上海源叶生物科技有限公司
Shanghai yuanye Bio-Technology Co., Ltd
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产品名称：Tucidinostat (Chidamide)

CAS 号：1616493-44-7

产品货号：S88445

生物活性：

Description	Tucidinostat (Chidamide) 是一种有效的，可口服的 HDAC 第 I 类 HDAC1/2/3 和第 IIb 类 HDAC10 的抑制剂，IC50 值分别为 95，160，67 和 78 nM，对 HDAC8 和 HDAC11 的作用较弱 (IC50，733 nM，432 nM)，对 HDAC4/5/6/7/9 无作用。

IC50 & Target[1]

HDAC3	HDAC10	HDAC1	HDAC2	HDAC11
67 nM (IC_{50})	78 nM (IC_{50})	95 nM (IC_{50})	160 nM (IC_{50})	432 nM (IC_{50})

Cellular Effect

Cell Line	Type	Value	Description
786-0	IC_{50}	0.63 μM	Antiproliferative activity against human 786-O cells incubated for 72 hrs by luminescence assay
A549	IC_{50}	2.79 μM	Antiproliferative activity against human A549 cells incubated for 72 hrs by luminescence assay
A549	IC_{50}	9.85 μM	Antiproliferative activity against human A549 cells incubated for 96 hrs by MTT assay
A549	IC_{50}	9432 nM	Antiproliferative activity against human A549 cells assessed as reduction of cell viability incubated for 72 hrs by CCK-8 assay
CAKI-1	IC_{50}	570 nM	Antiproliferative activity against human CAKI-1 cells assessed as reduction of cell viability incubated for 72 hrs by CCK-8 assay
CCRF-CEM	IC_{50}	0.63 μM	Cytotoxicity against human CCRF-CEM cells by MTT assay
CCRF-CEM	IC_{50}	0.83 μM	Antiproliferative activity against human CCRF-CEM cells incubated for 72 hrs by luminescence assay
CCRF-CEM	IC_{50}	1 μM	Cytotoxicity against human CCRF-CEM cells measured after 72 hrs by MTT assay
COLO-678	IC_{50}	> 10 μM	Antiproliferative activity against human COLO-678 cells incubated for 72 hrs by luminescence assay
CWR22R	IC_{50}	1.08 μM	Antiproliferative activity against human 22Rv1 cells incubated for 72 hrs by luminescence assay
DOHH-2	IC_{50}	0.53 μM	Antiproliferative activity against human DOHH-2 cells incubated for 72 hrs by luminescence assay
DOHH-2	IC_{50}	449 nM	Antiproliferative activity against human DOHH-2 cells assessed as reduction of cell viability incubated for 72 hrs by CCK-8 assay
DU-145	IC_{50}	1.49 μM	Antiproliferative activity against human DU-145 cells incubated for 96 hrs by MTT assay
EBC-1	IC_{50}	2.9 μM	Antiproliferative activity against human EBC1 cells after 72 hrs by SRB assay
ES-2	IC_{50}	669 nM	Antiproliferative activity against human ES2 cells assessed as reduction of cell viability incubated for 72 hrs by

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				CCK-8 assay
	HCT-116	IC_{50}	0.34 μM	Antiproliferative activity against human HCT116 cells assessed as reduction in cell viability after 72 hrs by CCK8 assay
	HCT-116	IC_{50}	0.92 μM	Antiproliferative activity against human HCT-116 cells incubated for 96 hrs by MTT assay
	HCT-116	IC_{50}	1.09 μM	Antiproliferative activity against human HCT116 assessed as reduction in cell viability measured after 72 hrs using alamar blue based fluorescence method
	HCT-116	IC_{50}	1.18 μM	Antiproliferative activity against human HCT-116 cells assessed as reduction of cell viability incubated for 72 hrs by CCK-8 assay
	HCT-116	IC_{50}	2.08 μM	Antiproliferative activity against human HCT-116 cells assessed as inhibition of cell growth incubated for 72 hrs by luminescence based analysis
	HCT-116	IC_{50}	2.08 μM	Antiproliferative activity against human HCT-116 cells incubated for 72 hrs by luminescence assay
	HCT-116	IC_{50}	2.082 μM	Antiproliferative activity against human HCT-116 cells assessed as cell viability at 1 uM incubated for 72 hrs by luminescence assay
	HCT-116	IC_{50}	7.8 μM	Antiproliferative activity against human HCT116 cells after 72 hrs by SRB assay
	HCT-116	IC_{50}	> 20 μM	Antiproliferative activity against human HCT116 cells NAMPT deficient assessed as reduction in cell viability after 72 hrs by CCK8 assay
	HEK293	IC_{50}	6244 nM	Antiproliferative activity against human HEK293 cells assessed as reduction of cell viability incubated for 72 hrs by CCK-8 assay
	HEL	IC_{50}	0.013 μM	Antiproliferative activity against human HEL cells assessed as reduction in cell viability after 72 hrs by CCK8 assay
	HEL	IC_{50}	1.8 μM	Antiproliferative activity against human HEL cells NAMPT deficient assessed as reduction in cell viability after 72 hrs by CCK8 assay
	HL-60	IC_{50}	0.0022 μM	Antiproliferative activity against human HL60 cells assessed as reduction in cell viability after 72 hrs by CCK8 assay
	HL-60	IC_{50}	1.97 μM	Antiproliferative activity against human HL60 assessed as reduction in cell viability measured after 72 hrs using alamar blue based fluorescence method
	HL-60	IC_{50}	3.11 μM	Antiproliferative activity against human HL-60 cells incubated for 72 hrs by CCK8 assay
	HL-60	IC_{50}	3.2 μM	Antiproliferative activity against human HL60 cells

