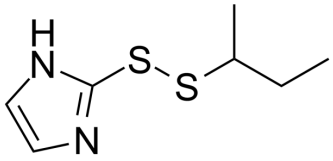
PX-12

Cat. No.:	HY-13734
CAS No.:	141400-58-0
Molecular Formula:	$C_7H_{12}N_2S_2$
Molecular Weight:	188.31
Target:	Others
Pathway:	Others

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	6 months
	-20°C	1 month



SOLVENT & SOLUBILITY

In Vitro

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

DMSO : ≥ 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-β-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_{50} values

	of 1.9 and 2.9 μM, respectively.
IC_{50} & Target	IC50: 1.9 (MCF-7), 2.9 μM (HT-29 cells)[1]
In Vitro	PX-12 inhibits the growth of MCF-7 and HT-29 cells with IC_{50} values of 1.9 and 2.9 μM, respectively[1]. 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[2]. Thioredoxin-1 (Trx-1) is a cellular redox protein that promotes tumor growth, inhibits apoptosis, and up-regulates hypoxia-inducible factor-1α and vascular endothelial growth factor[3]. 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[4]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
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[3]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- Free Radic Biol Med. 2021 Dec 8;178:246-261.
- Front Immunol. 2021 Mar 9;12:625957.
- J Biol Chem. 2017 Jun 2;292(22):9136-9149.

See more customer validations on www.MedChemExpress.com

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.

Caution: Product has not been fully validated for medical applications. For research use only.

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