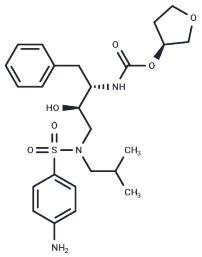
Data Sheet (Cat.No.T6388)

TargetMol

Amprenavir

Chemical Properties



CAS No. : 161814-49-9

Formula: C25H35N3O6S

Molecular Weight: 505.63

Storage: Store at low temperature
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	Amprenavir (KVX-478) is a synthetic derivative of hydroxyethylamine sulfonamide that selectively binds to and inhibits human immunodeficiency virus (HIV) protease.
Targets(IC50)	HIV Protease,SARS-CoV
In vitro	Amprenavir promotes the specific interactions between the nuclear receptor pregnane X receptor (PXR) and the coactivators SRC-1 and PBP. Amprenavir is docked into the high-resolution crystal structure of human PXR in complex with SR12813. Amprenavir occupies all four subpockets, and its hydroxyl group forms a hydrogen bond with Ser247, which is located in the connection region of PXR, to help to position the drug in the optimal orientation inside the receptor. Amprenavir forms direct contacts with one residue on αAF of the PXR activation function-2 (AF-2) surface, Phe429, which may stabilize the active AF-2 conformation of the receptor and contribute to the agonist activity of amprenavir on PXR. Amprenavir induces the expression of bona fide PXR target genes involved in phase I (CYP3A4), phase II (UGT1A1), and phase III (MDR1) metabolism in both HepaRG cells and LS180 cells. [1]
In vivo	Amprenavir increases atherogenic LDL cholesterol fractions in WT mice, but not in PXR?/? mice. Amprenavir stimulates expression of known PXR target genes, including CYP3A11, glutathione transferase A1, and MDR1a, in the intestine of WT mice but not in PXR?/? mice. Amprenavir-mediated PXR activation stimulates the expression of both LipF and LipA in the intestine of WT mice, but not in PXR?/? mice, indicating a possible role of intestinal PXR in mediating dietary lipid breakdown and absorption in mammals. [1]
Kinase Assay	PARP Enzyme Assay: The enzyme assay is conducted in buffer containing 50 mM Tris, pH 8.0, 1 mM dithiothreitol(DTT), and 4 mM MgCl2. PARP reactions contains 1.5 μM [3H]-NAD+ (1.6 μCi/mmol), 200 nM biotinylated histone H1, 200 nM slDNA, and 1 nM PARP-1 or 4 nM PARP-2 enzyme. Autoreactions utilizing SPA bead-based detection are carried out in 100 μL volumes in white 96-well plates. Reactions are initiated by adding 50 μL of 2X NAD+ substrate mixture to 50 μL of 2× enzyme mixture containing PARP and DNA. These reactions are terminated by the addition of 150 μL of 1.5 mM benzamide (~ 1 × 103-fold over its IC50). A 170 μL amount of the stopped reaction mixtures is transferred to streptavidin-coated Flash Plates, incubated for 1 hour, and counted using a TopCount microplate scintillation counter. Ki data are determined from inhibition curves at various

Kinase Assay	substrate concentrations.

Solubility Information

Solubility	DMSO: 125 mg/mL (247.22 mM),Sonication is recommended. Ethanol: 93 mg/mL (183.93 mM),Sonication is recommended. H2O: < 1 mg/mL (insoluble or slightly soluble), (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+40% PEG300+5% Tween 80+45% Saline: 10 mg/mL (19.78 mM),Solution. 10% DMSO+90% Saline: < 10 mg/mL (19.78 mM),Lower concentrations may be soluble, but exact solubility limit is unknown. *Please add the solvents sequentially, clarifying the solution as much as possible before adding the next one. Dissolve by heating and/or sonication if necessary. Working solution is recommended to be prepared and used immediately. The formulation provided above is for reference purposes only. In vivo formulations may vary and should be modified based on specific experimental conditions.*

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	1.9777 mL	9.8887 mL	19.7773 mL
5 mM	0.3955 mL	1.9777 mL	3.9555 mL
10 mM	0.1978 mL	0.9889 mL	1.9777 mL
50 mM	0.0396 mL	0.1978 mL	0.3955 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

Helsley RN, et al. Mol Pharmacol,2013, 83(6), 1190-1199.