Product Data Sheet

Chemical Properties

Product Name: XL388
Cas No.: 1251156-08-7
M.Wt: 455.5
Formula: C23H22FN3O4S
Synonyms: XL 388;XL-388

Chemical Name: [7-(6-aminopyridin-3-yl)-3,5-dihydro-2H-1,4-benzoazepin-4-yl]-(3-fluoro-2-methyl-4-methylsulfonylphenyl)methanone
Canonical SMILES: CC1=C(C=CC(C(=O)C(=O)C)(=N=C(C=C4)N)C)S(=O)(=O)C)C(=O)N2CCOC3=C(C2)C=C(C=C3)C4=C

Solubility: ≥6.9mg/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: PI3K/Akt/mTOR Signaling
Pathways: mTOR

Description:
XL388 is a selective inhibitor of mTOR with IC50 value of 9.9 nM [1].

mTOR, a member of the phosphatidylinositol 3-kinase (PI3K) cell survival pathway, plays an important role in the regulation of cell growth and proliferation by monitoring nutrient availability, cellular energy levels, oxygen levels, and mitogenic signals [1].
In MCF-7 cells, XL388 blocks mTORC1 phosphorylation of p70S6K with an IC50 value of 94 nM and mTORC2 phosphorylation of AKT with an IC50 value of 350 nM. When assayed alone, XL388 inhibits the viability of hematopoietic tumor cell lines in vitro. Also, XL388 synergizes with chemotherapeutics in cell-based assays to block cell viability [1].

XL388 shows robust antitumor activity in multiple xenograft models when dosed orally once daily in mice, especially in the MCF-7 xenograft model it shows > 100% tumor growth inhibition [1]. XL388 displays strong pharmacokinetics and good oral exposure in multiple species. Oral administration of XL388 to athymic nude mice implanted with MCF-7 xenograft tumors afforded significant and dose-dependent antitumor activity, which suggest that block of mTOR signaling is a viable target for antitumor activity [2].

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.