

# Produktinformation



Forschungsprodukte & Biochemikalien



Zellkultur & Verbrauchsmaterial



Diagnostik & molekulare Diagnostik



Laborgeräte & Service

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## Lieferung & Zahlungsart

siehe unsere Liefer- und Versandbedingungen

## Zuschläge

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**Proteins** 

## **PX-12**

Cat. No.: HY-13734 CAS No.: 141400-58-0 Molecular Formula:  $C_7 H_{12} N_2 S_2$ Molecular Weight: 188.31 Others Target: Pathway: Others

Storage: Powder

2 years

3 years

In solvent -80°C 2 years

-20°C

-20°C 1 year

**Product** Data Sheet

#### **SOLVENT & SOLUBILITY**

In Vitro

Ethanol: 50 mg/mL (265.52 mM; Need ultrasonic)

DMSO:  $\geq$  44.7 mg/mL (237.37 mM)

\* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions	Solvent Mass Concentration	1 mg	5 mg	10 mg
	1 mM	5.3104 mL	26.5520 mL	53.1039 mL
	5 mM	1.0621 mL	5.3104 mL	10.6208 mL
	10 mM	0.5310 mL	2.6552 mL	5.3104 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- $\beta$ -CD in saline) Solubility: ≥ 2.5 mg/mL (13.28 mM); Clear solution
- 2. Add each solvent one by one: 10% DMSO >> 90% corn oil Solubility: ≥ 2.5 mg/mL (13.28 mM); Clear solution
- 3. Add each solvent one by one: 10% EtOH >> 40% PEG300 >> 5% Tween-80 >> 45% saline Solubility: ≥ 2.5 mg/mL (13.28 mM); Clear solution
- 4. Add each solvent one by one: 10% EtOH >> 90% (20% SBE-β-CD in saline) Solubility: ≥ 2.5 mg/mL (13.28 mM); Clear solution
- 5. Add each solvent one by one: 10% EtOH >> 90% corn oil Solubility: ≥ 2.5 mg/mL (13.28 mM); Clear solution

#### **BIOLOGICAL ACTIVITY**

Description

PX-12(IV-2) is an irreversible inhibitor of Thioredoxin-1 (Trx-1); inhibits the growth of MCF-7 and HT-29 cells with IC<sub>50</sub> values

	of 1.9 and 2.9 μM, respectively.
IC <sub>50</sub> & Target	IC50: 1.9 (MCF-7), 2.9 μM (HT-29 cells) <sup>[1]</sup>
In Vitro	PX-12 inhibits the growth of MCF-7 and HT-29 cells with IC <sub>50</sub> values of 1.9 and 2.9 μM, respectively <sup>[1]</sup> . PX-12 particularly reduces the activity of Trx-1 by means of thio-alkylating critical cysteine residue (Cys73) which is located in the outside the conserved redox catalytic site of Trx-1. PX-12 affects the oxidation state of thiols in a number of cell surface proteins. Key surface receptors for platelet adhesion and activation are affected, including the collagen receptor GPVI and the von Willebrand factor receptor, GPIb. PX-12 inhibits thrombus formation over Type I collagen in whole blood under flow conditions <sup>[2]</sup> . Thioredoxin-1 (Trx-1) is a cellular redox protein that promotes tumor growth, inhibits apoptosis, and upregulates hypoxia-inducible factor-1α and vascular endothelial growth factor <sup>[3]</sup> . PX-12 inhibits the growth of colorectal cancer DLD-1 and SW620 cells in a dose- and time-dependent manner. PX-12 reduces cell colony formation and induced a G2/M phase arrest of the cell cycle. PX-12 treatment induces apoptosis. PX-12 inhibits colorectal cancer cell migration and invasion. Treatment of cancer cells with PX-12 reduces NOX1, CDH17 and S100A4 mRNA expression, and increases KLF17 mRNA expression. PX-12 decreases S100A4 protein expression in the colorectal cancer cells <sup>[4]</sup> .
In Vivo	PX-12 has been shown to have in vivo antitumor activity against human tumor xenografts including HT-29 colon cancer in SCID mice and has been tested in a phase I clinical trial in patients <sup>[3]</sup> .  MCE has not independently confirmed the accuracy of these methods. They are for reference only.

### **CUSTOMER VALIDATION**

- Free Radic Biol Med. 2022 Jul 31;189:157-168.
- Free Radic Biol Med. 2021 Dec 8;178:246-261.
- Front Immunol. 2021 Mar 9;12:625957.
- Ecotoxicol Environ Saf. 2022 Dec 1;247:114263.
- Ecotoxicol Environ Saf. 2022, 247: 114263.

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#### **REFERENCES**

[1]. Welsh SJ, et al. The thioredoxin redox inhibitors 1-methylpropyl 2-imidazolyl disulfide and pleurotin inhibit hypoxia-induced factor 1alpha and vascular endothelial growth factor formation. Mol Cancer Ther. 2003 Mar;2(3):235-43.

[2]. Metcalfe C, et al. Thioredoxin Inhibitors Attenuate Platelet Function and Thrombus Formation. PLoS One. 2016 Oct 7;11(10):e0163006

[3]. Ramanathan RK, et al. A Phase I pharmacokinetic and pharmacodynamic study of PX-12, a novel inhibitor of thioredoxin-1, in patients with advanced solid tumors. Clin Cancer Res. 2007 Apr 1;13(7):2109-14.

[4]. Wang F, et al. Thioredoxin-1 inhibitor, 1-methylpropyl 2-imidazolyl disulfide, inhibits the growth, migration and invasion of colorectal cancer cell lines. Oncol Rep. 2015 Feb;33(2):967-73.

[5]. Lou M, et al. Physical interaction between human ribonucleotide reductase large subunit and thioredoxin increases colorectal cancer malignancy. J Biol Chem. 2017 Jun 2;292(22):9136-9149.

Page 2 of 3 www.MedChemExpress.com

 $\label{lem:caution:Product} \textbf{Caution: Product has not been fully validated for medical applications. For research use only.}$ 

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Page 3 of 3 www.MedChemExpress.com