Seco Rapamycin ethyl ester

Cat. No.: HY-133770

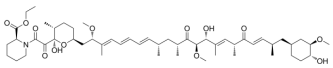
Molecular Formula: $C_{53}H_{83}NO_{13}$

Molecular Weight: 942.23

Target: Drug Metabolite

Pathway: Metabolic Enzyme/Protease

Storage: -20°C, protect from light, stored under nitrogen
* In solvent : -80°C, 6 months; -20°C, 1 month (protect from light, stored under nitrogen)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 100 mg/mL (106.13 mM; Need ultrasonic)

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	1.0613 mL	5.3066 mL	10.6131 mL
	5 mM	0.2123 mL	1.0613 mL	2.1226 mL
	10 mM	0.1061 mL	0.5307 mL	1.0613 mL

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

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: 5 mg/mL (5.31 mM); Suspended solution; Need ultrasonic
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: 5 mg/mL (5.31 mM); Suspended solution; Need ultrasonic
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 5 mg/mL (5.31 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Seco Rapamycin ethyl ester is an open-ring metabolite of Rapamycin derivative. Seco-rapamycin is reported not to affect the mTOR function[1].

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), 20μM 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 (100μM), 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, 20μM 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].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

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.

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