

## Uzansertib phosphate

## Chemical Properties

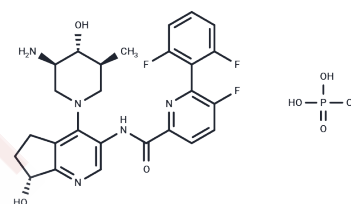
CAS No. : 2088852-47-3

Formula: C<sub>26</sub>H<sub>29</sub>F<sub>3</sub>N<sub>5</sub>O<sub>7</sub>P

Molecular Weight: 611.51

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 phosphate (INCB053914 phosphate) is an orally active, ATP-competitive inhibitor of pan-PIM kinase(PIM1, PIM2, PIM3 with IC <sub>50</sub> s of 0.24 nM, 30 nM, 0.12 nM , respectively).
Targets(IC <sub>50</sub> )	Pim
In vitro	INCB053914, a novel, potent, and selective adenosine triphosphate-competitive pan-PIM kinase inhibitor. In vitro, INCB053914 inhibited proliferation and the phosphorylation of downstream substrates in cell lines from multiple hematologic
In vivo	Effects were confirmed in primary bone marrow blasts from patients with acute myeloid leukemia treated ex vivo and in blood samples from patients receiving INCB053914 in an ongoing phase 1 dose-escalation study. In vivo, single-agent INCB053914 inhibited Bcl-2-associated death promoter protein phosphorylation and dose-dependently inhibited tumor growth in acute myeloid leukemia and multiple myeloma xenografts. Additive or synergistic inhibition of tumor growth was observed when INCB053914 was combined with selective PI3Kδ inhibition, selective JAK1 or JAK1/2 inhibition, or cytarabine.

## Solubility Information

Solubility	DMSO: 5 mg/mL (8.18 mM),Sonication and heating are 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 (1.64 mM),Sonication is recommended. <i>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.</i>

### Preparing Stock Solutions

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	1mg	5mg	10mg
1 mM	1.6353 mL	8.1765 mL	16.353 mL
5 mM	0.3271 mL	1.6353 mL	3.2706 mL
10 mM	0.1635 mL	0.8176 mL	1.6353 mL
50 mM	0.0327 mL	0.1635 mL	0.3271 mL

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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.

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