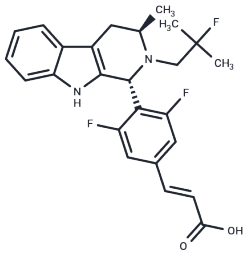
Data Sheet (Cat.No.T3975)

TargetMol

AZD9496

Chemical Properties



CAS No. : 1639042-08-2

Formula: C25H25F3N2O2

Molecular Weight: 442.47

Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year
Actual storage temperature shall be subject to the COA.

Biological Description

Description	AZD9496 is an orally available selective estrogen receptor(ERα) antagonist, with potential antineoplastic activity.
Targets(IC50)	Estrogen Receptor/ERR,Estrogen/progestogen Receptor
In vitro	AZD9496 showed pmol/L equipotent binding to both ERα and ERβ isoforms. AZD9496 directly targets ERα for downregulation in vitro. And it also antagonizes and downregulates mutant ER in vitro and in vivo. The IC50s of ERα binding, ERα downregulation, ERα antagonism for AZD9496 are 0.82, 0.14 and 0.28 nM, respectively [1].
In vivo	AZD9496 showed high oral bioavailability across three species (F% 63, 91, and 74, rat, mouse, and dog, respectively) with generally low volume and clearance across species, albeit a higher clearance in mouse. The percent free levels in human plasma of 0.15% were 5-fold higher than those measured for fulvestrant. AZD9496 is a potent, oral inhibitor of breast tumor growth in vivo. AZD9496 causes tumor regressions in combination with PI3K pathway and CDK4/6 inhibitors and in an estrogen-deprived ER+ model of resistance. This effect was accompanied by a dose-dependent decrease in PR protein levels. AZD9496 is currently being evaluated in a phase I Clinicalal trial[1].
Cell Research	Cells were grown in steroid-free conditions in SILAC media containing 13C615N4 L-arginine to label ERα peptide as "heavy" and then switched to grow in media containing unlabeled l-arginine to label newly synthesized protein as "normal" with 0.1% DMSO, 300 nmol/L tamoxifen, 100 nmol/L AZD9496, or 100 nmol/L fulvestrant for the time indicated. (Only for Reference)

Solubility Information

Solubility	DMSO: 125 mg/mL (282.51 mM),Sonication is recommended. H2O: < 1 mg/mL (insoluble or slightly soluble), Ethanol: 82 mg/mL (185.32 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+40% PEG300+5% Tween 80+45% Saline: 3.3 mg/mL (7.46 mM),Sonication is recommended. *Please add the solvents sequentially, clarifying the solution as much as possible before adding the next one. Dissolve by heating and/or sonication if necessary. Working solution is recommended to be prepared and*

In vivo Formulation	*used immediately. The formulation provided above is for reference purposes only. In vivo formulations may vary and should be modified based on specific experimental conditions.*

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.260 mL	11.3002 mL	22.6004 mL
5 mM	0.452 mL	2.260 mL	4.5201 mL
10 mM	0.226 mL	1.130 mL	2.260 mL
50 mM	0.0452 mL	0.226 mL	0.452 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

Weir HM, et al. Cancer Res. 2016, 76(11):3307-18.