			NAMPT deficient assessed as reduction in cell viability after 72 hrs by CCK8 assay
HT-29	IC_{50}	4.57 μM	Antiproliferative activity against human HT-29 cells incubated for 96 hrs by MTT assay
HT-29	IC_{50}	6.04 μM	Antiproliferative activity against human HT-29 cells incubated for 72 hrs by luminescence assay
HeLa	IC_{50}	2623 nM	Antiproliferative activity against human HeLa cells assessed as reduction of cell viability incubated for 72 hrs by CCK-8 assay
HeLa	IC_{50}	7.16 μM	Inhibition of HDAC in human HeLa cell extract incubated for 1 hr by colorimetric activity assay
HepG2	IC_{50}	2 μM	Antiproliferative activity against human HepG2 cells assessed as inhibition of cell growth incubated for 72 hrs by luminescence based analysis
HepG2	IC_{50}	4.89 μM	Antiproliferative activity against human HepG2 cells measured after 48 hrs by MTT assay
HuT78	IC_{50}	2.42 μM	Antiproliferative activity against human HuT78 cells incubated for 72 hrs by luminescence assay
Jurkat	IC_{50}	1202 nM	Antiproliferative activity against human Jurkat cells assessed as reduction of cell viability incubated for 72 hrs by CCK-8 assay
K562	IC_{50}	0.32 μM	Antiproliferative activity against human K562 cells assessed as reduction in cell viability after 72 hrs by CCK8 assay
K562	IC_{50}	0.747 μM	Antiproliferative activity against human K562 assessed as reduction in cell viability measured after 72 hrs using alamar blue based fluorescence method
K562	IC_{50}	0.87 μM	Antiproliferative activity against human K562 cells measured after 48 hrs by MTT assay
K562	IC_{50}	1.34 μM	Cytotoxicity against human K562 cells by MTT assay
K562	IC_{50}	1775 nM	Antiproliferative activity against human K562 cells assessed as reduction of cell viability incubated for 72 hrs by CCK-8 assay
K562	IC_{50}	2.4 μM	Antiproliferative activity against human K562 cells NAMPT deficient assessed as reduction in cell viability after 72 hrs by CCK8 assay
K562	IC_{50}	8.3 μM	Cytotoxicity against human K562 cells measured after 72 hrs by MTT assay
Kasumi 1	IC_{50}	> 10 μM	Antiproliferative activity against human Kasumi 1 cells incubated for 72 hrs by CCK8 assay
LNCaP	IC_{50}	2.89 μM	Antiproliferative activity against human LNCaP cells incubated for 96 hrs by MTT assay
MC-38	IC_{50}	3.3 μM	Antiproliferative activity against mouse MC38 cells

