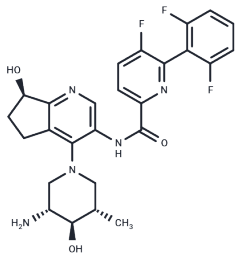
Data Sheet (Cat.No.T39090)

TargetMol

Uzansertib

Chemical Properties



CAS No. : 1620012-39-6

Formula: C26H26F3N5O3

Molecular Weight: 513.51

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	Uzansertib (INCB053914) is a potent ATP-competitive pan-PIM kinase inhibitor. It exhibits exceptional inhibitory activity against PIM1, PIM2, and PIM3 with IC50 values of 0.24 nM, 30 nM, and 0.12 nM, respectively. Furthermore, Uzansertib demonstrates significant anti-proliferative effects against an assorted range of hematologic tumor cell lines.
Targets(IC50)	Others,Pim
In vitro	Uzansertib effectively hampers the growth of multiple myeloma (MM) and other cancer cell lines, including AML, DLBCL, MCL, and T-ALL, demonstrating a significant range in potency with mean GI50 values between 13.2 nM and 230.0 nM[1]. This compound also dose-dependently blocks the phosphorylation of key PIM kinase targets (p70S6K/S6 and 4E-BP1) across various cell lines like MOLM-16 (AML), Pfeiffer (DLBCL), and KMS-12-PE/BM (MM) at concentrations from 0.1 to 1000 nM[1]. Notably, Uzansertib shows heightened efficacy in inhibiting PIM kinase-mediated phosphorylation of BAD in MOLM-16 and KMS-12-BM cells, with mean IC50 values standing at 4 nM and 27 nM, respectively[1].
In vivo	Administered orally at doses ranging from 25 to 100 mg/kg twice daily for 15 days, Uzansertib effectively suppresses tumor growth in a dose-dependent manner in immunocompromised (severe combined immunodeficiency [SCID]) female mice aged 5-9 weeks with either MOLM-16 (acute myeloid leukemia [AML]) or KMS-12-BM (multiple myeloma [MM]) tumors[1]. Moreover, Uzansertib exhibits dose-dependent inhibition of BAD phosphorylation compared to the control group, achieving half-maximal inhibitory concentrations (IC50) of 70 nM and 145 nM for MOLM-16 and KMS-12-BM tumors, respectively, at 4 hours post-administration[1].

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	1.9474 mL	9.7369 mL	19.4738 mL
5 mM	0.3895 mL	1.9474 mL	3.8948 mL
10 mM	0.1947 mL	0.9737 mL	1.9474 mL
50 mM	0.0389 mL	0.1947 mL	0.3895 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

Koblish H, et al. Preclinical characterization of INCB053914, a novel pan-PIM kinase inhibitor, alone and in combination with anticancer agents, in models of hematologic malignancies. PLoS One. 2018 Jun 21;13(6): e0199108.