

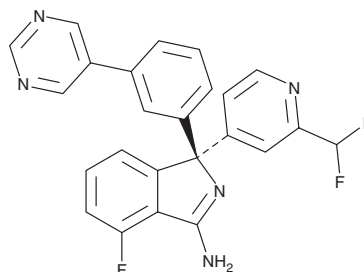
PRODUCT INFORMATION



AZD 3839

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-indol-3-amine
MF: C₂₄H₁₆F₃N₅
FW: 431.4
Purity: ≥98%
Supplied as: A solid
Storage: -20°C
Stability: ≥4 years



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

Laboratory Procedures

AZD 3839 is supplied as a solid. A stock solution may be made by dissolving the AZD 3839 in the solvent of choice, which should be purged with an inert gas. AZD 3839 is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF). The solubility of AZD 3839 in ethanol and DMSO is approximately 30 mg/ml and approximately 2 mg/ml in DMF.

AZD 3839 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, AZD 3839 should first be dissolved in ethanol and then diluted with the aqueous buffer of choice. AZD 3839 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

AZD 3839 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).¹ It also inhibits human ether-a-go-go-related gene (hERG) potassium channels expressed in CHO cells (IC₅₀ = 4.8 μM).² AZD 3839 decreases amyloid-β (Aβ) secretion from mouse Neuro2a neuroblastoma cells and primary neurons (IC₅₀s = 32.2 and 50.9 nM, respectively).¹ It dose- and time-dependently decreases Aβ levels in the plasma and brain of mice and guinea pigs. AZD 3839 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 β-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.

SAFETY DATA

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.

WARRANTY AND LIMITATION OF REMEDY

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CAYMAN CHEMICAL

1180 EAST ELLSWORTH RD
ANN ARBOR, MI 48108 · USA

PHONE: [800] 364-9897
[734] 971-3335

FAX: [734] 971-3640

CUSTSERV@CAYMANCHEM.COM
WWW.CAYMANCHEM.COM