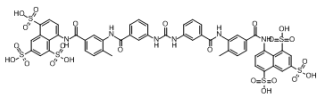
Suramin

Cat. No.:	HY-B0879
CAS No.:	145-63-1
Molecular Formula:	$C_{51}H_{40}N_6O_{23}S_6$
Molecular Weight:	1297.28
Target:	Phosphatase; Sirtuin; Reverse Transcriptase; Topoisomerase; Parasite; Apoptosis
Pathway:	Metabolic Enzyme/Protease; Cell Cycle/DNA Damage; Epigenetics; Anti-infection; Apoptosis
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description

Suramin is a reversible and competitive protein-tyrosine phosphatases (PTPases) inhibitor[1]. Suramin is a potent inhibitor of sirtuins: SirT1 (IC_{50}=297 nM), SirT2 (IC_{50}=1.15 μM), and SirT5 (IC_{50}=22 μM)[2]. Suramin is a competitive inhibitor of reverse transcriptase (DNA topoisomerase II: IC_{50}=5 μM)[3][4]. Suramin efficiently inhibits IP5K and is an antiparasitic, anti-neoplastic and anti-angiogenic agent[5][6][7].

IC_{50} & Target

SIRT1	SIRT2	SIRT5
297 nM (IC_{50})	1.15 μM (IC_{50})	22 μM (IC_{50})

In Vitro

Suramin (50-600 μg/mL; for 24-96 hours) inhibits cells proliferation in a dose-dependent and time-dependent manner and decreases viability in cancer cells[6].
Suramin (300 μg/mL; for 48 hours) induces cells apoptosis, and down-regulates mRNA expression in HeLa cells[6].
Suramin (1 mg/mL; 1 hour) significantly suppresses the phosphorylated ERK1/2[7].
The IC_{50} values of HO-8910 PM and HeLa are 319 μg/mL, 476 μg/mL, respectively[6].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Cell Proliferation Assay[6]

Cell Line:	HO-8910 PM ovarian and Hela cervical cancer cells
Concentration:	50, 100, 200, 300, 400, 500 and 600 μg/mL
Incubation Time:	For 24, 48, 72 and 96 hours
Result:	Inhibited cells proliferation in a dose-dependent and time-dependent manner.

Apoptosis Analysis[6]

Cell Line:	HeLa cells
Concentration:	300 μg/mL
Incubation Time:	For 48 hours
Result:	Induced cells apoptosis.

Western Blot Analysis[7]

Cell Line:	PA-SMCs cells
Concentration:	1 mg/mL
Incubation Time:	For 1 hours
Result:	Significantly suppressed the phosphorylated ERK1/2.

In Vivo

Suramin (10 mg/kg; IV; twice weekly for 3 weeks) reverses established pulmonary hypertension (PH), thereby normalizing the pulmonary artery pressure values and vessel structure[7].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Adult male Wistar rats (200-225 g)[7]
Dosage:	10 mg/kg
Administration:	IV; twice weekly for 3 weeks
Result:	Reversed established PH, thereby normalizing the pulmonary artery pressure values and vessel structure.

CUSTOMER VALIDATION

- J Biol Chem. 2020 Jul 24;295(30):10281-10292.
- Biomicrofluidics. 2019 Nov 21;13(6):064117.
- Research Square Preprint. 2020 Nov.

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REFERENCES

[1]. Jindal HK, et al. Suramin affects DNA synthesis in HeLa cells by inhibition of DNA polymerases. Cancer Res. 1990 Dec 15;50(24):7754-7.

[2]. Izikki M, et al. The beneficial effect of suramin on monocrotaline-induced pulmonary hypertension in rats. PLoS One. 2013 Oct 15;8(10):e77073.

[3]. Zhang YL, et al. Suramin is an active site-directed, reversible, and tight-binding inhibitor of protein-tyrosine phosphatases. J Biol Chem. 1998 May 15;273(20):12281-7.

[4]. Trapp J, et al. Structure-activity studies on suramin analogues as inhibitors of NAD+-dependent histone deacetylases (sirtuins). ChemMedChem. 2007 Oct;2(10):1419-31.

[5]. Schuetz A, et al. Structural basis of inhibition of the human NAD^+-dependent deacetylase SIRT5 by suramin. Structure. 2007 Mar;15(3):377-89.

[6]. De Clercq E, et al. Suramin: a potent inhibitor of the reverse transcriptase of RNA tumor viruses. Cancer Lett. 1979 Nov;8(1):9-22.

[7]. Novaes RD, et al. Purinergic Antagonist Suramin Aggravates Myocarditis and Increases Mortality by EnhancingParasitism, Inflammation, and Reactive Tissue Damage in Trypanosoma cruzi-Infected Mice. Oxid Med Cell Longev. 2018 Sep 30;2018:7385639.

[8]. Xiaozhe Zhang, et al. Suramin and NF449 Are IP5K Inhibitors That Disrupt IP6-mediated Regulation of Cullin RING Ligase and Sensitize Cancer Cells to MLN4924/pevonedistat. J Biol Chem. 2020 Jun 3;jbc.RA120.014375.

Caution: Product has not been fully validated for medical applications. For research use only.

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