Product Data Sheet

Product Name: ZK-261991
Cat. No.: GC31816

Chemical Properties

Cas. No.	886563-25-3		
SMILES	O=C(NC1=CC2=NN(C)C=C2C=C1)C3=CC=CC=C3NCC4=CC(NC(N(C)C)=O)=NC=C4		
Formula	$C_{24}H_{25}N_7O_2$	M.Wt	443.5
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	ZK-261991		

Protocol

Cell experiment:

HLMVECs are cultured in EGM 2-MV medium. For the cytotoxicity assay, cells are seeded in 96-well plates in EGM 2-MV medium at a density of 5×103 cells/well. Medium is changed every second day, and cells are cultured until reaching confluence. Then the medium is replaced with serum-free medium containing a tyrosine kinase inhibitor (PTK/ZK or ZK991; concentration 20 nM) and left overnight. Control cells receive the substance vehicle. The next day, cytotoxicity assay (WST-1 based) is performed according to the manufacturer's instructions. Colorimetric analysis is performed with an ELISA reader. Subsequent statistical analysis is performed, and graphs are drawn. The number of wells per group is as follows: PTK/ZK control group, n = 30; PTK/ZK 20 nM, n = 30; ZK991 control group, n = 30; ZK991 20 nM, n = 30.

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Animal experiment:

Before corneal neovascularization, each animal is deeply anesthetized. Three 11-0 nylon sutures are placed intrastromally with two stromal incursions extending over 120°C of corneal circumference each. The outer point of suture placement is chosen near the limbus, and the inner suture point is chosen near the corneal center equidistant from the limbus to obtain standardized angiogenic responses. Sutures are left in place for 14 days. The first treatment group receive the tyrosine kinase inhibitor PTK/ZK (75 mg/kg, orally, twice daily), the second treatment group receive the tyrosine kinase inhibitor ZK991 (50 mg/kg, orally, twice daily), and control mice receive equal amounts of the substance vehicle. After 2 weeks, mice are killed and corneas are prepared. The corneal neovascularization assay includes 22 mice in the control group, 11 mice in the PTK/ZK treatment group, and 11 mice in the ZK991 treatment group.

References:

[1]. Deniz Hos, et al. Inflammatory Corneal (Lymph)angiogenesis Is Blocked by VEGFR-Tyrosine Kinase Inhibitor ZK 261991, Resulting in Improved Graft Survival after Corneal Transplantation. Investigative Ophthalmology & Visual Science May 2008, Vol.49, 1836-1842.

Background

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ZK-261991 is an orally active VEGFR tyrosine kinase inhibitor with an IC50 of 5 nM for VEGFR2.

ZK-261991 inhibits cellular receptor autophosphorylation in KDR-PAECs with an IC50 of 2 nM. ZK991 inhibits VEGFR-3 autophosphorylation concentration dependently with an IC50 of 20 nM[1].

ZK991 results in a significantly reduced recruitment of CD11b+ and LYVE-1+ cells into the murine cornea. ZK991 significantly improves the graft survival rate after corneal transplantation[1].

[1]. Deniz Hos, et al. Inflammatory Corneal (Lymph)angiogenesis Is Blocked by VEGFR-Tyrosine Kinase Inhibitor ZK 261991, Resulting in Improved Graft Survival after Corneal Transplantation. Investigative Ophthalmology & Visual Science May 2008, Vol.49, 1836-1842.

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