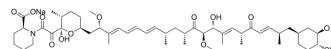


## Seco Rapamycin sodium salt

<b>Cat. No.:</b>	HY-19555A
<b>CAS No.:</b>	148554-65-8
<b>Molecular Formula:</b>	C <sub>51</sub> H <sub>78</sub> NNaO <sub>13</sub>
<b>Molecular Weight:</b>	936.15
<b>Target:</b>	Drug Metabolite
<b>Pathway:</b>	Metabolic Enzyme/Protease
<b>Storage:</b>	-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 : ≥ 46 mg/mL (49.14 mM)  
\* "≥" means soluble, but saturation unknown.

Concentration	Mass		
	1 mg	5 mg	10 mg
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

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

### BIOLOGICAL ACTIVITY

#### 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<sup>[1]</sup>.

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

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## PROTOCOL

### Cell Assay <sup>[1]</sup>

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  $\mu$ M 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  $\mu$ M LY335979 in the same manner for Sirolimus. For comparison, a parallel set of cultures is incubated similarly with 20  $\mu$ M 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  $\mu$ M Seco Rapamycin in the same manner for Sirolimus. For comparison, a parallel set of incubations containing 20  $\mu$ M 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<sup>[1]</sup>.

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## REFERENCES

[1]. 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.

**Caution: Product has not been fully validated for medical applications. For research use only.**

Tel: 609-228-6898

Fax: 609-228-5909

E-mail: [tech@MedChemExpress.com](mailto:tech@MedChemExpress.com)

Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA