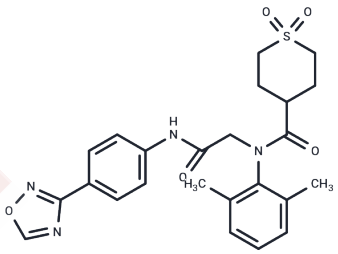
Data Sheet (Cat.No.T4226)

TargetMol

Amenamevir

Chemical Properties



CAS No. : 841301-32-4

Formula: C24H26N4O5S

Molecular Weight: 482.55

Appearance: no data available

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

Biological Description

Description	Amenamevir (ASP2151) is a novel helicase-primase inhibitor that is active against varicella-zoster virus and herpes simplex virus types 1 and 2 (EC50: 14 ng/mL).
Targets(IC50)	HSV,DNA/RNA Synthesis
In vitro	The mean EC50s of Amenamevir against HSV-1 and HSV-2 are 14 (range, 7.7-20) and 30 ng/mL (range, 15-58), respectively, while those of acyclovir (ACV) is 29 (range, 18-38) and 71 ng/mL (range, 45-95), respectively.
In vivo	Amenamevir (ASP2151, 3-30 mg/kg/day) dose-dependently accelerates the reduction in virus titer. Amenamevir dose-dependently decreases both HSV-1 titers and lesion scores, irrespective of the dosing interval. Based on the correlation curves, HSV-1 growth is completely inhibited by Amenamevir (p.o.), and these PK parameters are estimated: Cmax in serum, 10,000 ng/mL or higher; AUC24h, 60 μg ? h/ml or higher; 21 to 24 h for T> 100. The mean concentration of Amenamevir in plasma at 5 days postinfection dose-dependently increases, with doses of 3 mg Amenamevir/g or higher significantly reducing the intradermal HSV-1 titer.
Cell Research	The antiviral activities of Amenamevir and ACV against HSVs are tested using a plaque reduction assay. Briefly, HEF cells are seeded into multi-well plates and incubated until they form a monolayer. After the medium is removed, the cells are infected with HSV-1 or HSV-2, and the plates are further incubated for 1 h at 37°C. The cells are washed twice with maintenance medium and then treated with the test compound (including Amenamevir) until clear plaques appear. The cells are then fixed with 10% formalin in phosphate-buffered saline, stained with a 0.02% crystal violet solution, and the number of plaques is determined under a light microscope. The EC50, which represents the concentration of test compound needed to reduce the plaque number by 50%, is calculated using nonlinear regression analysis with a sigmoid-maximum effect (Emax) model[1].
Animal Research	Female hairless mice (HOS: HR-1, 7 to 8 weeks old) are infected with a suspension of HSV-1 strain WT51 (15 μL/mouse; titer, 2×108 PFU/mL) or CI-116 (15 μL/mouse; titer, 4×107 PFU/mL) in the dorsolateral skin stripped as a small square using a needle, under anesthesia. The day of HSV-1 infection is designated day zero postinfection. Total daily doses of 1, 3, 10, 30, or 100 mg/kg/day ASP2151 are orally administered to HSV-1-infected mice (n=5) for 5 days. Amenamevir (ASP2151) treatments are started 2 to 3 h after HSV infection either as a single daily dose (every 24 h, q24h) or as two (every 12 h,

	q12h) or three (every 8 h, q8h) divided doses. Lesion scores and intradermal HSV-1 titers are measured on day 5 postinfection[1].

Solubility Information

Solubility	DMSO: 15 mg/mL (31.08 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.0723 mL	10.3616 mL	20.7232 mL
5 mM	0.4145 mL	2.0723 mL	4.1446 mL
10 mM	0.2072 mL	1.0362 mL	2.0723 mL
50 mM	0.0414 mL	0.2072 mL	0.4145 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.

Reference

Katsumata K, et al. Pharmacokinetics and pharmacodynamics of ASP2151, a helicase-primase inhibitor, in a murine model of herpes simplex virus infection. Antimicrob Agents Chemother. 2013 Mar;57(3):1339-46.