

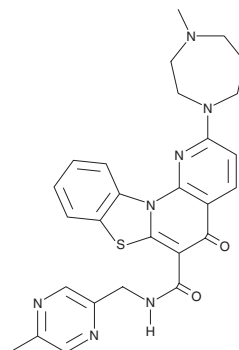
PRODUCT INFORMATION



CX-5461

Item No. 18392

CAS Registry No.: 1138549-36-6
Formal Name: 2-(hexahydro-4-methyl-1H-1,4-diazepin-1-yl)-N-[(5-methyl-2-pyrazinyl)methyl]-5-oxo-5H-benzothiazolo[3,2-a][1,8]naphthyridine-6-carboxamide
MF: C₂₇H₂₇N₇O₂S
FW: 513.6
Purity: ≥98%
UV/Vis.: λ_{max}: 242, 292, 299, 339 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-5461 is supplied as a crystalline solid. A stock solution may be made by dissolving the CX-5461 in the solvent of choice, which should be purged with an inert gas. CX-5461 is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF). The solubility of CX-5461 in these solvents is approximately 0.1, 1, and 2 mg/ml, respectively.

CX-5461 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, CX-5461 should first be dissolved in DMF and then diluted with the aqueous buffer of choice. CX-5461 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-5461 is an inhibitor of ribosomal RNA (rRNA) synthesis. It selectively inhibits RNA polymerase I-driven transcription of rRNA in HCT116, A375, and MIA PaCa-2 tumor cells (IC₅₀s = 142, 113, and 54 nM, respectively) without affecting RNA polymerase II (IC₅₀ > 25 μM), DNA replication, or protein translation.^{1,2} At 50 mg/kg, CX-5461 demonstrates *in vivo* antitumor activity against human solid tumors in mouse xenograft models.¹

References

1. Drygin, D., Lin, A., Bliesath, J., *et al.* Targeting RNA polymerase I with an oral small molecule CX-5461 inhibits ribosomal RNA synthesis and solid tumor growth. *Cancer Res.* **71(4)**, 1418-1430 (2011).
2. Haddach, M., Schwaebe, M.K., Michaux, J., *et al.* Discovery of CX-5461, the first direct and selective inhibitor of RNA polymerase I, for cancer therapeutics. *ACS Med. Chem. Lett.* **3(7)**, 602-606 (2012).

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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