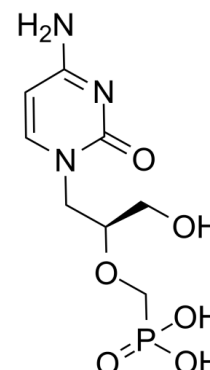


Data Sheet

| | |
|---------------------------|---|
| Product Name: | Cidofovir |
| Cat. No.: | CS-1669 |
| CAS No.: | 113852-37-2 |
| Molecular Formula: | C ₈ H ₁₄ N ₃ O ₆ P |
| Molecular Weight: | 279.19 |
| Target: | CMV |
| Pathway: | Anti-infection |
| Solubility: | H ₂ O : 3.33 mg/mL (11.93 mM; Need ultrasonic); DMSO : < 1 mg/mL (insoluble or slightly soluble) |



BIOLOGICAL ACTIVITY:

Cidofovir is an anti-CMV drug which can suppress CMV replication by selective inhibition of viral DNA polymerase and therefore prevention of viral replication and transcription. IC₅₀ Value: Target: CMV DNA polymerase in vitro: The minimum concentrations of (S)-HPMPC required to inhibit CMV plaque formation by 50% was microgram/ml. The selectivity indices of (S)-HPMPC, as determined by the ratio of the 50% inhibitory concentration for cell growth to the 50% inhibitory concentration for plaque formation for CMV (AD-169 strain), was 1,500 [1]. The time course of uptake of HPMPC into Vero cells was linear between 10 and 75 min and proportional to the concentration in the medium from 10⁻⁶ to 10⁻² M. HPMPC uptake was temperature sensitive and the rate of uptake was considerably lower at 27 degrees than at 37 degrees and almost totally inhibited at 4 degrees [2]. in vivo: Levels of cidofovir serum following intravenous infusion were dose proportional over the dose range of 1.0 to 10.0 mg/kg of body weight and declined biexponentially with an overall mean +/- standard deviation terminal half-life of 2.6 +/- 1.2 h (n = 25). Approximately 90% of the intravenous dose was recovered unchanged in the urine in 24 h. The overall mean +/- standard deviation total clearance of the drug from serum (148 +/- 25 ml/h/kg; n = 25) approximated renal clearance (129 +/- 42 ml/h/kg; n = 25), which was significantly higher (P < 0.001) than the baseline creatinine clearance in the same patients (83 +/- 21 ml/h/kg; n = 12) [3]. Positive CMV urine cultures reverted to negative in 2 of 8 patients receiving doses of < or = 1.5 mg/kg twice weekly and 11 of 13 patients receiving higher doses. Cidofovir has in vivo anti-CMV activity demonstrated by prolonged clearing of CMV viruria, although this observation is tempered by the fact that clearance of viremia could not be demonstrated [4]. Toxicity: Patients receiving 0.5 or 1.5 mg/kg twice weekly experienced no serious toxicity. The first two patients who received 5 mg/kg twice weekly developed glycosuria and 2+ proteinuria. Subsequent patients received concomitant probenecid to attempt to ameliorate renal toxicity [4]. Clinical trial: FDA approved drug

References:

- [1]. Snoeck R, et al. (S)-1-(3-hydroxy-2-phosphonylmethoxypropyl)cytosine, a potent and selective inhibitor of human cytomegalovirus replication. *Antimicrob Agents Chemother.* 1988 Dec;32(12):1839-44.
- [2]. Connelly MC, et al. Mechanism of uptake of the phosphonate analog (S)-1-(3-hydroxy-2-phosphonylmethoxypropyl)cytosine (HPMPC) in Vero cells. *Biochem Pharmacol.* 1993 Sep 14;46(6):1053-7.
- [3]. Cundy KC, et al. Clinical pharmacokinetics of cidofovir in human immunodeficiency virus-infected patients. *Antimicrob Agents Chemother.* 1995 Jun;39(6):1247-52.
- [4]. Polis MA, et al. Anticytomegaloviral activity and safety of cidofovir in patients with human immunodeficiency virus infection and cytomegalovirus viruria. *Antimicrob Agents Chemother.* 1995 Apr;39(4):882-6.

CAIndexNames:

Phosphonic acid, P-[[[(1S)-2-(4-amino-2-oxo-1(2H)-pyrimidinyl)-1-(hydroxymethyl)ethoxy]methyl]-

SMILES:

OC[C@@H](OCP(O)(O)=O)CN1C=CC(N)=NC1=O

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

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