Corynoxeine

Cat. No.: HY-N0590

CAS No.: 630-94-4

Molecular Formula: $C_{22}H_{26}N_2O_4$

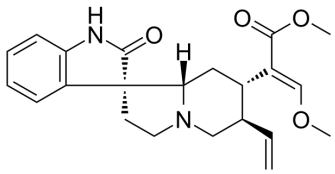
Molecular Weight: 382.45

Target: ERK

Pathway: MAPK/ERK Pathway; Stem Cell/Wnt

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 : ≥ 3.8 mg/mL (9.94 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions

Mass / Solvent / Concentration	1 mg	5 mg	10 mg
1 mM	2.6147 mL	13.0736 mL	26.1472 mL
5 mM	0.5229 mL	2.6147 mL	5.2294 mL
10 mM	---	---	---

Please refer to the solubility information to select the appropriate solvent.

BIOLOGICAL ACTIVITY

Description

Corynoxeine, isolated from the hook of Uncaria rhynchophylla, is a potent ERK1/ERK2 inhibitor of key PDGF-BB-induced vascular smooth muscle cells (VSMCs) proliferation.

IC_{50} & Target

ERK1

ERK2

In Vitro

Corynoxeine is able to inhibit the PDGF-BB-stimulated proliferation of VSMCs through downregulation of PDGF-BB-induced ERK1/2 activation. Pre-incubation of VSMCs with Corynoxeine significantly inhibits PDGF-BB-induced extracellular signal-regulated kinase 1/2 (ERK1/2) activation, whereas Corynoxeine has no effects on mitogen-activated protein kinase (MAPK/ERK)-activating kinase 1 and 2 (MEK1/2), Akt, or phospholipase C (PLC) γ 1 activation or on PDGF receptor beta (PDGF-Rβ) phosphorylation. Corynoxeine inhibits PDGF-BB-induced ERK1/2 activation, in the same concentration range that inhibits VSMC proliferation and DNA synthesis. Corynoxeine inhibits VSMC numbers in response to PDGF-BB with 50% inhibitory concentrations (IC_{50}) of 13.7 μM. Corynoxeine inhibits DNA synthesis in response to PDGF-BB (24 h) with IC_{50} of 9.2 μM. Pre-treatment of VSMCs with Corynoxeine (5-50 μM) for 24 h results in significant decreases in cell number without any cytotoxicity; the inhibition percentages are 25.0±12.5, 63.0±27.5 and 88.0±12.5% at 5, 20 and 50 μM, respectively.

Corynoxeine also significantly inhibits the 50 ng/mL PDGF-BB-induced DNA synthesis of VSMCs in a concentration-dependent manner without any cytotoxicity; the inhibitions are 32.8±11.0, 51.8±8.0 and 76.9±7.4% at concentrations of 5, 20 and 50 μM, respectively[1].

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

PROTOCOL

Cell Assay [1]

Cell proliferation and DNA synthesis are measured. For cell counting, VSMCs are seeded in 12-well culture plates at 5-6×10^4 cells/mL and cultured in DMEM with 10% FBS at 37°C for 24 h. Under these conditions, the cells reach 70% confluence. The medium is then replaced by serum-free medium with Corynoxeine (5-50 μM). The cells are stimulated with 50 ng/mL PDGF-BB, then trypsinized with trypsin-EDTA and counted using a hemocytometer under a microscope. For [^{3}H]-thymidine incorporation experiments, VSMCs are seeded in 24-well culture plates 5000 cells/well and then allowed to grow for 3-4 d in DMEM, and 2 μCi/mL of [^{3}H]-thymidine are added to the medium. The reactions are terminated after 4 h by aspirating the medium and subjecting the cultures to sequential washes on ice with PBS containing 10% trichloroacetic acid and ethanol/ether (1 : 1, v/v). Acid-insoluble [^{3}H]-thymidine is extracted into 250 μL of 0.5 M NaOH/well; this solution is then mixed with 3ml of scintillation cocktail and quantified using a liquid scintillation counter[1].

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

CUSTOMER VALIDATION

- Biomed Pharmacother. 2022 Oct 12;156:113865.
- BMC Cancer. 2019 Oct 22;19(1):976.
- BMC Cancer. 2019 Oct 22;19(1):976.
- Immunobiology. 15 December 2021, 152165.

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REFERENCES

[1]. Kim TJ, et al. Corynoxeine isolated from the hook of Uncaria rhynchophylla inhibits rat aortic vascular smooth muscle cell proliferation through the blocking of extracellular signal regulated kinase 1/2 phosphorylation. Biol Pharm Bull. 2008 Nov;31(11):20

Tel: 609-228-6898 Fax: 609-228-5909 E-mail: tech@MedChemExpress.com

Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA