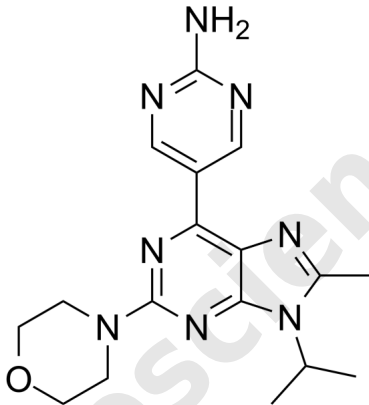


Data Sheet

Product Information

| | |
|----------------|--|
| Catalog Number | BP22333 |
| Product Name | VS-5584 |
| Description | VS-5584 is a pan-PI3K/mTOR kinase inhibitor. |
| Targets&IC50 | mTOR:3.4 nM, PI3K γ :3.0 nM, PI3K α :2.6 nM, PI3K β :21 nM, PI3K δ :2.7 nM |
| In vitro | <p>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 CD44^{Hi}/CD24^{Lo} 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.</p> |
| In vivo | <p>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).</p> |
| Synonyms | VS5584, VS 5584, SB2343 |

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|--|---|
| CAS No. | 1246560-33-7 |
| Chemical Formula | C ₁₇ H ₂₂ N ₈ O |
| Molecular Weight | 354.418 |
| Solubility | Ethanol: 3 mg/mL (8.46 mM) DMSO: 66 mg/mL (186.2 mM); H ₂ O: <1 mg/mL |
| Storage | Powder: -20°C for 2 years In solvent: -80°C for 1 year |
| Chemical Structure OR Tested Image |  |

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