Valacyclovir hydrochloride

Cat. No.: HY-17425A

CAS No.: 124832-27-5

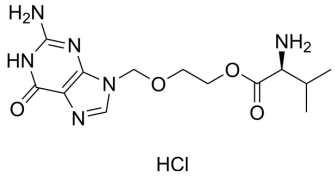
Molecular Formula: $C_{13}H_{21}ClN_6O_4$

Molecular Weight: 360.8

Target: HSV; Antibiotic; Bacterial

Pathway: Anti-infection

Storage: 4°C, sealed storage, away from moisture
* In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture)



SOLVENT & SOLUBILITY

In Vitro

H_2O : 100 mg/mL (277.16 mM; Need ultrasonic)
DMSO : 43.33 mg/mL (120.09 mM; Need ultrasonic)

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	2.7716 mL	13.8581 mL	27.7162 mL
	5 mM	0.5543 mL	2.7716 mL	5.5432 mL
	10 mM	0.2772 mL	1.3858 mL	2.7716 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: PBS
   Solubility: 100 mg/mL (277.16 mM); Clear solution; Need ultrasonic
2. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.5 mg/mL (6.93 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (6.93 mM); Clear solution
4. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (6.93 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Valacyclovir hydrochloride (Valaciclovir hydrochloride) is an orally active antiviral agent for herpes simplex, herpes zoster, and herpes B. Valacyclovir hydrochloride inhibits HSV-1 W ($_{50}$=2.9 μg/ml). Valacyclovir hydrochloride is a proagent of Aciclovir (HY-17422) [1][2][3][4][5].

IC_{50} & Target

HSV-1
2.9 μg/mL (IC_{50})

In Vitro	Valacyclovir hydrochloride (Valaciclovir hydrochloride; VACV) uptake was concentration dependent and saturable with a Michaelis-Menten constant and maximum velocity of 1.64 mM and 23.34 nmol/mg protein/5 min, respectively. A very similar Km value was obtained in hPEPT1/CHO cells and in rat and rabbit tissues and Caco-2 cells, suggesting that hPEPT1 dominates the intestinal transport properties of VACV in vitro[5]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	For treatment of a first episode of genital herpes, a large comparative trial has shown that Valacyclovir hydrochloride (1 g twice a day) is as effective as acyclovir (200 mg five times a day) when given for 10 days. For treating recurrences, two trials show that valacyclovir is as effective as acyclovir (200 mg five times a day) with a treatment period of 5 days. A daily dose of 1 g of valacyclovir is as effective as 2 g daily. Valacyclovir can be administered once a day[1]. The concentrations of acyclovir in serum and CSF were measured at steady state after 6 days of oral treatment with 1,000 mg of valacyclovir three times a day[2]. EC50 values of PE and AC in 3T3 cells were 0.02 and 0.01 ug/ml, while values in BHK cells were 0.2 and 0.03 ug/ml. Treatment of infected immunosuppressed mice and FA and VA (b.i.d., 5.5 days) reduced the proportion with erythema from 100% to 24% and 38%, and eliminated ear paralysis, ear lesions (vesicles, etc) and death. Virus was absent from ear and brainstem by day 6, but reappeared after discontinuation in mice treated with VA[3]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- Front Pharmacol. 2020 Mar 11;11:248.

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REFERENCES

[1]. Valacyclovir. New indication: for genital herpes, simpler administration. Can Fam Physician. 1999 Jul;45:1698-700, 1703-5.

[2]. Lycke J, et al. Acyclovir levels in serum and cerebrospinal fluid after oral administration of valacyclovir. Antimicrob Agents Chemother. 2003 Aug;47(8):2438-41.

[3]. Comparison of efficacies of famciclovir and valaciclovir against herpes simplex virus type 1 in a murineimmunosuppression model. Antimicrob Agents Chemother. 1995 May;39(5):1114-9.

[4]. Dhaliwal DK, Romanowski EG, Yates KA, Valacyclovir inhibits recovery of ocular HSV-1 after experimental reactivation by excimer laser keratectomy. Cornea. 1999 Nov;18(6):693-9.

[5]. Guo A, Hu P, Balimane PV, Interactions of a nonpeptidic drug, valacyclovir, with the human intestinal peptide transporter (hPEPT1) expressed in a mammalian cell line.J Pharmacol Exp Ther. 1999 Apr;289(1):448-54.

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

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