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			assessed as reduction of cell viability incubated for 72 hrs by CCK-8 assay
MCF7	IC_{50}	29.07 μM	Antiproliferative activity against human MCF7 assessed as reduction in cell viability measured after 72 hrs using alamar blue based fluorescence method
MCF7	IC_{50}	> 20 μM	Antiproliferative activity against human MCF7 cells incubated for 96 hrs by MTT assay
MDA-MB-231	IC_{50}	1.86 μM	Antiproliferative activity against human MDA-MB-231 cells incubated for 96 hrs by MTT assay
MDA-MB-231	IC_{50}	619 nM	Antiproliferative activity against human MDA-MB-231 cells assessed as reduction of cell viability incubated for 72 hrs by CCK-8 assay
MDA-MB-231	IC_{50}	9.52 μM	Antiproliferative activity against human MDA-MB-231 cells incubated for 72 hrs by luminescence assay
MDA-MB-468	IC_{50}	2.65 μM	Antiproliferative activity against human MDA-MB-468 cells measured after 48 hrs by MTT assay
MIA PaCa-2	IC_{50}	1682 nM	Antiproliferative activity against human MIA PaCa-2 cells assessed as reduction of cell viability incubated for 72 hrs by CCK-8 assay
MOLT-4	IC_{50}	0.75 μM	Antiproliferative activity against human MOLT-4 cells incubated for 72 hrs by luminescence assay
MV4-11	IC_{50}	0.783 μM	Antiproliferative activity against human MV4-11 cells assessed as inhibition of cell growth incubated for 72 hrs by luminescence based analysis
MV4-11	IC_{50}	3.73 μM	Antiproliferative activity against human MV4-11 cells measured after 48 hrs by MTT assay
NCI-H1650	IC_{50}	> 20 μM	Antiproliferative activity against human NCI-H1650 cells incubated for 96 hrs by MTT assay
NCI-H441	IC_{50}	2.62 μM	Antiproliferative activity against human NCI-H441 cells incubated for 72 hrs by luminescence assay
NCI-H446	IC_{50}	0.24 μM	Antiproliferative activity against human NCI-H446 cells incubated for 96 hrs by MTT assay
NCI-H460	IC_{50}	2.34 μM	Antiproliferative activity against human NCI-H460 cells incubated for 72 hrs by luminescence assay
NCI-N87	IC_{50}	4515 nM	Antiproliferative activity against human NCI-N87 cells assessed as reduction of cell viability incubated for 72 hrs by CCK-8 assay
PC-3	IC_{50}	3091 nM	Antiproliferative activity against human PC-3 cells assessed as reduction of cell viability incubated for 72 hrs by CCK-8 assay
PC-3	IC_{50}	5.77 μM	Antiproliferative activity against human PC-3 cells incubated for 96 hrs by MTT assay
PC-9	IC_{50}	1714 nM	Antiproliferative activity against human PC-9 cells

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				assessed as reduction of cell viability incubated for 72 hrs by CCK-8 assay
	RS4-11	IC_{50}	0.6 μM	Antiproliferative activity against human RS4-11 cells incubated for 72 hrs by luminescence assay
	Rec1	IC_{50}	1.01 μM	Antiproliferative activity against human REC1 cells incubated for 72 hrs by luminescence assay
	SK-OV-3	IC_{50}	8.46 μM	Antiproliferative activity against human SK-OV-3 cells incubated for 72 hrs by luminescence assay
	SKM-1	IC_{50}	549 nM	Cytotoxicity against human SKM-1 cells assessed as viable cells incubated for 72 hrs by CCK8 assay
	SU-DHL-2	IC_{50}	0.56 μM	Antiproliferative activity against human SUDHL2 cells incubated for 72 hrs by luminescence assay
	Sf9	IC_{50}	0.167 μM	Inhibition of recombinant full-length human C-terminal FLAG/His-tagged HDAC1 expressed in baculovirus infected Sf9 insect cells using Fluor-de-Lys as substrate measured after 10 mins by fluorescence assay
	TMD8	IC_{50}	0.48 μM	Antiproliferative activity against human TMD8 cells incubated for 72 hrs by luminescence assay
	U-937	IC_{50}	3.3 μM	Cytotoxicity against human U-937 cells measured after 72 hrs by MTT assay
	U-937	IC_{50}	4.66 μM	Antiproliferative activity against human U-937 cells incubated for 72 hrs by CCK8 assay
	ZR-75-1	IC_{50}	> 10000 nM	Antiproliferative activity against human ZR-75-1 cells assessed as reduction of cell viability incubated for 72 hrs by CCK-8 assay

In Vitro

Tucidinostat (Chidamide/CS055/HBI -8000) 是一种有效的口服生物可利用的 HDAC 酶 I 类 (HDAC1，2，3) 和 IIb 类 (HDAC10) 抑制剂，IC50 为 95，160，67 和 78 nM，对 HDAC8 和 HDAC11 的活性较低 (IC50，分别为 733 nM，432 nM)，对 HDAC4/5/6/7/9 没有影响 (IC50，>30 μM)。Tucidinostat 具有强大的抗肿瘤活性，可抑制多种人源性肿瘤细胞系，例如 HL-60、U2OS、LNCaP，GI50s 分别为 0.4 ± 0.1、2.0 ± 0.6 和 4.0 ± 1.2 μM。此外，Tucidinostat 对人胎肾 (CCC-HEK) 和肝 (CCCHEL) 的正常细胞显示出较低的毒性[1].

