

Berzosertib

Catalog No: tcsc1861



Available Sizes

Size: 5mg

Size: 10mg

Size: 50mg

Size: 100mg

Size: 200mg



Specifications

CAS No:

1232416-25-9

Formula:

$C_{24}H_{25}N_5O_3S$

Pathway:

Cell Cycle/DNA Damage;PI3K/Akt/mTOR

Target:

ATM/ATR;ATM/ATR

Purity / Grade:

>98%

Solubility:

DMSO : ≥ 35 mg/mL (75.50 mM)

Alternative Names:

VE-822;VX-970

Observed Molecular Weight:

463.55

Product Description

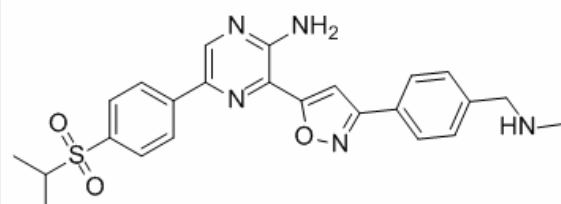
Berzosertib (VE-822) is an **ATR** inhibitor with a **K_i** value of less than 0.2 nM. It also inhibits **ATM** with a **K_i** of 34 nM.

IC₅₀ & Target: Ki: [1]

IC₅₀: 19 nM (ATR, in PSN-1 and MiaPaCa-2 cells), 2.6 μM (ATM, in PSN-1 and MiaPaCa-2 cells)^[1]

In Vitro: Berzosertib (VE-822) also inhibits DNK-PA, mTOR, PI3Kγ with IC₅₀ of >4, >1, and 0.22 μM, respectively. In PSN-1 and MiaPaCa-2 cells, Berzosertib (VE-822) inhibits ATR and ATM with IC₅₀ of 19 nM and 2.6 μM, respectively. VE-822 (80 nM) reduces phospho-Ser345-Chk1 after Gemcitabine (100 nM), radiation (XRT) (6 Gy) or both in PDAC. Additionally, Berzosertib (VE-822) does not inhibit ATM, Chk2 or DNA-PK phosphorylation in response to radiation, which further supports the selectivity of Berzosertib (VE-822) for ATR. VE-822 decreases survival of irradiated PDAC (all lines used are p53-mutant; K-Ras mutant). Knock down of Chk1 by siRNA sensitizes PSN-1 and MiaPaCa-2 cells to radiation but the radiosensitising effect is less profound compare with Berzosertib (VE-822). Adding Berzosertib (VE-822) to Gemcitabine reduces survival ~2-3-fold and dramatically more after chemoradiotherapy^[1].

In Vivo: PSN-1 xenografts are treated with Berzosertib (VE-822) (60 mk/kg; d0, 1), Gemcitabine (100 mg/kg; d0) and/or XRT (6 Gy; d1). Tumors are then harvested 2 h post-XRT. Berzosertib (VE-822) inhibits p-Ser-345-Chk1 in xenografts after DNA-damaging agents, establishing VE-822 as a potent inhibitor of ATR in vivo. Besides, Berzosertib (VE-822) enhances the therapeutic efficacy of radiation (XRT) in MiaPaCa-2 and PSN-1 xenograft models^[1].



All products are for RESEARCH USE ONLY. Not for diagnostic & therapeutic purposes!