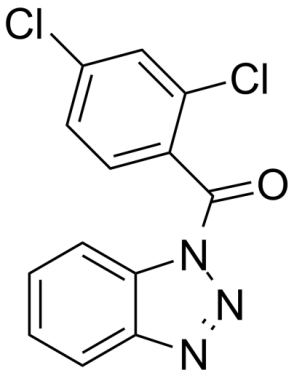
Data Sheet

Product Name:	ITSA-1
Cat. No.:	CS-5854
CAS No.:	200626-61-5
Molecular Formula:	C13H7Cl2N3O
Molecular Weight:	292.12
Target:	HDAC
Pathway:	Cell Cycle/DNA Damage; Epigenetics
Solubility:	DMSO : ≥ 32 mg/mL (109.54 mM); H2O : < 0.1 mg/mL (insoluble)



BIOLOGICAL ACTIVITY:

ITSA-1 is an activator of **histone deacetylase (HDAC)**, and counteract trichostatin A (TSA)-induced cell cycle arrest, histone acetylation, and transcriptional activation[1]. IC50 & Target: HDAC[1] **In Vitro:** ITSA1 (50 μM; A549 cells) treatment serves to revert the TSA-arrested population to a normal cell cycle distribution. ITSA1 is also able to effect cell cycle rescue over longer duration[1].
ITSA1 (50 μM; 5 hours; A549 cells) treatment reduces the number of apoptosis in TSA-treated cells[1].
ITSA1 (50 μM; 2 hours; A549 and murine ES cells cells) treatment suppresses TSA-induced histone acetylation. Importantly, suppression of acetylation levels is only observable when ITSA1 is added concurrent with or post TSA treatment[1].
ITSA1 (50 μM; 30 minutes; murine ES cells cells) suppresses TSA-activated transcription in murine ES cells[1]. **In Vivo:** ITSA-1 (0.5 mg/kg; intraperitoneal injection; 3 times/week; for 8 weeks; $CBS^{+/-}$ mice) treatment balances deacetylation activity and suppresses IL-6 and TNF-α expression and thereby attenuated histone acetylationdependent infammatory signaling[2].

PROTOCOL (Extracted from published papers and Only for reference)

cell assay.[1] [3H]acetate-incorporated histones were isolated from butyrate treated HeLa cells as described. HeLa cell pellets (National Cell Culture Facility) are lysed in JLB (50 M) Tris [pH 8], 150 mM NaCl, 10% glycerol, 0.5% Triton X-100) supplemented with PIC Lysates are treated with TSA and ITSA1 and incubats with [3H]- acetylated histones for 2 hr at 37 C. HDAC activity was determined by scintillation counting of the ethyl acetate soluble [3 H]acetic acid as described. Each assay point was run in triplicate.

References:

[1]. Koeller KM et al. Chemical genetic modifier screens: small molecule trichostatin suppressors as probes of intracellular histoneand tubulin acetylation. Chem Biol. 2003 May;10(5):397-410.

[2]. Behera J, et al. Hydrogen Sulfide Promotes Bone Homeostasis by Balancing Inflammatory Cytokine Signaling in CBS-Deficient Mice through an Epigenetic Mechanism. Sci Rep. 2018 Oct 15;8(1):15226.

CAIndexNames:

Methanone, 1H-benzotriazol-1-yl(2,4-dichlorophenyl)-

SMILES:

O=C(N1N=NC2=CC=CC=C21)C3=CC=C(Cl)C=C3Cl

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

Tel: 732-484-9848 Fax: 888-484-5008 E-mail: sales@ChemScene.com

Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA