
CX-4945

产品编号: D50925

CAS: 1009820-21-6

分子式: C₁₉H₁₂ClN₃O₂

纯度: ≥98%

InChi: InChI=1S/C₁₉H₁₂ClN₃O₂/c20-12-2-1-3-13(9-12)22-18-15-6-7-21-10-16(15)14-5-4-11(19(24)25)
8-17(14)23-18/h1-10H,(H,22,23)(H,24,25)

InChi Key: MUOKSQABCJCOPU-UHFFFAOYSA-N

Smiles: OC(=O)C1=CC2N=C(NC3=CC(Cl)=CC=C3)C3=CC=NC=C3C=2C=C1

外观: 固体粉末

作用通路: Autophagy

溶解性: DMSO up to 40 mM

保存条件: Store in dry, dark place for one year.

产品介绍: CX-4945 (Silmitasertib) is a potent, selective and bioavailable CK2 (Casein Kinase 2) Inhibitor with IC₅₀ of 1 nM. It only inhibits 7 of the 238 kinases by more than 90% at concentration of 0.5 μM, which is 500-fold selectivity over CK2. Although in cell-free systems CX-4945 inhibits FLT3, PIM1, and CDK1 with IC₅₀ of 35 nM, 46 nM, and 56 nM, respectively, but it is inactive against FLT3, PIM1, and CDK1 in cell-based functional assays at 10 μM. CX-4945 exhibits a broad spectrum of antiproliferative activity, correlates with CK2α mRNA and protein levels but not the CK2α' catalytic subunit, the regulatory CK2β subunit, and the PI3K/Akt or PTEN mutational status. Combined inhibition of EGFR and CK2 augments the attenuation of PI3K-Akt-mTOR signaling and the killing of cancer cells. CX-4945 can potentiate senescence by blocking tumour progression in Ptenpc^{-/-} mice. Now CX-4945 is in phase I/II clinical trials to treat cancer.