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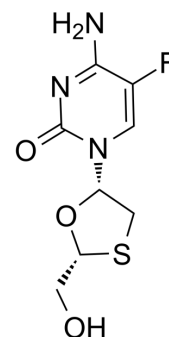
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Emtricitabine

Cat. No.:	HY-17427		
CAS No.:	143491-57-0		
Molecular Formula:	C ₈ H ₁₀ FN ₃ O ₃ S		
Molecular Weight:	247.25		
Target:	HIV; Reverse Transcriptase; Endogenous Metabolite		
Pathway:	Anti-infection; Metabolic Enzyme/Protease		
Storage:	Powder	-20°C	3 years
		4°C	2 years
	In solvent	-80°C	2 years
		-20°C	1 year



SOLVENT & SOLUBILITY

In Vitro

DMSO : ≥ 100 mg/mL (404.45 mM)
H₂O : ≥ 25 mg/mL (101.11 mM)
* "≥" means soluble, but saturation unknown.

	Solvent Concentration	Mass	1 mg	5 mg	10 mg
Preparing Stock Solutions	1 mM		4.0445 mL	20.2224 mL	40.4449 mL
	5 mM		0.8089 mL	4.0445 mL	8.0890 mL
	10 mM		0.4044 mL	2.0222 mL	4.0445 mL

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

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
Solubility: ≥ 2.5 mg/mL (10.11 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
Solubility: ≥ 2.5 mg/mL (10.11 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
Solubility: ≥ 2.5 mg/mL (10.11 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Emtricitabine is a nucleoside reverse transcriptase inhibitor (NRTI) with an EC₅₀ of 0.01 μM in PBMC cell. It is an antiviral agent for the treatment of HIV infection.

IC₅₀ & Target

HIV-1

HIV-2

In Vitro	<p>Emtricitabine has in vitro activity against both laboratory strains of HIV-1 and HIV-2 and clinical isolates of HIV-1. The 50% effective concentration (EC₅₀) ranges from 0.002 to 1.5 µ mol/L, depending on the viral isolate and cell line used.</p> <p>Emtricitabine demonstrates in vitro synergy with zidovudine and stavudine and additive in vitro activity when combines with zalcitabine or didanosine^[1].</p> <p>MCE has not independently confirmed the accuracy of these methods. They are for reference only.</p>
In Vivo	<p>Reproductive and developmental toxicology studies are conducted with emtricitabine. Oral doses up to 1000 mg/kg/day provided daily area under the curve (AUC₀₋₂₄) exposure to pregnant animals approximately 60- (mice) to 120-fold (rabbits) higher than that in humans at the recommended dose of 200 mg given once per day. In a mouse fertility study, emtricitabine had no effect on fertility, sperm count, or early embryonic development. There is no increased incidence of malformations in mouse and rabbit embryofetal toxicology studies. The development and fertility of F1 progeny are unaffected by emtricitabine in a mouse pre- and post-natal study. These data demonstrate a favorable pre-clinical reproductive safety profile for emtricitabine^[2].</p> <p>MCE has not independently confirmed the accuracy of these methods. They are for reference only.</p>

PROTOCOL

Cell Assay ^[3]	<p>EA.hy926 cells were plated in a 12-, 24- or 96-well plates and grown in DMEM media supplemented with 3% FCS. Endothelial cells from PARP^{+/+} and PARP^{-/-} mice were isolated and cultured. Cell viability was determined by the reduction of yellow MTT into a purple formazan product by mitochondrial dehydrogenases of metabolically active cells. Following the treatment period, the experimental medium was removed and 100 µL MTT (1 mg/mL) added. After 1 h incubation, the MTT solution was carefully removed and the purple crystals were solubilized in 100 µL of DMSO. The DMSO was transferred to an ELISA plate and absorbance measured at 550 nm with a 620 nm^[3].</p> <p>MCE has not independently confirmed the accuracy of these methods. They are for reference only.</p>
Animal Administration ^[2]	<p>Mice: Emtricitabine (free base) is suspended in 0.5% aqueous methylcellulose and given by gavage, with the daily dose divided into two equal installments administered approximately 6 h apart. The dose volume is 5 mL/kg/dose (10 mL/kg/day). In 1- and 6-month oral toxicity studies in mice, the maximum tolerated dose of emtricitabine is >3000 mg/kg/day. However, dose-range-finding studies are performed in pregnant CD-1 mice and in New Zealand White rabbits at top doses of 1000 mg/kg/day^[2]. Rabbits: Mature artificially inseminated rabbits are given emtricitabine on gestational day 7 through 19. On gestational day 19, blood samples for toxicokinetics are taken from five satellite does in each group at 30–60 min prior to dosing, and at 1, 3, 7, and 12 h after the first daily-dose (prior to the second daily-dose). On gestational day 20, the satellite does are sacrificed at 1 h after the final dose, and maternal blood and fetal umbilical blood samples are collected for toxicokinetics^[2].</p> <p>MCE has not independently confirmed the accuracy of these methods. They are for reference only.</p>

CUSTOMER VALIDATION

- Int J Antimicrob Agents. 2019 Dec;54(6):814-819.
- J Neuroimmune Pharmacol. 2019 Jul 23;10.1007/s11481-019-09862-1.
- J Neuroimmune Pharmacol. 2017 Dec;12(4):682-692.
- Open Virol J. 2014 Mar 7;8:1-8.

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REFERENCES

- [1]. Saag MS, et al. Emtricitabine, a new antiretroviral agent with activity against HIV and hepatitis B virus. Clin Infect Dis. 2006 Jan 1;42(1):126-31.

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- [2]. Szczech GM, Wang LH, Walsh JP, Reproductive toxicology profile of emtricitabine in mice and rabbits. *Reprod Toxicol*. 2003 Jan-Feb;17(1):95-108.
- [3]. Faltz M, et al. Effect of the Anti-retroviral Drugs Efavirenz, Tenofovir and Emtricitabine on Endothelial Cell Function: Role of PARP. *Cardiovasc Toxicol*. 2017 Jan 3. [Epub ahead of print]
- [4]. Xu P, et al. Combined Medication of Antiretroviral Drugs Tenofovir Disoproxil Fumarate, Emtricitabine, and Raltegravir Reduces Neural Progenitor Cell Proliferation In Vivo and In Vitro. *J Neuroimmune Pharmacol*. 2017 Dec;12(4):682-692.
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