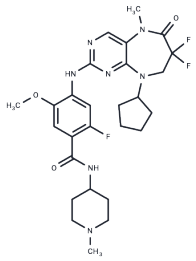
Data Sheet (Cat.No.T7200)

TAK-960

Chemical Properties



CAS No. : 1137868-52-0

Formula: C27H34F3N7O3

Molecular Weight: 561.6

Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year
Actual storage temperature shall be subject to the COA.

Biological Description

Description	TAK-960 is an orally bioavailable, selective inhibitor of Plks with IC50 values of 0.8, 16.9, and 50.2 nM for Plk1, Plk2, and Plk3, respectively.
Targets(IC50)	PLK
In vitro	CRC cell lines demonstrated a variable anti-proliferative response to TAK-960 with IC50 values ranging from 0.001 to >?0.75 μmol/L. Anti-proliferative effects were sustained after removal of drug. Following TAK-960 treatment a highly variable accumulation of mitotic (indicating cell cycle arrest) and apoptotic markers was observed. TAK-960 treatment induced G2/M arrest and polyploidy. Six out of the eighteen PDX models responded to single agent TAK-960 therapy (TGII<?20). The addition of TAK-960 to standard of care chemotherapy resulted in largely additive antitumor effects[1].
Cell Research	Fifty-five CRC cell lines and 18 PDX models were exposed to TAK-960 and evaluated for proliferation (IC50) and Tumor Growth Inhibition Index, respectively.?Additionally, 2 KRAS wild type and 2 KRAS mutant PDX models were treated with TAK-960 as single agent or in combination with cetuximab or irinotecan.?TAK-960 mechanism of action was elucidated through immunoblotting and cell cycle analysis[1].

Solubility Information

Solubility	DMSO: 35 mg/mL (62.32 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+40% PEG300+5% Tween 80+45% Saline: 1 mg/mL (1.78 mM),Sonication is recommended. *Please add the solvents sequentially, clarifying the solution as much as possible before adding the next one. Dissolve by heating and/or sonication if necessary. Working solution is recommended to be prepared and used immediately. The formulation provided above is for reference purposes only. In vivo formulations may vary and should be modified based on specific experimental conditions.*

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	1.7806 mL	8.9031 mL	17.8063 mL
5 mM	0.3561 mL	1.7806 mL	3.5613 mL
10 mM	0.1781 mL	0.8903 mL	1.7806 mL
50 mM	0.0356 mL	0.1781 mL	0.3561 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.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

Klauck P J , Bagby S M , Capasso A , et al. Antitumor activity of the polo-like kinase inhibitor, TAK-960, against preclinical models of colorectal cancer[J]. BMC Cancer, 2018, 18(1):136.
Hikichi Y, Honda K, Hikami K,et al.TAK-960, a novel, orally available, selective inhibitor of polo-like kinase 1, shows broad-spectrum preclinical antitumor activity in multiple dosing regimens[J].Mol Cancer Ther. 2012 Mar;11(3):700-9.
Nie Z , Feher V , Natala S , et al. Discovery of TAK-960: An orally available small molecule inhibitor of polo-like kinase 1 (PLK1).[J]. Bioorganic & Medicinal Chemistry Letters, 2013, 23(12):3662-3666.