AZD8330

Cat. No.: HY-12058

CAS No.: 869357-68-6

Molecular Formula: $C_{16}H_{17}FIN_3O_4$

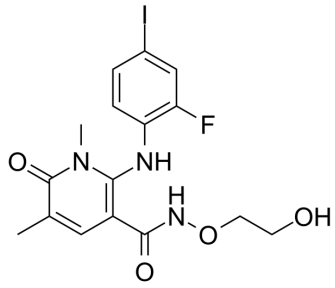
Molecular Weight: 461.23

Target: MEK

Pathway: MAPK/ERK Pathway

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	2 years
	-20°C	1 year



SOLVENT & SOLUBILITY

In Vitro

DMSO : ≥ 100 mg/mL (216.81 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	2.1681 mL	10.8406 mL	21.6812 mL
5 mM	0.4336 mL	2.1681 mL	4.3362 mL
10 mM	0.2168 mL	1.0841 mL	2.1681 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 3.25 mg/mL (7.05 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 3.25 mg/mL (7.05 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 3.25 mg/mL (7.05 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

AZD8330 (ARRY-424704) is a potent, uncompetitive MEK1/MEK2 inhibitor, with an IC_{50} of 7 nM.

IC_{50} & Target

MEK1	MEK2
7 nM (IC_{50})	7 nM (IC_{50})

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]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
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]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

PROTOCOL

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]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- Cell Res. 2018 Dec;28(12):1171-1185.
- Sci Transl Med. 2018 Jul 18;10(450):eaaq1093.
- Clin Cancer Res. 2014 Nov 1;20(21):5483-95.
- Glia. 2019 Jul;67(7):1320-1332.
- Viruses. 2022 Nov 8;14(11):2466.

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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.

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