BIIE-0246 hydrochloride

Cat. No.: HY-101986A

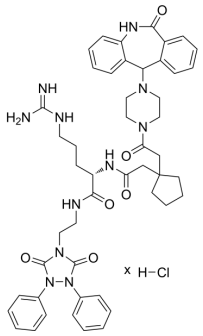
Molecular Formula: $C_{49}H_{57}N_{11}O_6$.xHCl

Target: Neuropeptide Y Receptor

Pathway: GPCR/G Protein; Neuronal Signaling

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 : 40 mg/mL (Need ultrasonic and warming)

BIOLOGICAL ACTIVITY

Description

BIIE-0246 hydrochloride (AR-H 053591 hydrochloride) is a potent and selective NPY2R (neuropeptide Y receptor 2) antagonist with an IC_{50} value of 15 nM for rat [^{125}I]PYY_{3-36}. BIIE-0246 hydrochloride decreases the expression of p-AKT S473, P-p44/42 MAPK under the NPY-stimulated. BIIE-0246 hydrochloride reduces albuminuria in ADR nephropathy[1][2][3].

In Vitro

BIIE-0246 hydrochloride (1 μM; 24 h) decreases the expression of p-AKT S473, P-p44/42 MAPK under the NPY-stimulated (10, 100 ng/mL) in mouse podocytes[3].

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

Western Blot Analysis[3]

Cell Line:	Mouse podocytes
Concentration:	1 μM
Incubation Time:	24 h
Result:	Blocked the NPY-stimulated phosphorylation of Akt and p44/42 MAPK.

In Vivo

BIIE-0246 hydrochloride (1.3 mg/kg; I.p.; daily for 2 or 4.5 weeks) prevents diet-induced obesity in OE-NPYDβH mice, but enhances obesity in WT Mice[1].

BIIE-0246 hydrochloride (10 μg/day; i.p.; daily for 14 days) reduces albuminuria in ADR (adriamycin) nephropathy[3].

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

Animal Model:	Homozygous transgenic male OE-NPYDβH and WT C57Bl/6N mice[1]
Dosage:	1.3 mg/kg
Administration:	I.p.; daily for 2 or 4.5 weeks

Result:	Increased body weight gain in both genotypes on the chow diet caused metabolic disturbances, especially in WT mice. During energy surplus (i.e., on Western diet), blocking of Y2-receptors induced obesity in WT mice and OE-NPYDβH mice showed a reduced fat mass gain, hepatic glycogen and serum cholesterol levels relative to body adiposity.

Animal Model:	Male BALB/c mice[3]
Dosage:	10 μg/day
Administration:	I.p.; daily for 14 days
Result:	Reduces albuminuria in ADR nephropathy.

REFERENCES

[1]. Ailanen L, et al. Peripherally Administered Y2-Receptor Antagonist BIIE0246 Prevents Diet-Induced Obesity in Mice With Excess Neuropeptide Y, but Enhances Obesity in Control Mice. Front Pharmacol. 2018 Apr 5;9:319.

[2]. Dumont Y, et al. BIIE0246, a potent and highly selective non-peptide neuropeptide Y Y(2) receptor antagonist. Br J Pharmacol. 2000 Mar;129(6):1075-88.

[3]. Lay AC, et al. A role for NPY-NPY2R signaling in albuminuric kidney disease. Proc Natl Acad Sci U S A. 2020 Jul 7;117(27):15862-15873.

Caution: Product has not been fully validated for medical applications. For research use only.

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