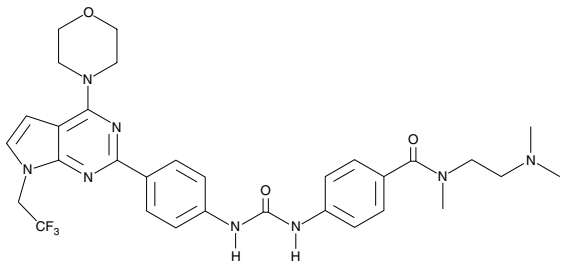
Product Information

CAY10626

Item No. 13838

CAS Registry No.: 1202884-94-3
Formal Name: N-[2-(dimethylamino)ethyl]-N-methyl-4-[[[[4-[4-(4-morpholinyl)-7-(2,2,2-trifluoroethyl)-7H-pyrrolo[2,3-d]pyrimidin-2-yl]phenyl]amino]carbonyl]amino]-benzamide
MF: $C_{31}H_{35}F_3N_8O_3$
FW: 624.7
Purity: ≥98%
Stability: ≥2 years at -20°C
Supplied as: A crystalline solid



Laboratory Procedures

For long term storage, we suggest that CAY10626 be stored as supplied at -20°C. It should be stable for at least two years.

CAY10626 is supplied as a crystalline solid. A stock solution may be made by dissolving the CAY10626 in the solvent of choice. CAY10626 is soluble in organic solvents such as DMSO and dimethyl formamide, which should be purged with an inert gas. The solubility of CAY10626 in these solvents is approximately 15 mg/ml.

CAY10626 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, CAY10626 should first be dissolved in DMSO and then diluted with the aqueous buffer of choice. CAY10626 has a solubility of approximately 0.1 mg/ml in a 1:10 solution of DMSO:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Phosphatidylinositol 3-kinase (PI3K) catalyzes the phosphorylation of the 3' hydroxyl position of PIs to produce PtdIns-(3,4)-P_2 and PtdIns-(3,4,5)-P_3, important second messengers that modulate the activity of downstream targets Akt and mTOR.[1] Aberrant PI3K/Akt is associated with many human cancers. CAY10626 is a potent, dual PI3Kα/mTOR inhibitor with IC_{50} values of 0.9 and 0.6 nM for the two respective kinases.[2] In a tumor cell growth inhibition assay, CAY10626 demonstrates IC_{50} values of <3 and 13 nM for MDA361 (breast) and PC3 (prostate) cancer cell lines, respectively.[2] When administered at 25-50 mg/kg to MD361 xenograft mice, phosphorylation of the downstream targets of PI3Kα and mTOR (Akt T^{308}, Akt S^{473}, and S6K) was suppressed, and significant tumor regression was observed.[2]

References

1. Rameh, L.E. and Cantley, L.C. The role of phosphoinositide 3-kinase lipid products in cell function. *J. Biol. Chem.* **274**, 8347-8350 (1999).
2. Chen, Z., Venkatesan, A.M., Dehnhardt, C.M., *et al.* Synthesis and SAR of novel 4-morpholinopyrrolopyrimidine derivatives as potent phosphatidylinositol 3-kinase inhibitors. *J. Med. Chem.* **53(8)**, 3169-3182 (2010).

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