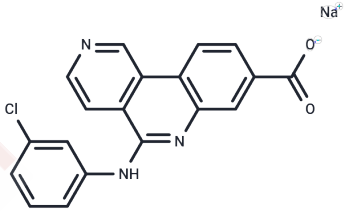
Data Sheet (Cat.No.T15024)

TargetMol

Silmitasertib sodium salt

Chemical Properties



CAS No. : 1309357-15-0

Formula: C19H11ClN3NaO2

Molecular Weight: 371.75

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	Silmitasertib sodium salt (CX-4945 sodium salt) is a potent and orally bioavailable, highly selective inhibitor of CK2(IC50 of 1 nM, CK2α).
Targets(IC50)	Casein Kinase,Autophagy
In vitro	Silmitasertib induces cytotoxicity and apoptosis, and exerts anti-proliferative effects in hematological tumors by downregulating CK2 expression and suppressing activation of CK2-mediated PI3K/Akt/mTOR signaling pathways[3]. Silmitasertib (CX-4945) resultes in cell-cycle arrest and selectively induces apoptosis in cancer cells relative to normal cells, attenuates PI3K/Akt signalingand. The antiproliferative activity of Silmitasertib is correlated with expression levels of the CK2α catalytic subunit, attenuation of PI3K/Akt signaling[1]. Silmitasertib with PS-341 treatment prevents leukemic cells from engaging a functional UPR in order to buffer the PS-341-mediated proteotoxic stress in ER lumen, and decreases pro-survival ER chaperon BIP/Grp78 expression[2].
In vivo	Silmitasertib (CX-4945), administered at doses of 25 or 75 mg/kg orally, was well tolerated and demonstrated strong antitumor effects alongside significant decreases in the mechanistic biomarker phospho-p21 (T145) in murine xenograft models[1].

Solubility Information

Solubility	H2O: 12.5 mg/mL (33.62 mM),Sonication is recommended. DMSO: 39.33 mg/mL (105.8 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+40% PEG300+5% Tween 80+45% Saline: 4 mg/mL (10.76 mM),Sonication is recommended. *Please add the solvents sequentially, clarifying the solution as much as possible before adding the next one. Dissolve by heating and/or sonication if necessary. Working solution is recommended to be prepared and used immediately. The formulation provided above is for reference purposes only. In vivo formulations may vary and should be modified based on specific experimental conditions.*

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.690 mL	13.4499 mL	26.8998 mL
5 mM	0.538 mL	2.690 mL	5.380 mL
10 mM	0.269 mL	1.345 mL	2.690 mL
50 mM	0.0538 mL	0.269 mL	0.538 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

Siddiqui-Jain A, et al. CX-4945, an orally bioavailable selective inhibitor of protein kinase CK2, inhibits prosurvival and angiogenic signaling and exhibits antitumor efficacy. Cancer Res. 2010 Dec 15;70(24):10288-98.
Kendall JJ, et al. CK2 blockade causes MPNST cell apoptosis and promotes degradation of β-catenin. Oncotarget. 2016 Aug 16;7(33):53191-53203.
Buontempo F, et al. Synergistic cytotoxic effects of PS-341 and CK2 inhibitor CX-4945 in acute lymphoblastic leukemia: turning off the prosurvival ER chaperone BIP/Grp78 and turning on the pro-apoptotic NF-κB. Oncotarget. 2016 Jan 12;7(2):1323-40.
Chon HJ, et al. The casein kinase 2 inhibitor, CX-4945, as an anti-cancer drug in treatment of human hematological malignancies. Front Pharmacol. 2015 Mar 31;6:70.

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