A 83-01

Catalog Number: C909910

OrganRegen, INC.
Creating Solutions for Organoid Cultures

DESCRIPTION

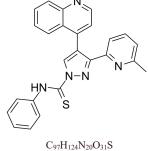|	
Background	A 83-01 is a potent inhibitor of TGF-β type I receptor ALK5 kinase, type I nodal receptor ALK4 and type I nodal receptor ALK7, with IC50s of 12 nM, 45 nM and 7.5 nM against the transcription induced by ALK5, ALK4 and ALK7, respectively[1].
M. W t	421.52
Formula	$C_{97}H_{124}N_{20}O_{31}S$
CAS No	10047-33-3
Storage	Powder -20°C 3 years
Solubility	DMSO 41.67 mg/mL(98.86 mM; Need ultrasonic)
	H2O < 0.1 mg/mL(insoluble)

$C_{97}H_{124}N_{20}O_{31}S$

BIOLOGICAL ALTIVITY

In Vitro

A 83-01 is a potent inhibitor of TGF-β type I receptor ALK5 kinase, ALK4 and ALK7, reduces the level of ALK-5-induced transcription with an IC50 of 12 nM in Mv1Lu cells, also blocks the ALK4-TD and ALK7-TD induced transcription with IC50s of 45 nM and 7.5 nM in R4-2 cells, and weakly suppresses that induced by constitutively active ALK-6, ALK-2, ALK-3, and ALK-1. A 83-01 (0.03-10 µM) potently prevents the growth-inhibitory effects of TGF-β, and completely inhibits the effect at 3 µM. A 83-01 (1-10 µM) inhibits TGF-β-induced Smad activation in HaCaT cells[1]. A 83-01 (1 µM) decreases cell motility, adhesion and invasion increased by TGF-β1 in HM-1 cells, but does not change cell proliferation[2].

In Vivo

A 83-01 (50, 150 and 500 µg/mouse, i.p.) significantly improves survival of the mice without body weight or neurobehavioral appearances[2]. A 83-01 (0.5 mg/kg, i.p.) shows a significantly strong antitumor effect in mice bearing M109 cells[3].

REFERENCES

[1]. Tojo M, et al. The ALK-5 inhibitor A-83-01 inhibits Smad signaling and epithelial-to-mesenchymal transition by transforming growth factor-beta. Cancer Sci. 2005 Nov;96(11):791-800.
[2]. Yamamura S, et al. The activated transforming growth factor-beta signaling pathway in peritoneal metastases is a potential therapeutic target in ovarian cancer. Int J Cancer. 2012 Jan 1;130(1):20-8.
[3]. Taniguchi Y, et al. Enhanced antitumor efficacy of folate-linked liposomal Adriamycin with TGF-β type I receptor inhibitor. Cancer Sci. 2010 Oct;101(10):2207-13.