

Certificate of Analysis

Print Date: May 4th 2020

www.tocris.com

Product Name: Mefloquine hydrochloride Catalog No.: 6819 Batch No.: 2

CAS Number: 51773-92-3

IUPAC Name: (αS)-rel-α-(2R)-2-Piperidinyl-2,3-bis(trifluoromethyl-4-quinolinemethanol hydrochloride

1. PHYSICAL AND CHEMICAL PROPERTIES

Batch Molecular Formula: C₁₇H₁₆F₆N_{2O.HCL³/₄H₂O}

Batch Molecular Weight: 428.28

Physical Appearance: White solid

Solubility: ethanol to 100 mM

DMSO to 50 mM

Storage: Store at +4°C

Batch Molecular Structure:

(and enantiomer)

2. ANALYTICAL DATA

HPLC: Shows 100.0% purity

¹H NMR: Consistent with structure

Mass Spectrum: Consistent with structure

Microanalysis: Carbon Hydrogen Nitrogen

Theoretical 47.68 4.35 6.54 Found 47.65 4.17 6.62

Caution - Not Fully Tested • Research Use Only • Not For Human or Veterinary Use



Product Information

Print Date: May 4th 2020

www.tocris.com

Product Name: Mefloquine hydrochloride Catalog No.: 6819 Batch No.: 2

CAS Number: 51773-92-3

IUPAC Name: (αS) -rel- α -(2R)-2-Piperidinyl-2,3-bis(trifluoromethyl-4-quinolinemethanol hydrochloride

Description:

Cx36 and Cx50 gap channel blocker (IC $_{50}$ values are 0.3 and 1.1 μ M, resepctively). Blocks gap junctional-coupling between interneurons in neocortical slices. Also antimalarial. Bind 80S ribosome of Plasmodium falciparum to inhibit protein synthesis. Improves survival in P berghei-infected mice. Additionally exhibits antischistosomal activity in vitro and in vivo. Exhibits antiviral activities against SARS-CoV-2 (IC $_{50}$ < 10 μ M).

Physical and Chemical Properties:

Batch Molecular Formula: $C_{17}H_{16}F_6N_{2O.HCl.\sqrt[3]{4}H_2O}$

Batch Molecular Weight: 428.28 Physical Appearance: White solid

Minimum Purity: ≥98%

Batch Molecular Structure:

Storage: Store at +4°C

Solubility & Usage Info:

ethanol to 100 mM DMSO to 50 mM

Stability and Solubility Advice:

Some solutions can be difficult to obtain and can be encouraged by rapid stirring, sonication or gentle warming (in a 45-60°C water bath).

Information concerning product stability, particularly in solution, has rarely been reported and in most cases we can only offer a general guide. Our standard recommendations are:

SOLIDS: Provided storage is as stated on the product label and the vial is kept tightly sealed, the product can be stored for up to 6 months from date of receipt.

SOLUTIONS: We recommend that stock solutions, once prepared, are stored aliquoted in tightly sealed vials at -20°C or below and used within 1 month. Wherever possible solutions should be made up and used on the same day.

(and enantiomer)

References:

Jeon et al (2020) Identification of antiviral drug candidates against SARS-CoV-2 from FDA-approved drugs. BioRxiv - Paper not yet peer reviewed..

Pasche *et al* (2019) Early antischistosomal leads identified from *in vitro* and *in vivo* screening of the Medicines for Malaria Venture Pathogen Box. ACS Infect.Dis. **5** 102. PMID: 30398059.

Wong *et al* (2017) Mefloquine targets the *Plasmodium falciparum* 80S ribosome to inhibit protein synthesis. Nat.Microbiol. **2** 17031. PMID: 28288098.

Cruikshank et al (2004) Potent block of Cx36 and Cx50 gap junction channels by meflo. Proc.Natl.Acad.Sci.U.S.A. 101 12364. PMID: 15297615.

Ohnmacht et al (1971) Antimalarials. 7. Bis(trifluoromethyl)-α-(2-piperidyl)-4-quinolinemethanols. J.Med.Chem. 14 926. PMID: 5115690.

Caution - Not Fully Tested • Research Use Only • Not For Human or Veterinary Use