



TRAM-34

Catalog No: tcsc1921



Available Sizes

Size: 10mg

Size: 50mg

Size: 100mg



Specifications

CAS No:

289905-88-0

Formula:

 $\mathsf{C}_{22}\mathsf{H}_{17}\mathsf{CIN}_2$

Pathway:

Membrane Transporter/Ion Channel

Target:

Potassium Channel

Purity / Grade:

>98%

Solubility:

DMSO : $\geq 3.5 \text{ mg/mL} (10.15 \text{ mM})$

Observed Molecular Weight:

344.84

Product Description

TRAM-34 is a highly selective blocker of intermediate-conductance calcium-activated K⁺ channel (**IKCa1**) (**K**_d





=20 nM).

IC50 & Target: Kd: 20 nM (IKCa1)[1]

In Vitro: TRAM-34 selectively blocks the IKCa1 current (K_d =25 nM), TRAM-34 also blocks IKCa1 currents in human T84 colonic epithelial cells with equivalent potency (K_d =22 nM). TRAM-34 inhibits the cloned and the native IKCa1 channel in human T lymphocytes with a K_d of 20-25 nM and is 200- to 1,500-fold selective over other ion channels. The dose-response curve reveals a K_d of 20±3 nM and a Hill coefficient of 1.2 with 1 μM calcium in the pipette^[1]. TRAM-34, a specific inhibitor of K_{Ca} 3.1 channels increased or decreased cell proliferation depending on the concentration. At intermediate concentrations (3-10 μM) TRAM-34 increased cell proliferation, whereas at higher concentrations (20-100 μM) TRAM-34 decreased cell proliferation. The enhancement of cell proliferation caused by TRAM-34 is blocked by the oestrogen receptor antagonists ICI182,780 and tamoxifen. TRAM-34 also increases progesterone receptor mRNA expression, decreased oestrogen receptor-α mRNA expression and reduced the binding of radiolabelled oestrogen to MCF-7 oestrogen receptor, in each case mimicking the effects of 17β-oestradiol^[2].

In Vivo: Mice (n=5) injected intravenously with a single dose of TRAM-34 (0.5 mg/kg; 29 μ M) appeared clinically normal during the 7-day study. The body-weight data of the TRAM-34-treated group (day 1:17.8 g; day 7: 27.0 g) are similar to control mice injected with the vehicle (day 1: 17.4 g; day 7: 23.4 g). Collectively, data from these limited toxicity studies suggest that TRAM-34 is not acutely toxic at \approx 500-1,000 times the channel-blocking dose^[1]. Treatment with TRAM-34 results in a significant reduction in hematoxylin & eosin (H&E) defined lesion area with the mean infarct size being reduced from 22.6±3.6% in the controls (n=8) to 11.3±2.8% in rats treated with 10 mg/kg TRAM-34 (n=6, mean±s.e.m., P=0.039) and to 8.1±1.9% in rats treated with 40 mg/kg TRAM-34 (n=8; P=0.004). The treatment also tended to reduce brain shrinkage. However, the results are only statistically significant with 40 mg/kg TRAM-34 (P=0.013), but not for the 10 mg/kg group (P=0.11)^[3].

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