Web: www.taiclone.com
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Niclosamide

Catalog No: tcsc2618

Available Sizes

Size: 5g

Size: 10g

Specifications

CAS No:
50-65-7

Formula:
$C_{13}H_8Cl_2N_2O_4$

Pathway:
Anti-infection; JAK/STAT Signaling; Stem Cell/Wnt

Target:
Antibiotic; Parasite; STAT

Form:
Light yellow to green yellow (Solid)

Purity / Grade:
98.68%

Solubility:
DMSO : 4.55 mg/mL (13.91 mM; Need ultrasonic)
DMF : 5 mg/mL (15.28 mM; Need ultrasonic)
H2O :

Storage Instruction:
2-8°C

Alternative Names:
BAY2353

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Observed Molecular Weight:
327.12

Protocol:
Kinase Assay: [1]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. 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-33P]-ATP, Niclosamide, adequate amount of enzyme and its substrate. The PKC-alpha assay additionally contain 1 mM Ca2, 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) H3PO4. Incorporation of 33Pi is determined with a microplate scintillation counter. The activities and the IC50 values are calculated using Quattro Workflow V2.28. Cell Assay: [1]Cells are plated in 96-well culture plates with cell density of 3-4 ×103 cells/well and treat 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 570 nm. 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 Administration: Niclosamide is formulated in saline.[4]Male nu/nu BALB/c mice are used in the assay. HL-60 cells are inoculated s.c. on the flanks of 4- to 6-wk-old mice. Tumors are measured every other day with use of calipers. Mice bearing HL-60 xenografts are randomized to receive treatment with normal saline (control) or p-niclosamide for 15 days (n=7 animals each). Tumor volumes are calculated by the following formula: a2×b×0.4, where a is the smallest diameter and b is the diameter perpendicular to a. After mice are euthanized, xenografts are dissected, weighed, or preserved.

References

[1]. Ren, X., et al., Identification of niclosamide as a new small-molecule inhibitor of the STAT3 signaling pathway. ACS Medicinal Chemistry Letters, 2010. 1(9): p. 454-459. [2]. Wu CJ, et al. Inhibition of severe acute respiratory syndrome coronavirus replication by niclosamide. Antimicrob Agents Chemother. 2004 Jul;48(7):2693-6. 3]. Chen, M., et al., The anti-helminthic niclosamide inhibits Wnt/Frizzled1 signaling. Biochemistry, 2009. 48(43): p. 10267-74. [4]. Jin, Y., et al. Antineoplastic mechanisms of niclosamide in acute myelogenous leukemia stem cells: inactivation of the NF-kappaB pathway and generation of reactive oxygen species. Cancer Res, 2010. 70(6): p. 2516-27.

Product Description

Niclosamide is an inhibitor of **STAT3** with **IC_{50}** of 0.25 µM in HeLa cells and inhibits DNA replication in a cell-free assay.

IC50 & Target: IC50: 0.25 µM (STAT3)[1]

In Vitro: Niclosamide is an inhibitor of STAT3, inhibiting STAT3-mediated luciferase reporter activity with an IC_{50} of 0.25 µM in HeLa cells. Niclosamide (1 µM) inhibits the EGF-induced nuclear translocation of STAT3 in Du145 cells. Niclosamide ([1]. Niclosamide can block SARS-CoV replication at a micromolar concentration in Vero E6 cells infected with SARS-CoV[2]. Niclosamide ([3]. Niclosamide inhibits the TNF-induced NF-κB reporter activity in a dose- and time-dependent manner in U2OS cells. Niclosamide (125 nM) inhibits NF-κB activation induced by p65, IKKα, IKKβ, IKKγ, and TAK1 in U2OS cells. Niclosamide ([4].

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

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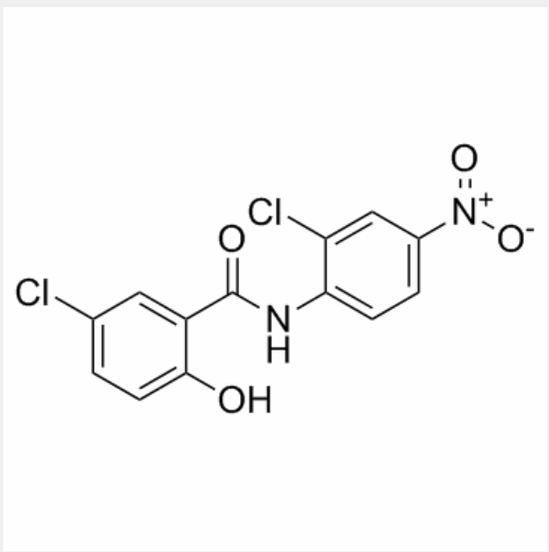

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