

PRODUCT INFORMATION



CX-6258

Item No. 16245

CAS Registry No.: 1202916-90-2
Formal Name: (3E)-5-chloro-3-[[5-[3-[[hexahydro-4-methyl-1H-1,4-diazepin-1-yl]carbonyl]phenyl]-2-furanyl]methylene]-1,3-dihydro-2H-indol-2-one

Synonym: Pim-Kinase Inhibitor X

MF: C₂₆H₂₄ClN₃O₃

FW: 461.9

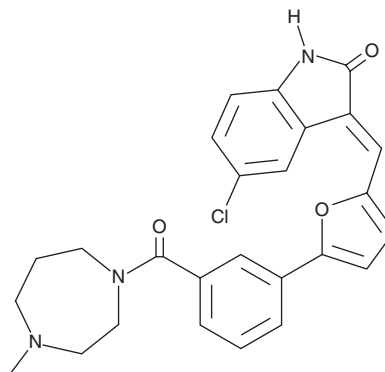
Purity: ≥98%

UV/Vis.: λ_{max}: 212, 258, 403 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-6258 is supplied as a crystalline solid. A stock solution may be made by dissolving the CX-6258 in the solvent of choice. CX-6258 is soluble in organic solvents such as DMSO and dimethyl formamide, which should be purged with an inert gas. The solubility of CX-6258 in these solvents is approximately 5 and 1 mg/ml, respectively.

CX-6258 is sparingly soluble in aqueous solutions. To enhance aqueous solubility, dilute the organic solvent solution into aqueous buffers or isotonic saline. If performing biological experiments, ensure the residual amount of organic solvent is insignificant, since organic solvents may have physiological effects at low concentrations. We do not recommend storing the aqueous solution for more than one day.

Description

The family of Pim (Provirus Integration site for Moloney murine leukemia virus) proteins are serine/threonine kinases involved in cell survival and cell proliferation. CX-6258 is a potent, reversible inhibitor of Pim-1, -2, and -3 (IC₅₀s = 5, 25, and 16 nM, respectively).¹ It demonstrates excellent selectivity, inhibiting only FLT3 from a panel of 107 additional kinases. CX-6258 dose-dependently blocks the phosphorylation of the Pim targets Bad, 4E-BP1, and NKX3.1.^{1,2} It acts synergistically with the chemotherapeutics doxorubicin and paclitaxel, presumably because CX-6258 impairs Pim-mediated enhanced expression of P-glycoprotein.¹ CX-6258 is orally bioavailable and inhibits the growth of MV4-11 xenografts in mice.¹

References

- Haddach, M., Michaux, J., Schwaebe, M.K., *et al.* Discovery of CX-6258. A potent, selective, and orally efficacious pan-Pim kinases inhibitor. *ACS Med. Chem. Lett.* **3**(2), 135-139 (2011).
- Padmanabhan, A., Gosc, E.B., and Bieberich, C.J. Stabilization of the prostate-specific tumor suppressor NKX3.1 by the oncogenic protein kinase Pim-1 in prostate cancer cells. *J. Cell. Biochem.* **114**, 1050-1057 (2013).

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.

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