Purdue Bioscience

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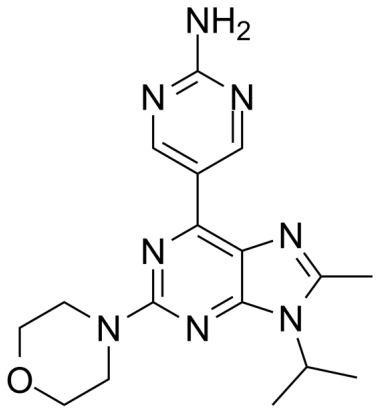
Certificate of Analysis

Catalog Number	BP22333
Product Name	VS-5584

Physical and Chemical Properties

Synonyms	VS5584, VS 5584, SB2343
CAS No.	1246560-33-7
Chemical Formula	C17H22N8O
Molecular Weight	354.418
Solubility	Ethanol: 3 mg/mL (8.46 mM) DMSO: 66 mg/mL (186.2 mM); H2O: <1 mg/mL
Storage	Powder: -20°C for 2 years In solvent: -80°C for 1 year
Chemical Structure OR Tested Image	

Product Information

Description	VS-5584 is a pan-PI3K/mTOR kinase inhibitor.

Targets&IC50	mTOR:3.4 nM, PI3Kγ:3.0 nM, PI3Kα:2.6 nM, PI3Kβ:21 nM, PI3Kδ:2.7 nM
In vitro	VS-5584 is an ATP-competitive inhibitor which selectively inhibits PI3K/mTOR signaling with equivalent low nanomolar potency against all human Class I PI3K isoforms and mTOR kinase. VS-5584 is approximately 10-fold selective for cancer stem cells with an EC50 of 15 nM in HMLE breast cancer cells. VS-5584 preferentially decreases CD44Hi/CD24Lo cells in an HMLER immortalized mammary cancer cell line. In SUM159 cells, VS-5584 effectively eliminates the cancer stem cell side population. A large human cancer cell line panel screen (436 lines) reveals broad antiproliferative sensitivity and that cells harboring mutations in PI3KCA are generally more sensitive toward VS-5584 treatment. In the FLT3-ITD harboring MV4-11 cells, VS-5584 blocks pAkt (S473) and pAkt (T308) with IC50 of 12 and 13 nM, respectively. The IC50 of VS-5584 for pS6 (S240/244), pAkt (S473), and pAkt (T308) are 20, 23, and 15 nM, respectively.
In vivo	In mice bearing triple negative breast cancer tumors, oral dosing of VS-5584 decreases tumor cancer stem cells and induces tumor regression in taxane-resistant models. In a PTENnull human prostate PC3 xenograft model, treatment with VS-5584 leads to significant tumor growth inhibition (TGI) of 79% and 113% for 11 and 25 mg/kg, respectively. In a FLT3-ITD AML xenograft model, VS-5584 treatment induces dose-dependent inhibition of tumor growth (28% for 3.7 mg/kg and 76% for 11 mg/kg).

Analytical Data

HPLC	Shows Min >99% purity
H-NMR	Consistent with structure
Stability and Solubility Advice	Information on product stability, especially in solution, has rarely been reported and in most cases we can only provide a general guideline. We recommend that once the stock solution has been prepared, it be stored in equal quantities in sealed vials and used within 1 month. Avoid repeated freezing and thawing cycles. Storage conditions for some special products should be referred to their storage details.

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