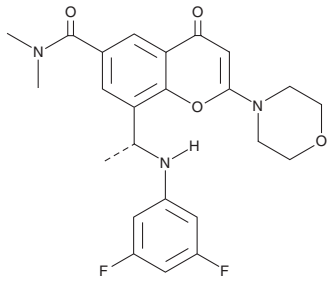
PRODUCT INFORMATION

AZD 8186

Item No. 17384

CAS Registry No.:	1627494-13-6
Formal Name:	8-[(1R)-1-[(3,5-difluorophenyl)amino] ethyl]-N,N-dimethyl-2-(4-morpholinyl)-4-oxo-4H-1-benzopyran-6-carboxamide
MF:	$C_{24}H_{25}F_2N_3O_4$
FW:	457.5
Purity:	≥98%
UV/Vis.:	λ_{max}: 219, 242, 311 nm
Supplied as:	A crystalline 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 8186 is supplied as a crystalline solid. A stock solution may be made by dissolving the AZD 8186 in the solvent of choice, which should be purged with an inert gas. AZD 8186 is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide. The solubility of AZD 8186 in these solvents is approximately 0.5, 2, and 3 mg/ml, respectively.

AZD 8186 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, AZD 8186 should first be dissolved in DMSO and then diluted with the aqueous buffer of choice. AZD 8186 has a solubility of approximately 0.5 mg/ml in a 1:1 solution of DMSO:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

AZD 8186 is a selective PI3Kβ/δ inhibitor that exhibits IC_{50} values of 3, 17, and 752 nM for PI3Kβ, δ, and α, respectively, in cells sensitive to isoform-specific PI3K inhibition.[1,2] It shows no significant binding against a panel of 442 other kinases when tested at a concentration of 10 µM and exhibits high oral efficacy in mouse cancer models.[1] AZD 8186 inhibits growth of a range of cell lines, with preferential activity in cells with PTEN mutation or deficiency, and inhibits growth of prostate and triple negative breast cancer tumors *in vivo*, both as a single agent and in combination with docetaxel (Item No. 11637).[2]

References

1. Barlaam, B., Cosulich, S., Degorce, S., *et al.* Discovery of (R)-8-(1-(3,5-difluorophenylamino)ethyl)-N,N-dimethyl-2-morpholino-4-oxo-4H-chromene-6-carboxamide (AZD8186): A potent and selective inhibitor of PI3Kβ and PI3Kδ for the treatment of PTEN-deficient cancers. *J. Med. Chem.* **58(2)**, 943-962 (2015).
2. Hancox, U., Cosulich, S., Hanson, L., *et al.* Inhibition of PI3Kβ signaling with AZD8186 inhibits growth of PTEN-deficient breast and prostate tumors alone and in combination with docetaxel. *Mol. Cancer Ther.* **14(1)**, 48-58 (2015).

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