产品名称：**AZD2932**
产品别名：**AZD2932**

生物活性：

Description	AZD2932 is a potent and multi-targeted kinase inhibitor VEGFR2, PDGFβ, Flt-3 and c-Kit with IC_{50}s of 8, 4, 7 and 9 nM in cell assay, respectively.			
IC_{50} & Target	VEGFR2	PDGFRβ	FLT3	c-Kit
	8 nM (IC_{50})	4 nM (IC_{50})	7 nM (IC_{50})	9 nM (IC_{50})
In Vitro	AZD2932 has a potent and balanced profile against PDGFβ, VEGFR-2, Flt-3 and c-Kit. It does not inhibit the various cytochrome P450 isoforms with the worst IC50 being against 2C9 (8.0 μM). AZD2932 has no activity against hERG (IC50=137 μM)[1].			
In Vivo	Twice daily oral dosing (b.i.d.) of AZD2932 10 h apart results in significant tumor growth inhibition of 64% for both 50 and 12.5 mg/kg doses on the day the control animals are terminated. Xenografts bearing non PDGFβ expressing tumor cells are also sensitive to AZD2932 treatment: growth of Calu-6 tumor is inhibited by 81% and 72% at 50 and 12.5 mg/kg b.i.d. and and LoVo tumors by 67% at 50 mg/kg b.i.d. This is due AZD2932 potent activity against VEGFR2 as well as a potential effect on pericytes and tumor-associated fibroblasts due to PDGFR a and b inhibition. AZD2932 at 3–50 mg/kg b.i.d. 10 h apart gives 60–80% inhibition of both p-VEGFR2 and p-PDGFβ in a 1:1 ratio[1].			
Solvent&Solubility	***In Vitro:*** **DMSO : ≥ 41 mg/mL (91.62 mM)** * "≥" means soluble, but saturation unknown.			

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.2347 mL	11.1734 mL	22.3469 mL
	5 mM	0.4469 mL	2.2347 mL	4.4694 mL
	10 mM	0.2235 mL	1.1173 mL	2.2347 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。

References	[1]. Plé PA, et al. Discovery of AZD2932, a new Quinazoline Ether Inhibitor with high affinity for VEGFR-2 and PDGFR tyrosine kinases. Bioorg Med Chem Lett. 2012 Jan 1;22(1):262-6.

实验参考：

Animal Administration	Mice: To confirm that AZD2932 has similar potency against both PDGFβ and VEGFR-2 phosphorylation, the female nude mice bearing C6 tumors are dosed iv with VEGF-A and $PDGF_{BB}$ 5 min prior to cull and 6 h post last dose of AZD2932 and the lungs excised immediately after. Lung lysates are analyzed by western blot for total and phosphorylated VEGFR-2 and PDGFβ[1]
References	[1]. Plé PA, et al. Discovery of AZD2932, a new Quinazoline Ether Inhibitor with high affinity for VEGFR-2 and PDGFR tyrosine kinases. Bioorg Med Chem Lett. 2012 Jan 1;22(1):262-6.