
Product Data Sheet

Product Name: BIIE 0246
Cat. No.: GC17424

Chemical Properties

Cas. No. 246146-55-4

Chemical Name (S)-5-((diaminomethylene)amino)-N-(2-(3,5-dioxo-1,2-diphenyl-1,2,4-triazolidin-4-yl)ethyl)-2-(2-(1-(2-oxo-2-(4-((S)-6-oxo-6,11-dihydro-5H-dibenzo[b,e]azepin-11-yl)piperazin-1-yl)ethyl)cyclopentyl)acetamido)pentanamide

SMILES O=C(CC1(CC(N[C@H](C(NCCN2C(N(C3=CC=CC=C3)N(C4=CC=CC=C4)C2=O)=O)=O)CCC/N=C(N)\N)=O)CCCC1)N5CCN([C@@H](C6=CC=CC=C67)C8=CC=CC=C8NC7=O)CC5

Formula C₄₉H₅₇N₁₁O₆ M.Wt 896.06

Solubility <67.2mg/ml in DMSO; <23.55mg/ml in ethanol Storage Store at -20°C

General For obtaining a higher solubility, please warm the tube at 37 °C and shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.

Shipping Evaluation sample solution : ship with blue ice All other available size: ship with RT, or blue ice upon Condition request.

Structure

Protocol

Animal experiment:

Mice[2]Homozygous transgenic male OE-NPYDbH and WT mice are used. The mice are housed at 21±3°C with a 12-h light/12-h dark cycle. To study the effect of Y2-receptor antagonism in healthy conditions, standard rodent chow is fed ad libitum to OE-NPYDbH (NPY) and WT mice. To study the effect in DIO, western diet is fed for 8 weeks prior to the drug administration. Drug treatment is studied at the age of 20 weeks. Prior to treatments the mice are habituated for 2 weeks to the handling stress with daily saline injections (i.p). Mice receive 1.3 mg/kg of Y2-receptor antagonist (BIIE-0246) or vehicle with daily IP injections. At termination, mice are fasted for 3 h and blood glucose is measured from awake animals. Mice are then anesthetized with ketamine (75 mg/kg i.p) and medetomidine (1 mg/kg i.p). Subcutaneous, epididymal, retroperitoneal and mesenteric white adipose tissue (WAT) pads, interscapular brown adipose tissue (BAT) and liver are collected and weighed[2].

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

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References:

[1]. 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.

[2]. Liisa Ailanen, et
al. Peripherally
Administered Y2-

Receptor Antagonist
BIIE0246 Prevents

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Enhances Obesity in
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319.

Background

BIIE 0246 is a potent and selective antagonist of neuropeptide Y Y2 receptor with IC50 value of 3.3 nM [1].

Neuropeptide Y Y2 receptor (Y2R) is a G-protein-coupled receptor for neuropeptide Y (NPY), which is widely distributed in the peripheral and central nervous system. Y2R mediates the presynaptic inhibitory effect [2].

BIIE 0246 is a potent and selective Y2R antagonist. In rat hippocampal slices, BIIE0246 (100 nM) suppressed the inhibition of primary afterdischarge activity induced by NPY and [ahx5-24]NPY. Also, BIIE0246 suppressed the inhibitory effects of NPY and [ahx5-24]NPY, which inhibited the population excitatory postsynaptic potential (pEPSP) evoked by stratum radiatum stimulation in area CA1 [2]. In HEK293 cells transfected with the rat Y2 receptor, BIIE0246 exhibited high affinity for specific PYY3-36 binding sites with Ki values of 8-15 nM. In the rat colon, BIIE0246 (1 μM) completely blocked PYY3-36-induced contraction response [3].

In rats, BIIE0246 (1 nM) attenuated the reduction in feeding induced by PYY(3-36) (7.5 nmol/kg). In satiated rats, BIIE0246 significantly increased feeding. These results suggested that Y2R played a critical role in post-prandial satiety [4]. In the elevated plus-maze, BIIE0246 exhibited anxiolytic-like effect [5].

References:

[1]. Doods H, Gaida W, Wieland HA, et al. BIIE0246: a selective and high affinity neuropeptide Y Y(2) receptor antagonist. Eur J Pharmacol, 1999, 384(2-3): R3-5.

[2]. El Bahh B, Cao JQ, Beck-Sickinger AG, et al. Blockade of neuropeptide Y(2) receptors and suppression of NPY's anti-epileptic actions in the rat hippocampal slice by BIIE0246. Br J Pharmacol, 2002, 136(4): 502-509.

[3]. Dumont Y, Cadieux A, Doods H, et al. BIIE0246, a potent and highly selective non-peptide neuropeptide Y Y(2) receptor antagonist. Br J Pharmacol, 2000, 129(6): 1075-1088.

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- [4]. Abbott CR, Small CJ, Kennedy AR, et al. Blockade of the neuropeptide Y Y2 receptor with the specific antagonist BIIE0246 attenuates the effect of endogenous and exogenous peptide YY(3-36) on food intake. *Brain Res*, 2005, 1043(1-2): 139-144.
- [5]. Bacchi F, Mathé AA, Jiménez P, et al. Anxiolytic-like effect of the selective neuropeptide Y Y2 receptor antagonist BIIE0246 in the elevated plus-maze. *Peptides*, 2006, 27(12): 3202-3207.

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