Web: www.taiclone.com
Tel: +886-2-2735-9682
Email: order@taiclone.com

Voreloxin (Hydrochloride)

Catalog No: tcsc0927

Available Sizes

Size: 5mg

Size: 10mg

Specifications

CAS No:
175519-16-1

Formula:
$C_{18}H_{20}ClN_5O_4S$

Pathway:
Cell Cycle/DNA Damage

Target:
Topoisomerase

Purity / Grade:
>98%

Solubility:
10 mM in DMSO

Alternative Names:
SNS-595 Hydrochloride;Vosaroxin Hydrochloride;AG 7352 Hydrochloride

Observed Molecular Weight:
437.9

Product Description

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

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IC50 & Target: Topoisomerase II[1]

In Vitro: Voreloxin Hydrochloride 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 μM) inhibits topoisomerase II activity and induces site-selective DNA DSB in CCRF-CEM cells. Voreloxin (0.11, 0.33, 1, 3 μM) induces G2 arrest partially through topoisomerase II in A549 lung cancer cell line. Voreloxin cytotoxic activity requires DNA intercalation. However, Voreloxin (1-9 μM) does not generate significant levels of ROS [1]. Voreloxin has potent cytotoxic activity in AML cell lines MV4-11 and HL-60, with IC_{50}s 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 LD_{50} of 2.3 μM. The LD_{50} for voreloxin in myeloid cell lines NB4 and HL-60 is 0.59 μM ± 0.25 μM. 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 ×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 ×2) and cytarabine in combination causes reversible neutropenia with a more modest impact on platelets CD-1 mice[2].