# A 83-01 sodium

**Cat. No.:** HY-10432A

**CAS No.:** 2828431-89-4

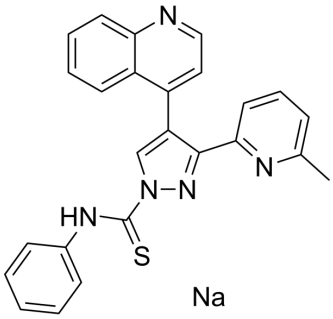
**Molecular Formula:** $C_{25}H_{19}N_5NaS$

**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].<br>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].<br>A 83-01 (0.5 mg/kg, i.p.) sodium shows a significantly strong antitumor effect in mice bearing M109 cells[3].<br>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].<br>MCE has not independently confirmed the accuracy of these methods. They are for reference only. |
| **Animal Administration** [2] | Mice[2]<br>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 ($1\times10^6$) 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].<br>MCE has not independently confirmed the accuracy of these methods. They are for reference only. |

## CUSTOMER VALIDATION

- Science. 2020 Dec 4;370(6521):eaay2002.
- Nat Genet. 2024 Jan 24.
- 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.

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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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