

Product Data Sheet

Product Name: K-80003 (TX-803)

Cat. No.: GC33357

Chemical Properties

Cas. No. 1292821-90-9

SMILES CC1=C(C2=CC(F)=CC=C2/C1=C(C3=CC=C(C=C3)C(C)C)/[H])CC(O)=O

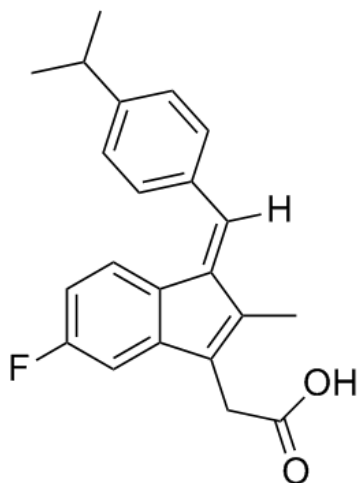
Formula $C_{22}H_{21}FO_2$ M.Wt 336.4

Solubility DMSO: 30 mg/mL (89.18 mM) 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

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

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Cell experiment: MCF-7 cells cotransfected with Myc-RXR α , Myc-tRXR α , tRXR α /L433D and p85 α are pretreated with or without K-80003 (5 μ M) for 3 h before exposed to TNF α (10 ng/mL) for 30 min. Cells are immunostained with anti-Myc and anti-p85 α antibody, and their subcellular localization revealed by confocal microscopy. HEK293T cells cotransfected with Myc-tRXR α are treated with or without K-80003 (5 μ M) for 6 h. Nuclear (N) and cytoplasmic (C) fractions are prepared, subjected to BS3 crosslinking, and analysed by western blotting using anti-Myc antibody. The purity of fractions is examined by analysing the expression of nuclear PARP and cytoplasmic α -tubulin in non-crosslinked fractions. One of three similar experiments is shown[2].

Animal experiment: Mice[1]Nude mice (BALB/c, 4-5-week old) are injected subcutaneously with 100 μ L of cells (2×10^6). For drug treatment, mice (n=6) are treated intraperitoneally after 7 days of transplantation with Corn oil, Sulindac (60 mg/kg), or K-80003 (60 mg/kg) once every other day (six injections). Body weight and tumor sizes are measured every 4 days.

References:

- [1]. Zhou H, et al. NSAID sulindac and its analog bind RXR α and inhibit RXR α -dependent AKT signaling. Cancer Cell. 2010 Jun 15;17(6):560-73.
[2]. Chen L, et al. Modulation of nongenomic activation of PI3K signalling by tetramerization of N-terminally-cleaved RXR α . Nat Commun. 2017 Jul 17;8:16066.

Background

K-80003 is a potent inhibitor of tRXR α -dependent Akt activation and cancer cell growth.

When MCF-7 cells are cotreated with K-80003, TNF α -induced colocalization of tRXR α with p85 α in the cytoplasm is inhibited, resulting in tRXR α nuclear localization. Western blotting shows that K-80003-stabilized tetrameric form of tRXR α is found exclusively in the nuclear fraction, while tRXR α monomer is distributed both in the nuclear and cytoplasmic fractions[2].

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K-80003 is a potent inhibitor of AKT activation by all-trans-retinoic acid. K-80003 displays enhanced efficacy in inhibiting tRXR α -dependent AKT activation and tRXR α tumor growth in animals. K-80003 has high affinity to RXR α but lacks COX inhibitory activity[1].

[1]. Zhou H, et al. NSAID sulindac and its analog bind RXR α and inhibit RXR α -dependent AKT signaling. Cancer Cell. 2010 Jun 15;17(6):560-73. [2]. Chen L, et al. Modulation of nongenomic activation of PI3K signalling by tetramerization of N-terminally-cleaved RXR α . Nat Commun. 2017 Jul 17;8:16066.

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