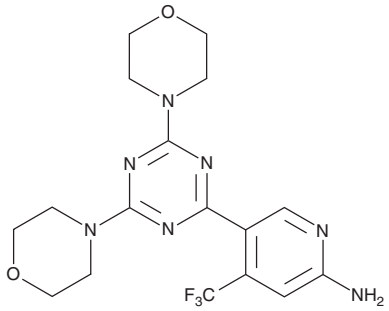
PRODUCT INFORMATION

Bimiralisib

Item No. 23441

CAS Registry No.:	1225037-39-7
Formal Name:	5-(4,6-di-4-morpholinyl-1,3,5-triazin-2-yl)-4-(trifluoromethyl)-2-pyridinamine
Synonym:	PI3K-IN-2
MF:	$C_{17}H_{20}F_3N_7O_2$
FW:	411.4
Purity:	≥98%
UV/Vis.:	λ_{max}: 203, 233, 279 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

Bimiralisib is supplied as a crystalline solid. A stock solution may be made by dissolving the bimiralisib in the solvent of choice, which should be purged with an inert gas. Bimiralisib is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF). The solubility of bimiralisib in ethanol is approximately 2 mg/ml and approximately 10 mg/ml in DMSO and DMF.

Description

Bimiralisib is a potent and orally bioavailable inhibitor of phosphatidylinositol 3-kinases (PI3K; IC_{50}s = 33, 661, 708, and 451 nM for PI3Kα, PI3Kβ, PI3Kγ, and PI3Kδ, respectively) and the mammalian target of rapamycin (mTOR; IC_{50} = 89 nM).[1] It is selective for these kinases over a panel of cell surface and nuclear receptors, membrane channels, transporters, kinases, proteases, and phosphodiesterases at a concentration of 10 µM. Bimiralisib has anticancer activity with an average GI_{50} value of 0.7 µM across the National Cancer Institute (NCI) 60 human cancer cell line panel. *In vivo*, bimiralisib (5-15 mg/kg) reduces tumor growth in a dose-dependent manner in a PC3 prostate cancer mouse xenograft model. Formulations containing bimiralisib are under clinical investigation for the treatment of relapsed and refractory lymphoma and advanced solid tumors.

Reference

1. Beaufils, F., Cmiljanovic, N., Cmiljanovic, V., *et al.* 5-(4,6-Dimorpholino-1,3,5-triazin-2-yl)-4-(trifluoromethyl) pyridin-2-amine (PQR309), a potent, brain-penetrant, orally bioavailable, pan-class I PI3K/mTOR inhibitor as clinical candidate in oncology. *J. Med. Chem.* **60(17)**, 7524-7538 (2017).

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