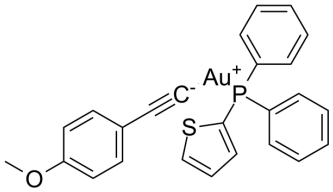
TrxR inhibitor D9

Cat. No.:	HY-136279
CAS No.:	1527513-89-8
Molecular Formula:	$C_{25}H_{20}AuOPS$
Molecular Weight:	596.43
Target:	Apoptosis
Pathway:	Apoptosis
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description	TrxR inhibitor D9 is a potent and selective inhibitor of thioredoxin reductase (TrxR), with an EC_{50} of 2.8 nM. TrxR inhibitor D9 has the capability to inhibit tumor proliferation both in vitro and in vivo[1][2].
IC_{50} & Target	EC50: 2.8 nM (TrxR)[1]

In Vitro

TrxR inhibitor D9 (0.1-1 μM; 72 h) inhibits the cell proliferation with IC_{50}s of 0.03 and 0.1 μM for MCF-7 and HT-29 cells, respectively[1].

TrxR inhibitor D9 (72 h) completely inhibits all cancer cells (A549, KB, MDA MB-231, HeLa, MCF-7 and HT-29) viability at the concentration of 0.60 μM, and the IC_{50}s of all cancer cells could be as low as 0.55 μM, and dose not significantly affects normal cells viability[1].

TrxR inhibitor D9 (0.8 μM; 4 and 8 h) induces HT-29 cells necrosis/apoptosis[1].

TrxR inhibitor D9 (2-20 nM; 1-60 s) inhibits TrxR activity in a concentration-dependent manner[1].

TrxR inhibitor D9 (1-1000 nM) does not significantly inhibits the catalytic activity of glutathione reductase (GR) even when the concentration increases to more than 1000 nM[1].

TrxR inhibitor D9 (0.4 μM) could effectively avoid the ligand exchange with albumin[1].

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

Cell Proliferation Assay[1]

Cell Line:	MCF-7 and HT-29 cells
Concentration:	0.1, 0.5, 1 μM
Incubation Time:	72 hours
Result:	Killed 70% MCF-7 cells and 50% HT-29 cells with the concentration as low as 0.1 μM.

Apoptosis Analysis[1]

Cell Line:	MCF-7 cells
Concentration:	0.8 μM
Incubation Time:	4 and 8 hours
Result:	Led to more than 50% necrosis/apoptosis of cells compared to control after 4 h of

	treatment. Induced all cells necrosis/apoptosis after 8 h of incubation.

In Vivo

TrxR inhibitor D9 (5 mg/kg; i.v. once every 2 d for 15 d) effectively inhibits the growth of tumors in mice[1].

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Animal Model:	BALB/c nude mice (17-18 g) bearing a MCF-7 tumor[1]
Dosage:	5 mg/kg
Administration:	I.v. once every 2 days for 15 days
Result:	Inhibited tumor growth with IR (inhibition ratio) of 91.5% and was well tolerated.

REFERENCES

[1]. Zhang D, et, al. Synthesis and molecular recognition studies on small-molecule inhibitors for thioredoxin reductase. J Med Chem. 2014 Oct 9;57(19):8132-9.

[2]. Lin YX, et, al. pH-Sensitive Polymeric Nanoparticles with Gold(I) Compound Payloads Synergistically Induce Cancer Cell Death through Modulation of Autophagy. Mol Pharm. 2015 Aug 3;12(8):2869-78.

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

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