

Product Data Sheet

Product Name: MBP146-78

Cat. No.: GC19240

Chemical Properties

Cas. No. 188343-77-3

SMILES CN1CCC(C2=CC(C3=CC=NC=C3)=C(C4=CC=C(F)C=C4)N2)CC1

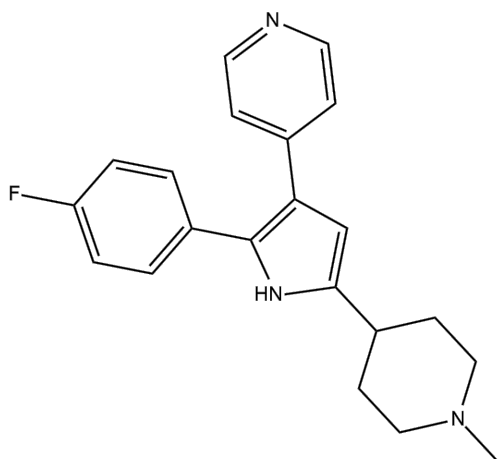
Formula $C_{21}H_{22}FN_3$ M.Wt 335.42

Solubility DMSO : 7.69 mg/mL (22.93 mM) Storage Store at -20°C

General tips For obtaining a higher solubility , please warm the tube at 37 °C and shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.

Shipping Condition Evaluation sample solution : ship with blue ice All other available size: ship with RT , or blue ice upon request.

Structure



Protocol

Cell experiment: To assess the toxicity of MBP146-78 to HFFs, cells are plated in 96-well plates at 1000/well and allowed to adhere overnight prior to addition of compound. Cultures are incubated for 5 days at 37°C in the presence of 5% CO₂. Viability is assessed using the Cell-Titer 96 Aqueous One solution cell-proliferating assay[1].

Caution: Product has not been fully validated for medical applications. For research use only.

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Animal experiment: Mice: MBP146-78 is dissolved in water. MBP146-78 is administered in 100 μ L doses by intraperitoneal injections starting 24 h after parasite inoculation. Mice are monitored twice daily for clinical evidence of toxoplasmosis and mortality throughout the experimental period[1].

References:

[1]. Nare B, et al. Evaluation of a cyclic GMP-dependent protein kinase inhibitor in treatment of murine toxoplasmosis: gamma interferon is required for efficacy. Antimicrob Agents Chemother. 2002 Feb;46(2):300-7.

Background

MBP146-78 is a potent and selective inhibitor of cGMP dependent protein kinases.

MBP146-78 displays a dose-dependent inhibition of *T. gondii* tachyzoites replicating inside HFFs, with an IC₅₀ of 210 nM. The suppression of lytic parasite growth by MBP146-78 is reversible. Replacement of the medium with medium lacking MBP146-78, after treatment for up to 7 days at 2 μ M, results in complete lysis of HFF cell monolayers. MBP146-78 is neither toxic nor inhibitory to proliferating or confluent monolayers of HFFs at concentrations of up to 10 μ M[1].

In infected mice that are treated with MBP146-78 at 50 mg/kg twice daily, parasites are undetectable throughout the 10-day treatment period in each of the tissues examined. However, samples from brain, spleen, and lung taken from infected treated mice reveal the presence of parasites after cessation of administration of MBP146-78, indicating that a transient asymptomatic parasite recrudescence occurs in all survivors. The ability of mice to control *Toxoplasma* infection after MBP146-78 treatment has been terminated suggests that the mouse immune system plays a synergistic role with chemotherapy in controlling the infection[1].

References:

[1]. Nare B, et al. Evaluation of a cyclic GMP-dependent protein kinase inhibitor in treatment of murine toxoplasmosis: gamma interferon is required for efficacy. Antimicrob Agents Chemother. 2002 Feb;46(2):300-7.

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