

# Produktinformation



Forschungsprodukte & Biochemikalien



Zellkultur & Verbrauchsmaterial



Diagnostik & molekulare Diagnostik



Laborgeräte & Service

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# Lieferung & Zahlungsart

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- Gefahrgutzuschlag
- Expressversand

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# PRODUCT INFORMATION



## AZD3839

Item No. 28388

CAS Registry No.: 1227163-84-9

Formal Name: (1S)-1-[2-(difluoromethyl)-4-pyridinyl]-

4-fluoro-1-[3-(5-pyrimidinyl)phenyl]-1H-

isoindol-3-amine

MF:  $C_{24}H_{16}F_3N_5$ 431.4 FW: ≥98% **Purity:** Supplied as: A solid Storage: -20°C Stability: ≥2 years

Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

### **Laboratory Procedures**

AZD3839 is supplied as a solid. A stock solution may be made by dissolving the AZD3839 in the solvent of choice, which should be purged with an inert gas. AZD3839 is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF). The solubility of AZD3839 in ethanol and DMSO is approximately 30 mg/ml and approximately 2 mg/ml in DMF.

AZD3839 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, AZD3839 should first be dissolved in ethanol and then diluted with the aqueous buffer of choice. AZD3839 has a solubility of approximately 0.5 mg/ml in a 1:1 solution of ethanol:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

#### Description

AZD3839 is an inhibitor of beta-secretase 1 (BACE1).1,2 It selectively binds to BACE1 over BACE2 (K,s = 26.1 and 372.4 nM, respectively, for the human enzymes). It also inhibits human ether-a-go-go-related gene (hERG) potassium channels expressed in CHO cells (IC<sub>50</sub> =  $4.8 \mu M$ ).<sup>2</sup> AZD3839 decreases amyloid-β (Aβ) secretion from mouse Neuro2a neuroblastoma cells and primary neurons  $(IC_{50}s = 32.2 \text{ and } 50.9 \text{ nM}, \text{ respectively}).^{1}$  It dose- and time-dependently decreases A $\beta$  levels in the plasma and brain of mice and guinea pigs. AZD3839 also decreases Aβ in the cerebrospinal fluid (CSF) of cynomolgus monkeys when administered at a dose of 20 µmol/kg.

#### References

- 1. Jeppsson, F., Eketjäll, S., Janson, J., et al. Discovery of AZD3839, a potent and selective BACE1 inhibitor clinical candidate for the treatment of Alzheimer disease. J. Biol. Chem. 287(49), 41245-41257 (2012).
- 2. Swahn, B.-M., Kolmodin, K., Karlström, S., et al. Design and synthesis of β-site amyloid precursor protein cleaving enzyme (BACE1) inhibitors with in vivo brain reduction of  $\beta$ -amyloid peptides. J. Med. Chem. **55(21)**, 9346-9361 (2012).

WARNING
THIS PRODUCT IS FOR RESEARCH ONLY - NOT FOR HUMAN OR VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

This material should be considered hazardous until further information becomes available. Do not ingest, inhale, get in eyes, on skin, or on clothing. Wash thoroughly after handling. Before use, the user must review the complete Safety Data Sheet, which has been sent via email to your institution.

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