Product Name: Lenvatinib (E7080)

Revision Date: 1/14/2019

Product Data Sheet

Chemical Properties

Product Name: Lenvatinib (E7080)
Cas No.: 417716-92-8
M.Wt: 426.85
Formula: C21H19ClN4O4

Chemical Name: 4-[3-chloro-4-(cyclopropylcarbamoylamino)phenoxy]-7-methoxyquinoline-6-carboxamide

Canonical SMILES: COC1=CC2=NC=CC(=C2C=ClO)OC3=CC(=C(C=C3)NC(=O)NC4CC4)Cl

Solubility: $\geq 21.35$mg/mL in DMSO

Storage: Store at -20°C

General tips: For obtaining a higher solubility, please warm the tube at $37^\circ$C and shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.

Shopping Condition: Evaluation sample solution: ship with blue ice
All other available size: ship with RT, or blue ice upon request

Biological Activity

Targets: Tyrosine Kinase

Pathways: VEGFR

Description:

Lenvatinib (E7080) is an inhibitor of multiple RTK with IC50 values of 22nM, 4nM, 5.2nM, 39nM and 35nM on VEGFR-1, VEGFR-2, VEGFR-3, PDGFRβ and RET, respectively [1].
Lenvatinib is presently under investigation both as monotherapy and in combination with cytotoxic agents for multiple types of solid tumor, including thyroid carcinoma and hepatocellular carcinoma (in Phase III trials), and melanoma, renal carcinoma, non-small cell lung carcinoma,
glioblastoma multiforme, and ovarian and endometrial carcinoma (Phase I and II trials) [1]. When used as an inhibitor of RET kinase, Lenvatinib cause growth inhibition in TPC-1 cells and LC-2/ad cells. The GI50 values are 27nM and 48nM. It is also reported that Lenvatinib can inhibit RET (rearranged during transfection) gene fusion kinases, and inhibit oncogenic signaling of RET gene fusions. Lenvatinib has been demonstrated to exert antitumor activities in RET gene fusion-driven tumor models [2].

Reference:

Protocol

Cell experiment:

Cell lines

HUVECs

Preparation method

The solubility of this compound in DMSO is > 21.4 mg/mL. General tips for obtaining a higher concentration: Please warm the tube at 37 °C for 10 minutes and/or shake it in the ultrasonic bath for a while. Stock solution can be stored below -20 °C for several months.

Reacting conditions

Applications

In HUVECs stimulated with VEGF and VEGF-C, Lenvatinib strongly inhibited VEGF-R2 and VEGF-R3 with the IC50 values of 0.83 nM and 0.36 nM, respectively. In addition, E7080 showed stronger inhibitory activity against VEGF-induced proliferation of HUVECs (IC50 = 2.7 nmol/L) than basic FGF-induced proliferation of HUVECs (IC50 = 410 nM) and PDGF-induced proliferation of L cells (IC50 = 340 nM).

Animal experiment [3]:

Animal models

Nude mice bearing MDA-MB-231 cells

Dosage form

100 mg/kg; p.o.; q.d., for 8 weeks

Applications

In nude mice bearing MDA-MB-231 cells, E7080 significantly inhibited tumor growth, with a RTV value of 0.81 ± 1.00. E7080 also markedly inhibited metastasis to regional lymph nodes and distant lung. In addition, E7080 reduced angiogenesis and
lymphangiogenesis within metastatic nodules in lymph nodes of MDA-MB-231 xenograft models.

Other notes
Please test the solubility of all compounds indoor, and the actual solubility may slightly differ with the theoretical value. This is caused by an experimental system error and it is normal.

Reference:

Caution

FOR RESEARCH PURPOSES ONLY.

NOT FOR HUMAN, VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

Specific storage and handling information for each product is indicated on the product datasheet. Most ApexBio products are stable under the recommended conditions. Products are sometimes shipped at a temperature that differs from the recommended storage temperature. Short term storage of many products are stable in the short-term at temperatures that differ from that required for long-term storage. We ensure that the product is shipped under conditions that will maintain the quality of the reagents. Upon receipt of the product, follow the storage recommendations on the product data sheet.