

AG14361

Catalog No: tcsc0175



Available Sizes

Size: 5mg

Size: 10mg

Size: 50mg

Size: 100mg



Specifications

CAS No:

328543-09-5

Formula:

$C_{19}H_{20}N_4O$

Pathway:

Epigenetics;Cell Cycle/DNA Damage

Target:

PARP;PARP

Purity / Grade:

>98%

Solubility:

DMSO : ≥ 32 mg/mL (99.88 mM)

Observed Molecular Weight:

320.39

Product Description

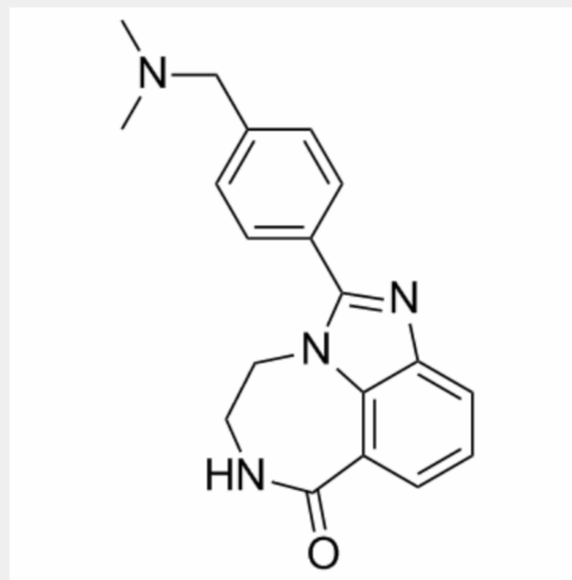
AG14361 is a potent **PARP-1** inhibitor, with a K_i of IC_{50} s are 29 nM and 14 nM, respectively.

IC50 & Target: Ki: [1]

IC50: 29 nM (PARP-1, in permeabilized SW620 cells), 14 nM (PARP-1, in intact SW620 cells)^[1]

In Vitro: AG14361 is a potent PARP-1 inhibitor, with a K_i of 50s are 29 nM and 14 nM, respectively. AG14361 inhibits the proliferation of human cancer cells, such as A549, LoVo, and SW620 cells, with GI_{50} s of 14 μ M, 11.2 μ M and 20 μ M, respectively. Furthermore, AG14361 in combination with temozolomide markedly reduces the GI_{50} value of temozolomide in LoVo and A549 cells, but does not exert such an effect in SW620 cells^[1]. AG14361 suppresses breast cancer cells with IC_{50} s of 17 μ M and 25 μ M for 92 J-wt-BRCA1 and 92 J-sh-BRCA1 cells, respectively. AG14361 induces caspase 3/7 activation and cell cycle abnormalities, and also inhibits NF- κ B signaling^[2]. AG14361 (0.4 μ M) enhances the growth-inhibitory and cytotoxic effects of topoisomerase I poisons, with no obvious effect on the formation and reversal of cleavable complexes, and increases the persistence of camptothecin-induced DNA single-strand breaks^[3].

In Vivo: AG14361 (5 and 15 mg/kg, i.p.) has no toxicity and does not inhibit the growth of tumor. However, AG14361 markedly enhances temozolomide activity against LoVo xenografts and delays tumor growth when combined with temozolomide. AG14361 (15 mg/kg, i.p.) treatment before irradiation dramatically increases the sensitivity to radiation therapy of mice bearing LoVo xenografts^[1]. AG14361 (30 mg/kg) synergizes lestaurtinib activity on inhibiting breast cancer tumors in allografts^[2].



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