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THE LONG-ACTING THERAPEUTICS PATENTS AND LICENCES DATABASE

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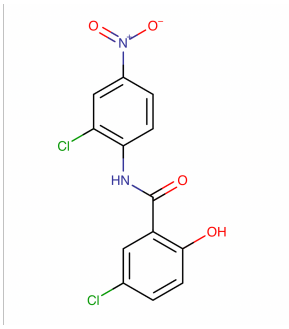



# Niclosamide

## Developer(s)

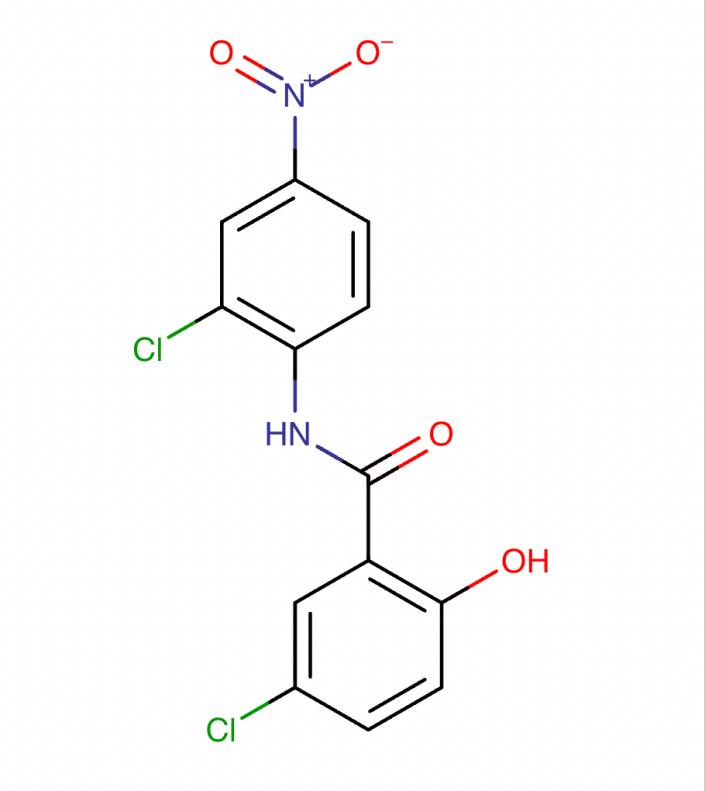
Bayer

https://www.bayer.com/en/

Germany

Bayer AG is a multinational pharmaceutical company that develops a wide range of chemical products and medicines for consumer healthcare, industrial biotechnology and agricultural purposes. Headquartered in Leverkusen, Bayer was originally founded in 1863 and is currently listed on the EURO STOXX 50 index.

# Drug structure




Niclosamide Chemical Structure

Sourced from Drugbank

# Drug information

## Associated long-acting platforms

Aqueous drug particle suspension

## Administration route

Intramuscular

## Therapeutic area(s)

COVID 19

### Use case(s)

Treatment

## Use of drug

### Ease of administration

Administered by a nurse
Administered by a specialty health worker

### User acceptance

Not provided

## Dosage

### Available dose and strength

Not provided

### Frequency of administration

Not provided

### Maximum dose

Not provided

### Recommended dosing regimen

Not provided

### Additional comments

Not provided

### Dosage link(s)

Not provided

## Drug information

### Drug's link(s)

Not provided

### Generic name

Niclosamide

### Brand name

Niclocide

### Compound type

Small molecule

### Summary

Niclosamide (NCL) is an anthelmintic medication used for the treatment of human tapeworm (Cestoda) infection. Recent drug repurposing studies have shown that NCL possesses high levels of in vitro activity against SARS-CoV-2 as it impedes viral entry through an endocytic pH augmentation mechanism. NCL is highly insoluble in water (0.23-1.6 µg/mL at room temperature) which contributes to its poor bioavailability. Pharmacokinetic modelling has also indicated that oral dosing would not generate sufficient concentrations of circulating NCL to induce anti-SARS-CoV-2 activity. Therefore, recent attempts have been made to formulate long-acting aqueous solutions of NCL capable of providing high levels of systemic exposure.

