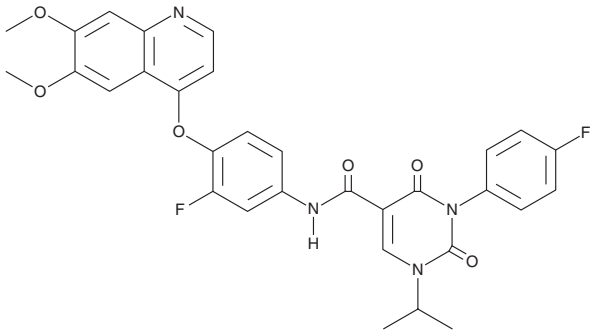
PRODUCT INFORMATION

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: ≥98%
UV/Vis.: λ_{max}: 232, 240, 299 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

CEP-40783 is supplied as a crystalline solid. A stock solution may be made by dissolving the CEP-40783 in the solvent of choice, which should be purged with an inert gas. CEP-40783 is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF). 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).

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