

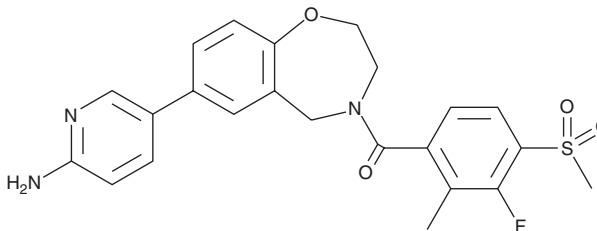
PRODUCT INFORMATION



XL388

Item No. 20237

CAS Registry No.: 1251156-08-7
Formal Name: [7-(6-amino-3-pyridinyl)-2,3-dihydro-1,4-benzoxazepin-4(5H)-yl][3-fluoro-2-methyl-4-(methylsulfonyl)phenyl]-methanone
MF: C₂₃H₂₂FN₃O₄S
FW: 455.5
Purity: ≥98%
UV/Vis.: λ_{max}: 275 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

XL388 is supplied as a crystalline solid. A stock solution may be made by dissolving the XL388 in the solvent of choice. XL388 is soluble in the organic solvent DMSO (warmed), at a concentration of approximately 5 mg/ml.

Description

XL388 is an orally bioavailable and ATP-competitive inhibitor of mammalian target of rapamycin (mTOR; IC₅₀ = 9.9 nM).¹ It is selective for mTOR over a panel of more than 140 kinases, including various PI3Ks (IC₅₀s = >3,000 nM). It inhibits mTOR complex 1 (mTORC1) and mTORC2 *in vitro* (IC₅₀s = 8 and 166 nM, respectively).² XL388 induces cytotoxicity in MG-63, U2OS, and Saos-2 osteosarcoma and 786-0 kidney cancer cells and increases apoptosis in 786-0 and MG-63 cells in a concentration-dependent manner.^{3,4} It also induces cell cycle arrest at the G₁ phase and increases autophagy in MG-63 cells.³ XL388 (50 and 100 mg/kg) reduces tumor growth in an MCF-7 breast cancer mouse xenograft model and inhibits phosphorylation of the mTORC1 and mTORC2 substrates p70S6K, S6, 4E-BP1, and Akt in MCF-7 and PC-3 xenograft tumors when administered at a dose of 100 mg/kg.¹ XL388 (20 mg/kg) also reduces tumor growth in U2OS and 786-0 mouse xenograft models.^{3,4}

References

1. Takeuchi, C.S., Kim, B.G., Blazey, C.M., *et al.* Discovery of a novel class of highly potent, selective, ATP-competitive, and orally bioavailable inhibitors of the mammalian target of rapamycin (mTOR). *J. Med. Chem.* **56(6)**, 2218-2234 (2013).
2. Miller, N. Abstract B146: XL388: A novel, selective, orally bioavailable mTORC1 and mTORC2 inhibitor that demonstrates pharmacodynamic and antitumor activity in multiple human cancer xenograft models. *Mol. Cancer Ther.* **8(Suppl 12)**, B146 (2009).
3. Zhu, Y.-R., Zhou, X.-Z., Zhu, L.-Q., *et al.* The anti-cancer activity of the mTORC1/2 dual inhibitor XL388 in preclinical osteosarcoma models. *Oncotarget* **7(31)**, 49527-49538 (2016).
4. Xiong, Z., Zang, Y., Zhong, S., *et al.* The preclinical assessment of XL388, a mTOR kinase inhibitor, as a promising anti-renal cell carcinoma agent. *Oncotarget* **8(18)**, 30151-30161 (2017).

WARNING

THIS PRODUCT IS FOR RESEARCH ONLY - NOT FOR HUMAN OR VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

SAFETY DATA

This material should be considered hazardous until further information becomes available. Do not ingest, inhale, get in eyes, on skin, or on clothing. Wash thoroughly after handling. Before use, the user must review the complete Safety Data Sheet, which has been sent via email to your institution.

WARRANTY AND LIMITATION OF REMEDY

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CAYMAN CHEMICAL

1180 EAST ELLSWORTH RD
ANN ARBOR, MI 48108 · USA

PHONE: [800] 364-9897
[734] 971-3335

FAX: [734] 971-3640

CUSTSERV@CAYMANCHEM.COM
WWW.CAYMANCHEM.COM