

Product Data Sheet

Product Name: RP-3500

Cat. No.: GC64278

Chemical Properties

Cas. No. 2417489-10-0

Formula $C_{21}H_{26}N_6O_3$

M.Wt 410.47

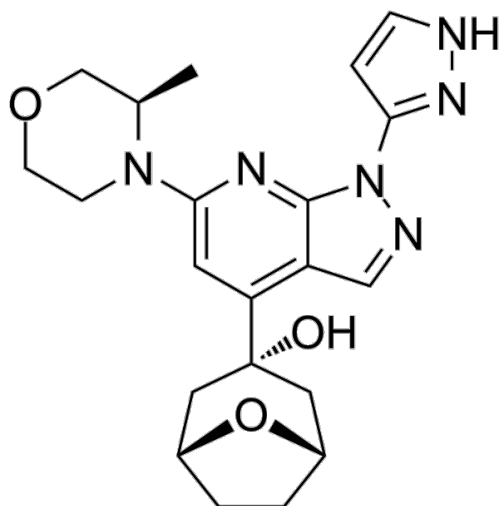
Solubility

Storage Store at -20°C

General tips For obtaining a higher solubility, please warm the tube at 37 °C and shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.

Shipping Condition Evaluation sample solution : ship with blue ice All other available size: ship with RT, or blue ice upon request.

Structure



Background

RP-3500 (ATR inhibitor 4) is an orally active, selective ATR kinase inhibitor (ATRi) with an IC₅₀ of 1.00 nM in biochemical assays. RP-3500 shows 30-fold selectivity for ATR over mTOR (IC₅₀=120 nM) and >2,000-fold selectivity over ATM, DNA-PK, and PI3K α kinases. RP-3500 has potent antitumor activity[1].

RP-3500 (ATR inhibitor 4; 1 μ M; 1-24 hours) inhibits CHK1(Ser345) phosphorylation from 1 to 3 hours[1]. RP-3500 inhibits Gemcitabine stimulated ATR phosphorylation of its substrate pCHK1(Ser345) with an IC₅₀ of 0.33 nM in a LoVo cell-based assay[1].

Caution: Product has not been fully validated for medical applications. For research use only.

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RP-3500 (ATR inhibitor 4; 3, 7, 15 mg/kg; Orally; once daily for 18 days) produces dose-dependent tumor growth inhibition with a minimum effective dose (MED) of 7 mg/kg in LoVo xenografts[1]. RP-3500 (5, 10 mg/kg; Orally; once daily) produces statistically significant tumor growth inhibition in the CW-2 colon xenograft model[1]. RP-3500 (7 mg/kg; for 7 days) results in 8.1- and 2.7-fold inductions of KAP1 and DNA-PKcs phosphorylation in mice bearing LoVo tumors[1]. RP-3500 has a more profound anti-tumor effect occurred at higher doses on the 3 days on/4 days off (30 mg/kg) and 5 days on/2 days off (25 mg/kg) schedules compared with consecutive daily administrations (10 mg/kg) at a lower dose for 14 days[1]. RP-3500 (15mg/kg) combined PARPi Olaparib (80mg/kg; both agents days 1-3 on/4 days off) or sequential (PARPi for 3 days followed by RP-3500 for 3 days then 1 day off) schedules produces greater antiTumor effects compared with sequential administration without affecting tolerability[1].

[1]. Anne Roulston, 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

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