

产品名称 : INCB053914

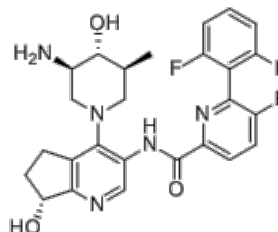
同义词 : INCB-053914

产品货号 : M12376

CAS Number : 1620012-39-6

分子式 : C₂₆H₂₆F₃N₅O₃

分子量 : 513.521



化学全名 : N-((R)-4-((3R,4R,5S)-3-amino-4-hydroxy-5-methylpiperidin-1-yl)-7-hydroxy-6,7-dihydro-5H-cyclopenta[b]pyridin-3-yl)-6-(2,6-difluorophenyl)-5-fluoropicolinamide

产品描述 : INCB053914 (INCB-053914) 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 μM); 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; 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; in vivo, INCB053914 inhibited Bcl-2-associated death promoter protein phosphorylation and dose-dependently inhibited tumor growth in acute myeloid leukemia and multiple myeloma xenografts. Solid Tumors Phase 2 Clinical.

通路 : JAK/STAT Signaling

靶点 : Pim

受体 : Pim

溶解度 : —

SMILES : O=C(NC1=CN=C2C(CC[C@H]2O)=C1N3C[C@@H](N)[C@H](O)[C@@H](C)C3)C4=NC(C5=C(F)C=CC=C5F)=C(F)C=C4

存储条件 : (-20℃)

稳定性 : ≥ 2 years

参考文献 :

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