

Product Data Sheet

Product Name: Inauhzin
Cat. No.: GC14755

Chemical Properties

Cas. No. 309271-94-1

Chemical Name 2-((5H-[1,2,4]triazino[5,6-b]indol-3-yl)thio)-1-(10H-phenothiazin-10-yl)butan-1-one

SMILES CCC(SC1=NC2=C(N=N1)C3=CC=CC=C3N2)C(N4C5=CC=CC=C5SC6=CC=CC=C64)=O

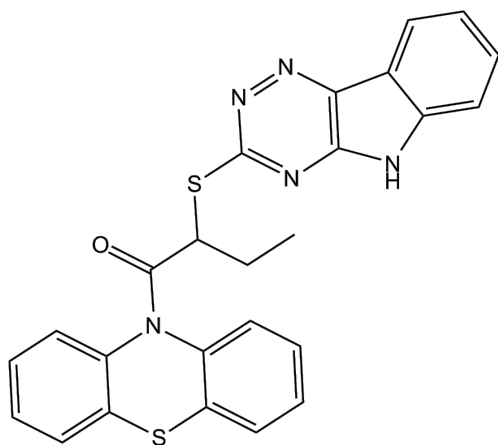
Formula $C_{25}H_{19}N_5OS_2$ M.Wt 469.58

Solubility $\geq 23.5\text{mg/mL}$ in DMSO Storage Store at -20°C

General tips For obtaining a higher solubility, please warm the tube at 37°C and shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.

Shipping Condition Evaluation sample solution: ship with blue ice All other available size: ship with RT, or blue ice upon request.

Structure



Protocol

Cell experiment [1]:

Cell lines H460, H1299, A549, HT29 and WI38

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

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Address: 10292 Central Ave. #205, Montclair, CA, USA

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Preparation method	The solubility of this compound in DMSO is > 23.5 mg/mL. General tips for obtaining a higher concentration: Please warm the tube at 37 °C for 10 minutes and/or shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.
Reacting condition	2μM, 18h
Applications	Inauhzin dose-dependently inhibited cell growth in different p53-containing human cancer cells including H460, H1299, A549, HT29 and WI38. Inauhzin effectively reactivated p53 by inhibiting SIRT1 activity, promoted p53-dependent apoptosis of human cancer cells without causing apparently genotoxic stress. Moreover, INZ stabilized p53 by increasing p53 acetylation and preventing MDM2-mediated ubiquitylation of p53 in cells. INZ inhibited cell proliferation, induced senescence and tumour-specific apoptosis.
Animal experiment [1]:	
Animal models	Female SCID mice bearing H460 or HCT116 xenografts
Dosage form	Intraperitoneal injection, 18h, 2μM
Application	Inauhzin repressed the growth of xenograft tumours derived from p53-harboursing H460 and HCT116 cells without causing apparent toxicity to normal tissues and the tumour-bearing SCID mice.
Other notes	Please test the solubility of all compounds indoor, and the actual solubility may slightly differ with the theoretical value. This is caused by an experimental system error and it is normal.

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References:

[1]. Zhang Q, Zeng S X, Zhang Y, et al. A small molecule Inauhzin inhibits SIRT1 activity and suppresses tumour growth through activation of p53[J]. EMBO molecular medicine, 2012, 4(4): 298-312.

Background

Inauhzin is a small-molecule inhibitor of SIRT1 with IC50 value of 0.7-2 μ M [1].

Inauhzin inhibits SIRT1 deacetylation activity and subsequently activates the substrate of SIRT1, p53, in a dose-dependent manner. It shows an induction of acetylation of p53 and Histone H3 in the K382 and K9 residues, respectively. The inhibition of SIRT1 is selective. It has no significant effect on SIRT2, SIRT3 or HDAC8 in the Fluor-de-Lys fluorimetric assay. Since it is an activator of p53, inauhzin inhibits cell growth in different p53-containing human cancer cells including H460, H1299, A549, HT29 and WI38. The IC50 values are 5.4 μ M, 51.9 μ M, 3.2 μ M, 33.9 μ M and 85.4 μ M, respectively. Furthermore, inauhzin induces cell apoptosis through activating p53. In the xenograft tumours derived from H460 cells, inauhzin also significantly induces p53 activity and p53-dependent apoptosis at 2 μ M [1].

References:

[1] Zhang Q, Zeng SX, Zhang Y, Zhang Y, Ding D, Ye Q, Meroueh SO, Lu H. A small molecule Inauhzin inhibits SIRT1 activity and suppresses tumour growth through activation of p53. EMBO Mol Med. 2012 Apr;4(4):298-312.

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