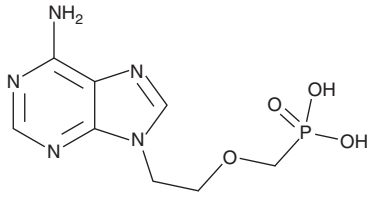
PRODUCT INFORMATION

Adefovir

Item No. 18650

CAS Registry No.: 106941-25-7
Formal Name: P-[[2-(6-amino-9H-purin-9-yl) ethoxy]methyl]-phosphonic acid
Synonyms: GS-0393, PMEA
MF: $C_8H_{12}N_5O_4P$
FW: 273.2
Purity: ≥95%
Supplied as: A crystalline solid
Storage: -20°C
Stability: ≥4 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

Adefovir is supplied as a crystalline solid. A stock solution may be made by dissolving the adefovir in the solvent of choice, which should be purged with an inert gas. Adefovir is soluble in hot water and 0.1M NaOH.

Description

Adefovir is an active metabolite of the antiviral nucleoside analog adefovir dipivoxil (Item No. 28373).[1] Adefovir is formed from adefovir dipivoxil by gastrointestinal carboxylesterase 2 (CES2).[2] It inhibits cytopathogenicity induced by herpes simplex virus 1 (HSV-1) and HSV-2 (EC_{50} = 7 µg/ml for both in E_6SM cells), HIV-1 and HIV-2 (EC_{50}s = 1.8 and 2.5 µg/ml, respectively, in CEM cells), and varicella zoster virus (VZV; EC_{50} = 10 µg/ml in human embryonic lung fibroblasts).[3] Adefovir (50 mg/kg, p.o.) reduces increases in lymph node viral DNA and serum IgG levels in a mouse model of AIDS induced by infection with the retroviral complex LP-BM5.[4] It also inhibits tumor growth induced by Moloney sarcoma virus (MSV) infection in mice at the same dose.[5]

References

1. Arimilli, M.N., Dougherty, J.P., Cundy, K.C., *et al.* Orally bioavailable acyclic nucleoside phosphonate prodrugs: Adefovir dipivoxil and bis(POC)PMPA. *Advances in Antiviral Drug Design* **3**, 69-91 (1999).
2. Laizure, S.C., Herring, V., Hu, Z., *et al.* The role of human carboxylesterases in drug metabolism: Have we overlooked their importance? *Pharmacotherapy* **33(2)**, 210-222 (2013).
3. Holý, A., Votruba, I., Masojídková, M., *et al.* 6-[2-(Phosphonomethoxy)alkoxy]pyrimidines with antiviral activity. *J. Med. Chem.* **45(9)**, 1918-1929 (2002).
4. Rossi, L., Dominici, S., Serafini, S., *et al.* Pharmacokinetic and antiretroviral activity in mice of oral [P1,P2-bis[2-(adenin-9-yl)ethoxymethyl]phosphonate], a prodrug of 9-(2-phosphonylmethoxyethyl)adenine. *J. Antimicrob. Chemother.* **50(3)**, 365-374 (2002).
5. Naesens, L., Balzarini, J., Bischofberger, N., *et al.* Antiretroviral activity and pharmacokinetics in mice of oral bis(pivaloyloxymethyl)-9-(2-phosphonylmethoxyethyl)adenine, the bis(pivaloyloxymethyl) ester prodrug of 9-(2-phosphonylmethoxyethyl)adenine. *Antimicrob. Agents Chemother.* **40(1)**, 22-28 (1996).

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