Product Datasheet

MSC-2530818

Catalog No. **BP-01942** · CAS **1883423-59-3** · Form **free base** · Physical state **solid** · Color **white to off-white** · Version **1.0** · Revision **2026-09-03**

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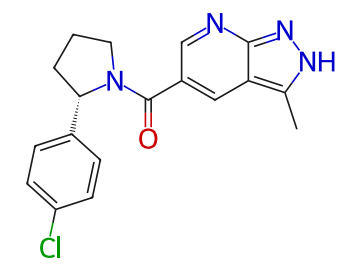
Target	Research area
CDK	**Cancer**

Molecular formula	**$C_{18}H_{17}ClN_4O$**
Molecular weight	**340.8070**

STRUCTURE



DESCRIPTION

MSC2530818 is a potent, selective and orally available CDK8 inhibitor with an IC50 of 2.6 nM for CDK8.

BIOLOGICAL ACTIVITY

In Vitro

MSC2530818 binds to CDK8 and CDK19 with similar affinity (4 nM). Potent inhibition of phospho-STAT1SER727, an established biomarker of CDK8 activity, in SW620 human colorectal carcinoma cells is also observed (pSTAT1SER727 IC50=8±2 nM). MSC2530818 demonstrates potent inhibition of WNT-dependent transcription in human cancer cell lines that have constitutively activated WNT signaling. For example, MSC2530818 inhibits the reporter-based luciferase readout in several cell lines bearing activating WNT-pathway mutations; LS174T (β-catenin mutant, IC50=32±7 nM), COLO205 (APC mutant, IC50=9±1 nM) and demonstrates inhibition of WNT3a ligand-dependent reporter readout in PA-1 cells (IC50=52±30 nM). MSC2530818 demonstrates minimal activity in the CEREP panel, and demonstrates minimal hERG inhibition. Furthermore, MSC2530818 is a soluble CDK8 inhibitor with high permeability and low efflux ratio in Caco-2 cells and does not inhibit any cytochrome P450 subtypes.

In Vivo

Tumor-bearing mice treated with MSC2530818 shows reduction in tumor growth with T/C ratios (based on final tumor weights) of 49% and 57%, respectively. MSC2530818 is generally well tolerated, with no effects on mouse body weight in the qd administration schedule and manageable body weight loss. The human clearance and volume of distribution at steady-state are estimated to be low (0.14 L/h/kg) and small (0.48 L/kg), respectively, resulting in a short predicted terminal half-life (2.4 h). Physiologically based pharmacokinetics simulations suggested that human oral bioavailability may be ≥75% up to dose level of 500 mg daily.

SOLUBILITY

Soluble in DMSO

REFERENCES

[1]. Czodrowski P, et al. Structure-Based Optimization of Potent, Selective, and Orally Bioavailable CDK8 Inhibitors Discovered by High-Throughput Screening. J Med Chem. 2016 Oct 27;59(20):9337-9349.

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