

Onatasertib

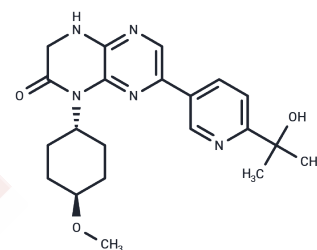
Chemical Properties

CAS No. : 1228013-30-6

Formula: C₂₁H₂₇N₅O₃

Molecular Weight: 397.47

Storage: Store at low temperature, Keep away from direct sunlight
 Powder: -20°C for 3 years | In solvent: -80°C for 1 year
Actual storage temperature shall be subject to the COA.



Biological Description

Description	Onatasertib (CC223) is an orally available mTOR inhibitor with potential antitumor activity. Onatasertib inhibits the activity of mTOR, which may result in the induction of tumor cell apoptosis and a decrease in tumor cell proliferation. Onatasertib is a potent mTOR kinase inhibitor (IC ₅₀ : 16 nM), with >150-fold sensitivity than the related lipid kinase PI3K α (IC ₅₀ : 4 μ M).
Targets(IC ₅₀)	Apoptosis, c-Fms, FLT, DNA-PK, mTOR, PI3K
In vitro	In mice with PC-3 tumor xenografts, CC-223 (25 mg/kg, p.o.) inhibited the activity of both mTORC1 and mTORC2. Additionally, CC-223 (25 mg/kg, p.o.) suppressed tumor growth across several models, including those for prostate cancer, glioblastoma, breast cancer, lung cancer, and colorectal cancer, achieving inhibition rates between 47%
In vivo	In numerous cell lines, CC-223 effectively inhibits markers of both mTORC1 (S6RP and 4EBP1) and mTORC2 [AKT(S473)], with IC ₅₀ values for pAKT(S473) ranging from 11-150 nM, pS6RP from 27-184 nM, and p4EBP1 from 120-1050 nM. Additionally, CC-223 suppresses the growth of various cancer cell lines and induces apoptosis.
Kinase Assay	Kinase assays mTOR.: Reagents are prepared as follows: "Simple Tor buffer": 10 mM Tris pH 7.4, 100 mM NaCl, 0.1% Tween-20, 1 mM DTT. Recombinant mTOR is diluted in this buffer to an assay concentration of 0.200 μ g/mL. ATP/Substrate solution: 0.075 mM ATP, 12.5 mM MnCl ₂ , 50 mM Hepes, pH 7.4, 50 mM β -GOP, 250 nM Microcystin LR, 0.25 mM EDTA, 5 mM DTT, and 3.5 μ g/mL GST-p70S6. Dilution Curve: A 10-point, 1:3 dilution of compounds are prepared in neat DMSO at 50 times the final assay concentration. Detection reagent mix: 50 mM HEPES, pH 7.4 0.01% Triton X-100, 0.01% BSA, 0.1 mM EDTA, 12.7 μ g/mL Cy5-anti-GST antibody, 9 ng/ml anti-phospho p70S6 antibody (Thr389), 627ng/mL anti-mouse IgG labeled with Lance Eu. To 20 μ L of the Simple Tor buffer is added 0.5 μ L of the compound Dilution Curve in DMSO. The final concentration range for compound is 30 to 0.0015 μ M. To initiate the reaction, 5 μ L of the ATP/substrate solution is added to the above. The reaction is allowed to run for 60 minutes. The assay is stopped by adding 5 μ L of 60 mM EDTA. Ten (10) μ L of detection reagent mix is then added, and the mixture is allowed to sit at least 2 hours before reading on a Perkin Elmer Envision microplate reader set to detect Europium-based TR-FRET.

Cell Research	Compound is spotted via an acoustic dispenser (EDC ATS-100) into an empty 384-well plate. Cells are diluted to desired densities and added directly to the compound-spotted plates. Cells are allowed to grow for 72 hours. Viability is assessed via Cell Titer-Glo. All data are normalized and represented as a percentage of the DMSO-treated cells. Results are then expressed as GI50 and/or IC50 values.(Only for Reference)
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Solubility Information

Solubility	DMSO: 125 mg/mL (314.49 mM),Sonication is recommended. Ethanol: 73 mg/mL (183.66 mM),Sonication is recommended. H2O: < 1 mg/mL (insoluble or slightly soluble), (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+40% PEG300+5% Tween 80+45% Saline: 2 mg/mL (5.03 mM),Sonication is recommended. <i>Please add the solvents sequentially, clarifying the solution as much as possible before adding the next one. Dissolve by heating and/or sonication if necessary. Working solution is recommended to be prepared and used immediately. The formulation provided above is for reference purposes only. In vivo formulations may vary and should be modified based on specific experimental conditions.</i>

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.5159 mL	12.5796 mL	25.1591 mL
5 mM	0.5032 mL	2.5159 mL	5.0318 mL
10 mM	0.2516 mL	1.258 mL	2.5159 mL
50 mM	0.0503 mL	0.2516 mL	0.5032 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

Mortensen DS, et al. Mol Cancer Ther. 2015, 14(6), 1295-1305.

Inhibitor · Natural Compounds · Compound Libraries · Recombinant Proteins

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