产品名称：3-(1-氰基-1-甲基乙基)-N-[3-[(3,4-二氢-3-甲基-4-氧代-6-喹唑啉基)氨基]-4-甲基苯基]苯甲酰胺
产品别名： AZ 628

生物活性：

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	B-Raf	B-Raf^{V600E}	c-Raf-1
	105 nM (IC_{50})	34 nM (IC_{50})	29 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].		

Solvent&Solubility

In Vitro:

DMSO： ≥ 50 mg/mL (110.74 mM)

H_2O : < 0.1 mg/mL (insoluble)

* "≥" 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

*请根据产品在不同溶剂中的溶解度选择合适的溶剂配制储备液；一旦配成溶液，请分装保存，避免反复冻融造成的产品失效。

储备液的保存方式和期限：-80°C, 6 months; -20°C, 1 month。-80°C 储存时，请在 6 个月内使用，-20°C 储存时，请在 1 个月内使用。

In Vivo:

请根据您的实验动物和给药方式选择适当的溶解方案。以下溶解方案都请先按照 **In Vitro** 方式配制澄清的储备液，再依次添加助溶剂：

——为保证实验结果的可靠性，澄清的储备液可以根据储存条件，适当保存；体内实验的工作液，建议您现用现配，当天使用； 以下溶剂前显示的百分比是指该溶剂在您配制终溶液中的体积占比；如在配制过程中出现沉淀、析出现象，可以通过加热和/或超声的方式助溶

1.请依序添加每种溶剂： 10% DMSO→40% PEG300 →5% Tween-80 → 45% saline

Solubility: ≥ 2.5 mg/mL (5.54 mM); Clear solution

此方案可获得 ≥ 2.5 mg/mL (5.54 mM，饱和度未知) 的澄清溶液。

以 1 mL 工作液为例，取 100 μL 25.0 mg/mL 的澄清 DMSO 储备液加到 400 μL PEG300 中，混合均匀；向上述体系中加入 50 μL Tween-80，混合均匀；然后继续加入 450 μL 生理盐水定容至 1 mL。

2.请依序添加每种溶剂： 10% DMSO →90% corn oil

Solubility: ≥ 2.5 mg/mL (5.54 mM); Clear solution

此方案可获得 ≥ 2.5 mg/mL (5.54 mM，饱和度未知) 的澄清溶液，此方案不适用于实验周期在半个月以上的

	实验。 以 1 mL 工作液为例，取 100 μL 25.0 mg/mL 的澄清 DMSO 储备液加到 900 μL 玉米油中，混合均匀。
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.

实验参考：

Cell Assay	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]
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.