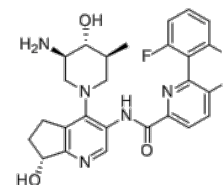


Product Name : INCB053914
Cat. No. : PC-35264
CAS No. : 1620012-39-6
Molecular Formula : C₂₆H₂₆F₃N₅O₃
Molecular Weight : 513.521
Target : Pim
Solubility : 10 mM in DMSO



Biological Activity

INCB053914 (Uzansertib) is a novel potent, and selective ATP-competitive, **pan-PIM** kinase inhibitor with IC₅₀ of 0.24/30.0/0.12 nM for PIM1/2/3, respectively.

INCB053914 is highly selective against a panel of more than 50 kinases (>475-fold selectivity) with exception of RSK2 (IC₅₀=7.1 uM).

INCB053914 inhibits cellular proliferation in a panel of cell lines derived from hematologic malignancies including AML, MM, DLBCL, MCL, and T-ALL with GI₅₀ of <100 nM, inhibits proliferation in all MM cell lines with GI₅₀ of 13.2-230.0 nM.

INCB053914 inhibits PIM kinase-mediated phosphorylation of BAD in MOLM-16 and KMS-12-BM cells with IC₅₀ of 4 and 27 nM, also increases PIM2 expression in KG-1a (AML), Pfeiffer, and KMS12-PE cells.

INCB053914 inhibits Bcl-2-associated death promoter protein phosphorylation and dose-dependently inhibited tumor growth in acute myeloid leukemia and multiple myeloma xenografts.

References

Koblish H, et al. *PLoS One*. 2018 Jun 21;13(6):e0199108.

Caution: Product has not been fully validated for medical applications. Lab Use Only!

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