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

TIC10

Catalog No: tcsc3564

Available Sizes

Size: 5mg

Size: 10mg

Size: 50mg

Size: 100mg

Size: 200mg

Specifications

CAS No:
1616632-77-9

Formula:
$C_{24}H_{26}N_4O$

Pathway:
Stem Cell/Wnt;MAPK/ERK Pathway;PI3K/Akt/mTOR;Apoptosis

Target:
ERK;ERK;Akt;TNF Receptor

Purity / Grade:
>98%

Solubility:
DMSO : 33.33 mg/mL (86.24 mM; Need ultrasonic)

Alternative Names:
ONC-201

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Observed Molecular Weight:
386.49

Product Description

TIC10 is a potent, orally active, and stable **TRAIL** inducer, also inhibits **Akt** and **ERK** activity.

IC50 & Target: TRAIL, Akt, Erk[1]

In Vitro: TRAIL-inducing compound 10 (TIC10), a potent, orally active, and stable small molecule that transcriptionally induces tumor necrosis factor-related apoptosis-inducing ligand (TRAIL) in a p53-independent manner and crosses the blood-brain barrier. TIC10 induces a sustained up-regulation of TRAIL in tumors and normal cells that may contribute to the demonstrable antitumor activity of TIC10. TIC10 inactivates kinases Akt and extracellular signal-regulated kinase (ERK), leading to the translocation of Foxo3a into the nucleus, where it binds to the TRAIL promoter to up-regulate gene transcription. TIC10 is an efficacious antitumor therapeutic agent that acts on tumor cells and their micro-environment to enhance the concentrations of the endogenous tumor suppressor TRAIL. TIC10 as a TRAIL-inducing compound. TIC10 causes a dose-dependent increase in TRAIL mRNA and induces TRAIL protein localization on the cell surface of several cancer cell lines in a p53-independent manner. Besides, both pAkt and pERK are down-regulated by TIC10 treatment in a dose-dependent manner. TIC10 also causes a down-regulation of the total expression of ERK [1].

In Vivo: In DLD-1 colon cancer xenografts, TIC10 induces tumor stasis at 1 week after treatment, whereas TRAIL-treated tumors progress after a single dose. A single dose of TIC10 also induces a sustained regression of the SW480 xenograft and is equally effective when delivered by intraperitoneal or oral route, suggesting favorable oral bioavailability for TIC10. Titration of a single oral dose of TIC10 in the HCT116 xenograft model reveals sustained antitumor efficacy at 25 mg/kg. Exposure to oral TIC10 at 25 mg/kg weekly for 4 weeks in immunocompetent mice does not cause any changes in selected serum chemistry markers. The same oral dosing schedule is applied to Eμ-myc transgenic mice, which spontaneously develop meta-static lymphoma from weeks 9 to 12 of age, and TIC10 significantly (P=0.00789) prolongs the survival of these mice by 4 weeks[1].

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