

Product Data Sheet

Product Name: CDDO-Im (RTA-403)

Cat. No.: GC32723

Chemical Properties

Cas. No. 443104-02-7

SMILES CC(C)([C@]1([H])CC[C@@]([C@@]2(CC[C@]3(CCC(C)(C[C@@]3([H])[C@]24[H])C(C(N5C=CN=C5)=O)C)6C)C(C(C#N)=C[C@]1(C)C6=CC4=O)=O

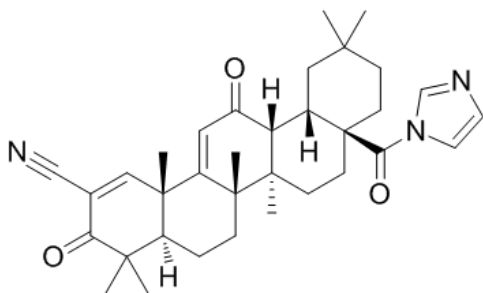
Formula $C_{34}H_{43}N_3O_3$ M.Wt 541.72

Solubility DMSO : 50 mg/mL (92.30 mM); Water : < 0.1 mg/mL (insoluble) Storage Store at -20°C

General tips For obtaining a higher solubility , please warm the tube at 37 °C and shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.

Shipping Condition Evaluation sample solution : ship with blue ice All other available size: ship with RT , or blue ice upon request.

Structure



Protocol

Cell experiment [1]:

Cell lines SUM159 and MDA-MB-231 cells

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

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Preparation Method SUM159 and MDA-MB-231 cells were seeded into each well of 96-well plates (1000 cell/well) and treated the next day with vehicle control or CDDO-Im (1, 10, 50, 100 and 200nM). Cell proliferation was measured by an MTT assay at 0, 24, 48 and 72h time points.

Reaction Conditions 1, 10, 50, 100 or 200nM; 0-72h

Applications CDDO-Im treatment at 50, 100 and 200nM for 72h significantly inhibited the growth of both SUM159 and MDA-MB-231 cells.

Animal experiment [2]:

Animal models Male CD-1 mice

Preparation Method Male CD-1 mice were gavaged with 2μmol CDDO-Im dissolved in DMSO or with vehicle alone. After 6 hours, various organs were harvested and Heme Oxygenase-1 (HO-1) induction was analyzed by Western blotting.

Dosage form 2μmol; once; p.o.

Applications HO-1 protein levels increased in the stomach, small intestine, colon, liver, lung, kidney, and heart of CD-1 mice gavaged with 2μmol CDDO-Im; highest induction of HO-1 was observed in the stomach, liver, and small intestine.

References:

[1] So JY, Lin JJ, Wahler J, Liby KT, Sporn MB, Suh N. A synthetic triterpenoid CDDO-Im inhibits tumorsphere formation by regulating stem cell signaling pathways in triple-negative breast cancer. PLoS One. 2014;9(9):e107616.

[2] Liby, K., Hock, T., Yore, M.M., et al. The synthetic triterpenoids, CDDO and CDDO-imidazolidine, are potent inducers of heme oxygenase-1 and Nrf2/ARE signaling. Cancer Res. 65(11):4789-4798(2005).

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Background

CDDO-Im (RTA-403) is a synthetic triterpenoid that activates Nuclear factor erythroid 2–related factor 2 (Nrf2) signaling by binding to Kelch-like ECH-associated protein 1 (Keap1), thereby inhibiting Nrf2 degradation and increasing its protein levels^[1]. CDDO-Im is a multifunctional molecule with potent antiproliferative, differentiating, and anti-inflammatory activities^[2].

In vitro, CDDO-Im is highly active in suppressing cellular proliferation of human leukemia and breast cancer cell lines, with an IC₅₀ of approximately 10-30nM^[3]. CDDO-Im treatment at 50, 100 and 200nM for 72h significantly inhibited the growth of both SUM159 and MDA-MB-231 cells^[4]. CDDO-Im treatment at 200nM for 24h markedly induced cell cycle arrest at G2/M-phase and late cell apoptosis in both SUM159 cells and MDA-MB-231 cells^[4]. After 7 days of treatment with 100nM CDDO-Im, the CD24⁺/EpCAM⁺ cancer stem cell subpopulation in SUM159 sphere cultures decreased by 81.2%^[4]. Treatment with 3-300mM CDDO-Im for 3 days inhibited the proliferation of MCF-7 cells in a dose-dependent manner^[3].

In vivo, oral gavage of 2μmol of CDDO-Im increased Heme Oxygenase-1 (HO-1) protein levels in the stomach, small intestine, colon, liver, lung, kidney, and heart at 6h in male CD-1 mice^[2]. Intraperitoneal injection of 10nmol (5.4μg) CDDO-Im in mice nearly completely blocked interferon-induced Inducible Nitric Oxide Synthase (iNOS) at 10h, while 1nmol (0.54μg) produced a partial effect^[3]. In the murine leukemia model (L1210 cells i.p. in BDF-1 mice), twice-daily intraperitoneal injection of CDDO-Im at 50μg per dose (100μg/d) for 8 days reduced leukemia cell numbers by 91%^[3]. In the murine melanoma model, twice-daily intraperitoneal injection of CDDO-Im at 50μg per dose (100μg/d) for 5 days reduced tumor burden by 75%^[3].

References:

- [1] Meng, X., Waddington, J.C., Taylor, A., et al. CDDO-imidazolide targets multiple amino acid residues on the Nrf2 adaptor, Keap1. *J. Med. Chem.* 63(17):9965-9976(2020).
- [2] Liby, K., Hock, T., Yore, M.M., et al. The synthetic triterpenoids, CDDO and CDDO-imidazolide, are potent inducers of heme oxygenase-1 and Nrf2/ARE signaling. *Cancer Res.* 65(11):4789-4798(2005).
- [3] Place AE, Suh N, Williams CR, et al. The novel synthetic triterpenoid, CDDO-imidazolide, inhibits inflammatory response and tumor growth in vivo. *Clin Cancer Res.* 2003;9(7):2798-2806.

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[4] So JY, Lin JJ, Wahler J, Liby KT, Sporn MB, Suh N. A synthetic triterpenoid CDDO-Im inhibits tumorsphere formation by regulating stem cell signaling pathways in triple-negative breast cancer. *PLoS One*. 2014;9(9):e107616.

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