Product Data Sheet

Chemical Properties

Product Name: Cabozantinib malate (XL184)
Cas No.: 1140909-48-3
M.Wt: 635.59
Formula: C32H30FN3O10

Chemical Name: 1-N-[4-(6,7-dimethoxyquinolin-4-yl)oxyphenyl]-1-N'-(4-fluorophenyl)cyclopropane-1,1-dicarboxamide;(2S)-2-hydroxybutanedioic acid

Canonical SMILES: COC1=CC2=C(C=CN=C2C=C1OC)OC3=CC=C(C=C3)NC(=O)C4(CC4)C(=O)NC5=CC=C(C=C5)F.C(C(C(=O)O)O)C(=O)O

Solubility: $\geq 31.8$mg/mL in DMSO

Storage: Store at -20°C

General tips: For obtaining a higher solubility, please warm the tube at 37°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: c-MET

Description:

Cabozantinib malate is a potent inhibitor of MET and VEGF receptor2 with IC50 values of 1.3nM and 0.035nM [1].

Cabozantinib is a pan-tyrosine kinase inhibitor and is developed as an oral treatment of various cancers including MTC, GBM, NSCLC, pancreatic carcinoma, breast and colon cancer. The targets of cabozantinib are MET, VEGFR-2, RET, FLT3, KIT, AXL as well as TEK. In cellular assays,
Cabozantinib inhibits the phosphorylation of MET, VEGFR2, KIT, FLT3 and AXL with IC50 values of 7.8, 1.9, 5.0, 7.5 and 42μM, respectively [1, 2]. As a pan-tyrosine kinase inhibitor, cabozantinib can affect many biological processes. Cabozantinib inhibits the tubule formation of HMVEC cells with IC50 value of 6.7nM. In B16F10 cells, cabozantinib inhibits HGF-induced migration and invasion with IC50 values of 31nM and 9nM, respectively. Moreover, cabozantinib shows anti-proliferation efficacy in a variety of tumors such as SNU-5, Hs746T, MDA-MB-231 and U87MG. It is also reported that the combination of cabozantinib and gefitinib can cause potent inhibition of the gefitinib-resistant HCC827GR6 cell line [1, 2].

Reference:

Protocol

Cell experiment:

Cell lines
TT cells

Preparation method
Soluble in DMSO > 31.8mg/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
Cabozantinib inhibited multiple forms of oncogenic RET kinase activity, including M918T and Y791F mutants. Additionally, it inhibited proliferation of TT tumor cells that harbor a C634W activating mutation of RET that is most often associated with MEN2A(multiple endocrine neoplasia) and familial MTC (medullary thyroid cancer).

Animal experiment [3]:

Animal models
Female nu/nu mice with H441 cells xenograft tumor

Dosage form
a single 100 mg/kg dose, orally administration

Applications
In mouse models, cabozantinib dramatically altered tumor pathology, resulting in decreased tumor and endothelial cell
proliferation coupled with increased apoptosis and dose-dependent inhibition of tumor growth in breast, lung, and glioma tumor models. Importantly, treatment with cabozantinib did not increase lung tumor burden in an experimental model of metastasis.

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. Shortterm 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.