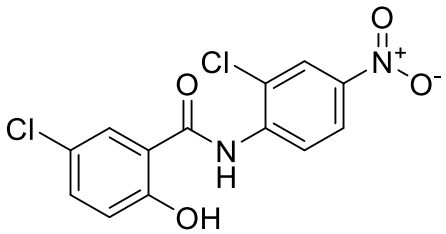
Product data sheet

MedKoo Cat#: 205940 Name: Niclosamide CAS#: 50-65-7 Chemical Formula: $C_{13}H_8Cl_2N_2O_4$ Exact Mass: 325.98611 Molecular Weight: 327.12	
Product supplied as:	Powder
Purity (by HPLC):	≥ 98%
Shipping conditions	Ambient temperature
Storage conditions:	Powder: -20°C 3 years; 4°C 2 years.
	In solvent: -80°C 3 months; -20°C 2 weeks.

1. Product description:

Niclosamide is an oral antihelminthic drug, which has been used to treat tapeworm infection for about 50 years. Niclosamide is also used as a molluscicide for water treatment in schistosomiasis control programs. Recently, several groups have independently discovered that niclosamide is also active against cancer cells. Evidence supports that niclosamide targets multiple signaling pathways (NF-κB, Wnt/β-catenin, Notch, ROS, mTORC1, and Stat3), most of which are closely involved with cancer stem cells. Given its potential antitumor activity, clinical trials for niclosamide and its derivatives are warranted for cancer treatment.

2. CoA, QC data, SDS, and handling instruction

SDS and handling instruction, CoA with copies of QC data (NMR, HPLC and MS analytical spectra) can be downloaded from the product web page under "QC And Documents" section. Note: copies of analytical spectra may not be available if the product is being supplied by MedKoo partners. Whether the product was made by MedKoo or provided by its partners, the quality is 100% guaranteed.

3. Solubility data

Solvent	Max Conc. mg/mL	Max Conc. mM
DMSO	4.0	12.2
Ethanol	0.5	1.5

4. Stock solution preparation table:

Concentration / Solvent Volume / Mass	1 mg	5 mg	10 mg
1 mM	3.06 mL	15.28 mL	30.57 mL
5 mM	0.61 mL	3.06 mL	6.11 mL
10 mM	0.31 mL	1.53 mL	3.06 mL
50 mM	0.06 mL	0.31 mL	0.61 mL

5. Molarity Calculator, Reconstitution Calculator, Dilution Calculator

Please refer the product web page under section of "Calculator"

6. Recommended literature which reported protocols for in vitro and in vivo study

In vitro study

1. Luo F, Luo M, Rong QX, Zhang H, Chen Z, Wang F, Zhao HY, Fu LW. Niclosamide, an antihelmintic drug, enhances efficacy of PD-1/PD-L1 immune checkpoint blockade in non-small cell lung cancer. J Immunother Cancer. 2019 Sep 11;7(1):245. doi: 10.1186/s40425-019-0733-7. PMID: 31511071; PMCID: PMC6739982.
2. Park SY, Kim JY, Choi JH, Kim JH, Lee CJ, Singh P, Sarkar S, Baek JH, Nam JS. Inhibition of LEF1-Mediated DCLK1 by Niclosamide Attenuates Colorectal Cancer Stemness. Clin Cancer Res. 2019 Feb 15;25(4):1415-1429. doi: 10.1158/1078-0432.CCR-18-1232. Epub 2018 Nov 16. PMID: 30446587.

In vivo study

1. Luo F, Luo M, Rong QX, Zhang H, Chen Z, Wang F, Zhao HY, Fu LW. Niclosamide, an antihelmintic drug, enhances efficacy of PD-1/PD-L1 immune checkpoint blockade in non-small cell lung cancer. J Immunother Cancer. 2019 Sep 11;7(1):245. doi: 10.1186/s40425-019-0733-7. PMID: 31511071; PMCID: PMC6739982.

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2. Vliet SMF, Dasgupta S, Sparks NRL, Kirkwood JS, Vollaro A, Hur M, Zur Nieden NI, Volz DC. Maternal-to-zygotic transition as a potential target for niclosamide during early embryogenesis. Toxicol Appl Pharmacol. 2019 Oct 1;380:114699. doi: 10.1016/j.taap.2019.114699. Epub 2019 Aug 6. PMID: 31398420; PMCID: PMC6717554.

7. Bioactivity

Biological target:

Niclosamide (BAY2353) is a chlorinated salicylanilide, with anthelmintic and potential antineoplastic activity that inhibits STAT3 with IC50 of 0.25 μM in HeLa cells.

In vitro activity

To further explore the potential mechanism of enhancement of PD-L1 antibody by niclosamide, it was evaluated whether niclosamide could have an impact on PD-L1 expression. The maximum niclosamide concentration tested (2 μM) was added to these NSCLC cell lines, which was lower than the IC30. Applying flow cytometry analysis, downregulation of PD-L1 expression after niclosamide treatment for 24 h (Fig. 3a) was observed. The inhibitory effect of niclosamide on PD-L1 expression was futher evaluated. After treatment with differing concentrations of niclosamide, it was observed that niclosamide decreased PD-L1 expression as well as STAT3 phosphorylation in a concentration-dependent manner in NSCLC cell lines (Fig.3b-d,3b-d, h-i). Moreover, cells treated with 2 μM niclosamide at different time points showed a time-dependent suppression of PD-L1 and p-STAT3 levels (Fig.3e-g,3e-g, k-m).

J Immunother Cancer. 2019; 7: 245. https://www.ncbi.nlm.nih.gov/pmc/articles/PMC6739982/

In vivo activity

As early embryonic development in zebrafish is dependent, in part, on uptake of maternally deposited nutrients in the yolk as well as metabolism of cellular lipid droplets, the metabolomic profiles of zebrafish embryos following niclosamide exposure were investigated. The abundance and distribution of non-polar metabolites and lipids were not significantly affected within embryos exposed to 0.313 μM niclosamide from 2–5 hpf (Figures 2A and 2B; Supplementary Data S1). However, niclosamide exposure resulted in significant alterations on polar metabolites, where the majority of significantly affected metabolites were amino acids involved in the aminoacyl-tRNA biosynthesis pathway (Figures 3A and 3B; Figure 4; Supplementary Data S1). These results suggest that, within embryos exposed from 2–5 hpf, niclosamide affected the abundance and distribution of amino acids in the absence of effects of non-polar metabolites and lipids.

Toxicol Appl Pharmacol. 2019 Oct 1; 380: 114699. https://www.ncbi.nlm.nih.gov/pmc/articles/PMC6717554/