

Certificate of Analysis

Print Date: Jan 14th 2016

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Product Name: LSN 2463359 Catalog No.: 5275 Batch No.: 1

CAS Number: 1401031-52-4

IUPAC Name: N-(1-Methylethyl)-5-[2-(4-pyridinyl)ethynyl]-2-pyridinecarboxamide

1. PHYSICAL AND CHEMICAL PROPERTIES

Batch Molecular Formula: $C_{16}H_{15}N_3O$ Batch Molecular Weight: 265.31

Physical Appearance: Off White solid

Solubility: DMSO to 100 mM ethanol to 20 mM

Storage: Store at +4°C

Batch Molecular Structure:

2. ANALYTICAL DATA

HPLC: Shows 99.6% purity

¹H NMR: Consistent with structure

Mass Spectrum: Consistent with structure

Microanalysis: Carbon Hydrogen Nitrogen

Theoretical 72.43 5.7 15.84 Found 72.26 5.67 15.73



Product Information

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IUPAC Name: N-(1-Methylethyl)-5-[2-(4-pyridinyl)ethynyl]-2-pyridinecarboxamide

Description:

Potent and selective positive allosteric modulator at the mGlu $_5$ receptor (EC $_{50}$ = 24 nM). Has no activity at mGlu $_{1-4}$, mGlu $_8$ and GABA $_B$ receptors. Reverses methylazoxymethanol acetate (MAM)-induced deficits in reversal learning memory and normalizes SDZ 220-581 and RO 63-1908-induced alterations in instrumental responses in rat models. Promotes wakefulness in vivo. Brain penetrant and orally active.

Physical and Chemical Properties:

Batch Molecular Formula: C₁₆H₁₅N₃O Batch Molecular Weight: 265.31 Physical Appearance: Off White solid

Minimum Purity: >99%

Batch Molecular Structure:

Storage: Store at +4°C

Solubility & Usage Info:

DMSO to 100 mM ethanol to 20 mM

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.

References:

Gastambide *et al* (2012) Selective remediation of reversal learning deficits in the neurodevelopmental MAM model of schizophrenia by a novel mGlu5 positive allosteric modulator. Neuropsychopharmacology **37** 1057. PMID: 22129780.

Gilmour *et al* (2013) *In vitro* characterisation of the novel positive allosteric modulators of the mGlu₅ receptor, LSN2463359 and LSN2814617, and their effects on sleep architecture and operant responding in the rat. Neuropharmacology *64* 224. PMID: 22884720.

Gastambide *et al* (2013) The mGlu₅ positive allosteric modulator LSN2463359 differentially modulates motor, instrumental and cognitive effects of NMDA receptor antagonists in the rat. Neuropharmacology *64* 240. PMID: 22884612.