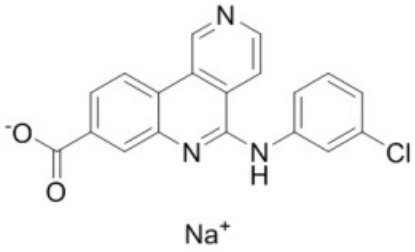
FT-XMA830

CX-4945 sodium salt

*Silmitasertib sodium salt is an orally bioavailable, highly selective and potent **CK2** inhibitor, with **IC_{50}** values of 1 nM against CK2α and CK2α'.*

Product Description



Catalog #: XMA830, 5mg
XMA831, 10mg
XMA832, 50mg

Name : **CX-4945 (sodium salt)**
Silmitasertib sodium salt
CAS [1309357-15-0]

Properties : MW: 371.75
$C_{19}H_{11}ClN_3NaO_2$
Solubility :
DMSO 50 mg/mL (134.50 mM; Need ultrasonic)
H_2O : 16.67 mg/mL (44.84 mM; Need ultrasonic)
IC_{50} : CK2α: 1 nM CK2α' : 1 nM

Other : CAS 1309357-15-0

Storage :

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	6 months
	-20°C	1 month

For Research Use Only

Technical and Scientific Information

Silmitasertib sodium salt is an orally bioavailable, highly selective and potent CK2 inhibitor, with IC 50 values of 1 nM against CK2α and CK2α'.

Preparing Stock Solutions

	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
Preparing Stock Solutions	1 mM	2.6900 mL	13.4499 mL	26.8998 mL
	5 mM	0.5380 mL	2.6900 mL	5.3800 mL
	10 mM	0.2690 mL	1.3450 mL	2.6900 mL

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In Vitro

Silmitasertib (CX-4945) causes cell-cycle arrest and selectively induces apoptosis in cancer cells relative to normal cells, attenuates PI3K/Akt signalingand, and the antiproliferative activity of Silmitasertib (CX-4945) is correlated with expression levels of the CK2α catalytic subunit, Attenuation of PI3K/Akt signaling [1]. Silmitasertib (CX-4945) with bortezomib treatment prevents leukemic cells from engaging a functional UPR in order to buffer the bortezomib-mediated proteotoxic stress in ER lumen, and decreases pro-survival ER chaperon BIP/Grp78 expression [2]. Silmitasertib (CX-4945) 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].

In Vivo

Silmitasertib (CX-4945) (25 or 75 mg/kg, p.o.) is well tolerated and demonstrated robust antitumor activity with concomitant reductions of the mechanism-based biomarker phospho-p21 (T145) in murine xenograft models [1].

Cell Assay

Various cell lines are seeded at a density of 3,000 cells per well 24 hours prior to treatment, in appropriate media, and then treated with indicated concentrations of Silmitasertib (CX-4945). Suspensions cells are seeded and treated on the same day. Following 4 days of incubation, Alamar Blue (20 µL, 10% of volume per well) is added and the cells are further incubated at 37°C for 4-5 hours. Fluorescence with excitation wavelength at 530-560 nm and emission wavelength at 590 nm is measured [1].

Animal Administration

Xenografts are initiated by subcutaneous injection of BxPC-3 cells into the right hind flank region of each mouse or BT-474 cells are injected into the mammary fat pad of mice implanted with estrogen pellets. When tumors reach a designated volume of 150-200 mm^3, animals are randomized and divided into groups of 9 to 10 mice per group. Silmitasertib (CX-4945) is administered by oral gavage twice daily at 25 or 75 mg/kg for 31 and 35 consecutive days for the BT-474 and BxPC-3 models, respectively. Tumor volumes and body weights are measured twice weekly. The length and width of the tumor are measured with calipers and the volume calculated using the following formula: tumor volume=(length × $width^2$)/2.

References

[1]. 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.

[2]. Buontempo F, et al. Synergistic cytotoxic effects of bortezomib 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.

[3]. 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.

[4]. Kendall JJ, et al. CK2 blockade causes MPNST cell apoptosis and promotes degradation of β-catenin. Oncotarget. 2016 Aug 16;7(33):53191-53203.

Ordering information

Catalog size quantities and prices may be found at http://www.interchim.com.
Please inquire for higher quantities (availability, shipment conditions).

Please contact InterBioTech – Interchim for any other information
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