

Product Name: EMPA

Catalog No.: 4558

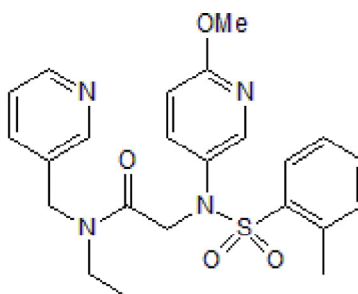
Batch No.: 2

CAS Number: 680590-49-2

IUPAC Name: *N*-Ethyl-2-[(6-methoxy-3-pyridinyl)[(2-methylphenyl)sulfonyl]amino]-*N*-(3-pyridinylmethyl)-acetamide

1. PHYSICAL AND CHEMICAL PROPERTIES

Batch Molecular Formula: C₂₃H₂₆N₄O₄S
Batch Molecular Weight: 454.54
Physical Appearance: Off White solid
Solubility: DMSO to 100 mM
 ethanol to 50 mM
Storage: Store at -20°C
Batch Molecular Structure:



2. ANALYTICAL DATA

TLC: R_f = 0.5 (Dichloromethane:Methanol [9:1])
HPLC: Shows 99.1% purity
¹H NMR: Consistent with structure
Mass Spectrum: Consistent with structure
Microanalysis:

	Carbon	Hydrogen	Nitrogen
Theoretical	60.77	5.77	12.33
Found	60.43	5.86	12.14

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

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Description:

EMPA is a highly potent, selective OX₂ receptor antagonist (IC₅₀ values are 2.3 nM and 1900 nM for OX₂ and OX₁ respectively). Displays negligible or no inhibition of a panel of 80 receptors. Blocks orexin-B- and orexin-A-invoked calcium mobilization in hOX₂-expressing CHO cells (IC₅₀ values are 7.9 nM and 8.8 nM respectively); reverses orexin-B-induced hyperlocomotion in mice. Brain penetrant.

Physical and Chemical Properties:

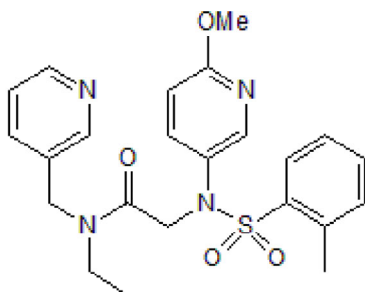
Batch Molecular Formula: C₂₃H₂₆N₄O₄S

Batch Molecular Weight: 454.54

Physical Appearance: Off White solid

Minimum Purity: ≥98%

Batch Molecular Structure:



Storage: Store at -20°C

Solubility & Usage Info:

DMSO to 100 mM

ethanol to 50 mM

This product is supplied in lyophilized form. It may appear as a solid, gel or film and 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.

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. *Unless contradicted by product-specific protocols or instructions, our standard recommendations apply:

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:

Mochizuki *et al* (2011) Orexin receptor 2 expression in the posterior hypothalamus rescues sleepiness in narcoleptic mice. *Proc.Natl.Acad.Sci.U.S.A* **108** 4471. PMID: 21368172.

Malherbe *et al* (2010) Mapping the binding pocket of dual antagonist almorexant to human orexin 1 and orexin 2 receptors: comparison with the selective OX1 antagonist SB-674042 and the selective OX2 antagonist *N*-ethyl-2-[(6-methoxy-pyridin-3-yl)-(toluene-Mol.Pharmacol. **78** 81. PMID: 20404073.

Malherbe *et al* (2009) Biochemical and behavioural characterization of EMPA, a novel high-affinity, selective antagonist for the OX₂ receptor. *Br.J.Pharmacol.* **156** 1326. PMID: 19751316.

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