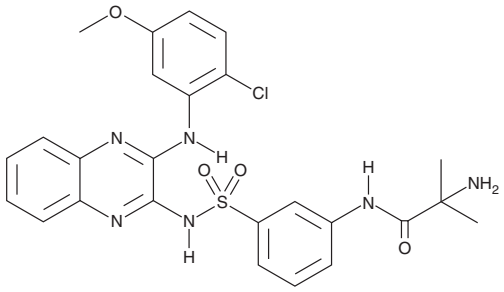
PRODUCT INFORMATION

XL147

Item No. 18011

CAS Registry No.:	934526-89-3
Formal Name:	2-amino-N-[3-[[[3-[(2-chloro-5-methoxyphenyl)amino]-2-quinoxalinyl]amino]sulfonyl]phenyl]-2-methyl-propanamide
Synonyms:	Pilaralisib, SAR245408
MF:	$C_{25}H_{25}ClN_6O_4S$
FW:	541.0
Purity:	≥98%
UV/Vis.:	λ_{max}: 237, 272, 369 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

XL147 is supplied as a crystalline solid. A stock solution may be made by dissolving the XL147 in the solvent of choice, which should be purged with an inert gas. XL147 is soluble in organic solvents such as DMSO and dimethyl formamide. The solubility of XL147 in these solvents is approximately 20 mg/ml.

XL147 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, XL147 should first be dissolved in DMSO and then diluted with the aqueous buffer of choice. XL147 has a solubility of approximately 0.03 mg/ml in a 1:30 solution of DMSO:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

XL147 is an inhibitor of class I PI3Ks (IC_{50}s = 0.039, 0.036, 0.023, and 0.383 µM for p110α, -δ, -γ, and -β, respectively).[1] It is selective for class I PI3Ks over the class III PI3K vacuolar protein sorting 34 (Vps34; IC_{50} = 6.974 µM), as well as DNA protein kinase (DNA-PK) and mammalian target of rapamycin (mTOR) (IC_{50}s = 4.75 and >15 µM, respectively). XL147 inhibits the proliferation of MCF-7 breast and PC3 prostate cancer cells (IC_{50}s = 9.669 and 16.492 µM, respectively). It reduces tumor growth in a BT474 breast cancer mouse xenograft model when administered alone or in combination with trastuzumab or lapatinib (Item No. 11493).[2]

References

1. Foster, P., Yamaguchi, K., Hsu, P.P., *et al.* The selective PI3K inhibitor XL147 (SAR245408) inhibits tumor growth and survival and potentiates the activity of chemotherapeutic agents in preclinical tumor models. *Mol. Cancer Ther.* **14(4)**, 931-940 (2015).
2. Chakrabarty, A., Sánchez, V., Kuba, M.G., *et al.* Feedback upregulation of HER3 (ErbB3) expression and activity attenuates antitumor effect of PI3K inhibitors. *Proc. Natl. Acad. Sci. USA* **109(8)**, 2718-2723 (2012).

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