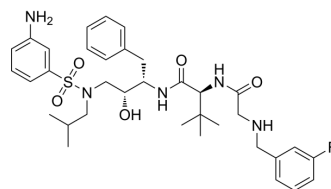


DPC-681

Cat. No.:	HY-19400		
CAS No.:	284661-68-3		
Molecular Formula:	C ₃₅ H ₄₈ FN ₅ O ₅ S		
Molecular Weight:	669.85		
Target:	HIV Protease; HIV		
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 (149.29 mM; Need ultrasonic)

Concentration	Mass		
	1 mg	5 mg	10 mg
1 mM	1.4929 mL	7.4644 mL	14.9287 mL
5 mM	0.2986 mL	1.4929 mL	2.9857 mL
10 mM	0.1493 mL	0.7464 mL	1.4929 mL

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

BIOLOGICAL ACTIVITY

Description

DPC-681 is a potent and selective inhibitor of HIV protease with IC_{90s} for wild-type HIV-1 of 4 to 40 nM. IC₅₀ value: 4 - 40 nM [1] Target: HIV protease in vitro: DPC 681 is extremely potent inhibitor of wild-type HIV-1. When all of the HIV-1 strains tested are considered, the average concentrations required for 90% inhibition of replication were 7.3 ± 3.4 for DPC 681. DPC 681 shows no loss in potency toward recombinant mutant HIVs with the D30N mutation and a fivefold or smaller loss in potency toward mutant variants with three to five amino acid substitutions. [1] in vivo: The total body clearance (CL) of DPC 681 in dogs was high (1.8 liter/h/kg) equaling hepatic blood flow for this species (1.8 liter/h/kg). After an oral dosing, the C_{max} increased ninefold between the 10- and 30-mg/kg DPC 681 dose groups. Bioavailability also increased between the 10- and 30-mg/kg dose groups (18.3 and 78.1%, respectively). These data suggest that hepatic extraction (first-pass effect) can be saturated in the dog. [1]

IC₅₀ & Target

HIV-1

REFERENCES

[1]. Kaltenbach RF 3rd, et al. DPC 681 and DPC 684: potent, selective inhibitors of human immunodeficiency virus protease active against clinically relevant mutant variants. Antimicrob Agents Chemother. 2001 Nov;45(11):3021-8.

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

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