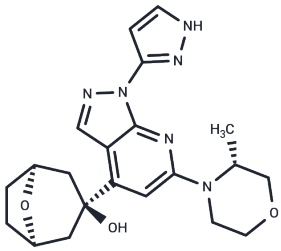
Data Sheet (Cat.No.T62083)

TargetMol

Camonsertib

Chemical Properties



CAS No. : 2417489-10-0

Formula: C21H26N6O3

Molecular Weight: 410.47

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	Camonsertib (RP-3500) is a novel, potent and selective ATR kinase inhibitor (ATRi) that exhibits potent antitumor effects with an IC50: 1.00 nM in biochemical assays.RP-3500 is 30-fold more selective for ATR than mTOR (IC50: 120 nM) and more than 2,000-fold more potent than ATM, DNA-PK and PI3Kα kinases. RP-3500 is 30 times more selective for ATR than mTOR (IC50: 120 nM), and 2,000 times more selective than ATM, DNA-PK and PI3Kα kinases.
Targets(IC50)	ATM/ATR,mTOR
In vitro	**METHODS**: Characterization of the DNA damage response (DDR) to Camonsertib (RP-3500)-mediated ATR inhibition in LoVo and CW-2 human colon cancer cell lines treated with 1 μmol/L RP-3500 for varying durations up to 24 hours. **RESULTS** Camonsertib (RP-3500) inhibits CHK1 (Ser345) phosphorylation 1-3 hours after initiation of treatment. [2] **METHODS**: A biochemical assay for ATR/ATRIP inhibition was established using tagged human ATR and ATRIP purified from mammalian cells, with p53 (Ser15) as the phosphorylation substrate. **RESULTS** In a LoVo cell-based assay, Camonsertib (RP-3500) inhibited gemcitabine-stimulated phosphorylation of the ATR substrate pCHK1 (Ser345) with an IC50 of 0.33 nM. [2]
In vivo	**METHODS**: Patients received Camonsertib (RP-3500) at doses ranging from 5-200 mg once daily or 40 to 80 mg twice daily for 5 days/2 days off (5/2) or 3 days/4 days off (3/4), hematological parameters were assessed over a range of doses during treatment. **RESULTS** Early decrease in monocytes and reticulocytes on day 8 and further decrease in hemoglobin levels on day 15. [1] **METHODS**: Camonsertib (RP-3500) was used to treat LoVo tumor xenografts in mice, orally administered once a day at a dose of 3/7/15 mg/kg for 17 days, and the effect on LoVo tumor xenografts in mice was observed. **RESULTS** Camonsertib (RP-3500) treatment of LoVo tumor xenografts in mice produced dose-dependent tumor growth inhibition, with a minimum effective dose (MED) of 7 mg/kg. [2] **METHODS**: In the CW-2 colon xenograft model, Camonsertib (RP-3500) was administered at doses of 5 and 10 mg/kg to observe the effects on the growth of the

In vivo	mouse CW-2 colon xenograft model. **RESULTS** Treatment of xenograft mice with Camonsertib (RP-3500) produced statistically significant tumor growth inhibition. [2]

Solubility Information

Solubility	DMSO: 45 mg/mL (109.63 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+40% PEG300+5% Tween-80+45% Saline: 1.5 mg/mL (3.65 mM),Sonication is recommended. *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.*

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.4362 mL	12.1812 mL	24.3623 mL
5 mM	0.4872 mL	2.4362 mL	4.8725 mL
10 mM	0.2436 mL	1.2181 mL	2.4362 mL
50 mM	0.0487 mL	0.2436 mL	0.4872 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

Rosen E,et al. Development of a Practical Nomogram for Personalized Anemia Management in Patients Treated with Ataxia Telangiectasia and Rad3-related Inhibitor Camonsertib. Clin Cancer Res. 2024 Feb 16;30(4):687-694.
Roulston A,et al. RP-3500: A Novel, Potent, and Selective ATR Inhibitor that is Effective in Preclinical Models as a Monotherapy and in Combination with PARP Inhibitors. Mol Cancer Ther. 2022 Feb;21(2):245-256.