Bezisterim

Cat. No.: HY-108039

CAS No.: 1001100-69-1

Molecular Formula: $C_{21}H_{30}O_3$

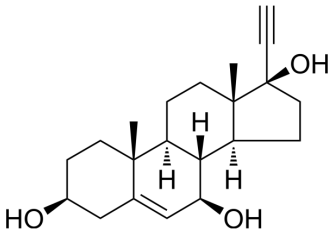
Molecular Weight: 330.46

Target: NF-κB

Pathway: NF-κB

Storage: 4°C, sealed storage, away from moisture

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



SOLVENT & SOLUBILITY

In Vitro

DMSO : 100 mg/mL (302.61 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	3.0261 mL	15.1304 mL	30.2609 mL
	5 mM	0.6052 mL	3.0261 mL	6.0522 mL
	10 mM	0.3026 mL	1.5130 mL	3.0261 mL

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

BIOLOGICAL ACTIVITY

Description

Bezisterim (HE 3286; NE-3107) is a synthetic derivative of a natural anti-inflammatory steroid, β-AET. Bezisterim is an orally active partial NF-κB inhibitor. HE3286 reduces proinflammatory signals, including IL-6 and matrix metallopeptidase 3. Bezisterim freely penetrates the blood brain barrier in mice. Bezisterim can be used for the research of the ulcerative colitis, arthritis, experimental autoimmune encephalomyelitis[1][2][3]. Bezisterim is a click chemistry reagent, it contains an Alkyne group and can undergo copper-catalyzed azide-alkyne cycloaddition (CuAAc) with molecules containing Azide groups.

IC_{50} & Target

NF-κB[3]

In Vitro

Bezisterim attenuates NF-κB phosphorylation, but not influences IκB phosphorylation of LPS-induces (100 ng/mL; 0-2 hours) murine macrophages[3].

Bezisterim (100 nM, overnight) partially blocks the activation of IKK, JNK, p38, and ERK of LPS-induces (100 ng/mL; 0-2 hours) murine macrophages[3].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Western Blot Analysis[3]

Cell Line:	LPS-induced murine macrophages

Concentration:	100 nM
Incubation Time:	overnight
Result:	Attenuated NF-κB phosphorylation. Blocked the activation of IKK, JNK, p38, and ERK partially.

In Vivo

Bezisterim (25-50 mg/kg; oral gavage; daily for 22-49 days) reduces joint inflammation, synovial proliferation, and erosion of DBA/1 Lac male collagen-induced arthritis mice [1].

Bezisterim (40 mg/kg; intraperitoneal injection; daily for 40 days) suppresses inflammation, reduces demyelination and axonal loss, and promotes RGC survival during experimental optic neuritis of experimental autoimmune encephalomyelitis mice[2].

Bezisterim (80?mg/kg; 0-24h) freely penetrates the BBB in male CD-1 mice[4].

Bezisterim (40 mg/kg; gavage; twice-daily for 4 days) increases the numbers of tyrosine hydroxylase-positive cells and decreases the numbers of damaged neurons in Parkinson's disease mice[4].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. Auci D, et al. A new orally bioavailable synthetic androstene inhibits collagen-induced arthritis in the mouse: androstene hormones as regulators of regulatory T cells. Ann N Y Acad Sci. 2007;1110:630-640.

[2]. Khan RS, et al. HE3286 reduces axonal loss and preserves retinal ganglion cell function in experimental optic neuritis. Invest Ophthalmol Vis Sci. 2014;55(9):5744-5751. Published 2014 Aug 19.

[3]. Lu M,et al. A new antidiabetic compound attenuates inflammation and insulin resistance in Zucker diabetic fatty rats. Am J Physiol Endocrinol Metab. 2010;298(5):E1036-E1048.

[4]. Nicoletti F, et al. 17α-Ethynyl-androst-5-ene-3β,7β,17β-triol (HE3286) Is Neuroprotective and Reduces Motor Impairment and Neuroinflammation in a Murine MPTP Model of Parkinson's Disease. Parkinsons Dis. 2012;2012:969418.

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