Sodium stibogluconate

Cat. No.: HY-100595
CAS No.: 16037-91-5
Molecular Formula: \( \text{C}_{12}\text{H}_{38}\text{Na}_{3}\text{O}_{26}\text{Sb}_{2} \)
Molecular Weight: 910.9
Target: Phosphatase
Pathway: Metabolic Enzyme/Protease
Storage: Powder -20°C 3 years

4°C 2 years

In solvent -80°C 6 months

-20°C 1 month

SOLVENT & SOLUBILITY

In Vitro

\( \text{H}_2\text{O} : 9.1 \text{ mg/mL} \) (9.99 mM; Need warming)

\( \text{H}_2\text{O} : 6.67 \text{ mg/mL} \) (7.32 mM; ultrasonic and adjust pH to 3 with 1M HCl)

DMSO : < 1 mg/mL (insoluble or slightly soluble)

Preparing Stock Solutions

<table>
<thead>
<tr>
<th>Solvent</th>
<th>Mass</th>
<th>1 mg</th>
<th>5 mg</th>
<th>10 mg</th>
</tr>
</thead>
<tbody>
<tr>
<td>1 mM</td>
<td>1.0978 mL</td>
<td>5.4891 mL</td>
<td>10.9782 mL</td>
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<tr>
<td>5 mM</td>
<td>0.2196 mL</td>
<td>1.0978 mL</td>
<td>2.1956 mL</td>
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</tr>
<tr>
<td>10 mM</td>
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Please refer to the solubility information to select the appropriate solvent.

BIOLOGICAL ACTIVITY

Description

Sodium stibogluconate (Stibogluconate trisodium nonahydrate) is a potent inhibitor of protein tyrosine phosphatase. Sodium stibogluconate inhibits 99% of SHP-1, SHP-2 and PTP1B activity at 10, 100, 100 \( \mu \text{g/mL} \), respectively.

IC\text{50} & Target

Phosphatase\(^{[1]}\)

In Vitro

Sodium stibogluconate (Stibogluconate trisodium nonahydrate) inhibits 99% of SHP-1 activity at 10 \( \mu \text{g/mL} \), a therapeutic concentration of the drug for leishmaniasis. Similar degrees of inhibition of SHP-2 and PTP1B required 100 \( \mu \text{g/mL} \) Sodium stibogluconate. The inhibition of cellular PTPases by the Sodium stibogluconate is suggested by its rapid induction of tyrosine phosphorylation of cellular proteins in Baf3 cells and its augmentation of IL-3-induced Janus family kinase 2/Stat5 tyrosine phosphorylation and proliferation of Baf3 cells. The augmentation of the opposite effects of GM-CSF and IFN-\( \alpha \) on TF-1 cell growth by Sodium stibogluconate indicate its broad activities in the signaling of various cytokines\(^{[1]}\).
In Vivo  
Sodium stibogluconate (Stibogluconate trisodium nonahydrate) induces 61% growth inhibition of Renca tumors in BALB/c mice coincident with an increase (2-fold) in tumor-infiltrating macrophages. A combination of Sodium stibogluconate and IL-2 is more effective in inhibiting tumor growth (91%) and inducing tumor-infiltrating (4-fold), whereas IL-2 alone has little effect[2].

<table>
<thead>
<tr>
<th>PROTOCOL</th>
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<tr>
<td><strong>Cell Assay</strong> [1]</td>
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</table>
Human myeloid cell line TF-1 is maintained in RPMI 1640 supplemented with 10% FCS and 40 ng/mL recombinant human GM-CSF. For cell proliferation assays, cells are washed in 10% FCS medium twice, resuspended in 10% FCS medium, incubated at 37°C for 16 h, and then cultured at 37°C in 10% FCS medium containing various amounts of cytokines, sodium stibogluconate, or potassium antimonyl tartrate for 3-6 days. The cell numbers in proliferation assays are determined by an MTT assay or by microscopic cell counting[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

| **Animal Administration** [2] |
BALB/c and athymic nude BALB/c mice are inoculated (s.c.) at the flanks with Renca cells (106 cells/site). Four days after inoculation, the mice are subjected to no treatment (control) or treatment with IL-2 (105 IU/day for 5 days i.p.), stibogluconate sodium (12 mg/day i.m. at hip regions), or the combination of the two agents for 2 wk. Tumor volume is measured during the study period and calculated using the formula for a prolate spheroid[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

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

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