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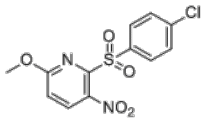
Data Sheet

Global Supplier of Chemical Probes, Inhibitors & Agonists.

Product Name	:	TRi-1
Cat. No.	:	PC-63164
CAS No.	:	246020-68-8
Molecular Formula	:	$C_{12}H_9ClN_2O_5S$
Molecular Weight	:	328.723
Target	:	STAT
Solubility	:	10 mM in DMSO



Biological Activity

TRi-1 (TXNRD1 inhibitor 1) is a potent, specific and irreversible inhibitor of cytosolic **thioredoxin reductase 1** (TXNRD1, TrxR1) with anticancer potential, 5- to 10-fold higher specificity for TXNRD1 over TXNRD2.
TRi-1 block **STAT3**-dependent transcriptional activity with IC50 of 2.9 uM in in IL6-STAT3 luciferase reporter assays, 8-fold selectivity over IFN-γ STAT1.
TRi-1 inhibits cellular TXNRD activity with equal or greater potency compared to that of Auranofin with no effect on cellular GSH concentrations, efficiently activates c-Jun N-terminal kinase (JNK) and p38 phosphorylation.
TRi-1 shows cytotoxicity profile against the NCI-60 cell panel with mean IC50 of 6.41 uM.
TRi-1 impairs growth and viability of human tumor xenografts and syngeneic mouse tumors while having little mitochondrial toxicity and being better tolerated than auranofin.

References

Stafford WC, et al. ***Sci Transl Med.*** 2018 Feb 14;10(428). pii: eaaf7444.
2. S Busker, et al. ***Sci Adv.*** 2020 Mar 20;6(12):eaax7945.

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