

PRODUCT INFORMATION



CEP-40783

Item No. 25749

CAS Registry No.: 1437321-24-8

Formal Name: N-[4-[(6,7-dimethoxy-4-quinolinyl)oxy]-3-fluorophenyl]-3-(4-fluorophenyl)-1,2,3,4-tetrahydro-1-(1-methylethyl)-2,4-dioxo-5-pyrimidinecarboxamide

Synonym: RXDX-106

MF: $C_{31}H_{26}F_2N_4O_6$

FW: 588.6

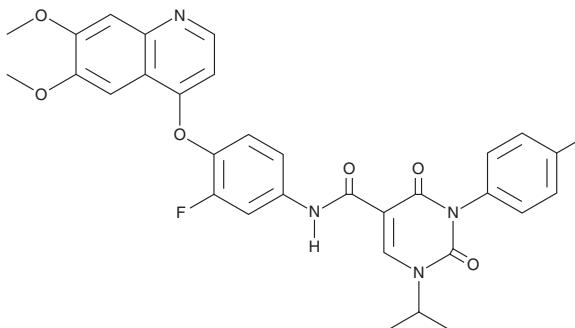
Purity: $\geq 98\%$

UV/Vis.: λ_{max} : 232, 240, 299 nm

Supplied as: A crystalline solid

Storage: -20°C

Stability: ≥ 2 years



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

Laboratory Procedures

CEP-40783 is supplied as a crystalline solid. A stock solution may be made by dissolving the CEP-40783 in the solvent of choice. CEP-40783 is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF), which should be purged with an inert gas. The solubility of CEP-40783 in these solvents is approximately 2, 5, and 20 mg/ml, respectively.

CEP-40783 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, CEP-40783 should first be dissolved in DMF and then diluted with the aqueous buffer of choice. CEP-40783 has a solubility of approximately 0.3 mg/ml in a 1:2 solution of DMF:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

CEP-40783 is an inhibitor of the receptor tyrosine kinases Axl and c-MET ($IC_{50}s = 7$ and 12 nM, respectively).¹ It inhibits Axl in 293GT cells expressing Axl and c-MET in NCI H1299 non-small cell lung cancer (NSCLC) cells with IC_{50} values of 0.26 and 6 nM, respectively. It also inhibits the receptor tyrosine kinases TYRO3 and MER ($IC_{50}s = 3.5$ and 1.89 nM, respectively).² CEP-40783 (0.3 mg/kg) induces complete regression of tumors in an Axl/NIH3T3 mouse xenograft model and reduces the metastatic tumor burden in mouse orthotopic breast cancer models. It also induces tumor stasis and regression in an EBC-1 NSCLC mouse xenograft model when administered at doses of 3 and 10 mg/kg, respectively. CEP-40783 reduces tumor growth in an MC38 mouse syngeneic model concomitantly with an increase in leukocyte infiltration into tumors, the production of IFN- γ in natural killer cells, and the percentage of CD8⁺ T cells in tumor tissue.²

References

1. Miknyoczki, S.J., Cheng, M., Hudkins, R., *et al.* CEP-40783: A potent and selective AXL/c-Met inhibitor for use in breast, non-small cell lung (NSCLC), and pancreatic cancers. *Mol. Cancer Ther.* **12(11 Suppl)**, C275 (2013).
2. Yokoyama, Y., Lew, E.D., Seelige, R., *et al.* Immuno-oncological efficacy of RXDX-106, a novel TAM (TYRO3, AXL, MER) family small-molecule kinase inhibitor. *Cancer Res.* **79(8)**, 1996-2008 (2019).

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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