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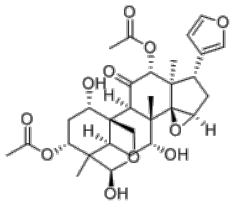
Data Sheet

Global Supplier of Chemical Probes, Inhibitors & Agonists.

Product Name	:	Toosendanin
Cat. No.	:	PC-49800
CAS No.	:	58812-37-6
Molecular Formula	:	$C_{30}H_{38}O_{11}$
Molecular Weight	:	574.62
Target	:	Src
Solubility	:	10 mM in DMSO



Biological Activity

Toosendanin is a small-molecule compound that blocks macrophage-mediated immunosuppression and activates T cells by inhibiting **Hck** and **Lyn** kinases, reprograms macrophages to enforce antitumor immunity in glioblastoma (GBM).
Toosendanin is a triterpenoid originally extracted from medicinal herb Melia toosendan Sieb that was traditionally used as a parasiticide and insecticide in Eastern medicine.
Toosendanin specificly inhibits IL-10 transcription in tumor-educated macrophages with minimal effects on basal viability in human macrophages, astrocytes, T cells, and glioma cells.
Toosendanin inhibited expression of CD206, a surface marker of immunosuppressive alternatively polarized M2-like macrophages, as well as of IL-10.
Toosendanin (10 nM) almost completely abrogated CD206 and IL-10 expression in Mϕs treated with IL-4 and IL-6, two cytokines known to induce macrophage immunosuppressive polarization.
Toosendanin inhibited in vitro kinase activities of purified Hck and Lyn proteins with KD of 3.2 and 5.9 uM, respectively.
Toosendanin enhanced carboxyfluorescein diacetate succinimidyl ester (CFSE) diffusion into the proliferative T cells, increased CD25+CD3+ activated T cells, and up-regulated expression of effector cytokine interferon-γ (IFN-γ) and proliferative marker Ki67 in CD8+ T cells.
Toosendanin reversed tumor immunosuppression and stimulated antitumor T cell immunity in mouse models of GBM, overcame GBM resistance to immune checkpoint blockade and sensitizes GBM tumors to CAR T immunotherapy in mice.
Toosendanin exhibited efficacy against Mϕ-mediated immunosuppression at relatively low doses, such as 50 nM in vitro and 1 mg/kg body weight in vivo.

References

Yang F, et al. ***Sci Transl Med***. 2023 Feb 15;15(683):eabq3558.

Caution: Product has not been fully validated for medical applications. Lab Use Only!

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