Tacedinaline

Cat. No.: HY-50934

CAS No.: 112522-64-2

Molecular Formula: $C_{15}H_{15}N_3O_2$

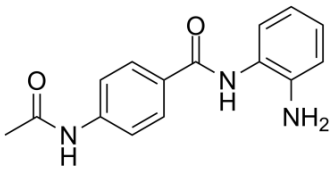
Molecular Weight: 269.3

Target: HDAC; Apoptosis

Pathway: Cell Cycle/DNA Damage; Epigenetics; Apoptosis

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 : ≥ 58 mg/mL (215.37 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions

Mass / Solvent / Concentration	1 mg	5 mg	10 mg
1 mM	3.7133 mL	18.5667 mL	37.1333 mL
5 mM	0.7427 mL	3.7133 mL	7.4267 mL
10 mM	0.3713 mL	1.8567 mL	3.7133 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 (9.28 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Tacedinaline (N-acetyldinaline) is an inhibitor of the histone deacetylase (HDAC) with IC_{50}s of 0.9, 0.9, 1.2 μM for recombinant HDAC 1, 2 and 3 respectively.

IC_{50} & Target

HD1	HD2	HD3
0.9 μM (IC_{50})	0.9 μM (IC_{50})	1.2 μM (IC_{50})

In Vitro

Tacedinaline (N-acetyldinaline) is a novel oral compound with a wide spectrum of antitumor activity in preclinical models. The mechanism of action may involve inhibition of histone deacetylation and cell cycle arrest. Tacedinaline (N-acetyldinaline) is combined with antineoplastic agents commonly used in non-small cell lung cancer cell line management, a marked synergism of action (R=1.8, R=1.5) is observed between Tacedinaline (N-acetyldinaline) (40 μM) and gemcitabine (0.01 μM) at 48 and 72 h of treatment[2]. Tacedinaline (N-acetyldinaline) inhibits mitogen-stimulated blood lymphocyte

	proliferation with an IC_{50} value of 3 μM[4]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	Tacedinaline (CI-994) has activity against 8/8 solid tumors tested: pancreatic ductal adenocarcinoma #02 (4.7); pancreatic adenocarcinoma #03 (3.0; 1/6 cures); colon adenocarcinoma #38 (1.6); colon adenocarcinoma #51/A (1.1); mammary adenocarcinoma #25 (1.7); mammary adenocarcinoma #17/ADR (0.5); Dunning osteogenic sarcoma (4.0); and the human prostate carcinoma LNCaP (1.2). CI-994 is the acetylated metabolite of dinaline and has the same spectrum of activity in vivo as dinaline. It also behaves similarly in schedule comparison/toxicity trials[3]. Tacedinaline (CI-994) can effect lymphoid tissue in rats within 1 day of a single oral dose, that effects are generally reversible within 7 days[4]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

PROTOCOL

Animal Administration [4]	Rats: To characterize the effects of Tacedinaline (CI-994) on lymphoid tissue, male rats are administered single oral doses at 0 (vehicle control), 10, 23, and 45 mg/kg and killed up to 7 days after dosing for evaluation of white blood cell differentials, bone marrow differentials, lymphoid tissue weights, and selected histopathology of lymphoid tissue[4]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- J Mol Med (Berl). 2019 Aug;97(8):1183-1193.

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REFERENCES

[1]. Moradei OM, et al. Novel aminophenyl benzamide-type histone deacetylase inhibitors with enhanced potency and selectivity. J Med Chem. 2007 Nov 15;50(23):5543-6.

[2]. Loprevite M, etal. In vitro study of CI-994, a histone deacetylase inhibitor, in non-small cell lung cancer cell lines. Oncol Res. 2005;15(1):39-48.

[3]. LoRusso PM, et al. Preclinical antitumor activity of CI-994. Invest New Drugs. 1996;14(4):349-56.

[4]. Graziano MJ, et al. Immunotoxicity of the anticancer drug CI-994 in rats: effects on lymphoid tissue. Arch Toxicol. 1999 Apr-May;73(3):168-74.

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