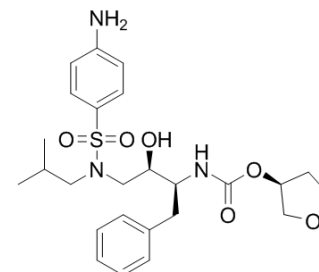


Amprenavir

Cat. No.:	HY-17430		
CAS No.:	161814-49-9		
Molecular Formula:	C ₂₅ H ₃₅ N ₃ O ₆ S		
Molecular Weight:	505.63		
Target:	HIV; HIV Protease		
Pathway:	Anti-infection; Metabolic Enzyme/Protease		
Storage:	Powder	-20°C	3 years
		4°C	2 years
	In solvent	-80°C	6 months
		-20°C	1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : ≥ 100 mg/mL (197.77 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions	Solvent Concentration	Mass		
		1 mg	5 mg	10 mg
	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

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

In Vivo

- Add each solvent one by one: **10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline**
Solubility: ≥ 2.5 mg/mL (4.94 mM); Clear solution
- Add each solvent one by one: **10% DMSO >> 90% (20% SBE-β-CD in saline)**
Solubility: ≥ 2.5 mg/mL (4.94 mM); Clear solution
- Add each solvent one by one: **10% DMSO >> 90% corn oil**
Solubility: ≥ 2.5 mg/mL (4.94 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Amprenavir (VX-478) is a HIV protease inhibitor(Ki=0.6 nM) used to treat HIV infection.

IC₅₀ & Target

IC₅₀ Value: 0.6 nM (Ki); Against wild-type clinical HIV isolates:14.6 +/- 12.5 ng/mL (mean +/- SD) [1].Target: HIV protease

In Vitro	Amprenavir has an enzyme inhibition constant ($K_i = 0.6 \text{ nM}$) that falls within the K_i range of the other protease inhibitors. Amprenavir's in vitro 50% inhibitory concentration (IC_{50}) against wild-type clinical HIV isolates is $14.6 \pm 12.5 \text{ ng/mL}$ (mean \pm SD) [1]. Amprenavir had direct inhibitory effects on invasion of Huh-7 hepatocarcinoma cell lines, inhibiting MMP proteolytic activation [2].
In Vivo	Amprenavir was able to promote regression of hepatocarcinoma growth in vivo by anti-angiogenetic and overall anti-tumor activities, independently by PI3K/AKT related pathways that at today is one of the more suggestive hypothesis to explain the anti-tumor effects of the different protease inhibitors [2]. Amprenavir efficiently activated PXR and induced PXR target gene expression in vitro and in vivo. Short-term exposure to amprenavir significantly increased plasma total cholesterol and atherogenic low-density lipoprotein cholesterol levels in wild-type mice, but not in PXR-deficient mice [3]. Amprenavir has been approved for adults and children; the recommended capsule doses are 1200 mg twice daily for adults and 20 mg/kg twice daily or 15 mg/kg 3 times daily for children < 13 years of age or adolescents < 50 kg [1].

REFERENCES

- [1]. Sadler BM, Stein DS. Clinical pharmacology and pharmacokinetics of amprenavir. *Ann Pharmacother.* 2002 Jan;36(1):102-18.
- [2]. Esposito V, Verdina A, Manente L, Amprenavir inhibits the migration in human hepatocarcinoma cell and the growth of xenografts. *J Cell Physiol.* 2013 Mar;228(3):640-5.
- [3]. Helsley RN, Sui Y, Ai N, Pregnane X Receptor Mediates Dyslipidemia Induced by the HIV Protease Inhibitor Amprenavir in Mice. *Mol Pharmacol.* 2013 Jun;83(6):1190-9.
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Caution: Product has not been fully validated for medical applications. For research use only.

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