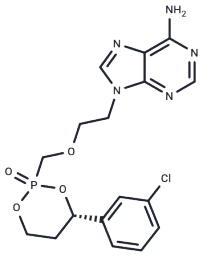
Data Sheet (Cat.No.T28449)

TargetMol

Pradefovir

Chemical Properties



CAS No. : 625095-60-5

Formula: C17H19ClN5O4P

Molecular Weight: 423.79

Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year
Actual storage temperature shall be subject to the COA.

Biological Description

Description	Pradefovir (Remofovir) is a reverse transcriptase inhibitor potentially for treatment of chronic HBV infection. Pradefovir is also a liver-targeted prodrug of adefovir. After metabolic activation, Pradefovir was converted to PMEA (9-(2-phosphonylmethoxyethyl) adenine) in human liver microsomes with a K(m) of 60 microM, a maximum rate of metabolism of 228 pmol/min/mg protein, and an intrinsic clearance of about 359 ml/min.
Targets(IC50)	Cytochromes P450,HBV
In vivo	Pradefovir, a prodrug of PMEA, is under phase 2 clinical trial in China to evaluate its pharmacokinetic and pharmacodynamics after multiple-dose study, with adefovir dipivoxil and tenofovir disoproxil fumarate as positive control. A rapid and sensitive liquid chromatography-tandem mass spectrometry (LC-MS/MS) method was developed and validated for the quantification of pradefovir, PMEA and tenofovir in HBV patient serum. Serum samples were pretreated via simple protein precipitation with methanol and entecavir was used as internal standard. Chromatographic separation was carried out on a Synergi fusion-RP column (150mm×4.6mm) by gradient elution with methanol and 0.1% formic acid in water (v/v) at a flow rate of 1mL/min. The analytes were detected in multiple reaction monitoring mode with positive ion electrospray ionization at m/z 424.1/151.0, 274.1/162.2, 288.1/176.1, and 278.1/152.2for pradefovir, PMEA, tenofovir and IS, respectively. The assays were validated according to current bioanalytical guidelines including specificity, linearity (2.0-500ng/mL for pradefovir and PMEA, 4.0-1000ng/mL for tenofovir), accuracy and precision, extraction recovery, matrix effect and stability. The validated method has been successfully applied to the pharmacokinetic study of pradefovir, adefovir dipivoxil and tenofovir disoproxil fumarate in a set of HBV patients[1].

Solubility Information

Solubility	DMSO: Soluble (< 1 mg/ml refers to the product slightly soluble or insoluble)

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.3597 mL	11.7983 mL	23.5966 mL
5 mM	0.4719 mL	2.3597 mL	4.7193 mL
10 mM	0.236 mL	1.1798 mL	2.3597 mL
50 mM	0.0472 mL	0.236 mL	0.4719 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.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

Xiao Q, Wang D, Yang W, Chen L, Ding Y, Yang J. Simultaneous determination of pradefovir, PMEA and tenofovir in HBV patient serum using liquid chromatography-tandem mass spectrometry and application to phase 2 clinical trial. J Chromatogr B Analyt Technol Biomed Life Sci. 2016 Jun 1;1022:133-40. doi: 10.1016/j.jchromb.2016.04.019. Epub 2016 Apr 11. PubMed PMID: 27089519.

Xiao Q, Yang W, Wang D, Chen L, Yuan L, Ding Y, Yang J. Factors limiting the extent of absolute bioavailability of pradefovir in rat. Xenobiotica. 2016 Oct;46(10):913-21. doi: 10.3109/00498254.2015.1133866. Epub 2016 Feb 5. PubMed PMID: 26846680.

Zhang Y, Shen L, Zhan Y, Xiao QQ, Yang J. Development and Validation of a Sensitive LC-MS-MS Method for the Determination of Adefovir in Human Serum and Urine: Application to a Clinical Pharmacokinetic Study. J Chromatogr Sci. 2016 Apr;54(4):507-15. doi: 10.1093/chromsci/bmv172. Epub 2015 Dec 10. PubMed PMID: 26657410; PubMed Central PMCID: PMC4885381.

Hynicka LM, Yunker N, Patel PH. A review of oral antiretroviral therapy for the treatment of chronic hepatitis B. Ann Pharmacother. 2010 Jul-Aug;44(7-8):1271-86. doi: 10.1345/aph.1M590. Epub 2010 Jun 29. Review. PubMed PMID: 20587747.