

GS-9191

Chemical Properties

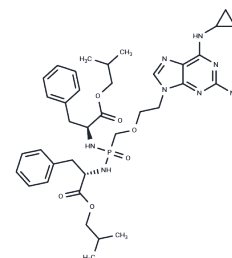
CAS No. : 859209-84-0

Formula: C37H51N8O6P

Molecular Weight: 734.82

Storage: Pure form: -20°C for 3 years | In solvent: -80°C for 1 year

Actual storage temperature shall be subject to the COA.



Biological Description

Description	GS-9191 is a potent inhibitor of DNA polymerase alpha and β , a prodrug of the novel nucleoside analogue 9-(2-phosphonmethoxyethyl)guanine (PMEG), which penetrates the skin and is metabolized in the epithelium to an active nucleoside triphosphate analogue. GS-9191 has antiproliferative activity and reduces the size of papillomas in a dose-related manner. GS-9191 may be useful in the treatment of HPV-induced lesions.
Targets(IC50)	Apoptosis, DNA/RNA Synthesis, Virus Protease
In vitro	GS-9191 was markedly more potent than PMEG or cPrPMEDAP in a series of human papillomavirus (HPV)-positive cell lines, with effective concentrations to inhibit 50% cell growth (EC(50)) as low as 0.03, 207, and 284 nM, respectively. In contrast, GS-9191 was generally less potent in non-HPV-infected cells and primary cells (EC(50)s between 1 and 15 nM). DNA synthesis was inhibited by GS-9191 within 24 h of treatment; cells were observed to be arrested in S phase by 48 h and to subsequently undergo apoptosis (between 3 and 7 days).[2] In an animal model (cottontail rabbit papillomavirus), topical GS-9191 was shown to decrease the size of papillomas in a dose-related manner. At the highest dose (0.1%), cures were evident at the end of 5 weeks, and lesions did not recur in a 30-day follow-up period. These data suggest that GS-9191 may have utility in the treatment of HPV-induced lesions.[2]

Solubility Information

Solubility	DMSO: 7.35 mg/mL (10 mM), Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
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Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	1.3609 mL	6.8044 mL	13.6088 mL
5 mM	0.2722 mL	1.3609 mL	2.7218 mL
10 mM	0.1361 mL	0.6804 mL	1.3609 mL
50 mM	0.0272 mL	0.1361 mL	0.2722 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

Birkus G, et al. Role of cathepsin A and lysosomes in the intracellular activation of novel antipapillomavirus agent GS-919. *Antimicrob Agents Chemother.* 2011;55(5):2166-73.

Wolfgang GH, et al. GS-9191 is a novel topical prodrug of the nucleotide analog 9-(2-phosphonylmethoxyethyl) guanine with antiproliferative activity and possible utility in the treatment of human papillomavirus lesions. *Antimicrob Agents Chemother.* 2009;53(7):2777-2784.

De Clercq E. Dancing with chemical formulae of antivirals: a personal account. *Biochem Pharmacol.* 2013;86(6):711-725.

Rai MA, et al. Emerging reverse transcriptase inhibitors for HIV-1 infection. *Expert Opin Emerg Drugs.* 2018;23(2):149-157.

Inhibitor · Natural Compounds · Compound Libraries · Recombinant Proteins

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