties

CAS No. :	1035555-63-5
Formula:	C ₁₇ H ₁₅ F ₂ N ₄ O ₄
Molecular Weight:	504.23
Appearance:	no data available
Storage:	Powder: -20°C for 3 years In solvent: -80°C for 1 year



Biological Description

Description	TAK-733 is an orally bioavailable small-molecule inhibitor of MEK1 and MEK2 (MEK1/2) with potential antineoplastic activity.
Targets(IC50)	MEK
In vitro	TAK-733 is highly potent and selective MEK allosteric site inhibitor with IC ₅₀ of 3.2 nM. TAK-733 shows potent enzymatic and cell activity with an EC ₅₀ of 1.9 nM against ERK phosphorylation in cells. [1]
In vivo	TAK-733 demonstrates broad antitumor activity in mouse xenograft models of human cancer including models of melanoma, colorectal, NSCLC, pancreatic and breast cancer. TAK-733 is well tolerated with pharmacokinetics and pharmacodynamics that support once-daily oral dosing in humans. [1] TAK-733 shows maximally efficacious doses at once daily orally doses of 10 mg/kg. [2]
Kinase Assay	Fluorescence Polarization Based Assays for XIAP, cIAP1, and cIAP2 BIR3 Proteins: FL-AT-406 (the fluorescently tagged AT-406) is employed to develop a set of new FP assays for determination of the binding affinities of Smac mimetics to XIAP, cIAP-1, and cIAP-2 BIR3 proteins. The K _d value of FL-AT-406 to each IAP protein is determined by titration experiments using a fixed concentration of FL-AT-406 and different concentrations of the protein up to full saturation. Fluorescence polarization values are measured using an Infinite M-1000 plate reader in Microfluor 2 96-well, black, round-bottom plates. To each well, FL-AT-406 (2, 1, and 1 nM for experiments with XIAP BIR3, cIAP-1 BIR3, and cIAP-2 BIR3, respectively) and different concentrations of the protein are added to a final volume of 125 μL in the assay buffer (100 mM potassium phosphate, pH 7.5, 100 μg/mL bovine γ-globulin, 0.02% sodium azide, with 4% DMSO). Plates are mixed and incubated at room temperature for 2-3 hours with gentle shaking. The polarization values in millipolarization units (mP) are measured at an excitation wavelength of 485 nm and an emission wavelength of 530 nm. Equilibrium dissociation constants (K _d) are then calculated by fitting the sigmoidal dose-dependent FP increases as a function of protein concentrations using Graphpad Prism 5.0 software. In competitive binding experiments for XIAP3 BIR3, AT-406 is incubated with 20 nM XIAP BIR3 protein and 2 nM FL-AT-406 in the assay buffer (100 mM potassium phosphate, pH 7.5; 100 μg/mL bovine γ-globulin; 0.02% sodium azide). In competitive binding experiments for cIAP1 BIR3 protein, 3 nM protein and 1 nM FL-AT-406 are used. In competitive binding experiments for cIAP2 BIR3, 5 nM protein and 1 nM FL-AT-406 are used. For each competitive binding experiment, polarization values are measured after 2-3 hours of incubation using an

Infinite M-1000 plate reader. The IC₅₀ value, the inhibitor concentration at which 50% of the bound tracer is displaced, is determined from the plot using nonlinear least-squares analysis. Curve fitting is performed using the PRISM software. A K_i value for AT-406 is calculated.

Solubility Information

Solubility	H ₂ O: <1 mg/mL, Ethanol: <1 mg/mL, DMSO: 93 mg/mL (184.4 mM), < 1 mg/ml refers to the product slightly soluble or insoluble)
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Preparing Stock Solutions

	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
50 mM	0.0397 mL	0.1983 mL	0.3966 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Reference

Qing Dong, et al. Bioorg Med Chem Lett, 2011, 21(5), 1315-1319.

Fabrey R, et al. AACR Annual Meeting, 2012, Abs 3739.

Inhibitor · Natural Compounds · Compound Libraries · Recombinant Proteins

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