Product Datasheet

RTA-408

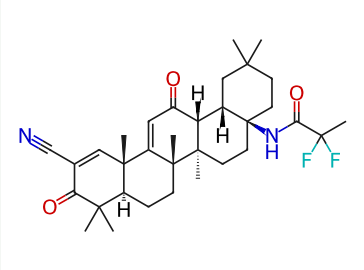
Catalog No. **BP-01895** · CAS **1474034-05-3** · Form **free base** · Physical state **solid** · Color **White to off-white** · Version **1.0** · Revision **2026-09-04**

FOR RESEARCH USE ONLY. NOT FOR HUMAN OR VETERINARY USE.

Target	Research area
Keap1-Nrf2; Apoptosis; STING	**Cancer; Inflammation/Immunology**

Molecular formula	$C_{33}H_{44}F_2N_2O_3$
Molecular weight	**554.7109**

STRUCTURE



DESCRIPTION

RTA-408 (Omaveloxolone) is an antioxidant inflammation modulator (AIM), which activates Nrf2 and suppresses nitric oxide (NO). RTA-408 attenuates osteoclastogenesis by inhibiting STING dependent NF-κb signaling.

BIOLOGICAL ACTIVITY

In Vitro

To evaluate the anti-inflammatory activity of Omaveloxolone (RTA 408), RAW 264.7 mouse macrophage cells are treated with Omaveloxolone for two hours and then IFNγ is added to stimulate NO production and release into the media. Omaveloxolone dose-dependently reduces NO concentrations in the media with an IC50 value of 4.4±1.8 nM. The potency of Omaveloxolone in this assay is similar to that of Bardoxolone methyl, which has an IC50 value of 1.9±0.8 nM. Nrf2 activation is required for AIM-mediated NO suppression. A decrease in nitric oxide synthase 2 (Nos2) protein levels is observed in bardoxolone methyl-treated RAW 264.7 cells, which is attenuated when Nrf2 mRNA levels are reduced by siRNA. To evaluate the anticancer activity of Omaveloxolone, a panel of eight human cell lines derived from tumors of different origin are treated with Omaveloxolone and measured cell growth 72 hours later using the sulforhodamine B (SRB) assay. Omaveloxolone inhibits the growth of all tumor lines with an average GI50 value of 260±74 nM. To determine whether Omaveloxolone induces apoptosis, the panel of tumor cells are treated with Omaveloxolone and the caspase substrate, DEVD-AFC, for 24 hours. Omaveloxolone dose-dependently increases DEVD-AFC cleavage, indicating that Omaveloxolone treatment triggers caspase activation in cancer cells. Caspase-3 and caspase-9 cleavage is also observed by western blot at the same concentrations of Omaveloxolone that increases DEVD-AFC cleavage.

In Vivo

To determine whether Omaveloxolone (RTA-408) is an effective mitigator of hematopoietic acute radiation syndrome after bone marrow-lethal doses of total-body irradiation (TBI), mice are administered 3 daily injections of 17.5 mg/kg Omaveloxolone beginning 24 h after TBI. Teatment with Omaveloxolone results in the 35 day survival of

100% of 7 Gy (LD40/35) TBI mice ($P<0.05$) and 60% of 7.5 Gy (LD100/13) TBI mice ($P<0.0001$).

SOLUBILITY

Soluble in DMSO, >100mg/mL

REFERENCES

[1]. Probst BL, et al. RTA 408, A Novel Synthetic Triterpenoid with Broad Anticancer and Anti-Inflammatory Activity. PLoS One. 2015 Apr 21;10(4):e0122942.

[2]. Peng Han, et al. RTA-408 Protects Kidney from Ischemia-Reperfusion Injury in Mice via Activating Nrf2 and Downstream GSH Biosynthesis Gene. Oxid Med Cell Longev. 24 December 2017.

[3]. Goldman DC, et al. The triterpenoid RTA 408 is a robust mitigator of hematopoietic acute radiation syndrome in mice. Radiat Res. 2015 Mar;183(3):338-44

Tel: +86 20 31130026 · Fax: +86 20 36822386 · tech@biochemprobe.com · www.biochemprobe.com