Otenzepad

Cat. No.: HY-101381

CAS No.: 102394-31-0

Molecular Formula: $C_{24}H_{31}N_5O_2$

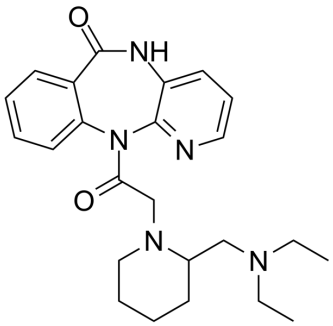
Molecular Weight: 421.54

Target: mAChR

Pathway: GPCR/G Protein; Neuronal Signaling

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 : 25 mg/mL (59.31 mM; ultrasonic and warming and heat to 60°C)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.3723 mL	11.8613 mL	23.7225 mL
	5 mM	0.4745 mL	2.3723 mL	4.7445 mL
	10 mM	0.2372 mL	1.1861 mL	2.3723 mL

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

BIOLOGICAL ACTIVITY

Description

Otenzepad (AF-DX 116) is a selective and competitive M2 muscarinic acetylcholine receptor antagonist, with IC_{50} values of 640 nM and 386 nM for rabbit peripheral lung and rat heart, respectively[1].

IC_{50} & Target

640 nM (M2 muscarinic acetylcholine receptor in rabbit peripheral lung), 386 nM (M2 muscarinic acetylcholine receptor in rat heart)[1].

In Vivo

Otenzepad (0.5, 1 mg/kg, s.c., in rats) significantly improved win-stay acquisition relative to vehicle-injected controls[2].
Otenzepad (2 mg/kg, s.c., in rats) significantly improved retention relative to vehicle controls[2].
Otenzepad (0.3, 1.0, or 3.0 mg/kg, ip, in mice) potentiates the effects of glucose and reverses the effects of insulin on memory[3].

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

Animal Model:	Forty-eight male Long-Evans rats (325-350 g)[2].

Dosage:	0.25, 0.5, 1.0 and 2.0 mg/kg.
Administration:	S.C. on the dorsum of the neck once.
Result:	Doses of 0.5 and 1.0 mg/kg significantly improved acquisition relative to vehicle controls, while doses of 0.25 and 2.0 mg/kg had no effect.

Animal Model:	Adult male Swiss mice (age 60–70 days; weight 25-30 g)[3].
Dosage:	0.3, 1.0, or 3.0 mg/kg.
Administration:	IP once.
Result:	Enhanced retention in an inverted-U dose–response manner, with significant enhancement seen at 1.0 mg/kg ($U_{15,15}$ = 49, $p < 0.02$, compared with saline-saline-injected control group).

REFERENCES

[1]. Bloom JW, et al. Heterogeneity of the M1 muscarinic receptor subtype between peripheral lung and cerebral cortex demonstrated by the selective antagonist AF-DX 116. Life Sci. 1987 Jul 27;41(4):491-6.

[2]. Packard MG, et al. Post-training injection of the acetylcholine M2 receptor antagonist AF-DX 116 improves memory. Brain Res. 1990 Jul 30;524(1):72-6.

[3]. Kopf SR, et al. AF-DX 116, a presynaptic muscarinic receptor antagonist, potentiates the effects of glucose and reverses the effects of insulin on memory. Neurobiol Learn Mem. 1998 Nov;70(3):305-13.

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