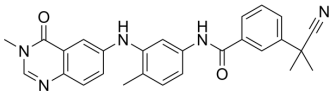
AZ 628

Cat. No.:	HY-11004
CAS No.:	878739-06-1
Molecular Formula:	$C_{27}H_{25}N_5O_2$
Molecular Weight:	451.52
Target:	Raf; Apoptosis
Pathway:	MAPK/ERK Pathway; Apoptosis
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 : ≥ 50 mg/mL (110.74 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	2.2147 mL	11.0737 mL	22.1474 mL
5 mM	0.4429 mL	2.2147 mL	4.4295 mL
10 mM	0.2215 mL	1.1074 mL	2.2147 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: ≥ 2.5 mg/mL (5.54 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (5.54 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

AZ 628 is a pan-Raf kinase inhibitor with IC_{50}s of 105, 34 and 29 nM for B-Raf, B-RafV600E, and c-Raf-1, respectively.

IC_{50} & Target

c-Raf-1	$B\text{-}Raf^{V600E}$	B-Raf
29 nM (IC_{50})	34 nM (IC_{50})	105 nM (IC_{50})

In Vitro

AZ 628 reduces activities of preactivated B-Raf, B-RafV600E, and c-Raf-1 in in vitro kinase assays, with IC_{50} values of 105, 34 and 29 nM, respectively. AZ 628 also inhibits activation of number of tyrosine protein kinases including VEGFR2, DDR2, Lyn, Flt1, FMS and others. AZ 628 inhibits anchorage-dependent and -independent growth, causes cell cycle arrest, and induces apoptosis in colon and melanoma cell lines harboring B-RafV600E mutation[1].

AZ 628 suppresses growth in cells expressing K-RAS^{G13D}. Inhibition of RAF with AZ 628 suppresses MEK and ERK phosphorylation. AZ 628 selectively affects viability in K-RAS mutant cells[2].

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

PROTOCOL

Cell Assay [2]

Cell viability quantified by Syto60 after 72 hours of AZ 628 (0.5, 1.0, and 1.5 μM), CI-1040 or BAY61-3606 treatment in HCT-116 (K-RAS$^{G13D/+}$) or HKe-3 (K-RAS$^{-/+}$) cell lines. Relative cell viability is normalized to DMSO vehicle treated control for each cell line[2].

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

CUSTOMER VALIDATION

- Cell Discov. 2023 Mar 7;9(1):26.
- Exp Mol Med. 2021 Apr 2.
- Mol Syst Biol. 2015 Mar 26;11(3):797.
- Cell Syst. 2020 Nov 18;11(5):478-494.e9.
- Int Immunopharmacol. 2022 Aug 8;111:109085.

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REFERENCES

[1]. Khazak V, et al. Selective Raf inhibition in cancer therapy. Expert Opin Ther Targets. 2007 Dec;11(12):1587-609.

[2]. Lau KS, et al. BAY61-3606 affects the viability of colon cancer cells in a genotype-directed manner. PLoS One. 2012;7(7):e41343.

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