Data Sheet (Cat.No.T35650)

TargetMol

Samuraciclib trihydrochloride

Chemical Properties

CAS No. :

Formula:

Molecular Weight:

Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year
Actual storage temperature shall be subject to the COA.

Biological Description

Description	Samuraciclib (CT7001) trihydrochloride is a potent, selective, ATP-competitive and orally active CDK7 inhibitor, with an IC50 of 41 nM. Samuraciclib trihydrochloride displays 45-, 15-, 230- and 30-fold selectivity over CDK1, CDK2 (IC50 of 578 nM), CDK5 and CDK9, respectively. Samuraciclib trihydrochloride inhibits the growth of breast cancer cell lines with GI50 values between 0.2-0.3 μM. Samuraciclib trihydrochloride has anti-tumor effects[1][2].
Targets(IC50)	Others
In vitro	Samuraciclib trihydrochloride (ICEC0942; 0-10 μM; 24 hours; HCT116 cells) treatment promotes cell apoptosis[1].Samuraciclib trihydrochloride (ICEC0942; 0-10 μM; 24 hours; HCT116 cells) treatment induces cell cycle arrest[1].Samuraciclib trihydrochloride (ICEC0942; 0-10 μM; 0-24 hours; HCT116 cells) treatment inhibits the phosphorylation of PolII CTD in a dose and time dependent manner in HCT116 colon cancer cells. Samuraciclib trihydrochloride also inhibits phosphorylation of CDK1, CDK2 and retinoblastoma[1].Samuraciclib trihydrochloride (ICEC0942) inhibits the growth of MCF7, T47D, MDA-MB-231, HS578T, MDA-MB-468, MCF10A and HMEC cells with GI50 values of 0.18 μM, 0.32 μM, 0. 33 μM, 0.21 μM, 0.22 μM, 0.67 μM and 1.25 μM, respectively[1].
In vivo	Samuraciclib trihydrochloride (ICEC0942; 100 mg/kg; oral gavage; daily; for 14 days; female nu/nu-BALB/c athymic nude mice) treatment inhibits tumor growth by 60% at day 14, and is accompanied by highly significant reductions in PolII Ser2 and Ser5 phosphorylation in PBMCs and in tumors[1].The combination of Samuraciclib trihydrochloride (ICEC0942) and ICI 47699 treatment shows complete growth arrest of estrogen receptor (ER)-positive tumor xenografts[1].

Reference

Patel H, et al. ICEC0942, an Orally Bioavailable Selective Inhibitor of CDK7 for Cancer Treatment. Mol Cancer Ther. 2018 Jun;17(6):1156-1166.
Hazel P, et al. Inhibitor Selectivity for Cyclin-Dependent Kinase 7: A Structural, Thermodynamic, and Modelling Study. ChemMedChem. 2017 Mar 7;12(5):372-380.

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