



上海源叶生物科技有限公司
Shanghai yuanye Bio-Technology Co., Ltd
电话: 021-61312973 传真: 021-55068248
网址: www.shyuanye.com
邮箱: shyysw@sina.com

产品名称: **Doravirine**
产品别名: 多拉维林; **MK-1439**

生物活性:				
Description	Doravirine (MK-1439) is a highly specific HIV-1 nonnucleoside reverse transcriptase inhibitor with IC ₅₀ s of 4.5 nM, 5.5 nM and 6.1 nM against the wild type and K103N and Y181C reverse transcriptase mutants, respectively[1].			
IC ₅₀ & Target	IC ₅₀ : 4.5 nM (wild type reverse transcriptase); 5.5 nM (K103N reverse transcriptase); 6.1 nM (Y181C reverse transcriptase)[1]			
In Vitro	Selectivity and cytotoxicity studies confirmed that Doravirine is a highly specific nonnucleoside reverse transcriptase inhibitors with minimum off-target activities. In the presence of 50% normal human serum (NHS), Doravirine shows excellent potency in suppressing the replication of WT virus, with a 95% effective concentration (EC ₉₅) of 20 nM, as well as K103N, Y181C, and K103N/Y181C mutant viruses with EC ₉₅ of 43, 27, and 55 nM, respectively. Doravirine exhibits similar antiviral activities against 10 different HIV-1 subtype viruses (a total of 93 viruses)[1].			
In Vivo	Administration of 50 mg Doravirine with a high-fat meal is associated with slight elevations in AUC time zero to infinity and C ₂₄ h with no change in C _{max} [2].			
Solvent&Solubility	In Vitro: DMSO : ≥ 30 mg/mL (70.46 mM) * "≥" means soluble, but saturation unknown.			
	<div>Preparing Stock Solutions</div>	<div>Solvent Mass Concentration</div>	1 mg	5 mg
		1 mM	2.3488 mL	11.7440 mL
		5 mM	0.4698 mL	2.3488 mL
		10 mM	0.2349 mL	1.1744 mL
	*请根据产品在不同溶剂中的溶解度选择合适的溶剂配制储备液; 一旦配成溶液, 请分装保存, 避免反复冻融造成的产品失效。 储备液的保存方式和期限 -80°C, 6 months; -20°C, 1 month。 -80°C 储存时, 请在 6 个月内使用, -20°C 储存时, 请在 1 个月内使用。			
References	[1]. Lai MT et al. In vitro characterization of MK-1439, a novel HIV-1 nonnucleoside reverse transcriptase inhibitor. Antimicrob Agents Chemother. 2014;58(3):1652-63. [2]. Anderson MS et al. Safety, tolerability and pharmacokinetics of doravirine, a novel HIV non-nucleoside reverse transcriptase inhibitor, after single and multiple doses in healthy subjects. Antivir Ther. 2015;20(4):397-405.			