

Product Specification Sheet

Product Name: CX-4945 (Silmitasertib)

Catalog Number: C2949

Technical information:

Chemical Formula: $C_{19}H_{12}ClN_3O_2$

CAS #: 1009820-21-6

Molecular Weight: 349.77

Purity: > 99%

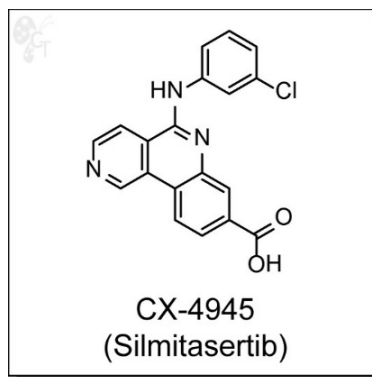
Appearance: Yellow solid

Solubility: Soluble in DMSO up to 100 mM

Chemical Name: 5-(3-chlorophenylamino)benzo[c][2,6]naphthyridine-8-carboxylic acid

Storage: Store solid powder at 4°C desiccated; Store DMSO solution at -20°C.

Shelf Life: In the unopened package, powder is stable for 1 year and DMSO solution is stable for 6 months under proper storage condition.



- Handling:**
- To make 10 mM stock solution, add 0.286mL of DMSO for each mg of CX-4945 (Silmitasertib)
 - For DMSO solution, briefly spin the vial at 500 rpm in a 50 mL conical tube to ensure maximum sample recovery.

Biological Activity: CX-4945 is an orally-available, ATP-competitive, pyridoquinoline-based inhibitor of CK2a with an IC50 potency of 1 nM and Ki of 0.38 nM. [1, 2] In a panel of 238 kinases at 500 nM, only 7 inhibited CK2 >90% [2] Treatment of BT474 and BxPC-3 cells with CX-4945 led to rapid dephosphorylation of CK2, Akt (S129), accompanied by reduction in phosphorylation at T308 and S473 of Akt. Since no reduction in PTEN phosphorylation at CK2's S370/S380, it is surmised that CX-4945 suppresses Pi3K/Akt signaling by directly blocking phosphorylation of Akt at Akt at S129 by CK2, rather than through activation of PTEN. [2]

Additionally, CX-4945 inhibits hypoxia-driven hypoxia inducible factor (HIF-1a) activity and prevents downregulation of pVHL and p53. CX-4945 also exerts a regulatory effect on the secretion of IL-6 in inflammatory breast cancer. [3]

CX-4945 may also be a potential sensitizer for resistant cells to conventional chemotherapeutic agents such as gemcitabine and cisplatin. [4]

- Reference:**
1. Pierre et al., Pre-clinical characterization of CX-4945, a potent and selective small molecule inhibitor of CK2 for the treatment of cancer. Mol. Cell. Biochem., 2011, 356, 37-43. Pubmed ID: 21755459
 2. Siddiqui-Jain 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, 70, 10288-10298. Pubmed ID: 21159648
 3. Kim et al., Druggability of the CK2 inhibitor CX-4945 as an anticancer drug and beyond.. Arch. Pharm. Res. 2012, 35(8), 1293-1296. Pubmed ID: 22941473
 4. Zanin et al., Effects of the CK2 inhibitors CX-4945 and CX-5011 on drug-resistant cells.. PLoS ONE, 2012, 7(11), e49193. Pubmed ID: 23145120

To reorder: <http://www.cellagentech.com/CX-4945-Silmitasertib/>

For Technical Support: technical@cellagentech.com

Chemicals are sold for research use only, not for clinical or diagnostic use.