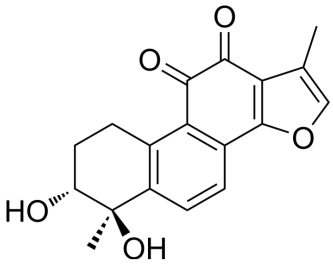
Tanshindiol C

Cat. No.:	HY-122936
CAS No.:	97465-71-9
Molecular Formula:	$C_{18}H_{16}O_5$
Molecular Weight:	312.32
Target:	Histone Methyltransferase; Keap1-Nrf2; Sirtuin
Pathway:	Epigenetics; NF-κB; Cell Cycle/DNA Damage
Storage:	4°C, protect from light * In solvent : -80°C, 6 months; -20°C, 1 month (protect from light)



BIOLOGICAL ACTIVITY

Description

Tanshindiol C is a S-adenosylmethionine-competitive EZH2 (Histone Methyltransferase) inhibitor with an IC_{50} of 0.55 μM for inhibiting the methyltransferase activity. Tanshindiol C is also an activator of both Nrf2 and Sirtuin 1 (Sirt1) in macrophages. Tanshindiol C possesses anti-cancer activity, and can be used for atherosclerosis research[1][2].

IC_{50} & Target

EZH2	SIRT1
0.55 μM (IC_{50})	

In Vitro

Tanshindiol C (1-10 μM; for 24 h) activates Nrf2 and upregulates Prdx1 expression and mRNA levels in macrophages. Tanshindiol C protects macrophages from oxidized low-density lipoprotein (oxLDL) induced foam cell formation via activation of Prdx1/ABCA1 signaling[1].

Tanshindiol C inhibits both wild-type and A667G mutant (K_i value of 176 nM) EZH2 activity with similar potencies[2].

Tanshindiol C inhibits growth of the cell lines such as Pfeiffer, U-2932 and Daudi (lymphoma), PC3 (prostate cancer), T98G and U87MG (glioma), and A549 (lung cancer), with GI_{50} values of 1.5 μM, 9.5 μM, 10.6 μM, 4 μM, 6 μM, 5.7 μM and 4.2 μM, respectively[2].

Tanshindiol C (1-5 μM; 72 hours) induces accumulation of Pfeiffer cells in sub-G1 phase, which indicates cells in late apoptosis and necrosis[2].

Tanshindiol C (1-3 μM; 72 hours) increases protein levels of the important apoptosis related protein markers, cleaved caspase-3, caspase-7 and poly ADP-ribose polymerase (PRAP) in the cells.Tanshindiol C significantly decreases the levels of H3K27me3 in cells[2].

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

RT-PCR[1]

Cell Line:	RAW264.7 cells
Concentration:	1 μM, 3 μM, 10 μM
Incubation Time:	24 h
Result:	Upregulated the Nrf2 and Prdx1 mRNA levels.

Western Blot Analysis[1]

Cell Line:	Mouse peritoneal macrophages

Concentration:	1 μM, 3 μM, 10 μM
Incubation Time:	24 h
Result:	Activated Nrf2 and upregulated Prdx1 expression in macrophages.

Cell Cycle Analysis[2]

Cell Line:	Pfeiffer cells
Concentration:	1 μM, 2.5 μM and 5 μM
Incubation Time:	72 hours
Result:	Induced accumulation of Pfeiffer cells in sub-G1 phase.

Western Blot Analysis[2]

Cell Line:	Pfeiffer cells
Concentration:	1 μM, 3 μM
Incubation Time:	72 hours
Result:	The levels of H3K27me3 was significantly decreased in the cells.

REFERENCES

[1]. Yuyu Yang, et al. Tanshindiol C inhibits oxidized low-density lipoprotein induced macrophage foam cell formation via a peroxiredoxin 1 dependent pathway. Biochim Biophys Acta Mol Basis Dis. 2018 Mar;1864(3):882-890.

[2]. Jimin Woo, et al. Biological evaluation of tanshindiols as EZH2 histone methyltransferase inhibitors. Bioorg Med Chem Lett. 2014 Jun 1;24(11):2486-92.

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