Niclosamide (Niclocide) Datasheet

Technical Data

<table>
<thead>
<tr>
<th>Property</th>
<th>Value</th>
</tr>
</thead>
<tbody>
<tr>
<td>Molecular Weight (MW)</td>
<td>327.12</td>
</tr>
<tr>
<td>Formula</td>
<td>C₁₂H₁₃Cl₂N₂O₄</td>
</tr>
<tr>
<td>CAS No.</td>
<td>50-65-7, 40321-86-6 (sodium salt), 73360-56-2 (H₂O)</td>
</tr>
<tr>
<td>Synonyms</td>
<td>N/A</td>
</tr>
<tr>
<td>Solubility (25°C)</td>
<td>DMSO &lt;1 mg/mL, Water &lt;1 mg/mL, Ethanol &lt;1 mg/mL</td>
</tr>
<tr>
<td>Storage</td>
<td>2 years -20°C Powder, 2 weeks 4°C in DMSO, 6 months -80°C in DMSO</td>
</tr>
</tbody>
</table>

Biological Activity

**Description**: Niclosamide can inhibit DNA replication and inhibit STAT with IC50 of 0.7 μM.

**Targets**: STAT3

**IC50**: 0.7 μM[1]

**In vitro**

Niclosamide (< 5 μM) dose dependently inhibits STAT3-mediated luciferase reporter activity with IC50 of 0.25 in HeLa cells. Niclosamide (< 2 μM) dose dependently inhibits the phosphorylation of STAT3 in DU145 cells. Niclosamide (1 μM) inhibits the EGF-induced nuclear translocation of STAT3 in DU145 cells. Niclosamide (< 2 μM) dose dependently inhibits the transcription of STAT3 downstream genes in DU145 cells. Niclosamide (< 10 μM) dose dependently induces G0/G1 arrest and apoptosis of DU145 cancer cells. [1] Niclosamide is able to inhibit SARS-CoV replication at a micromolar concentration in Vero E6 cells infected with SARS-CoV. [2] Niclosamide (< 7.5 μM) promotes Fzd1-endocytosis, downregulates Dvl2-2 protein, and inhibits Wnt3A-stimulated beta-catenin stabilization and LEF/TCF reporter activity in U2OS cells. [3] Niclosamide inhibits the TNF-induced NF-kB reporter activity in a dose- and time-dependent manner in U2OS cells. Niclosamide (125 mM) inhibits NF-kB activation induced by p65, IkBα, IkBβ, IkBγ, and Tak1 in U2OS cells. Niclosamide (< 500 nM) completely blocks the NF-kB DNA complex in HL-60 cells. Niclosamide (< 10 μM) inhibits NF-kB activation in U266 cells. Niclosamide inhibits TNF-induced degradation of IκBα and relocation of p65 in a dose- and time-dependent manner in HL60, Molt13, or AML primary cells. Niclosamide (500 mM) decreases TNF-induced NF-kB-dependent gene products involved in cell survival in HL-60 cells. Niclosamide dose dependently inhibits the growth and induces robust apoptosis of AML cells associated with decreased Mcl-1 and XIAP levels and increased intracellular ROS levels. [4]

**In vivo**

Niclosamide (40 mg/kg/d, i.p.) inhibits growth of xenografted AML cells in nude mice bearing HL-60 xenograft tumors. [4]

**Clinical Trials**

Niclosamide is currently in Phase III clinical trial in patients with colorectal cancer.

**Features**

**Protocol** (Only for Reference)

**Kinase Assay**: [1]

**Protein Kinase profiling assay**

Assay for 22 different protein kinases is carried out by ProQinase Gmbh. All of the protein kinases are expressed either in Sf9 insect cells or in E.coli as recombinant GST-fusion proteins or His-tagged proteins. Protein kinases are purified by affinity chromatography using either GSH-agarose or Ni₂⁺-NTH-agarose. A radiometric protein kinase assay is used for measuring the kinase activity of the 22 protein kinases. Briefly, for each protein kinase, 50 μL reaction cocktail containing 60 mM HEPES-NaOH, 3 mM MgCl₂, 3 mM MnCl₂, 3 μM Na-orthovanadate, 1.2 mM DTT, 50 μg/mL PEG20000, 1 μM [γ-32P]-ATP, Niclosamide, adequate amount of enzyme and its substrate. The PKC-alpha assay additionally contain 1 mM CaCl₂, 4 mM EDTA, 5 μg/mL phosphatidylserine and 1 μg/mL 1, 2-Dioleyl-glycerol. The reaction cocktails are incubated at 37 °C for 60 minutes and stop with 50 μL 2% (v/v) H₂PO₄. Incorporation of 32P is determined with a microplate scintillation counter. The activities and the IC50 values are calculated using Quattro Workflow V2.28.

**Cell Assay**: [1]

**Cell Lines**: Hela, A549, Du145, HT-29, A431, PC3 cells

**Concentrations**: 16 μM

**Incubation Time**: 72 hours

**Methods**

Cells are plated in 96-well culture plates with cell density of 3.4 x 10⁴ cells/well and treated with Niclosamide by adding 100 μL medium containing Niclosamide of various concentrations on the second day. After 72-hour’s treatment, MTT is added to each well and incubated for additional 4-5 hours, and the absorbance is measured on a microplate reader at 570nm. Cell growth inhibition is evaluated as the ratio of the absorbance of the sample to that of the control. The results are representative of at least 3 independent experiments.

**Animal Study**: [4]

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www.selleckchem.com/datasheet/niclosamide-niclocide-DataSheet.html
<table>
<thead>
<tr>
<th>Animal Models</th>
<th>nude mice bearing HL-60 xenograft tumors.</th>
</tr>
</thead>
<tbody>
<tr>
<td>Formulation</td>
<td>DMSO</td>
</tr>
<tr>
<td>Doses</td>
<td>40 mg/kg</td>
</tr>
<tr>
<td>Administration</td>
<td>Intraperitoneal injection</td>
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</table>

References


PLEASE KEEP THE PRODUCT UNDER -20°C FOR LONG-TERM STORAGE.

NOT FOR HUMAN, VETERINARY DIAGNOSTIC OR THERAPEUTIC USE

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