Screening Libraries

Product Data Sheet

DCZ19931

Cat. No.: HY-152087 CAS No.: 2789629-84-9 Molecular Formula: $C_{26}H_{20}F_{5}N_{3}O_{5}$ Molecular Weight: 549.45

Target: ERK; p38 MAPK

Pathway: MAPK/ERK Pathway; Stem Cell/Wnt

Please store the product under the recommended conditions in the Certificate of Storage:

Analysis.

BIOLOGICAL ACTIVITY

IC₅₀ & Target

In Vitro

Description DCZ19931 is a potent multi-targeting kinase inhibitor. DCZ19931 has anti-angiogenic effects on ocular neovascularization. DCZ19931 also inhibits ERK1/2-MAPK and p38-MAPK signaling^[1].

ERK2

ERK1

DCZ19931 (1 nM-10 μM; 24 h) shows no obvious cytotoxicity against human umbilical vein endothelial cells (HUVECs)^[1]. DCZ19931 (500 nM; 24 h) suppresses (10 ng/mL; 12 h) VEGF-induced proliferation, migration, and tube formation ability of endothelial cells^[1].

p38 MAPK

DCZ19931 (500 nM; 24 h) inhibits vascular permeability via downregulation of ICAM-1 expression^[1]. DCZ19931 (500 nM; 24 h) reduces the expression levels of p-ERK1/2, p-p38, and p-JNK in HUVECs^[1].

DCZ19931 also shows anti-angiogenic effects in mouse choroidal sprouting assays^[1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Western Blot Analysis^[1]

Cell Line:	Human umbilical vein endothelial cells (HUVECs)
Concentration:	500 nM; with or without 50 ng/mL VEGF for 30 min
Incubation Time:	24 hours
Result:	Decreased expression of phosphorylated ERK and phosphorylated p38.

In Vivo

DCZ19931 (1 µL, 1 µg/µL; intravitreal injection; single dose) inhibits ocular neovascularization in mice oxygen-induced retinopathy (OIR) model^[1].

DCZ19931 (2 μ L, 1 μ g/ μ L; intravitreal injection; 7 d) has no tissue toxicity, and inhibits ocular neovascularization in mice with laser-induced choroidal neovascularization (CNV) model^[1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Laser-induced choroidal neovascularization (CNV) model in $mice^{[1]}$
Dosage:	2 μL, 1 μg/μL
Administration:	Intravitreal injection; single dose, monitored for 7 d following laser photocoagulation

Result:	Did not cause marked histopathological changes in retinal structures. Decreased the areas of CNV lesions, showed anti-angiogenic effect in vivo.
Animal Model:	Oxygen-induced retinopathy (OIR) model in $mice^{[1]}$
Dosage:	1 μL, 1 μg/μL
Administration:	Intravitreal injection; single dose
Result:	Further showed anti-angiogenic effect in vivo, inhibited ocular neovascularization.

REFERENCES

[1]. Zhang H, et al. DCZ19931, a novel multi-targeting kinase inhibitor, inhibits ocular neovascularization. Sci Rep. 2022 Dec 13;12(1):21539.

 $\label{lem:caution:Product} \textbf{Caution: Product has not been fully validated for medical applications. For research use only.}$

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