Certificate of Analysis

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Product Name: BIIE 0246 hydrochloride

Catalog No.: 7377 Batch No.: 2

CAS Number: IUPAC Name:

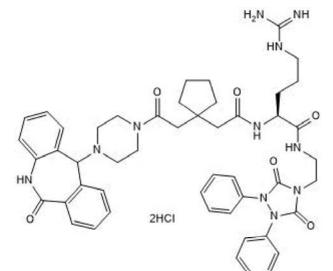
biotech

246146-31-6

N-[(1*S*)-4-[(Aminoiminomethyl)amino]-1-[[[2-(3,5-dioxo-1,2-diphenyl-1,2,4-triazolidin-4-yl)ethyl]amino]carbonyl]butyl]-1-[2-[4-(6,11-dihydro-6-oxo-5*H*-dibenz[*b*,*e*]azepin-11-yl)-1-piperazinyl]-2-oxoethyl]-cyclopentaneacetamide dihydrochloride

1. PHYSICAL AND CHEMICAL PROPERTIES

Batch Molecular Formula: Batch Molecular Weight: Physical Appearance: Solubility: Storage: Batch Molecular Structure: $C_{49}H_{57}N_{11}O_6.2HCI.\frac{1}{2}H_2O$ 977.99 Off White solid DMSO to 100 mM Store at -20°C



2. ANALYTICAL DATA

HPLC: ¹H NMR: Mass Spectrum: Microanalysis:

Shows 99.4% purity Consistent with structure Consistent with structure Carbon Hydrogen Nitrogen Chlorine

| Theoretical | 60.18 | 6.18 | 15.75 | 7.25 |
|-------------|-------|------|-------|------|
| Found | 59.26 | 6.31 | 15.73 | 6.97 |

Caution - Not Fully Tested • Research Use Only • Not For Human or Veterinary Use

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Product Information

2

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Product Name: BIIE 0246 hydrochloride

CAS Number: 246146-31-6

IUPAC Name: N-[(1S)-4-[(Aminoiminomethyl)amino]-1-[[[2-(3,5-dioxo-1,2-diphenyl-1,2,4-triazolidin-4-yl)ethyl]amino]carbonyl]butyl]-1-[2-[4-(6,11-dihydro-6-oxo-5*H*-dibenz[*b*,*e*]azepin-11-yl)-1-piperazinyl]-2-oxoethyl]-cyclopentaneacetamide dihydrochloride

Description:

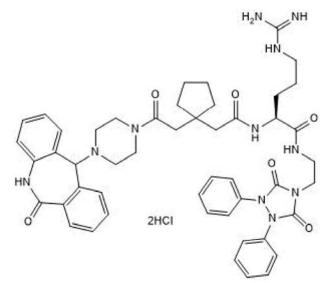
BIIE 0246 hydrochloride is a potent, selective and competitive nonpeptide antagonist for the neuropeptide Y Y_2 receptor (IC₅₀ = 15 nM). Displays > 650-fold selectivity for NPY Y_2 over Y_1 , Y_4 and Y_5 receptors. Active in vivo.

Physical and Chemical Properties:

Batch Molecular Formula: $C_{49}H_{57}N_{11}O_6.2HCl.\frac{1}{2}H_2O$ Batch Molecular Weight: 977.99 Physical Appearance: Off White solid

Minimum Purity: ≥98%

Batch Molecular Structure:



References:

Malmstrom *et al* (2001) Vascular pharmacology of BIIE0246, the first selective non-peptide neuropeptide Y Y₂ receptor antagonist, *in vivo*. Br.J.Pharmacol. *133* 1073. PMID: 11487518.

Dumont *et al* (2000) BIIE0246, a potent and highly selective non-peptide neuropeptide Y Y₂ receptor antagonist. Br.J.Pharmacol. **129** 1075. PMID: 10725255.

Doods *et al* (1999) BIIE0246: a selective and high affinity neuropeptide Y Y₂ receptor antagonist. Eur.J.Pharmacol. **384** R3. PMID: 10611450.

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Storage: Store at -20°C

Solubility & Usage Info:

DMSO to 100 mM

When purchsed as a 1mg unit, this product is supplied as a lyophilized solid and may be very hard to visualize. Solutions should be made by adding solvent directly to the vial. The vial should then be vortexed vigorously to ensure the product has completely dissolved.

Catalog No.: 7377

Stability and Solubility Advice:

Some solutions can be difficult to obtain and can be encouraged by rapid stirring, sonication or gentle warming (in a 45-60°C water bath).

Information concerning product stability, particularly in solution, has rarely been reported and in most cases we can only offer a general guide. Our standard recommendations are:

SOLIDS: Provided storage is as stated on the product label and the vial is kept tightly sealed, the product can be stored for up to 6 months from date of receipt.

SOLUTIONS: We recommend that stock solutions, once prepared, are stored aliquoted in tightly sealed vials at -20°C or below and used within 1 month. Wherever possible solutions should be made up and used on the same day.