### Approval status

Unknown

### Regulatory authorities

Unknown

## Delivery device(s)

No delivery device

# Scale-up and manufacturing prospects

## Scale-up prospects

Formulations for long-acting NCL are currently in preclinical development. Researchers from the Centre of Excellence for Long-acting Therapeutics (CELT) at the University of Liverpool have recently developed a highly innovative redispersible solid drug nanoparticle (SDN) formulation that utilises nanoprecipitation methods similar to those already utilised in commercial-scale production of beta-carotene particles for foodstuffs and agriculture. Successful industrial scale-up of the spray-dried dispersion may require potential optimisation of the mixing process using high shear mixer geometries.

## Tentative equipment list for manufacturing

Detailed information regarding industrial manufacturing requirements and/or equipment lists is currently not available as long-acting niclosamide formulations have been only been produced at small-scale for research use.

## Manufacturing

The previously mentioned formulation developed by researchers from CELT at the University of Liverpool can be stored as a solid dry powder and reconstituted into an aqueous solution through the addition of water prior to administration.

## Specific analytical instrument required for characterization of formulation

Dynamic light scattering equipment (Malvern Panalytical ZetaSizer Ultra Photon Correlation Spectroscope) to characterise the dry powder formulation. 1H nuclear magnetic spectroscopy to determine drug loading at 60 wt% relative to excipients using BzMA internal standard.

# Clinical trials

Not provided

# Excipients

## Proprietary excipients used

No proprietary excipient used

## Novel excipients or existing excipients at a concentration above Inactive Ingredients Database (IID) for the specified route of administration

Not provided

## Residual solvents used

No residual solvent used

# Patent info

## Description

Gastropod combating compositions

## Brief description

Gastropod combating compositions

## Representative patent

GB824345

## Category

compound

## Patent holder

Bayer AG

## Exclusivity

Not provided

## Expiration date

September 19, 1976

## Status

Expired

# Supporting material

## Publications

Hobson JJ , Savage AC , Dwyer AB , Unsworth C , Massam J , Arshad U , Pertinez H , Box H , Tatham L , Rajoli RKR , Neary M , Sharp J , Valentijn A , David C , Curley P , Liptrott NJ , McDonald TO , Owen A , Rannard SP . Scalable nanoprecipitation of niclosamide and in vivo demonstration of long-acting delivery after intramuscular injection. Nanoscale. 2021 Apr 7;13(13):6410-6416. DOI: https://doi.org/10.1039/d1nr00309g. Epub 2021 Mar 25. PMID: 33885522.

The control of COVID-19 across the world requires the formation of a range of interventions including vaccines to elicit an immune response and immunomodulatory or antiviral therapeutics. Here, we demonstrate the nanoparticle formulation of a highly insoluble drug compound, niclosamide, with known anti SARS-CoV-2 activity as a cheap and scalable long-acting injectable antiviral candidate.

## Additional documents

No documents were uploaded

## Useful links

There are no additional links

# Access principles

## Collaborate for development

Consider on a case by case basis, collaborating on developing long acting products with potential significant public health impact, especially for low- and middle-income countries (LMICs), utilising the referred to long-acting technology

Not provided

## Share technical information for match-making assessment

Provide necessary technical information to a potential partner, under confidentiality agreement, to enable preliminary assessment of whether specific medicines of public health importance in LMICs might be compatible with the referred to long-acting technology to achieve a public health benefit

Not provided

## Work with MPP to expand access in LMICs

In the event that a product using the referred to long-acting technology is successfully developed, the technology IP holder(s) will work with the Medicines Patent Pool towards putting in place the most appropriate strategy for timely and affordable access in low and middle-income countries, including through licensing

Not provided

# Comment & Information

Not provided