Rubone

Cat. No.: HY-119833

CAS No.: 73694-15-2

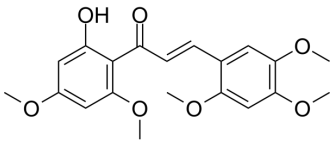
Molecular Formula: $C_{20}H_{22}O_7$

Molecular Weight: 374.38

Target: MicroRNA

Pathway: Epigenetics

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



BIOLOGICAL ACTIVITY

Description

Rubone, a chalcone analog, is a modulator of miR-34a. Rubone upregulates miR-34a expression in a p53 dependent manner, downregulates the downstream target Bcl-2 and Cyclin D1 expression, and suppresses hepatocellular carcinoma (HCC) growth in vivo. Rubone enhances the anticancer effect of Paclitaxel (PTX; HY-B0015) in PTX-resistant prostate cancer cell lines by reversing the expression of miR-34a downstream targets[1][2][3].

In Vitro

Rubone (0-60 μM) exhibits significantly high cytotoxicity in DU145-TXR and PC3-TXR cells, suggesting that Rubone has stronger anticancer effect in advanced prostate cancer cells, which has lower miR-34a expression[3].

Rubone (5, 10 uM; 48 h) significantly reverses the expression of miR-34a downstream gene targets of DU145-TXR and PC3-TXR cell lines[3].

Rubone (5, 10 uM; 48 h) upregulates miR-34a in PTX-resistant DU145-TXR and PC3-TXR cell lines in a dose dependent manner [3].

Rubone (5 μM; for 2 weeks) and PTX (for 2 weeks) combination therapy inhibit PC3-TXR cell growth and sphere formation in 3D model, including 3D on top and hanging drop model. Rubone and PTX combination therapy inhibit cell invasion, migration, and cancer stem-like cells (CSCs) population in a p53-independent pathway. Rubone monotherapy or Rubone and PTX combination significantly enhances TAp73 and Elk-1 expression[3].

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

Cell Cytotoxicity Assay[3]

Cell Line:	DU145, PC3, PTX resistant DU145-TXR, PC3-TXR, LNCaP, LNCaP developed C4-2 cells
Concentration:	0-60 μM
Incubation Time:	
Result:	Exhibited significantly higher cytotoxicity in DU145-TXR and PC3-TXR cells.

Western Blot Analysis[3]

Cell Line:	DU145-TXR and PC3-TXR cell lines
Concentration:	5, 10 uM
Incubation Time:	48 h
Result:	Significantly reversed the expression of miR-34a downstream gene targets of DU145-TXR

	and PC3-TXR cell lines, including E-cadherin, SIRT1, and Cyclin D1, whereas E-cadherin expression was not reversed in DU145-TXR cell line.

Real Time qPCR[3]

Cell Line:	DU145-TXR and PC3-TXR cell lines
Concentration:	5, 10 uM
Incubation Time:	48 h
Result:	Upregulated miR-34a in PTX-resistant DU145-TXR and PC3-TXR cell lines in a dose dependent manner.

In Vivo

Rubone monotherapy (20 mg/kg loaded PEG-PCD micelles; iv for five doses every other day) or combination therapy with PTX (10 mg/kg for each drug loaded PEG-PCD micelles) significantly upregulates miR-34a expression in tumor. The combination therapy inhibits tumor growth. Rubone monotherapy failed to suppress tumor cell proliferation[3].

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

Animal Model:	8 weeks old male nude mice transfected prostate cancer cells[3]
Dosage:	20 mg/kg or 10 mg/kg for each drug (PTX and Rubone) loaded PEG-PCD micelles
Administration:	Intravenously for five doses every other day
Result:	Had little effect on body weight loss and inhibited tumor growth. Monotherapy or combination therapy with PTX significantly upregulated miR-34a expression in tumor. Alone or with PTX significantly reversed E-cadherin, Cyclin D1, and SIRT1 expression.

REFERENCES

[1]. Zhangang Xiao, et al. Small molecule targeting miR-34a for cancer therapy. Mol Cell Oncol. 2015 Feb 24;2(1):e977160.

[2]. Lu Zhang, et al. MicroRNA-34 family: a potential tumor suppressor and therapeutic candidate in cancer. J Exp Clin Cancer Res. 2019 Feb 4;38(1):53.

[3]. Di Wen, et al. Micellar Delivery of miR-34a Modulator Rubone and Paclitaxel in Resistant Prostate Cancer. Cancer Res. 2017 Jun 15;77(12):3244-3254.

Caution: Product has not been fully validated for medical applications. For research use only.

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