Rhamnazin

Cat. No.: HY-N8342

CAS No.: 552-54-5

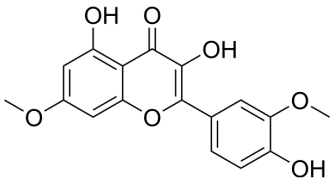
Molecular Formula: $C_{17}H_{14}O_7$

Molecular Weight: 330.29

Target: VEGFR

Pathway: Protein Tyrosine Kinase/RTK

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



BIOLOGICAL ACTIVITY

Description

Rhamnazin is an orally active inhibitor of VEGFR2 signaling with an IC_{50} of 4.68 μM against VEGFR2 kinase. Rhamnazin shows potent antiangiogenic activity and antitumor efficacy[1]. Rhamnazin shows antioxidant and anti-inflammatory properties[2].

IC_{50} & Target

VEGFR2
4.68 μM (IC_{50})

In Vitro

Rhamnazin (5-40 μM) inhibits proliferation, migration and tube formation of HUVECs induced by VEGF[1].
Rhamnazin (0-20 μM) attenuates VEGFR-2 tyrosine kinase activity and VEGFR-2 signaling pathway[1].
Rhamnazin (0-40 μM; 24 h) inhibits the proliferation and VEGFR2 signaling pathway of breast cancer cells[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Cell Migration Assay [1]

Cell Line:	HUVECs
Concentration:	0, 10, 15 and 20 μM
Incubation Time:	6 h
Result:	Strongly inhibited the migration of HUVECs.

Western Blot Analysis[1]

Cell Line:	HUVECs
Concentration:	0, 10, 15 and 20 μM
Incubation Time:	24 h
Result:	Decreased VEGF binding to VEGFR2. Reduced VEGF-stimulated phosphorylation of VEGFR2 and its downstream MAPK, AKT, and STAT3 in HUVECs in a concentrationdependent manner.

Cell Proliferation Assay[1]

Cell Line:	HCC1937, T-47D, SK-BR-3, MCF-7 and MDA-MB-231

Concentration:	0, 10, 15, 20, 30 and 40 μM
Incubation Time:	24 h
Result:	Inhibited cell growth with IC_{50}s of 19, 27, 32, 41 and 64 μM against MDA-MB-231, MCF-7, SK-BR-3, T-47D and HCC1937 in the presence of VEGF, respectively.

In Vivo

Rhamnazin (200 mg/kg; i.g.; daily for 25 days) inhibits breast cancer growth and angiogenesis in mice[1].
Rhamnazin (5-20 mg/kg; i.p.; once) shows strong antioxidant and anti-inflammatory properties in the rat acute lung injury model[2].

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

Animal Model:	BALB/c nude mice, breast cancer xenograft model[1]
Dosage:	200 mg/kg
Administration:	Intragastric administration, daily for 25 days
Result:	Dramatically suppressed tumor volumes by 47% compared with the vehicle group. Showed a significant reduction of $pVEGFR2^{Tyr951}$-positive cells in tumors. Resulted in downregulation of VEGFR2 downstream molecules phosphorylation including MAPK, AKT and STAT3.

REFERENCES

[1]. Yu Y, et al. Rhamnazin, a novel inhibitor of VEGFR2 signaling with potent antiangiogenic activity and antitumor efficacy. Biochem Biophys Res Commun. 2015 Mar 20;458(4):913-9.

[2]. Wu G, et al. ANTIOXIDANT AND ANTI-INFLAMMATORY EFFECTS OF RHAMNAZIN ON LIPOPOLYSACCHARIDE-INDUCED ACUTE LUNG INJURY AND INFLAMMATION IN RATS. Afr J Tradit Complement Altern Med. 2017 Jun 5;14(4):201-212.

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