Product Name: Cabozantinib malate (XL184)

Revision Date: 01/10/2020

Product Data Sheet

Cabozantinib malate (XL184)

Cat. No.: B1401

CAS No.: 1140909-48-3

Formula: C32H30FN3O10

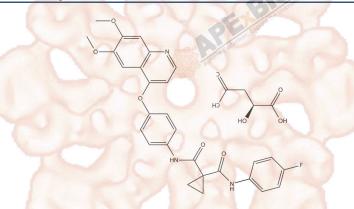
M.Wt: 635.59

Synonyms:

Target: Tyrosine Kinase

Pathway: c-MET

Storage: Store at -20°C



Solvent & Solubility

≥31.8mg/mL in DMSO

In Vitro

| Preparing Stock Solutions | Mass | | | |
|------------------------------|---------------|-----------|-----------|------------|
| | Solvent | 1mg | 5mg | 10mg |
| | Concentration | | | |
| | 1 mM | 1.5733 mL | 7.8667 mL | 15.7334 mL |
| | 5 mM | 0.3147 mL | 1.5733 mL | 3.1467 mL |
| -10 | 10 mM | 0.1573 mL | 0.7867 mL | 1.5733 mL |

Please refer to the solubility information to select the appropriate solvent.

Biological Activity

| Shortsummary | MET andVEGF receptor2 inhibitor | |
|---------------------------|---------------------------------|--------|
| IC ₅₀ & Target | | |
| | Cell Viability Assay | |
| | Cell Line: TT cells | Je Ito |

In Vitro

| Cell Line: | 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: | 13.7, 41, 123, 370, 1111, 3333nmol/L for 1h |
| 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 | | | |
| | 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 | |
| In Vivo | | 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 | |
| | 40. | system error and it is normal. | |

Product Citations

See more customer validations on www.apexbt.com.

References

- [1]. Yakes F M, Chen J, et al. Cabozantinib (XL184), a novel MET and VEGFR2 inhibitor, simultaneously suppresses metastasis, angiogenesis, and tumor growth. Molecular cancer therapeutics, 2011, 10(12): 2298-2308.
- [2]. Zhang Y, Guessous F, et al. XL-184, a MET, VEGFR-2 and RET kinase inhibitor for the treatment of thyroid cancer, glioblastoma multiforme and NSCLC. IDrugs, 2010, 13(2): 112.

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.

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