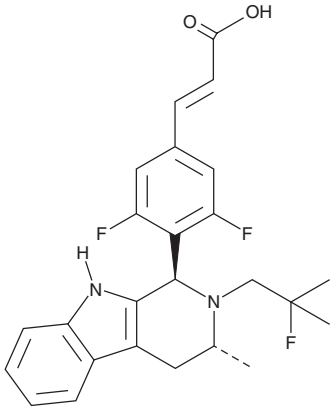
PRODUCT INFORMATION

AZD 9496

Item No. 21805



CAS Registry No.:	1639042-08-2
Formal Name:	(2E)-3-[3,5-difluoro-4-[(1R,3R)-2-(2-fluoro-2-methylpropyl)-2,3,4,9-tetrahydro-3-methyl-1H-pyrido[3,4-b]indol-1-yl]phenyl]-2-propenoic acid
MF:	$C_{25}H_{25}F_3N_2O_2$
FW:	442.5
Purity:	≥98%
UV/Vis.:	λ_{max}: 225, 276 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 9496 is supplied as a crystalline solid. A stock solution may be made by dissolving the AZD 9496 in the solvent of choice, which should be purged with an inert gas. AZD 9496 is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide. The solubility of AZD 9496 in these solvents is approximately 30 mg/ml.

AZD 9496 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, AZD 9496 should first be dissolved in ethanol and then diluted with the aqueous buffer of choice. AZD 9496 has a solubility of approximately 0.14 mg/ml in a 1:6 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 9496 is a potent and selective estrogen receptor downregulator (SERD) with an IC_{50} value of 0.138 nM for estrogen receptor α (ERα) downregulation.[1] It is selective for ERα compared to other nuclear hormone receptors with IC_{50} values of 0.0008, 0.54, 9.2, and 30 µM for ERα, progesterone receptor (PR), glucocorticoid receptor (GR), and androgen receptor (AR), respectively. AZD 9496 decreases ERα activity (IC_{50} = 0.282 nM), measured *via* quantification of downstream PR activity, and reduces proliferation of MCF-7 breast cancer cells (IC_{50} = 0.0398 nM). It also inhibits MCF-7 xenograft growth in mice in a dose-dependent manner.

Reference

1. De Savi, C., Bradbury, R.H., Rabow, A.A., *et al.* Optimization of a novel binding motif to (E)-3-(3,5-difluoro-4-((1R,3R)-2-(2-fluoro-2-methylpropyl)-3-methyl-2,3,4,9-tetrahydro-1H-pyrido[3,4-b]indol-1-yl)phenyl) acrylic acid (AZD9496), a potent and orally bioavailable selective estrogen receptor downregulator and antagonist. *J. Med. Chem.* **58(20)**, 8128-8140 (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