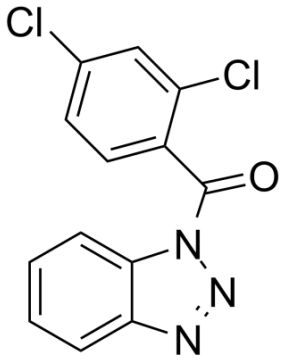
ITSA-1



Cat. No.:	HY-100508
CAS No.:	200626-61-5
Molecular Formula:	$C_{13}H_7Cl_2N_3O$
Molecular Weight:	292.12
Target:	HDAC
Pathway:	Cell Cycle/DNA Damage; Epigenetics
Storage:	Powder -20°C 3 years 4°C 2 years In solvent -80°C 6 months -20°C 1 month

SOLVENT & SOLUBILITY

In Vitro

DMSO : ≥ 32 mg/mL (109.54 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	3.4233 mL	17.1163 mL	34.2325 mL
	5 mM	0.6847 mL	3.4233 mL	6.8465 mL
	10 mM	0.3423 mL	1.7116 mL	3.4233 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.5 mg/mL (8.56 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: 2.5 mg/mL (8.56 mM); Suspended solution; Need ultrasonic
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (8.56 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

ITSA-1 is an activator of histone deacetylase (HDAC), and counteract trichostatin A (TSA)-induced cell cycle arrest, histone acetylation, and transcriptional activation[1].

IC_{50} & Target

HDAC

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

Cell Cycle Analysis[1]

Cell Line:	Murine ES cells
Concentration:	50 μM
Incubation Time:	
Result:	Served to revert the TSA-arrested population to a normal cell cycle distribution.

Apoptosis Analysis[1]

Cell Line:	A549 cells
Concentration:	50 μM
Incubation Time:	5 hours
Result:	Reduced the number of apoptosis.

Western Blot Analysis[1]

Cell Line:	A549 and murine ES cells
Concentration:	50 μM
Incubation Time:	2 hours
Result:	Reduced histone acetylation to the baseline level.

RT-PCR[1]

Cell Line:	Murine ES cells
Concentration:	50 μM
Incubation Time:	30 minutes
Result:	Suppressed TSA-activated transcription.

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

Animal Model:	$CBS^{+/-}$ mice[2]
Dosage:	0.5 mg/kg
Administration:	Intraperitoneal injection; 3 times/week; for 8 weeks

Result:	Balanced deacetylation activity and suppressed IL-6 and TNF-α expression.

CUSTOMER VALIDATION

- Theranostics. 2021 Mar 20;11(11):5605-5619.
- Cell Biosci. 2021 May 21;11(1):93.
- J Mol Med (Berl). 2019 Aug;97(8):1183-1193.
- BMC Cancer. 2019 Mar 22;19(1):262.

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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.

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