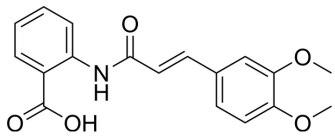
Tranilast

Cat. No.:	HY-B0195
CAS No.:	53902-12-8
Molecular Formula:	$C_{18}H_{17}NO_5$
Molecular Weight:	327.33
Target:	Angiotensin Receptor; Prostaglandin Receptor
Pathway:	GPCR/G Protein
Storage:	Powder -20°C 3 years 4°C 2 years In solvent -80°C 1 year -20°C 6 months



SOLVENT & SOLUBILITY

In Vitro

DMSO : 50 mg/mL (152.75 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	3.0550 mL	15.2751 mL	30.5502 mL
	5 mM	0.6110 mL	3.0550 mL	6.1100 mL
	10 mM	0.3055 mL	1.5275 mL	3.0550 mL

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

In Vivo

1. Add each solvent one by one: 30 % SBE-β-CD
   Solubility: 5 mg/mL (15.28 mM); Suspended solution; Need ultrasonic
2. Add each solvent one by one: 1.5% CMC-Na/saline water
   Solubility: 4 mg/mL (12.22 mM); Suspended solution; Need ultrasonic
3. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.5 mg/mL (7.64 mM); Clear solution
4. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: 2.5 mg/mL (7.64 mM); Suspended solution; Need ultrasonic
5. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (7.64 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Tranilast (MK-341) acts as an anti-atopic agent. Tranilast suppresses production of prostaglandin D2 (PGD2, IC_{50}= 0.1 mM). Tranilast sodium exhibits anti-inflammatory and immunomodulatory effects[1]. Tranilast sodium antagonizes angiotensin II and inhibits its biological effects in vascular smooth muscle cells[2].

IC_{50} & Target	Angiotensin II	DP2 0.1 mM (IC_{50})

In Vitro

Tranilast exhibits significant immunomodulatory activity inhibiting Endotoxin-induced prostaglandin E2 (PGE2; IC_{50}=~1-20 μM), thromboxane B2 (IC_{50}=~10-50 μM), (TGF-β1; IC_{50}=~100-200 μM), and IL-8 (IC_{50}=~100 μM) formation. A23187-induced monocyte leukotriene C4 or PGE2 formation is inhibited by Tranilast at IC_{50}s of 10-40 μM and 2-20 μM, respectively[3]. Tranilast (10-200 μM) exhibits the anti-proliferative effect in a dose-dependent manner in both MCF-7 and MDA-MB-231 cell lines. Tranilast also (10-200μM) enhances the anti-tumor effects of Tamoxifen (1-20 μM) on human breast cancer cells in vitro [4].

Tranilast (12.5, 25, 50, 100 μg/mL; 72 hours) inhibits proliferation of HDMECs[5].

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

Cell Proliferation Assay[4]

Cell Line:	MCF-7 and MDA-MB-231 cells
Concentration:	10, 20, 50, 100, and 200 μM
Incubation Time:	48 hours
Result:	Anti-proliferative effect in a dose-dependent manner in both cell lines.

Cell Viability Assay[5]

Cell Line:	Human dermal microvascular endothelial cells (HDMECs)
Concentration:	12.5, 25, 50, 100 μg/mL
Incubation Time:	72 hours
Result:	IC_{50} value was 44.3 μg/mL (136 μM).

In Vivo

Tranilast (300 mg/kg; administered orally twice a day for 3 days) dose-dependently suppresses angiogenesis in mice[5].

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

Animal Model:	Nine-week-old male C57BL/6 mice[5]
Dosage:	300 mg/kg
Administration:	Administered orally twice a day for 3 days
Result:	Suppressed the VEGF-induced angiogenesis in matrigel; 58% of significant suppression was observed at a dose of 300 mg/kg. The ED_{50} value and 95% confidence limits were 165 mg/kg and 162±169 mg/kg, respectively.

CUSTOMER VALIDATION

- Cell Metab. 2022 Feb 7;34(3):424-440.e7.
- Mol Cell. 2023 Jan 14;S1097-2765(22)01217-5.
- Autophagy. 2021 Nov;17(11):3592-3606.
- Pharmacol Res. 2017 Nov;125(Pt B):150-160.
- Cell Calcium. 2023 Dec 21:117:102840.

See more customer validations on www.MedChemExpress.com

REFERENCES

[1]. K Ikai , et al. Inhibitory Effect of Tranilast on Prostaglandin D Synthetase. Biochem Pharmacol. 1989 Aug 15;38(16):2673-6.

[2]. Sara Darakhshan, et al. Tranilast Enhances the Anti-Tumor Effects of Tamoxifen on Human Breast Cancer Cells in Vitro. J Biomed Sci. 2013 Oct 21;20(1):76.

[3]. E A Capper, et al. Modulation of Human Monocyte Activities by Tranilast, SB 252218, a Compound Demonstrating Efficacy in Restenosis. J Pharmacol Exp Ther. 2000 Dec;295(3):1061-9.

[4]. M Isaji , et al. Tranilast Inhibits the Proliferation, Chemotaxis and Tube Formation of Human Microvascular Endothelial Cells in Vitro and Angiogenesis in Vivo. Br J Pharmacol. 1997 Nov;122(6):1061-6.

[5]. K Miyazawa , et al. Tranilast Antagonizes Angiotensin II and Inhibits Its Biological Effects in Vascular Smooth Muscle Cells. Atherosclerosis. 1996 Apr 5;121(2):167-73.

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