Tomeglovir

Cat. No.: HY-108261

CAS No.: 233254-24-5

Molecular Formula: $C_{23}H_{27}N_3O_4S$

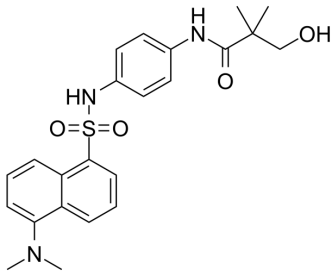
Molecular Weight: 441.54

Target: CMV

Pathway: Anti-infection

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	6 months
	-20°C	1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : ≥ 108 mg/mL (244.60 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	2.2648 mL	11.3240 mL	22.6480 mL
5 mM	0.4530 mL	2.2648 mL	4.5296 mL
10 mM	0.2265 mL	1.1324 mL	2.2648 mL

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

BIOLOGICAL ACTIVITY

Description

Tomeglovir is a potent anti-CMV agent, inhibiting processing of viral DNA-concatemers, with IC_{50}s of 0.34 μM and 0.039 μM for HCMV and MCMV.

IC_{50} & Target

IC50: 0.34 μM (HCMV), 0.039 μM (MCMV)[1]

In Vitro

Tomeglovir (BAY 38-4766) is a potent anti-CMV agent, with IC_{50}s of 0.34 μM and 0.039 μM for HCMV and MCMV. Tomeglovir also suppresses HELF and NIH 3T3 cells, with CC_{50}s of 85 μM and 62.5 μM, respectively[1]. Tomeglovir (BAY 38-4766) inhibits HCMV Davis and various monkey CMV strains with EC_{50}s of 1.03 ± 0.57 μM and < 1 μM[2].

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

In Vivo

Tomeglovir (BAY 38-4766; 3, 10, 30, 100 mg/kg, p.o.) dose-dependently reduces MCMV-DNA in salivary glands, livers and kidneys of MCMV-infected NOD-SCID mice, and prolongs the survival of the mice. Tomeglovir (10, 25 and 50 mg/kg) shows antiviral activity in the hollow fiber mouse model[1]. Tomeglovir (BAY 38-4766) shows antiviral activity in SCID mice with MCMV, and the LD_{50} is >2000 mg/kg in mice and rats[2].

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PROTOCOL

Cell Assay [2]

In order to evaluate drug toxicity, 96-well microtitre plates are prepared with 100 µL of EMEM/10 per well. After addition of 2 µL of 50 mM Tomeglovir stock solutions in duplicate into 198 µL in row 2, serial two-fold dilutions are made with 100 µL up to row 12 and 100 µL of a HELF, NHDF or 3T3 cell suspension (5×10^3 cells/mL) are added per well. Row 1 serves as an untreated cell control. After incubation for 6 days at 37°C and 5% CO_2, the cells are washed once with phosphate-buffered saline (PBS), and 200 µL of a 10 µg/mL fluorescent dye solution in PBS, pH 7.2 (fluorescein diacetate) are dispensed per well. After 45 min, the fluorescence signal is measured with a Fluorskan Ascent fluorimeter (excitation filter 485 ± 11 nm, emission filter 530 ± 15 nm). The relative fluorescence units (RFUs) of treated cells are expressed as percentages of untreated cell controls and CC_{50} values are determined graphically[2].

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Animal Administration [1]

Mice[1]

NOD/LtSz-scid/j mice, 20-30 g body weight, are anesthesized with 0.015-0.017 mL/g body weight Avertin 2.5% (Avertin 100% consists of 10 g tribromoethyl alcohol in 10 mL tertiary amyl alcohol). After shaving and cleaning the belly aseptically, the abdomens are opened and the fibers inserted intra-abdominally. The abdomens are closed with two suture layers. Only asymptomatic animals are included in the study. Starting 1 day after transplantation, the mice are treated with the Tomeglovir at indicated dosages twice daily for four consecutive days per os. In preliminary experiments, viral peak titers are observed on day 5 under these conditions[1].

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REFERENCES

[1]. Weber O, et al. Inhibition of murine cytomegalovirus and human cytomegalovirus by a novel non-nucleosidic compound in vivo. Antiviral Res. 2001 Mar;49(3):179-89.

[2]. Reefschlaeger J, et al. Novel non-nucleoside inhibitors of cytomegaloviruses (BAY 38-4766): in vitro and in vivo antiviral activity and mechanism of action. J Antimicrob Chemother. 2001 Dec;48(6):757-67.

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