

Product Data Sheet

Product Name: Penciclovir

Cat. No.: GC17848

Chemical Properties

Cas. No. 39809-25-1

Chemical Name 2-amino-9-[4-hydroxy-3-(hydroxymethyl)butyl]-3H-purin-6-one

SMILES C1=NC2=C(N1CCC(CO)CO)NC(=NC2=O)N

Formula $C_{10}H_{15}N_5O_3$ M.Wt 253.26

Solubility $\geq 11.95\text{mg/mL}$ in DMSO 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.

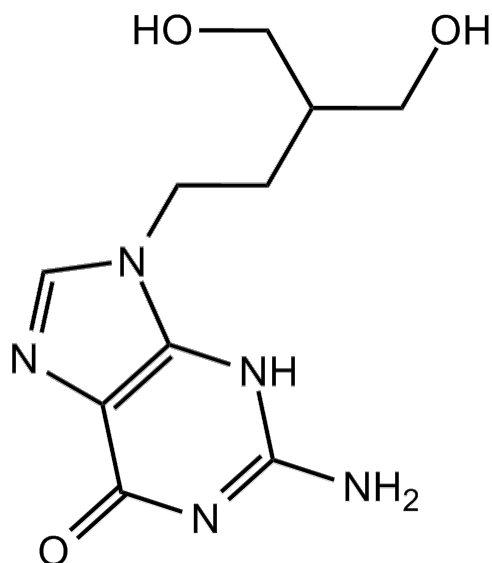
Caution: Product has not been fully validated for medical applications. For research use only.

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Structure



Protocol

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Cell experiment: Human breast cancer cell lines MCF-7 and MDA-MB-435, glioblastoma U87MG, and embryonic kidney cells 293T are cultured at 37°C in a humidified atmosphere containing 5% CO₂ in Iscove's modified Dulbecco medium or Leibovitz's L-medium and 5% fetal bovine serum (FBS). The assays are performed with slight modifications. In brief, cells are seeded into 24-well plates (5×10⁴ cells/well) and infected 48 h later with 10³ particles per cell of unmodified virus (Adtk), PEGylated virus (PEG-Adtk), or RGD-PEG-modified virus (RGD-PEG-Adtk) in triplicates in culture medium with 2% FBS and incubated for 4 h at 37°C. The incubation medium is then replaced by normal medium and cells are further incubated for 48 h. Cells are harvested and lysed with 500 µL of TK lysis buffer that contained 0.5% Nonidet P-40 (NP-40), 20 mM N-(2-hydroxyethyl) piperazine-N'-(2-ethanesulfonic acid) (HEPES) (pH 7.6), 2 mM Mg(OAc)₂, 1 mM dithiothreitol, and 50 µM thymidine. The supernatant is collected after centrifugation. The samples are kept at -80°C until use. The modified and unmodified adenovirus protein concentrations are determined by the Micro BCA assay. One microgram of cell extract is incubated with HSV1-tk substrate 8-3H-Penciclovir (8-3 H-PCV). The phosphorylated tracer is separated from unphosphorylated 8-3 H-PCV with DE-81 filters. TK activity is expressed as the percentage of conversion of substrate per minute per microgram protein[2].

References:

- [1]. Piret J, et al. Resistance of herpes simplex viruses to nucleoside analogues: mechanisms, prevalence, and management. *Antimicrob Agents Chemother*. 2011 Feb;55(2):459-72.
- [2]. Xiong Z, et al. Imaging chemically modified adenovirus for targeting tumors expressing integrin alphavbeta3 in living micewith mutant herpes simplex virus type 1 thymidine kinase PET reporter gene. *J Nucl Med*. 2006 Jan;47(1):130-9.

Background

Penciclovir is an inhibitor of HSV-1 DNA synthesis [1].

Penciclovir is a guanine derivative and is used as a drug for the treatment against herpesviruses.

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Penciclovir has a similar spectrum of activity with acyclovir. In the plaque reduction assay, penciclovir shows potent inhibitory activities against HSV-1, HSV-2 and VZV with IC₅₀ values of 0.4µg/ml, 1.5µg/ml and 3.1µg/ml, respectively. It also shows minimal activity against CMV. In MRC-5 cells, penciclovir inhibits the replication of HSV-1 with IC₉₉ value of 0.4µg/ml. Moreover, penciclovir exerts persistent antiviral activity against HSV-1 and HSV-2 in Vero cells. Besides that, penciclovir is found to be phosphorylated to the triphosphate in HSV-1-infected MRC-5 cells. The penciclovir triphosphate is the metabolite that actually inhibits herpesvirus replication. Penciclovir inhibits HSV-1 DNA synthesis with IC₅₀ value of 0.16µM without effect on cellular DNA synthesis [1, 2].

References:

- [1] Boyd M R, Bacon T H, Sutton D, et al. Antiherpesvirus activity of 9-(4-hydroxy-3-hydroxymethylbut-1-yl) guanine (BRL 39123) in cell culture. *Antimicrobial agents and chemotherapy*, 1987, 31(8): 1238-1242.
- [2] Hodge R A, Perkins R M. Mode of action of 9-(4-hydroxy-3-hydroxymethylbut-1-yl) guanine (BRL 39123) against herpes simplex virus in MRC-5 cells. *Antimicrobial agents and chemotherapy*, 1989, 33(2): 223-229.

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