Liximistat acetate

Cat. No.: HY-136093A

CAS No.: 1422365-94-3

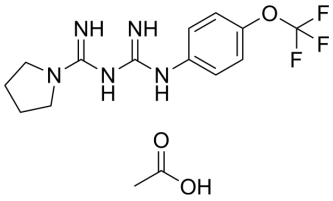
Molecular Formula: $C_{15}H_{20}F_3N_5O_3$

Molecular Weight: 375.35

Target: AMPK; Oxidative Phosphorylation

Pathway: Epigenetics; PI3K/Akt/mTOR

Storage: 4°C, sealed storage, away from moisture and light
* In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture and light)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 50 mg/mL (133.21 mM; ultrasonic and warming and heat to 60°C)

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	2.6642 mL	13.3209 mL	26.6418 mL
	5 mM	0.5328 mL	2.6642 mL	5.3284 mL
	10 mM	0.2664 mL	1.3321 mL	2.6642 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 (6.66 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (6.66 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (6.66 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Liximistat (IM156; HL156A; HL271) acetate, a chemical derivative of Metformin (HY-B0627), is a potent and orally active AMPK activator that increases AMPK phosphorylation. Liximistat (acetate) attenuates aging-associated cognitive impairment in animal model[1][2]. Liximistat (acetate) is a potent oxidative phosphorylation (OXPHOS) inhibitor which can be used for the research of solid tumors[3].

IC_{50} & Target

AMPK[1][2], OXPHOS[3]

In Vitro

Liximistat (acetate) (0.31-10 μM) phosphorylates AMPKα1 Thr172 in a dose- and time-dependent manner in NIH3T3 mouse

fibroblast cells[1].
Lixumistat (acetate) does not affect the expression of key factors involved in glucose homeostasis such as glucose-6-phosphatase (G6pase) or phosphoenolpyruvate carboxykinase 1 (Pck1)[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Western Blot Analysis[1]

Cell Line:	NIH3T3 cells
Concentration:	0.31 μM, 0.62 μM, 1.25 μM, 2.5 μM, 5 μM, 10 μM
Incubation Time:	4 hours
Result:	Significantly increased the AMPK phosphorylation rate.

In Vivo

Lixumistat (acetate) does not affect metabolic regulation assessed by body weight, blood glucose, insulin levels and lipid metabolite content in mice with diet-induced obesity[1].
Lixumistat (acetate) (50 mg/kg; for 2 months) does not affect body weight, general locomotion, or anxiety[2].
Lixumistat (acetate) significantly attenuates the aging-induced decline in novel object recognition memory and spatial working memory[2].
Lixumistat (acetate) significantly increases AMPK activation in the hippocampus of aged mice[2].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	C57BL/6J mice (young group/12-16 weeks, old groups/20-22 months)[2]
Dosage:	50 mg/kg
Administration:	Oral administration (drinking water), for 2 months
Result:	Attenuated age-related cognitive decline.

REFERENCES

[1]. Row H, et al. HL271, a novel chemical compound derived from metformin, differs from metformin in its effects on the circadian clock and metabolism. Biochem Biophys Res Commun. 2016 Jan 15;469(3):783-9.

[2]. Bang E, et al. The Improving Effect of HL271, a Chemical Derivative of Metformin, a Popular Drug for Type II Diabetes Mellitus, on Aging-induced Cognitive Decline. Exp Neurobiol. 2018 Feb;27(1):45-56.

[3]. Sun Young Rha, et al. Phase I study of IM156, a novel potent biguanide oxidative phosphorylation (OXPHOS) inhibitor, in patients with advanced solid tumors. Journal of Clinical Oncology 38(15_suppl):3590-3590.

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