Data Sheet

AG-14361

Catalog #: 27602-1

Size: 5 mg

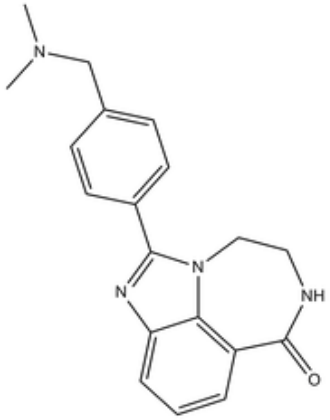
CAS Registry #: 328543-09-5

Purity: ≥98% by HPLC

Chemical Formula: $C_{19}H_{20}N_4O$

Molecular Weight: 320.4

Structure:



Description: AG14361 is a potent inhibitor of PARP1 with Ki of <5 nM. It is at least 1000-fold more potent than the benzamides. AG14361 treatment before irradiation statistically significantly increases the sensitivity to radiation therapy. AG14361 enhances the growth-inhibitory and cytotoxic effects of topoisomerase I poisons and increases the persistence of camptothecin-induced DNA single-strand breaks.

Background: A 17-hour exposure of A549 cells to 0.4 µM AG14361 does not change the expression of the 6800 genes. Thus, although 0.4 µM AG14361 inhibits cellular PARP-1 activity by more than 85%, it essentially does not change gene expression and cell proliferation, indicating that the cellular effects of this low concentration of AG14361 are specific for PARP-1 inhibition. Higher, growth-inhibitory concentrations of AG14361 affects gene expression, but these effects are not likely to be related to PARP-1 inhibition because cell proliferation is affected equally in PARP-/- and PARP-1+/+ cells.

Biological Activity: The IC50 for AG14361 is 29 nM in permeabilized SW620 cells and 14 nM in intact SW620 cells.

Solubility: Soluble in DMSO. Solubility in water or ethanol is <1 mg/ml

Storage/Stability: Store at or below –20°C. Solid form is stable at least 12 months from date of receipt, when stored as directed. Do not store aqueous solutions for more than one day. *Avoid freeze/thaw cycles.*

References:

1. Smith LM, *et al. Clin Cancer Res*. 2005 Dec 1; **11(23):**8449-57.
2. Calabrese CR*, et al. J Natl Cancer Inst.* 2004 Jan 7; **96(1):**56-67.

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