

Voreloxin Catalog No: tcsc0790

Available Sizes

Size: 5mg

Size: 10mg

Size: 50mg

Specifications

CAS No:

175414-77-4

Formula:

 $C_{18}H_{19}N_5O_4S$

Pathway: Cell Cycle/DNA Damage

Target:

Topoisomerase

Purity / Grade:

Solubility: 10 mM in DMSO

Alternative Names:

SNS-595;Vosaroxin;AG 7352

Observed Molecular Weight:

401.44

Product Description

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Voreloxin is a first-in-class **topoisomerase II** inhibitor that intercalates DNA and induces site-selective DNA DSB, G2 arrest, and apoptosis.

In Vitro: Voreloxin is a first-in-class topoisomerase II poison and inhibitor that intercalates DNA and induces site-selective DNA DSB, G2 arrest, and apoptosis. Voreloxin (0.1-20 μ M) inhibits topoisomerase II activity and induces site-selective DNA DSB in CCRF-CEM cells. Voreloxin (0.11, 0.33, 1, 3 μ M) induces G2 arrest partially through topoisomerase II in A549 lung cancer cell line. Voreloxin cytotoxic activity requires DNA intercalation. However, Voreloxin (1-9 μ M) does not generate significant levels of ROS^[1]. Voreloxin has potent cytotoxic activity in AML cell lines MV4-11 and HL-60, with IC₅₀s of 95 ± 8 nM and 884 ± 114 nM, respectively. Voreloxin in combination with cytarabine shows additive or synergistic activity in acute leukemia cell lines^[2]. Voreloxin is active on the primary acute myeloid leukemia (AML) with a mean LD₅₀ of 2.3 μ M. The LD₅₀ for voreloxin in myeloid cell lines NB4 and HL-60 is 0.59 μ M ± 0.25 μ M. Voreloxin causes accumulation of cells in the S and G2 phases of the cell cycle and acts on topoisomerase II^[3].

In Vivo: Voreloxin (20 mg/kg, i.v.) alone results in 80% reduction in bone marrow cellularity of CD-1 mice by administration one dose every 4 days repeated twice (q4d \times 2). voreloxin at 10 mg/kg in combination with cytarabine causes ablation of the marrow, dilation of sinusoids, and infiltration of adipocytes in mice. Voreloxin (20 mg/kg, i.v.) combined with cytarabine causes a reversible decrease in myeloid and lymphoid cells in bone marrow and peripheral blood CD-1 mice. voreloxin (10 mg/kg, q4d \times 2) and cytarabine in combination causes reversible neutropenia with a more modest impact on platelets CD-1 mice^[2].



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