SZABO SCANDIC

Part of Europa Biosite

# Produktinformation

- Forschungsprodukte & Biochemikalien
- Zellkultur & Verbrauchsmaterial
- Diagnostik & molekulare Diagnostik
- Laborgeräte & Service

Weitere Information auf den folgenden Seiten!
See the following pages for more information!

## Lieferung & Zahlungsart

siehe unsere Liefer- und Versandbedingungen

## Zuschläge

- Mindermengenzuschlag
- Trockeneiszuschlag
- Gefahrgutzuschlag
- Expressversand


**SZABO-SCANDIC HandelsgmbH**

Quellenstraße 110, A-1100 Wien

T. +43(0)1 489 3961-0

F. +43(0)1 489 3961-7

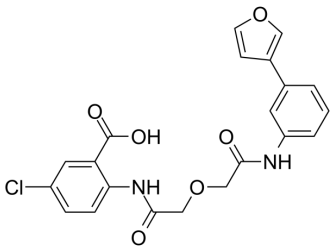
mail@szabo-scandic.com

www.szabo-scandic.com

linkedin.com/company/szaboscandic

# TM5441

| | |
|---|---|
| **Cat. No.:** | HY-101761 |
| **CAS No.:** | 1190221-43-2 |
| **Molecular Formula:** | $C_{21}H_{17}ClN_2O_6$ |
| **Molecular Weight:** | 428.82 |
| **Target:** | PAI-1; Apoptosis |
| **Pathway:** | Metabolic Enzyme/Protease; Apoptosis |
| **Storage:** | Powder -20°C 3 years<br>4°C 2 years<br>In solvent -80°C 2 years<br>-20°C 1 year |



## SOLVENT & SOLUBILITY

**In Vitro**

DMSO : 100 mg/mL (233.20 mM; Need ultrasonic)

| Preparing Stock Solutions | Solvent / Mass / Concentration | 1 mg | 5 mg | 10 mg |
|---|---|---|---|---|
| | 1 mM | 2.3320 mL | 11.6599 mL | 23.3198 mL |
| | 5 mM | 0.4664 mL | 2.3320 mL | 4.6640 mL |
| | 10 mM | 0.2332 mL | 1.1660 mL | 2.3320 mL |

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

**In Vivo**

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.5 mg/mL (5.83 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (5.83 mM); Clear solution

## BIOLOGICAL ACTIVITY

**Description**

TM5441 is an orally bioavailable inhibitor of plasminogen activator inhibitor-1 (PAI-1), has $IC_{50}$ values between 13.9 and 51.1 μM and induces intrinsic apoptosis in several human cancer cell lines. TM5441 attenuates Nω-nitro-l-arginine methyl ester-induced cardiac hypertension and vascular senescence[1][2].

**$IC_{50}$ & Target**

IC50: 13.9~51.1 μM (Tumor cell lines)[1]

**In Vitro**

TM5441 dose-dependently decreases HT1080, HCT116, Daoy, MDA-MB-231 and Jurkat cells with an $IC_{50}$ ranging between 13.9 and 51.1 μM[1].
TM5441 increases caspase 3/7 activity for both HT1080 and HCT116 cells in a dose dependant manner. TM5441 increases apoptosis in HT1080 and HCT116 cells[1].

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| | TM5441 induces mitochondrial depolarization[1].<br>In mouse proximal tubular epithelial cells, TM5441 effectively inhibits PAI-1-induced mRNA expression of fibrosis and inflammation markers and also reverses PAI-1-induced inhibition of plasmin activity[2].<br>MCE has not independently confirmed the accuracy of these methods. They are for reference only. |
| **In Vivo** | Oral administration of TM5441 (20 mg/kg daily) to HT1080 and HCT116 xenotransplanted mice increases tumor cell apoptosis and has a significant disruptive effect on the tumor vasculature that is associated with a decrease in tumor growth and an increase in survival. The average peak plasma concentration is 11.4 μM one hour after oral administration and undetectable levels 23 hours after administration[1].<br>TM5441 attenuates Nω-nitro-l-arginine methyl ester-induced cardiac hypertension and vascular senescence, prolongs lifespan in klotho null mice and elicits anti-tumorigenic and anti-angiogenic activities in cancer[3].<br>MCE has not independently confirmed the accuracy of these methods. They are for reference only. |

## PROTOCOL

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| **Cell Assay** [1] | HT1080, HCT116, Daoy, MDA-MB-231 and Jurkat cells are treated with 0-100 μM TM5441 for 48 hours at 37°C. Cell viability is measured by MTT assay[1].<br>MCE has not independently confirmed the accuracy of these methods. They are for reference only. |
| **Animal Administration** [2] | Mice: TM5275 at 50 mg/kg/day and TM5441 at 10 mg/kg/day were orally administered in control and diabetic mice for 16 weeks. Mice were monitored at least once a day. At the end, blood is collected for measurement of plasma glucose and creatinine, urine for protein measurement, and kidneys for immunohistochemical analysis[2].<br>MCE has not independently confirmed the accuracy of these methods. They are for reference only. |

## CUSTOMER VALIDATION

- Kidney Int. 2023 Jun 23;S0085-2538(23)00425-8.
- Sci Rep. 2023 Sep 27;13(1):16210.
- Eur J Pharm Sci. 2020 Feb 15;143:105195.
- Biol Pharm Bull. 2023 Oct 10;46(12):1753-1760.
- bioRxiv. 2023 Nov 26.

See more customer validations on www.MedChemExpress.com

## REFERENCES

[1]. Placencio VR, et al. Small Molecule Inhibitors of Plasminogen Activator Inhibitor-1 Elicit Anti-Tumorigenic and Anti-Angiogenic Activity. PLoS One. 2015 Jul 24;10(7):e0133786.

[2]. Jeong BY, et al. Novel Plasminogen Activator Inhibitor-1 Inhibitors Prevent Diabetic Kidney Injury in a Mouse Model. PLoS One. 2016 Jun 3;11(6):e0157012.



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