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产品名称: **DPC-681**
产品别名: **DPH-153893**

生物活性:	
Description	DPC-681 is a potent and selective inhibitor of HIV protease with IC90s 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 Cmax 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]
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.

源叶生物