Proteins

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Product Data Sheet

Buparvaquone

Cat. No.: HY-17581 CAS No.: 88426-33-9 Molecular Formula: C₂₁H₂₆O₃ Molecular Weight: 326.43

Parasite; Antibiotic Target: Pathway: Anti-infection

Storage: Powder -20°C 3 years

> 4°C 2 years

-80°C In solvent 2 years

> -20°C 1 year

SOLVENT & SOLUBILITY

In Vitro

DMF: 25 mg/mL (76.59 mM; Need ultrasonic) Ethanol: 2 mg/mL (6.13 mM; Need ultrasonic)

H₂O: < 0.1 mg/mL (insoluble)

Preparing Stock Solutions	Solvent Mass Concentration	1 mg	5 mg	10 mg
	1 mM	3.0634 mL	15.3172 mL	30.6344 mL
	5 mM	0.6127 mL	3.0634 mL	6.1269 mL
	10 mM	0.3063 mL	1.5317 mL	3.0634 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

- 1. Add each solvent one by one: 10% DMF >> 90% (20% SBE-β-CD in saline) Solubility: ≥ 2.5 mg/mL (7.66 mM); Clear solution
- 2. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline Solubility: 2.5 mg/mL (7.66 mM); Suspended solution; Need ultrasonic
- 3. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline) Solubility: ≥ 2.5 mg/mL (7.66 mM); Clear solution
- 4. Add each solvent one by one: 10% DMSO >> 90% corn oil Solubility: ≥ 2.5 mg/mL (7.66 mM); Clear solution

BIOLOGICAL ACTIVITY

Description	Buparvaquone is a hydroxynaphthoquinone antiprotozoal agent related to parvaquone and atovaquone.
In Vitro	In 4-day proliferation assays, buparvaquone efficiently inhibits N. caninum tachyzoite replication (IC $_{50}$ =4.9 nM; IC $_{100}$ =100 nM)

 $^{[1]}$. Buparvaquone is significantly selective against L. (L.) infantum chagasi intracellular amastigotes, with an IC₅₀ value of 1.5 μ M. Other cutaneous species are also susceptible to buparvaquone, with IC₅₀ values in the range 1-4 μ M $^{[2]}$. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

In Vivo

Treatment of N. caninum infected mice with buparvaquone (100 mg/kg) either by intraperitoneal injection or gavage prevents neosporosis symptoms in 4 out of 6 mice in the intraperitoneally treated group, and in 6 out of 7 mice in the group receiving oral treatment^[1]. Both a hydrous gel and water-in-oil emulsion of buparvaquone significantly reduce cutaneous parasite burden and lesion size, compared with the untreated control^[3].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

PROTOCOL

Cell Assay [1]

To study whether pretreatment of host cells prior to invasion had any effect on parasite proliferation, confluent HFF grown in 6-well plates are treated with 1 μ M buparvaquone in medium for 1 h or 5 h, and controls are exposed to the corresponding amounts of DMSO. Subsequently, the drug-containing medium is removed and monolayers are ished 4 times with Hank's Balanced Salt Solution, and are infected with Nc-Liv tachyzoites in 5 mL medium without any drug or solvent. After 2 days, cells are collected with a cell scraper, centrifuged, ished once more in PBS, and the pellet is stored at $-20\,^{\circ}$ C prior to quantification of N. caninum proliferation by N. caninum-specific real time PCR as outlined below^[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Administration [1]

Mice: On day 0, all mice are infected by intraperitoneal (i.p.) injection of freshly purified N. caninum tachyzoites. After 48 h, mice receive BPQ (100 mg/kg) as suspension in corn oil either by i.p. injection of a volume of 100 μ l or by oral application of 100 μ l by gavage. The control groups obtained the corresponding amount of the solvent only, either i.p. or orally (see Table 2). The treatments are performed 5 times on a daily basis. If not indicated otherwise, mice are inspected twice daily for clinical signs (ruffled coat, apathy, hind limb paralysis) until day 21 post infection (p.i.), at which time they are euthanized [1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- Parasit Vectors. 2022 Aug 30;15(1):308.
- Patent. US20210230642 A1.

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REFERENCES

- [1]. Müller J, et al. Buparvaquone is active against Neospora caninum in vitro and in experimentally infected mice. Int J Parasitol Drugs Drug Resist. 2015 Feb 13;5(1):16-25.
- [2]. Reimão JQ, et al. Effectiveness of liposomal buparvaquone in an experimental hamster model of Leishmania (L.) infantum chagasi. Exp Parasitol. 2012 Mar;130(3):195-9.
- [3]. Garnier T, et al. In vivo studies on the antileishmanial activity of buparvaquone and its prodrugs. J Antimicrob Chemother. 2007 Oct;60(4):802-10.

 $\label{lem:caution:Product} \textbf{Caution: Product has not been fully validated for medical applications. For research use only.}$

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