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产品名称: **TAS-301**  
产品别名: **TAS-301**

生物活性:					
Description	TAS-301 is an inhibitor of smooth muscle cell migration and proliferation, and inhibits PKC activation induced by PDGF.				
IC <sub>50</sub> & Target	PKC				
In Vitro	TAS-301 (1-10 μM) concentration-dependently inhibits PKC activation and Ca <sup>2+</sup> 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 <sup>[1]</sup> . 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 <sup>[2]</sup> .				
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].				
Solvent&Solubility	<b><i>In Vitro:</i></b> <b>DMSO : ≥ 38 mg/mL (106.32 mM)</b>  * "≥" means soluble, but saturation unknown.				
	Preparing  Stock Solutions	<div>Solvent / Mass / Concentration</div>	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
*请根据产品在不同溶剂中的溶解度选择合适的溶剂配制储备液; 一旦配成溶液, 请分装保存, 避免反复冻融造成的产品失效。  储备液的保存方式和期限 -80℃, 6 months; -20℃, 1 month。 -80℃ 储存时, 请在 6 个月内使用, -20℃ 储存时, 请在 1 个月内使用。					
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.				
实验参考:					
Cell Assay	Cell proliferation is determined by the incorporation of BrdU by quiescent cells. SMCs are seeded at 1 × 10 <sup>4</sup> cells/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				



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	the incorporated BrdU (n = 6). The drugs are present during the entire experiment <sup>[2]</sup> .
<b>Animal Administration</b>	<p>Rats<sup>[2]</sup></p> <p>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-<math>\mu</math>m-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<sup>[2]</sup>.</p>
<b>References</b>	<p>[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.</p> <p>[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.</p>

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