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AG-14361

Poly (ADP-ribose) polymerase 1 (PARP1) inhibitor

Catalog #100-1651 5 mg

Product Description

AG-14361 is a selective inhibitor of poly (ADP-ribose) polymerase 1 (PARP1; Ki = 6.3 nM), a nuclear enzyme critically involved in DNA repair pathways. PARP1 is activated by DNA damage and catalyzes the production of poly (ADP-ribose) using nicotinamide adenine dinucleotide (NAD+) as a substrate (Ray Chaudhari & Nussenzweig). AG-14361 competes with NAD+ to bind to the catalytic site of PARP1, inhibiting the enzyme's activity (Calabrese et al.).

Alternative Names: Not applicable

CAS Number: 328543-09-5

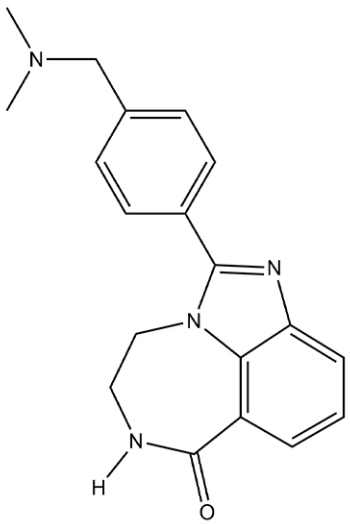
Chemical Formula: $C_{19}H_{20}N_4O$

Molecular Weight: 320.4 g/mol

Purity: ≥ 98%

Chemical Name: 2-[4-[(dimethylamino)methyl]phenyl]-5,6-dihydro-imidazo[4,5,1-jk][1,4]benzodiazepin-7(4H)-one

Structure:



Properties

Product Format: A crystalline solid

Stability and Storage: Product stable at -20°C as supplied. As a precaution, STEMCELL recommends storing all small molecules away from direct light. For long-term storage, store with a desiccant. Stable as supplied for 12 months from date of receipt.

Preparation:

- Phosphate-buffered saline (PBS; pH 7.2) ≤ 624 µM
- DMSO ≤ 60 mM
- Absolute ethanol ≤ 6.2 mM

For example, to prepare a 10 mM stock solution in DMSO, resuspend 1 mg in 312 µL of DMSO.

Prepare stock solution fresh before use. Information regarding stability of small molecules in solution has rarely been reported; however, as a general guide we recommend storage in DMSO at -20°C. Aliquot into working volumes to avoid repeated freeze-thaw cycles. The effect of storage of stock solution on compound performance should be tested for each application.

For use as a cell culture supplement, stock solution should be diluted into culture medium immediately before use. Avoid final DMSO or absolute ethanol concentration above 0.1% due to potential cell toxicity.

Published Applications

CANCER RESEARCH

- Enhances the activity of chemotherapeutic agents and radiation therapy in a number of human cancer cell lines (Calabrese et al.; De Soto et al.; Smith et al.).
- Causes complete tumor regression in combination with temozolomide in a mouse xenograft model of colon cancer (Calabrese et al.).

References

Calabrese CR et al. (2004) Anticancer chemosensitization and radiosensitization by the novel poly(ADP-ribose) polymerase-1 inhibitor AG14361. JNCI J Natl Cancer Inst 96(1): 56–67.
De Soto De JA et al. (2010) The use of poly (ADP-ribose) polymerase (PARP1) inhibitors (AG14361, AZD2281, ABT888) in combination with capecitabine, 5-fluorouracil, or paclitaxel in the treatment of hereditary and sporadic breast and ovarian cancer. J Clin Oncology 28(15): e13120.
Ray Chaudhuri A & Nussenzweig A. (2017) The multifaceted roles of PARP1 in DNA repair and chromatin remodeling. Nat Rev Mol Cell Biol 18 (10): 610–21.
Smith LM et al. (2005) The novel poly (ADP-ribose) polymerase inhibitor, AG14361, sensitizes cells to topoisomerase I poisons by increasing the persistence of DNA strand breaks. Clin Cancer Res 11(23): 8449–57.

Related Products

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