Web: www.taiclone.com
Tel: +886-2-2735-9682
Email: order@taiclone.com

RTA-408

Catalog No: tcsc3078

Available Sizes

Size: 5mg

Size: 10mg

Size: 50mg

Size: 100mg

Specifications

CAS No:
1474034-05-3

Formula:
$C_{33}H_{44}F_2N_2O_3$

Pathway:
NF-κB

Target:
Keap1-Nrf2

Purity / Grade:
>98%

Solubility:
DMSO : ≥ 100 mg/mL (180.27 mM)

Alternative Names:
Omaveloxolone

Observed Molecular Weight:
554.71

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Product Description

RTA-408 is an antioxidant inflammation modulator (AIM), which activates **Nrf2** and suppresses nitric oxide (NO).

IC50 & Target: Nrf2[1]

In Vitro: To evaluate the anti-inflammatory activity of RTA-408, RAW 264.7 mouse macrophage cells are treated with RTA-408 for two hours and then IFNγ is added to stimulate NO production and release into the media. RTA-408 dose-dependently reduces NO concentrations in the media with an IC_{50} value of 4.4±1.8 nM. The potency of RTA-408 in this assay is similar to that of Bardoxolone methyl, which has an IC_{50} 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 RTA-408, a panel of eight human cell lines derived from tumors of different origin are treated with RTA-408 and measured cell growth 72 hours later using the sulforhodamine B (SRB) assay. RTA-408 inhibits the growth of all tumor lines with an average GI_{50} value of 260±74 nM. To determine whether RTA-408 induces apoptosis, the panel of tumor cells are treated with RTA-408 and the caspase substrate, DEVD-AFC, for 24 hours. RTA-408 dose-dependently increases DEVD-AFC cleavage, indicating that RTA-408 treatment triggers caspase activation in cancer cells. Caspase-3 and caspase-9 cleavage is also observed by western blot at the same concentrations of RTA-408 that increases DEVD-AFC cleavage [1].

In Vivo: To determine whether 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 RTA-408 beginning 24 h after TBI. Teatment with RTA-408 results in the 35 day survival of 100% of 7 Gy ($LD_{40/35}$) TBI mice (P100/13) TBI mice (P[2].