In Vivo

Tucidinostat (12.5-50 mg/kg，po) 在荷有 HCT-8 结直肠癌、A549 肺癌、BEL-7402 肝癌和 MCF-7 乳腺癌的小鼠中剂量依赖性地减小肿瘤大小和肿瘤重量，并且没有明显的身体损失[1]。

Solvent&Solubility

细胞实验:

DMSO 中的溶解度 ：50 mg/mL (128.07 mM; 超声助溶; 吸湿的 DMSO 对产品的溶解度有显著影响，请使用新开封的 DMSO)

Ethanol 中的溶解度 ：1 mg/mL (2.56 mM; 超声助溶 (<60°C))

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.5614 mL	12.8070 mL	25.6141 mL
	5 mM	0.5123 mL	2.5614 mL	5.1228 mL
	10 mM	0.2561 mL	1.2807 mL	2.5614 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

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	反复冻融造成的产品失效。 储备液的保存方式和期限：-80°C, 2 years; -20°C, 1 year。-80°C 储存时，请在 2 年内使用， -20°C 储存时，请在 1 年内使用。 **动物实验：** 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 方案 一 请依序添加每种溶剂： 10% DMSO → 40% PEG300 → 5% Tween-80 → 45% Saline Solubility: ≥ 2.5 mg/mL (6.40 mM); 澄清溶液 此方案可获得 ≥ 2.5 mg/mL（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；再向上述体系中加入 50 μL Tween-80，混合均匀；然后再继续加入 450 μL 生理盐水 定容至 1 mL。 生理盐水的配制：将 0.9 g 氯化钠，溶解于 ddH₂ O 并定容至 100 mL，可以得到澄清透明的生理盐水溶液。 方案 二 请依序添加每种溶剂： 10% DMSO → 90% (20% SBE-β-CD in Saline) Solubility: ≥ 2.5 mg/mL (6.40 mM); 澄清溶液 此方案可获得 ≥ 2.5 mg/mL（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 900 μL 20% 的 SBE-β-CD 生理盐水水溶液 中，混合均匀。 2 g SBE-β-CD（磺丁基醚 β-环糊精）粉末定容于 10 mL 的生理盐水中，完全溶解至澄清透明。 方案 三 请依序添加每种溶剂： 10% DMSO → 90% Corn Oil Solubility: ≥ 2.5 mg/mL (6.40 mM); 澄清溶液 此方案可获得 ≥ 2.5 mg/mL（饱和度未知）的澄清溶液，此方案实验周期在半个月以上的动物实验酌情使用。 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。
参考文献	[1]. Ning ZQ, et al. Chidamide (CS055/HBI-8000): a new histone deacetylase inhibitor of the benzamide class with antitumor activity and the ability to enhance immune cell-mediated tumor cell cytotoxicity. Cancer Chemother Pharmacol. 2012 Apr;69(4):901-9.

完整储备液配制表：

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, 2 years; -20° C, 1 year。-80° C 储存时，请在 2 年内使用， -20° C 储存时，请在 1 年内使用。

可选溶剂	质量 / 溶剂体积 / 浓度	1 mg	5 mg	10 mg	25 mg

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Ethanol / DMSO	**1 mM**	2.5614 mL	12.8070 mL	25.6141 mL	64.0352 mL
DMSO	**5 mM**	0.5123 mL	2.5614 mL	5.1228 mL	12.8070 mL
	10 mM	0.2561 mL	1.2807 mL	2.5614 mL	6.4035 mL
	15 mM	0.1708 mL	0.8538 mL	1.7076 mL	4.2690 mL
	20 mM	0.1281 mL	0.6404 mL	1.2807 mL	3.2018 mL
	25 mM	0.1025 mL	0.5123 mL	1.0246 mL	2.5614 mL
	30 mM	0.0854 mL	0.4269 mL	0.8538 mL	2.1345 mL
	40 mM	0.0640 mL	0.3202 mL	0.6404 mL	1.6009 mL
	50 mM	0.0512 mL	0.2561 mL	0.5123 mL	1.2807 mL
	60 mM	0.0427 mL	0.2135 mL	0.4269 mL	1.0673 mL
	80 mM	0.0320 mL	0.1601 mL	0.3202 mL	0.8004 mL
	100 mM	0.0256 mL	0.1281 mL	0.2561 mL	0.6404 mL