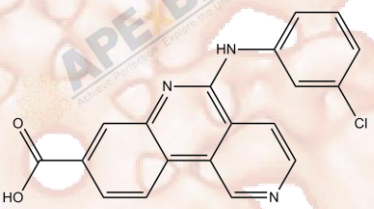
Product Data Sheet

CX-4945 (Silmitasertib)

Cat. No.:	A8330
CAS No.:	1009820-21-6
Formula:	C19H12ClN3O2
M.Wt:	349.77
Synonyms:	CX 4945; CX4945
Target:	PI3K/Akt/mTOR Signaling
Pathway:	CK2
Storage:	Store at -20°C



Solvent & Solubility

In Vitro

≥103.5 mg/mL in DMSO; insoluble in H2O; insoluble in EtOH

Preparing Stock Solutions

Concentration \ Mass / Solvent	1mg	5mg	10mg
1 mM	2.8590 mL	14.2951 mL	28.5902 mL
5 mM	0.5718 mL	2.8590 mL	5.7180 mL
10 mM	0.2859 mL	1.4295 mL	2.8590 mL

Please refer to the solubility information to select the appropriate solvent.

Biological Activity

Shortsummary	CK2 inhibitor
IC_{50} & Target	1 nM (CK2α), 1 nM (CK2α')

In Vitro

Cell Viability Assay

Cell Line:	Jurkat cells
Preparation method:	The solubility of this compound in DMSO is >10 mM. General tips for obtaining a higher concentration: Please warm the tube at 37 °C for 10 minutes and/or shake it in the ultrasonic bath for a while.Stock solution can be stored below -20°C for several months.
Reacting conditions:	4d; IC50=0.1 μM

	Applications:	CK2 inhibition was confirmed by measuring the phosphorylation level of the CK2 specific phosphorylation site on Akt (S129). CX-4945 induced dephosphorylation of Akt (S129) and a rapid dephosphorylation of the Akt substrate p21 (T145). Apoptosis was induced by CX-4945. CX-4945 was also found to potently inhibit endogenous intracellular CK2 activity with an IC50 of 0.1 μM in Jurkat cells.
In Vivo	**Animal experiment**	
	Animal models:	Athymic mice
	Dosage form:	75 mg/kg; bid; oral taken
	Applications:	CX-4945 was tested for in vivo efficacy in established human prostate PC3 xenograft model in athymic mice. Mice bearing subcutaneous PC3 tumors were treated with CX-4945 (25 mg/kg, 50 mg/kg, and 75 mg/kg, p.o, bid). CX-4945 demonstrated tumor growth inhibition (TGI = 19%, 40%, and 86%, respectively) compared to vehicle treated control, and a dose responsive efficacy was observed. Last, CX-4945 was well tolerated in mice as assessed by minimal changes in body weight during the course of treatment compared to vehicle control.
	Other notes:	Please test the solubility of all compounds indoor, and the actual solubility may slightly differ with the theoretical value. This is caused by an experimental system error and it is normal.

Product Citations

1. Silva-Pavez E, Villar P, et al. "CK2 inhibition with silmitasertib promotes methuosis-like cell death associated to catastrophic massive vacuolization of colorectal cancer cells." Cell Death Dis.2019 Jan 25;10(2):73.PMID:30683840

2. Zhao Z, Wang L, et al."Regulation of MLL/COMPASS stability through its proteolytic cleavage by taspase1 as a possible approach for clinical therapy of leukemia." Genes Dev. 2019 Jan 1;33(1-2):61-74.PMID:30573454

3. Tanghe G, Urwyler-Rösselet C, et al. "RIPK4 activity in keratinocytes is controlled by the SCF(β-TrCP) ubiquitin ligase to maintain cortical actin organization." Cell Mol Life Sci. 2018 Feb 12.PMID:29435596

4. Wu F, Qiu J, et al. "Apelin-13 attenuates ER stress-mediated neuronal apoptosis by activating Gα(i)/Gα(q)-CK2 signaling in ischemic stroke." Exp Neurol. 2018 Apr;302:136-144.PMID:29337146

5. Krentz Gober, Madeline J. "GENE EXPRESSION PROFILES REVEAL ALTERNATIVE TARGETS OF THERAPEUTICINTERVENTION FOR THE TREATMENT OF DRUG-RESISTANT NON-SMALL CELL LUNG CANCERS" (2017).Thesesand Dissertations--Pharmacy. 78.

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References

[1] Pierre F, Chua P C, O'Brien S E, et al. Discovery and SAR of 5-(3-chlorophenylamino) benzo [c][2, 6] naphthyridine-8-carboxylic acid (CX-4945), the first clinical stage inhibitor of protein kinase CK2 for the treatment of cancer[J]. Journal of medicinal chemistry,

2010, 54(2): 635-654.

Caution

FOR RESEARCH PURPOSES ONLY.

NOT FOR HUMAN, VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

Specific storage and handling information for each product is indicated on the product datasheet. Most APExBIO products are stable under the recommended conditions. Products are sometimes shipped at a temperature that differs from the recommended storage temperature. Shortterm storage of many products are stable in the short-term at temperatures that differ from that required for long-term storage. We ensure that the product is shipped under conditions that will maintain the quality of the reagents. Upon receipt of the product, follow the storage recommendations on the product data sheet.

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