Product Data Sheet

Product Name: MRT-83
Cat. No.: GC33114

Chemical Properties

Cas. No.	1263131-92-5		
SMILES	COC1=C(OC)C(OC)=CC(C(NC(NC2=CC(NC(C3=CC=C(C4=CC=CC=C4)C=C3)=O)=C(C)C=C2)=N)=O)=C1		
Formula	$C_{31}H_{30}N_4O_5$	M.Wt	538.59
Solubility	Soluble in DMSO	Storage	Store at -20°C
General tips	For obtaining a higher solubility , please warm the tube at 37 ℃ and shake it in the ultrasonic bath for a while.Stock solution can be stored below -20℃ for several months.		
Shipping Condition	Evaluation sample solution : ship with blue ice All other available size: ship with RT , or blue ice upon request.		
Structure	MRT-83		

Protocol

Animal experiment:

Mice[1]Four groups of six animals received 5 µL of 45% 2-hydroxypropyl-β-cyclodextrin PBS solution containing 0.9 µg of ShhN alone or in the presence of MRT-83 (200 ng) or MRT-36 (110 ng). A control group receive 5 µL of 45% 2-hydroxypropyl-β-cyclodextrin solution alone. All groups are analyzed 48 h after the injection[1]

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.

Background

MRT-83 is a potent antagonist of Smo, with an IC50 in the nanomolar range.

MRT-83 displays full antagonist properties with an IC50 (~3 nM) for inhibiting ShhN (3 nM)-induced proliferation of rat GCPs. MRT-83 also blocks SAG (0.01 µM)-induced proliferation of GCPs (IC50 ~6 nM). MRT-83 blocks BC binding to HEK-hSmo cells in a dose-dependent manner with an IC50 of 4.6 nM. MRT-83 abrogates BC binding to cells expressing mouse Smo with an IC50 of 14 nM, which is in good correlation with its IC50 in the Shh-light2 and alkaline phosphatase assays[1].

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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[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.

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