Amprenavir

Cat. No.: HY-17430

CAS No.: 161814-49-9

Molecular Formula: $C_{25}H_{35}N_3O_6S$

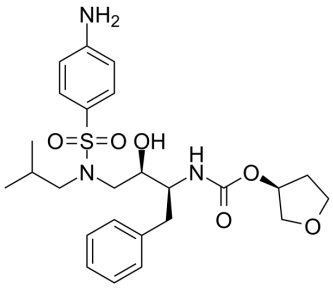
Molecular Weight: 505.63

Target: HIV; HIV Protease; SARS-CoV

Pathway: Anti-infection; Metabolic Enzyme/Protease

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	2 years
	-20°C	1 year



SOLVENT & SOLUBILITY

In Vitro

DMSO : ≥ 100 mg/mL (197.77 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions

Solvent / Mass / Concentration	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

1. 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
2. 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
3. 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. Amprenavir is also a SARS-CoV $3CL^{pro}$ inhibitor with an IC_{50} of 1.09 μM.

IC_{50} & 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 (Ki = 0.6 nM) that falls within the Ki range of the other protease inhibitors.

	Amprenavir's in vitro 50% inhibitory concentration (IC50) against wild-type clinical HIV isolates is 14.6 +/- 12.5 ng/mL (mean +/- SD) [1]. Amprenavir had direct inhibitory effects on invasion of Huh-7 hepatocarcinoma cell lines, inhibiting MMP proteolytic activation [2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
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 amprenavirsignificantly 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]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- Signal Transduct Target Ther. 2021 May 29;6(1):212.
- Nat Commun. 2020 Sep 4;11(1):4417.
- Int J Antimicrob Agents. 2019 Dec;54(6):814-819.
- J Med Chem. 2021 Mar 11;64(5):2725-2738.
- Antimicrob Agents Chemother. 2020 Aug 20;64(9):e00872-20.

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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.

[4]. Qi Sun, et al. Bardoxolone and bardoxolone methyl, two Nrf2 activators in clinical trials, inhibit SARS-CoV-2 replication and its 3C-like protease. Signal Transduct Target Ther. 2021 May 29;6(1):212.

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