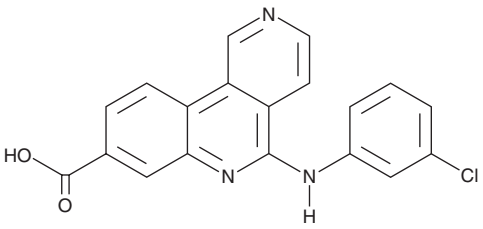
PRODUCT INFORMATION

CX-4945

Item No. 16779

CAS Registry No.:	1009820-21-6
Formal Name:	5-[(3-chlorophenyl)amino]-benzo[c]-2,6-naphthyridine-8-carboxylic acid
Synonym:	Silmitasertib
MF:	$C_{19}H_{12}ClN_3O_2$
FW:	349.8
Purity:	≥98%
UV/Vis.:	λ_{max}: 209, 240, 260, 360 nm
Supplied as:	A crystalline solid
Storage:	-20°C
Stability:	≥4 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

CX-4945 is supplied as a crystalline solid. A stock solution may be made by dissolving the CX-4945 in the solvent of choice, which should be purged with an inert gas. CX-4945 is soluble in organic solvents such as DMSO and dimethyl formamide (DMF). The solubility of CX-4945 in these solvents is approximately 15 and 20 mg/ml, respectively.

CX-4945 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, CX-4945 should first be dissolved in DMF and then diluted with the aqueous buffer of choice. CX-4945 has a solubility of approximately 0.5 mg/ml in a 1:1 solution of DMF:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

CX-4945 is a potent, orally bioavailable inhibitor of casein kinase 2 (CK2; K_i = 0.38 nM) that competes with ATP by filling the small ATP binding site on the catalytic CK2α subunit, conferring selectivity.[1-3] It inhibits proliferation in a panel of cancer cell lines that overexpress CK2 and prevents tumor growth of breast and pancreatic cancer cell xenografts in mice.[3] CX-4945 blocks survival and induces apoptosis in cancer stem cells and is effective against glioblastomas and acute myeloid leukemia cells.[4,5] CX-4945 also inhibits Cdc2-like kinases (Clk; IC_{50}s = 82.3, 3.8, and 90 nM for Clk1, Clk2, and Clk3, respectively), interfering with alternative splicing.[6]

References

1. Pierre, F., Chua, P.C., O'Brien, S.E., *et al. J. Med. Chem.* **54(2)**, 635-654 (2011).
2. Ferguson, A.D., Sheth, P.R., Basso, A.D., *et al. FEBS Lett.* **585(1)**, 104-110 (2011).
3. Siddiqui-Jain, A., Drygin, D., Streiner, N., *et al. Cancer Res.* **70(24)**, 10288-10298 (2010).
4. Agarwal, M., Nitta, R.T., and Li, G. *J. Mol. Genet. Med.* **8(1)**, 1000094 (2013).
5. Quotti Tubi, L., Gurrieri, C., Brancalion, A., *et al. J. Hematol. Oncol.* **6**, 78 (2013).
6. Kim, H., Choi, K., Kang, H., *et al. PLoS One* **9(4)**, e94978 (2014).

WARNING
THIS PRODUCT IS FOR RESEARCH ONLY - NOT FOR HUMAN OR VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

SAFETY DATA
This material should be considered hazardous until further information becomes available. Do not ingest, inhale, get in eyes, on skin, or on clothing. Wash thoroughly after handling. Before use, the user must review the complete Safety Data Sheet, which has been sent *via* email to your institution.

WARRANTY AND LIMITATION OF REMEDY
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