A 83-01 sodium

Cat. No.: HY-10432A

CAS No.: 2828431-89-4

Molecular Formula: $C_{25}H_{19}N_5NaS$

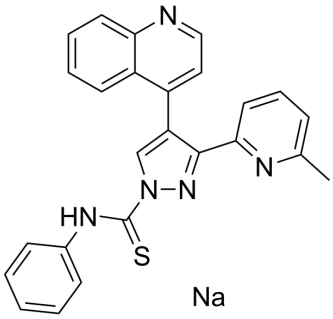
Molecular Weight: 444.51

Target: TGF-β Receptor; Organoid

Pathway: TGF-beta/Smad; Stem Cell/Wnt

Storage: 4°C, sealed storage, away from moisture and light

* The compound is unstable in solutions, freshly prepared is recommended.



SOLVENT & SOLUBILITY

In Vitro

DMSO : 100 mg/mL (224.97 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.2497 mL	11.2483 mL	22.4967 mL
	5 mM	0.4499 mL	2.2497 mL	4.4993 mL
	10 mM	0.2250 mL	1.1248 mL	2.2497 mL

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

In Vivo

1. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: 5 mg/mL (11.25 mM); Suspended solution; Need ultrasonic and warming
2. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.5 mg/mL (5.62 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (5.62 mM); Clear solution
4. Add each solvent one by one: 5% DMSO >> 40% PEG300 >> 5% Tween-80 >> 50% saline
   Solubility: 2.5 mg/mL (5.62 mM); Suspended solution; Need ultrasonic

BIOLOGICAL ACTIVITY

Description

A 83-01 sodium is a potent inhibitor of TGF-β type I receptor ALK5 kinase, ALK4 and ALK7, with IC_{50}s of 12 nM, 45 nM and 7.5 nM against the transcription induced by ALK5, ALK4 and ALK7, respectively[1].

IC_{50} & Target	ALK5	ALK4	ALK7
	12 nM (IC_{50})	45 nM (IC_{50})	7.5 nM (IC_{50})

In Vitro

A 83-01 sodium is a potent inhibitor of TGF-β type I receptor ALK5 kinase, type I activin/nodal receptor ALK4 and type I nodal

	receptor ALK7, reduces the level of ALK-5-induced transcription with an IC_{50} of 12 nM in Mv1Lu cells, also blocks the ALK4-TD and ALK7-TD induced transcription with IC_{50}s 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]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	A 83-01 (50, 150 and 500 μg/mouse, i.p.) sodium significantly improves survival of the mice without body weight or neurobehavioral appearances[2]. A 83-01 (0.5 mg/kg, i.p.) sodium shows a significantly strong antitumor effect in mice bearing M109 cells[3]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

PROTOCOL

Cell Assay [2]	HM-1 cells are seeded into a 96-well plate and are incubated for 18 hr. A 83-01 (1 μM) or vehicle are then added for 12 hr followed by the addition of TGF-β1 (1 ng/mL) or vehicle for 60 hr. The number of viable cells in each well is examined using the WST-1 assay[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
Animal Administration [2]	Mice[2] Female B6C3F1 mice used for the in vivo studies are maintained under specific pathogen-free conditions. To evaluate the effect of A 83-01 on the survival of mice bearing peritoneal dissemination, HM-1 cells (1×10^6) are injected into the abdominal cavity via the left flank of the mouse. Starting the next day, A 83-01 (150 μg/body) or vehicles (PBS with 0.5% DMSO) are injected into the abdominal cavity three times per week. Mice are euthanized before reaching the moribund state[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- Science. 2020 Dec 4;370(6521):eaay2002.
- Cell Stem Cell. 2022 Sep 1;29(9):1346-1365.e10.
- Nat Cell Biol. 2022 Jun;24(6):858-871.
- Nat Commun. 2022 Sep 6;13(1):5237.
- Adv Sci (Weinh). 2022 Sep;9(26):e2202505.

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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 doxorubicin with TGF-β type I receptor inhibitor. Cancer Sci. 2010 Oct;101(10):2207-13.

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

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