

ETP-46464

Catalog No: tcsc1683



Available Sizes

Size: 5mg

Size: 10mg

Size: 50mg

Size: 100mg



Specifications

CAS No:

1345675-02-6

Formula:

$C_{30}H_{22}N_4O_2$

Pathway:

PI3K/Akt/mTOR;Cell Cycle/DNA Damage;PI3K/Akt/mTOR

Target:

mTOR;ATM/ATR;ATM/ATR

Purity / Grade:

>98%

Solubility:

10 mM in DMSO

Observed Molecular Weight:

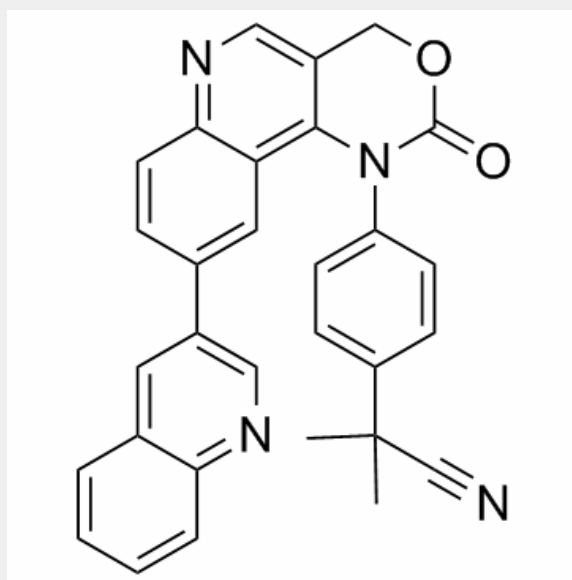
470.52

Product Description

ETP-46464 is an effective **mTOR** and **ATR** inhibitor with **IC₅₀**s of 0.6 and 14 nM, respectively.

IC50 & Target: IC50: 0.6 nM (mTOR), 14 nM (ATR), 36 nM (DNA-PK), 170 nM (PI3K α), 545 nM (ATM)^[1]

In Vitro: ETP-46464 (ATRi) also inhibits DNA-PK, PI3K α and ATM with IC₅₀s of 36 nM, 170 nM and 545 nM, respectively^[1]. Platinum-sensitive and -resistant ovarian, endometrial and cervical cancer cell lines are treated with varying levels of Cisplatin (0-50 μ M) with or without the ETP-46464 (5.0 μ M) and/or the KU55933 (10.0 μ M) for 72 h. Single-agent dose response analyses of ETP-46464 and KU55933 in a subset of cell lines reveal a wide LD₅₀ range of 10.0 \pm 8.7 and 38.3 \pm 7.6 μ M respectively. Co-treatment doses are chosen based on these studies and previously published evidence of phospho-Chk1 (Ser345) and phospho-ATM (Ser1981) inhibition following ionizing radiation exposure and dose response treatments with ETP-46464 and KU55933. Treatment with ETP-46464 significantly increases the response of Cisplatin in all cell lines tested, resulting in 52-89% enhancement in activity and are synergistic. The combined inhibition of ATR and ATM enhances the response of Cisplatin to a level equivalent to that observed using ETP-46464 alone. These effects are independent of p53 status, and are observed in all gynecologic (GYN) cancer cells tested. Treatment with ETP-46464, but not KU55933, not only sensitizes these GYN cancer cell lines to Cisplatin, but also enhances the response of Carboplatin^[2].



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