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

生物活性:					
<b>Description</b>	Doravirine (MK-1439) is a highly specific HIV-1 nonnucleoside reverse transcriptase inhibitor with IC50s of 4.5 nM, 5.5 nM and 6.1 nM against the wild type and K103N and Y181C reverse transcriptase mutants, respectively[1].				
<b>IC<sub>50</sub> &amp; Target</b>	IC50: 4.5 nM (wild type reverse transcriptase); 5.5 nM ( K103N reverse transcriptase); 6.1 nM (Y181C reverse transcriptase)[1]				
<b>In Vitro</b>	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 <sub>95</sub> ) of 20 nM, as well as K103N, Y181C, and K103N/Y181C mutant viruses with EC <sub>95</sub> 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].				
<b>In Vivo</b>	Administration of 50 mg Doravirine with a high-fat meal is associated with slight elevations in AUC time zero to infinity and C <sub>24 h</sub> with no change in C <sub>max</sub> [2].				
<b>Solvent&amp;Solubility</b>	<b>In Vitro:</b> <b>DMSO : ≥ 30 mg/mL (70.46 mM)</b>  * "≥" means soluble, but saturation unknown.				
		<b>Solvent Mass Concentration</b>	<b>1 mg</b>	<b>5 mg</b>	<b>10 mg</b>
	<b>Preparing</b>	1 mM	2.3488 mL	11.7440 mL	23.4880 mL
	<b>Stock Solutions</b>	5 mM	0.4698 mL	2.3488 mL	4.6976 mL
		10 mM	0.2349 mL	1.1744 mL	2.3488 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。					
<b>References</b>	[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.				