

产品名称：利拉利汀

产品别名：**Seco 雷帕霉素钠盐； Seco Rapamycin sodium salt**

生物活性：					
Description	Seco Rapamycin sodium salt is the ring-opened product of Rapamycin. Seco-rapamycin is reported not to affect the mTOR function.				
In Vitro	Disposition of Seco Rapamycin in Human Tissue Homogenates and Caco-2 Cell Monolayers. To determine whether Seco Rapamycin (D2) can be metabolized to dihydro Sirolimus (M2), 20 μM Seco Rapamycin is incubated with human liver, jejunal mucosal, and Caco-2 homogenates. All of these homogenates produced M2 in an NADPH-dependent manner. Ketoconazole, at a high concentration (100 μM), has no effect on the formation of M2 in any of the homogenates examined. To determine whether Seco Rapamycin can be metabolized to M2 in intact cells, 20 μM Seco Rapamycin is added to Caco-2 cell monolayers. When applied to the apical compartment, little Seco Rapamycin is detected in the basolateral compartment and in the cellular fraction after 4 h. In addition, little M2 is detected. LY335979 has little effect on the distribution of Seco Rapamycin after an apical dose, although M2 became detectable in the apical compartment. In contrast, when Seco Rapamycin is applied to the basolateral compartment, both Seco Rapamycin and M2 are readily detected in the apical compartment; LY335679 decreases the flux of Seco Rapamycin to the apical compartment and increases the amount of M2 in both apical and basolateral compartments[1].				
Solvent&Solubility	<b>In Vitro:</b> <b>DMSO : ≥ 46 mg/mL (49.14 mM)</b> <b>H<sub>2</sub>O : &lt; 0.1 mg/mL (insoluble)</b>  * "≥" means soluble, but saturation unknown.				
	<b>Preparing Stock Solutions</b>	<div><div>Solvent</div><div>Mass</div><div>Concentration</div></div>	<b>1 mg</b>	<b>5 mg</b>	<b>10 mg</b>
		1 mM	1.0682 mL	5.3410 mL	10.6820 mL
		5 mM	0.2136 mL	1.0682 mL	2.1364 mL
		10 mM	0.1068 mL	0.5341 mL	1.0682 mL
<p>*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。</p> <p>储备液的保存方式和期限：-80℃，6 months；-20℃，1 month。 -80℃ 储存时，请在 6 个月内使用，-20℃ 储存时，请在 1 个月内使用。</p>					
References	[1]. Paine MF, et al. Identification of a novel route of extraction of sirolimus in human small intestine: roles ofmetabolism and secretion. J Pharmacol Exp Ther. 2002 Apr;301(1):174-86.				
实验参考：					
Cell Assay	To determine whether the Sirolimus metabolite M2 is formed from the degradation product Seco Rapamycin, duplicate Caco-2 cell cultures are dosed apically or basolaterally with 20 μM Seco Rapamycin and incubated for 4 h. To determine whether Seco Rapamycin is a substrate for P-gp, duplicate cultures are incubated with 0.5 μM LY335979 in the same manner for Sirolimus. For comparison, a parallel set of cultures is incubated similarly with 20 μM Sirolimus, but dosed apically only. M2 formation is also examined in human jejunal mucosal and liver homogenates and Caco-2 homogenates by incubating each preparation, in duplicate, with 20 μM Seco Rapamycin in the same manner for Sirolimus. For comparison, a parallel set of incubations containing 20 μM Sirolimus is				

	also performed. To determine whether a high dose of Ketoconazole (100 $\mu$ M) inhibits the formation of M2, parallel experiments with Caco-2 cells and the various homogenates are performed in a similar manner, only Ketoconazole (dissolved as a 100-fold concentration solution in ethanol) is included in the incubation medium/mixtures[1].
<b>References</b>	[1]. <u>Paine MF, et al. Identification of a novel route of extraction of sirolimus in human small intestine: roles of metabolism and secretion. J Pharmacol Exp Ther. 2002 Apr;301(1):174-86.</u>



源叶生物