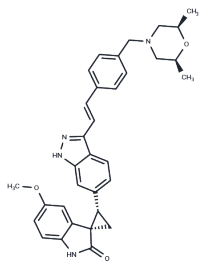
Data Sheet (Cat.No.T7384)

TargetMol

Ocifisertib(CFI-400945 free base)

Chemical Properties



CAS No. : 1338806-73-7

Formula: C33H34N4O3

Molecular Weight: 534.65

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	Ocifisertib (CFI-400945 free base) is a potent, selective and orally active inhibitor of polo-like kinase 4 (PLK4; Ki value 0.26 nM; IC50 value 2.8 nM).
Targets(IC50)	Apoptosis,PLK
In vitro	**METHODS**: HCT116 cells with FLAG-tagged full-length PLK4 were treated with Ocifisertib (CFI-400945 free base) for 4 hours, and the lysates were analyzed by immunoblotting. **RESULTS** In cells overexpressing PLK4, Ocifisertib inhibited PLK4 autophosphorylation at serine 305 with an EC50 value of 12.3 nM.[1]
In vivo	**METHODS**: PK analysis was performed in mice treated with ocifisertib (CFI-400945 free base) (3.75-104 mg/kg, oral). **RESULTS** CFI-400945 was rapidly absorbed after oral administration, reaching maximum plasma concentrations (Cmax) of 0.25-11.68 μg/mL; the elimination half-life was 3.7-4.2 hours at 3.75-26 mg/kg, but was prolonged with increasing doses (5.4 and 6.9 hours at 52 and 104 mg/kg, respectively). [1]

Solubility Information

Solubility	Ethanol: 100 mg/mL (187.04 mM),Sonication is recommended. H2O: Insoluble, DMSO: 100 mg/mL (187.04 mM),Heating to 50°C 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: 4 mg/mL (7.48 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	1.8704 mL	9.3519 mL	18.7038 mL
5 mM	0.3741 mL	1.8704 mL	3.7408 mL
10 mM	0.187 mL	0.9352 mL	1.8704 mL
50 mM	0.0374 mL	0.187 mL	0.3741 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

Mason JM, et al. Functional characterization of CFI-400945, a Polo-like kinase 4 inhibitor, as a potential anticancer agent. Cancer Cell. 2014 Aug 11;26(2):163-76.

Veitch Z W , Cescon D W , Denny T , et al. Safety and tolerability of CFI-400945, a first-in-class, selective PLK4 inhibitor in advanced solid tumours: a phase 1 dose-escalation trial[J]. British Journal of Cancer, 2019, 121(4):318-324.