Product Data Sheet

Product Name: KDR-in-4
Cat. No.: GC32625

Chemical Properties

Cas. No.	408502-06-7		
SMILES	O=C1NC2=C(C=CC=C2)C=C1C(N3)=CC4=C3C=CC(OCCN(CCOC)C)=C4		
Formula	$C_{23}H_{25}N_3O_3$	M.Wt	391.46
Solubility	Soluble in DMSO	Storage	Store at -20°C
General tips	For obtaining a higher solubility , please warm the tube at 37 ℃ and shake it in the ultrasonic bath for a while.Stock solution can be stored below -20℃ for several months.		
Shipping Condition	Evaluation sample solution : ship with blue ice All other available size: ship with RT , or blue ice upon request.		
Structure	KDR-in-4		

Protocol

Animal experiment:

Rats: KDR-in-4 is dosed by oral gavage for 12 days at 0, 10, 30, or 100 mg/kg in an adult male Brown Norway rat laser induced choroidal neovascularization (CNV) model. The areas of CNV lesions are quantitated by fluorescence image analysis of FITC-dextran perfused animals. KDR-in-4 is also assessed in a rat oxygen induced retinopathy (OIR) model in which neonatal rats are placed in an oxygen chamber that delivered alternating 24 h cycles of 50% and 10% oxygen for 14 days. After 14 days of oxygen treatment, the animals are returned to room air and dosed orally for 7 days with 0, 10, or 30 mg/kg kinase inhibitor. The extent of retinal neovascularization is assessed by counting pre-retinal neovascular nuclei on histological sections[2].

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References:

[1]. Fang YQ, et al. Efficient syntheses of KDR kinase inhibitors using a Pd-catalyzed tandem C-N/Suzuki coupling as the key step. J Org Chem. 2007 Feb 16;72(4):1341-6.
[2]. Kinose F, et al. Inhibition of retinal and choroidal neovascularization by a novel KDR kinase inhibitor. Molecular Vision 2005; 11:366-373

Background

KDR-in-4 is a potent kinase insert domain-containing receptor (KDR/VEGFR2) inhibitor with an IC50 of 7 nM.

KDR (kinase insert domain-containing receptor) is one of the human tyrosine kinases that has a high affinity for vascular endothelial growth factor (VEGF) and is believed to be a primary mediator of tumor-induced angiogenesis[1].

KDR-in-4 may prove to be useful for the treatment of a variety of ocular neovascular diseases using a convenient oral dosing regimen. At doses of 100 mg/kg, KDR-in-4 results in a 98% reduction in lesion size in the rat choroidal neovascularization (CNV)

model. 30 mg/kg doses of KDR-in-4 shows a 70% and 80% reduction in lesion size in the laser CNV and rat oxygen induced retinopathy (OIR) models, respectively[2].

[1]. Fang YQ, et al. Efficient syntheses of KDR kinase inhibitors using a Pd-catalyzed tandem C-N/Suzuki coupling as the key step. J Org Chem. 2007 Feb 16;72(4):1341-6. [2]. Kinose F, et al. Inhibition of retinal and choroidal neovascularization by a novel KDR kinase inhibitor. Molecular Vision 2005; 11:366-373

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