TAS-301

Cat. No.: HY-18965

CAS No.: 193620-69-8

Molecular Formula: $C_{23}H_{19}NO_3$

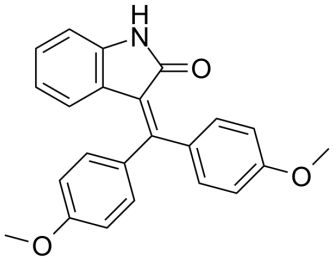
Molecular Weight: 357.4

Target: PKC

Pathway: Epigenetics; TGF-beta/Smad

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	2 years
	-20°C	1 year



SOLVENT & SOLUBILITY

In Vitro

DMSO : ≥ 38 mg/mL (106.32 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	2.7980 mL	13.9899 mL	27.9799 mL
5 mM	0.5596 mL	2.7980 mL	5.5960 mL
10 mM	0.2798 mL	1.3990 mL	2.7980 mL

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

BIOLOGICAL ACTIVITY

Description

TAS-301 is an inhibitor of smooth muscle cell migration and proliferation, and inhibits PKC activation induced by PDGF.

IC_{50} & Target

PKC

In Vitro

TAS-301 (1-10 μM) concentration-dependently inhibits PKC activation and Ca^{2+} influx, induced by PDGF, with 62.7% inhibition on PKC activation at 10 μM, and reduces PMA-induced AP-1, with 38% and 67.6% inhibition at 3 and 10 μM, respectively[1]. TAS-301 (0.3-3 μM) dose-dependently reduces the migration of cells induced by growth factors (PDGF-BB, IGF-1,HB-EGF). TAS-301 (1-10 μM) also decreases bFGF-induced BrdU incorporation, especially at 3 and 10 μM[2].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

In Vivo

TAS-301 (3-100 mg/kg, p.o.) dose-dependently reduces the neointimal thickening and I/M ratio and decreases the level of intimal cells in rats 14 days after balloon injury[2].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

PROTOCOL

Cell Assay [2]	Cell proliferation is determined by the incorporation of BrdU by quiescent cells. SMCs are seeded at 1×10^4cells/well in 96-well plates in DMEM containing 10% FBS. Two days after the seeding, their growth is arrested for 3 days in a serum-free DMEM containing 5 μg/mL insulin, 5 μg/mL transferrin and 5 ng/mL sodium selenium (ITS). Then, the DMEM/ITS is removed, and serum-free DMEM containing 0.1% BSA with or without TAS-301 or tranilast is added to the quiescent cells 2 hr before treatment with the growth factor (i.e., bFGF 0.1 ng/mL). At 24 hr after stimulation, BrdU (10 μM) is added to the cells; 24 hr later, the cells are fixed. An ELISA is used to detect and to quantify the incorporated BrdU (n = 6). The drugs are present during the entire experiment[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
Animal Administration [2]	Rats[2] On the 14th day after the balloon injury, the rats are anesthetized with ether so as to avoid any stress to the animals and then perfused transcardially with saline, followed by 10% buffered formalin. Next, the left carotid artery (length from aortic arch to bifurcation) is removed, postfixed and embedded in paraffin. Then, 3-μm-thick artery sections (six sections for each artery) are cut and stained with hematoxylin and eosin. The cross-sectional areas of the intima and the media on photographs are measured by use of a digital analyzer. The average of the ratio of the intimal area to the medial area in each artery is determined. Experimental groups are as follows: Vehicle (n = 9), TAS-301 (3, 10, 30 and 100 mg/kg,n = 9) and tranilast (100 and 300 mg/kg,n = 9). The data on two rats (one in TAS-301 100 mg/kg group and one in tranilast 100 mg/kg group) is omitted from the evaluation because of death due to faulty oral administration[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. Muranaka Y, et al. TAS-301, an inhibitor of smooth muscle cell migration and proliferation, inhibits intimal thickening after balloon injury to rat carotid arteries. J Pharmacol Exp Ther. 1998 Jun;285(3):1280-6.

[2]. Sasaki E, et al. TAS-301 blocks receptor-operated calcium influx and inhibits rat vascular smooth muscle cell proliferation induced by basic fibroblast growth factor and platelet-derived growth factor. Jpn J Pharmacol. 2000 Nov;84(3):252-8.

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