SZABO SCANDIC

Part of Europa Biosite

Produktinformation

- Forschungsprodukte & Biochemikalien
- Zellkultur & Verbrauchsmaterial
- Diagnostik & molekulare Diagnostik
- Laborgeräte & Service

Weitere Information auf den folgenden Seiten!
See the following pages for more information!

Lieferung & Zahlungsart

siehe unsere Liefer- und Versandbedingungen

Zuschläge

- Mindermengenzuschlag
- Trockeneiszuschlag
- Gefahrgutzuschlag
- Expressversand

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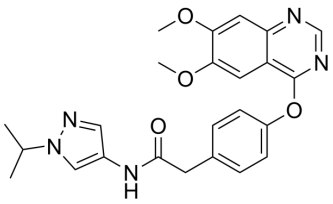
AZD2932

Cat. No.:	HY-18179
CAS No.:	883986-34-3
Molecular Formula:	$C_{24}H_{25}N_5O_4$
Molecular Weight:	447.49
Target:	PDGFR; VEGFR; FLT3; c-Kit
Pathway:	Protein Tyrosine Kinase/RTK
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 : 10 mg/mL (22.35 mM; Need ultrasonic)

Preparing Stock Solutions	Mass / Solvent / 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

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: ≥ 1 mg/mL (2.23 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: 1 mg/mL (2.23 mM); Suspended solution; Need ultrasonic
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 1 mg/mL (2.23 mM); Clear solution

BIOLOGICAL ACTIVITY

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 IC_{50} being against 2C9 (8.0 μM). AZD2932 has no activity against hERG (IC_{50}=137 μM)[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
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]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

PROTOCOL

Animal Administration [1]	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 PDGF β[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

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.

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