

Data Sheet

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Product Name: INCB053914 phosphate

CAS No. : PC-22079 **CAS No.** : 2088852-47-3 **Molecular Formula** : C₂₆H₂₉F₃N₅O₇P

Molecular Weight : 611.51 Target : Pim

Solubility : 10 mM in DMSO

F N N HO-P-OH

CAS: 2088852-47-3

Biological Activity

INCB053914 (Uzansertib) phosphate 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 GI5050 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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