产品名称：**Benzotriazol-1-yl-(2,4-Dichloro-Phenyl)-Methanone**
产品别名：**ITSA-1**

生物活性：		
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].	
	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].	
	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.
	In Vitro:	

Solvent&Solubility

DMSO : ≥ 32 mg/mL (109.54 mM)

H2O : < 0.1 mg/mL (insoluble)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions	Solvent / Mass / 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

*请根据产品在不同溶剂中的溶解度选择合适的溶剂配制储备液；一旦配成溶液，请分装保存，避免反复冻融造成的产品失效。

储备液的保存方式和期限：-80°C, 6 months; -20°C, 1 month。-80°C 储存时，请在 6 个月内使用，-20°C 储存时，请在 1 个月内使用。

In Vivo:

请根据您的实验动物和给药方式选择适当的溶解方案。以下溶解方案都请先按照 **In Vitro** 方式配制澄清的储备液，再依次添加助溶剂：

——为保证实验结果的可靠性，澄清的储备液可以根据储存条件，适当保存；体内实验的工作液，建议您现用现配，当天使用； 以下溶剂前显示的百分比是指该溶剂在您配制终溶液中的体积占比；如在配制过程中出现沉淀、析出现象，可以通过加热和/或超声的方式助溶

1.请依序添加每种溶剂： 10% DMSO→40% PEG300 →5% Tween-80 → 45% saline

Solubility: ≥ 2.5 mg/mL (8.56 mM); Clear solution

此方案可获得 ≥ 2.5 mg/mL (8.56 mM，饱和度未知) 的澄清溶液。

以 1 mL 工作液为例，取 100 μL 25.0 mg/mL 的澄清 DMSO 储备液加到 400 μL PEG300 中，混合均匀；向上述体系中加入 50 μL Tween-80，混合均匀；然后继续加入 450 μL 生理盐水定容至 1 mL。

2.请依序添加每种溶剂： 10% DMSO→ 90% (20% SBE-β-CD in saline)

Solubility: 2.5 mg/mL (8.56 mM); Suspended solution; Need ultrasonic

此方案可获得 2.5 mg/mL (8.56 mM)的均匀悬浊液，悬浊液可用于口服和腹腔注射。

以 1 mL 工作液为例，取 100 μL 25.0 mg/mL 的澄清 DMSO 储备液加到 900 μL 20% 的 SBE-β-CD 生理盐水水溶液中，混合均匀。

3.请依序添加每种溶剂： 10% DMSO →90% corn oil

Solubility: ≥ 2.5 mg/mL (8.56 mM); Clear solution

此方案可获得 ≥ 2.5 mg/mL (8.56 mM，饱和度未知) 的澄清溶液，此方案不适用于实验周期在半个月以上的实验。

以 1 mL 工作液为例，取 100 μL 25.0 mg/mL 的澄清 DMSO 储备液加到 900 μL 玉米油中，混合均匀。

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.