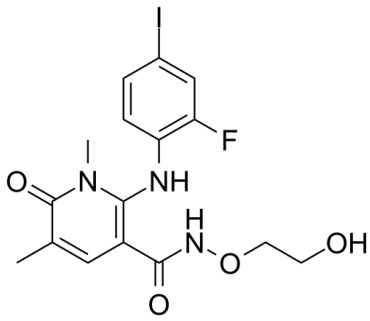
Data Sheet

Product Name:	AZD8330
Cat. No.:	CS-0217
CAS No.:	869357-68-6
Molecular Formula:	C16H17FIN3O4
Molecular Weight:	461.23
Target:	MEK
Pathway:	MAPK/ERK Pathway
Solubility:	DMSO : ≥ 100 mg/mL (216.81 mM)



BIOLOGICAL ACTIVITY:

AZD8330 (ARRY-424704) is a potent, uncompetitive **MEK1/MEK2** inhibitor, with an **IC_{50}** of 7 nM. IC50 & Target: IC50: 7 nM (MEK1/MEK2)[1] **In Vitro:** AZD8330 is a selective allosteric MEK1/ MEK2 inhibitor. Exposing human osteosarcoma cell lines MOS, U2OS, and 143B to a concentration of 0.5 μM of Trametinib, AZD8330 or TAK-733 for 6 hours, leads to loss of ERK phosphorylation indicating effective MEK inhibition.The activity of these three inhibitors is tested using concentration ranges in six osteosarcoma cell lines: MOS, U2OS, KPD, ZK58, 143b and Saos-2. All three inhibitors decrease viability of MOS and U2OS and strongly affect 143b. By contrast, viability of KPD, ZK58 and Saos-2 is not affected by any of the three inhibitors[2]. **In Vivo:** In tumour xenograft models, AZD8330 demonstrates dose-dependent tumour growth inhibition of approximately 90% at tolerated doses (1.0 mg/kg once daily [OD])[1].

PROTOCOL (Extracted from published papers and Only for reference)

Cell Assay: [2]**Human osteosarcoma cell lines MOS, U2OS, 143B, ZK58, KPD and Saos-2** are grown in RPMI1640 medium supplemented with 10% fetal bovine serum and 25 U/mL Penicillin and 25 μg/mL of Penicillin-Streptomycin. All cells are cultured in a humidified incubator at 37°C with 5% CO_2. Dose response curves for Trametinib, **AZD8330 (10 nM, 100 nM, and 1 μM)** and TAK-733 in 6 osteosarcoma cell lines as indicated. Cells are exposed for 72 hours. Cells are processed using the ATPlite 1Step kit, followed by luminescence measurement on a plate reader[2].

References:

[1]. Cohen RB, et al. A phase I dose-finding, safety and tolerability study of AZD8330 in patients with advanced malignancies. Eur J Cancer. 2013 May;49(7):1521-9.

[2]. Baranski Z, et al. MEK inhibition induces apoptosis in osteosarcoma cells with constitutive ERK1/2 phosphorylation. Genes Cancer. 2015 Nov;6(11-12):503-12.

CAIndexNames:

3-Pyridinecarboxamide, 2-[(2-fluoro-4-iodophenyl)amino]-1,6-dihydro-N-(2-hydroxyethoxy)-1,5-dimethyl-6-oxo-

SMILES:

IC1=CC(F)=C(C=C1)NC(N2C)=C(C=C(C2=O)C)C(NOCCO)=O

Caution: Product has not been fully validated for medical applications. For research use only.

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