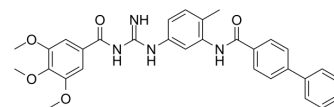


MRT-83

Cat. No.:	HY-18287		
CAS No.:	1263131-92-5		
Molecular Formula:	C ₃₁ H ₃₀ N ₄ O ₅		
Molecular Weight:	538.59		
Target:	Smo		
Pathway:	Stem Cell/Wnt		
Storage:	Powder	-20°C	3 years
		4°C	2 years
	In solvent	-80°C	6 months
		-20°C	1 month



SOLVENT & SOLUBILITY

In Vitro	DMSO : 250 mg/mL (464.17 mM; Need ultrasonic)			
		Solvent Concentration	Mass	
			1 mg	5 mg
	Preparing Stock Solutions		10 mg	
	1 mM	1.8567 mL	9.2835 mL	18.5670 mL
	5 mM	0.3713 mL	1.8567 mL	3.7134 mL
	10 mM	0.1857 mL	0.9283 mL	1.8567 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: ≥ 2.08 mg/mL (3.86 mM); Clear solution			
	2. Add each solvent one by one: 10% DMSO >> 90% corn oil Solubility: ≥ 2.08 mg/mL (3.86 mM); Clear solution			

BIOLOGICAL ACTIVITY

Description	MRT-83 is a potent antagonist of Smo, with an IC ₅₀ in the nanomolar range. MRT-83 also blocks Hedgehog (Hh) signaling.
IC ₅₀ & Target	Smo ^[1] .
In Vitro	MRT-83 displays full antagonist properties with an IC ₅₀ (~3 nM) for inhibiting ShhN (3 nM)-induced proliferation of rat GCPs. MRT-83 also blocks SAG (0.01 μM)-induced proliferation of GCPs (IC ₅₀ ~6 nM). MRT-83 blocks BC binding to HEK-hSmo cells in a dose-dependent manner with an IC ₅₀ of 4.6 nM. MRT-83 abrogates BC binding to cells expressing mouse Smo with an IC ₅₀ of 14 nM, which is in good correlation with its IC ₅₀ in the Shh-light2 and alkaline phosphatase assays ^[1] . MCE has not independently confirmed the accuracy of these methods. They are for reference only.

In Vivo

Animals treated with ShhN in the presence of MRT-83 are as healthy as those of the other groups but up-regulation of Ptc transcription in the SVZ of these animals is no longer observed in agreement with a complete inhibition of ShhN-mediated effects (8.7 ± 2.4 Ptc⁺ cells/section, n=9) and is not different from vehicle-mediated effects. MRT-83 but not MRT-36 antagonizes the up-regulation of Ptc transcription induced by ShhN in vivo in the SVZ of the LV^[1].

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PROTOCOL

Animal

Administration ^[1]

Mice^[1]

Four groups of six animals received 5 μ L of 45% 2-hydroxypropyl- β -cyclodextrin PBS solution containing 0.9 μ g of ShhN alone or in the presence of MRT-83 (200 ng) or MRT-36 (110 ng). A control group receive 5 μ L of 45% 2-hydroxypropyl- β -cyclodextrin solution alone. All groups are analyzed 48 h after the injection^[1]MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. Roudaut H, et al. Identification and mechanism of action of the acylguanidine MRT-83, a novel potent Smoothened antagonist. Mol Pharmacol. 2011 Mar;79(3):453-60.

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

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