Bufexamac

Cat. No.: HY-B0494

CAS No.: 2438-72-4

Molecular Formula: $C_{12}H_{17}NO_3$

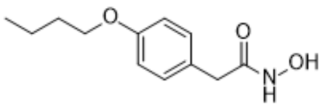
Molecular Weight: 223.27

Target: HDAC; Aminopeptidase

Pathway: Cell Cycle/DNA Damage; Epigenetics; Metabolic Enzyme/Protease

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	2 years
	-20°C	1 year



SOLVENT & SOLUBILITY

In Vitro

DMSO : ≥ 100 mg/mL (447.89 mM)

H_2O : < 0.1 mg/mL (insoluble)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	4.4789 mL	22.3944 mL	44.7888 mL
5 mM	0.8958 mL	4.4789 mL	8.9578 mL
10 mM	0.4479 mL	2.2394 mL	4.4789 mL

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

In Vivo

1. Add each solvent one by one: PBS
   Solubility: 10 mg/mL (44.79 mM); Clear solution; Need ultrasonic and warming and heat to 60°C
2. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: 2.5 mg/mL (11.20 mM); Suspended solution; Need ultrasonic
3. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (11.20 mM); Clear solution
4. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (11.20 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Bufexamac is a selective ⊠b HDAC (HDAC6, HDAC10) and LTA4H dual inhibitor, with K_ds of 0.53 μM and 0.22 μM for HDAC6 and HDAC10. Bufexamac is a nonsteroida anti-inflammatory drug[1][2][3].

IC_{50} & Target	HDAC6	HDAC10	HDAC8	HDAC3
	10.7 μM (Kd app)	12.3 μM (Kd app)	235 μM (Kd app)	341 μM (Kd app)

In Vitro

Bufexamac (0.1-100 μM, 16 h) inhibits the secretion of IFN-α in peripheral blood mononuclear cells[1].
Bufexamac (30 μM, 6 days) inhibits HDAC10 to block autophagic flux in BE(2)-C cells and enhances the tumor-specific toxicity of DNA damage-inducing drugs[2].
Bufexamac (50-100 μM, 30 min) inhibits calcium ionophore A23187 stimulation of neutrophil chemotaxis via the inhibition of LTA4H-mediated endogenous LTB4 biosynthesis, with an IC_{50} of 12.91±4.02 μM for LTB4[3].
Bufexamac (0-500 μM, 4 h) inhibits lysine deacetylases (KDACs) at lower concentrations (>5 μM) and induces hypoxia-like responses in Hela cells by chelating cellular iron at higher concentrations (>200 μM) in Hela cells[4].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Cell Viability Assay[2]

Cell Line:	BE(2)-C cells
Concentration:	30 μM
Incubation Time:	6 days
Result:	Enhanced cell death of tumor cells.

Cell Migration Assay [3]

Cell Line:	mouse neutrophils
Concentration:	50-100 μM
Incubation Time:	30 min
Result:	Reduced fMLP (5μM) induced neutrophil migration.

Western Blot Analysis[4]

Cell Line:	Hela cells (ATCC: CCL-2)
Concentration:	1 mM
Incubation Time:	4-16 h
Result:	Induced HIF1-α protein and increased HIF1-α levels.

Immunofluorescence[4]

Cell Line:	Hela cells (ATCC: CCL-2)
Concentration:	50-250 μM
Incubation Time:	4 h
Result:	Stabilized HIF1-α and accumulated in the nucleus.

In Vivo

Bufexamac (50-100 mg/kg, p.o., seven days) ameliorates LPS-induced acute lung injury in mice by targeting LTA4H[3].
Bufexamac (20-100 mg, Intraarticular (IA) injection, weekly, 6 times) don't cause any untoward systemic or local effects in healthy horse[5].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Six-to-eight weeks old female C57BL/6 mice[3]

Dosage:	50-100 mg/kg
Administration:	Oral gavage (p.o.), seven days
Result:	Ameliorated the infiltration of lung inflammatory cells and injury to the lung in a dose-dependent manner. Relieved LPS-induced lung injury. Reduced LTB4 level and MPO activity. Reduced cytokine mRNA expressions in lung tissue and cytokine levels in BALF in LPS-induced ALI in mice.

Animal Model:	horses aged 2 to 7 years old and weighing 302 to 522 kg [5]
Dosage:	20-100 mg
Administration:	Intraarticular (IA) injection, weekly, 6 times
Result:	Didn't effect on general health, hematological or serum biochemical variables, or organs.

CUSTOMER VALIDATION

- Clin Epigenetics. 2022 Nov 12;14(1):147.

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REFERENCES

[1]. Bantscheff M, et al. Chemoproteomics profiling of HDAC inhibitors reveals selective targeting of HDAC complexes. Nat Biotechnol. 2011 Mar;29(3):255-65.

[2]. Oehme I, et al. Histone deacetylase 10 promotes autophagy-mediated cell survival. Proc Natl Acad Sci U S A. 2013 Jul 9;110(28):E2592-601.

[3]. Xiao Q, et al. Bufexamac ameliorates LPS-induced acute lung injury in mice by targeting LTA4H. Sci Rep. 2016 Apr 29;6:25298.

[4]. Schölz C, et al. Acetylation site specificities of lysine deacetylase inhibitors in human cells. Nat Biotechnol. 2015 Apr;33(4):415-23.

[5]. Suominen MM,et al. Effects of intra-articular injections of bufexamac suspension in healthy horses. Am J Vet Res. 2001 Oct;62(10):1629-35.

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