

Product Data Sheet

Product Name: Samuraciclib hydrochloride hydrate

Cat. No.: GC63736

Chemical Properties

Cas. No.

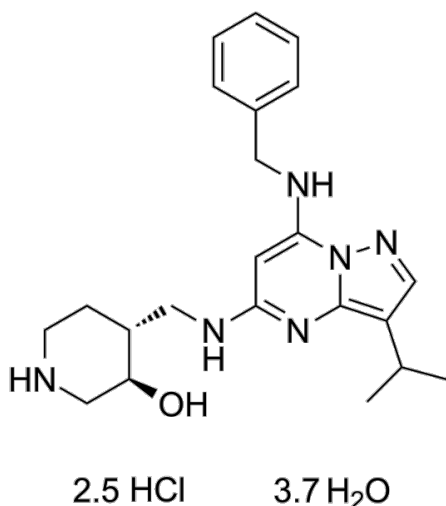
Formula $C_{22}H_{30}N_6O \cdot (2.5HCl) \cdot (2H_2O)$ M.Wt 521.7

Solubility DMSO : 100 mg/mL (191.68 mM; Need ultrasonic) Storage 4°C, stored under nitrogen, away from moisture

General tips For obtaining a higher solubility , please warm the tube at 37 °C and shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.

Shipping Condition Evaluation sample solution : ship with blue ice All other available size: ship with RT , or blue ice upon request.

Structure



Background

Samuraciclib (CT7001) hydrochloride hydrate is a potent, selective, ATP-competitive and orally active CDK7 inhibitor, with an IC₅₀ of 41 nM. Samuraciclib hydrochloride hydrate displays 45-, 15-, 230- and 30-fold selectivity over CDK1, CDK2 (IC₅₀ of 578 nM), CDK5 and CDK9, respectively. Samuraciclib hydrochloride hydrate inhibits the growth of breast cancer cell lines with GI₅₀ values between 0.2-0.3 μM. Samuraciclib hydrochloride hydrate has anti-tumor effects[1][2].

Samuraciclib hydrochloride hydrate (ICEC0942; 0-10 μM; 24 hours; HCT116 cells) treatment

Caution: Product has not been fully validated for medical applications. For research use only.

Tel: (909) 407-4943 Fax: (626) 353-8530 E-mail: tech@glpbio.com

Address: 10292 Central Ave. #205, Montclair, CA, USA

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promotes cell apoptosis[1].Samuraciclib hydrochloride hydrate (ICEC0942; 0-10 μ M; 24 hours; HCT116 cells) treatment induces cell cycle arrest[1].Samuraciclib hydrochloride hydrate (ICEC0942; 0-10 μ M; 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 (ICEC0942) hydrochloride hydrate inhibits the growth of MCF7, T47D, MDA-MB-231, HS578T, MDA-MB-468, MCF10A and HMEC cells with GI50 values of 0.18 μ M, 0.32 μ M, 0.33 μ M, 0.21 μ M, 0.22 μ M, 0.67 μ M and 1.25 μ M, respectively[1].

Samuraciclib (ICEC0942; 100 mg/kg; oral gavage; daily; for 14 days; female nu/nu-BALB/c athymic nude mice) hydrochloride hydrate 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 (ICEC0942) hydrochloride hydrate and ICI 47699 treatment shows complete growth arrest of estrogen receptor (ER)-positive tumor xenografts[1].

[1]. Patel H, et al. ICEC0942, an Orally Bioavailable Selective Inhibitor of CDK7 for Cancer Treatment. Mol Cancer Ther. 2018 Jun;17(6):1156-1166.

[2]. 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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