(S)-Ro 32-0432

Cat. No.: HY-108601A

CAS No.: 1781828-85-0

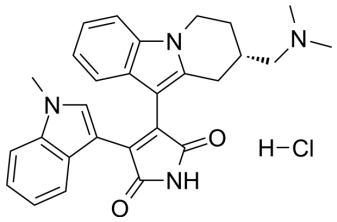
Molecular Formula: $C_{28}H_{29}ClN_4O_2$

Molecular Weight: 489.01

Target: PKC

Pathway: Epigenetics; TGF-beta/Smad

Storage: Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description

(S)-Ro 32-0432 is a potent, selective, ATP-competitive and orally active PKC inhibitor. The IC_{50} values of (S)-Ro 32-0432 for PKCα, PKCβI, PKCβII, PKCγ and PKCε are 9.3 nM, 28 nM, 30 nM, 36.5 nM and 108.3 nM, respectively. (S)-Ro 32-0432 is also a selective G protein-coupled receptor kinase 5 (GRK5) inhibitor. (S)-Ro 32-0432 prevents T-cell activation and has the potential for chronic inflammatory and autoimmune diseases research[1][2].

IC_{50} & Target

PKCα 9.3 nM (IC_{50})	PKC-βI 28 nM (IC_{50})	PKC-βII 30 nM (IC_{50})	PKCγ 36.5 nM (IC_{50})
PKCε 108.3 nM (IC_{50})	G protein-coupled receptor kinase 5 (GRK5)		

In Vitro

(S)-Ro 32-0432 inhibits interleukin-2 (IL-2) secretion, IL-2 receptor expression in, and proliferation of, peripheral human T-cells stimulated with phorbol ester together with phytohemagglutin or anti-CD3, but does not inhibit IL-2 induced proliferation in cells already stimulated to express IL-2 receptors. Proliferation of the influenza peptide antigen HA 307-319-specific human T-cell clone (HA27) after exposure to antigen-pulsed autologous presenting cells is also inhibited by (S)-Ro 32-0432. (S)-Ro 32-0432 inhibits HA27 proliferation with an IC_{50} of 0.15 μM[1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

In Vivo

(S)-Ro 32-0432 (10-50 mg/kg; oral administration; once; female AHH/R rats) treatment inhibits subsequent phorbol ester-induced edema in rats demonstrating the systemic efficacy of the compound to inhibit PKC-driven responses. Induction of more physiologically T-cell driven responses such as host vs. graft responses and the secondary paw swelling in adjuvant-induced arthritis are also inhibited by Ro 32-0432[1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Female AHH/R rats (200-250 g) induced with phorbol ester[1]
Dosage:	10 mg/kg, 30 mg/kg, 50 mg/kg
Administration:	Oral administration; once
Result:	Inhibited subsequent phorbol ester-induced edema in rats.

REFERENCES

[1]. A M Birchall, et al. Ro 32-0432, a Selective and Orally Active Inhibitor of Protein Kinase C Prevents T-cell Activation. J Pharmacol Exp Ther. 1994 Feb;268(2):922-9.

[2]. Thakur Gurjeet Singh, et al. Ro 32-0432 Attenuates Mecamylamine-Precipitated Nicotine Withdrawal Syndrome in Mice. Naunyn Schmiedebergs Arch Pharmacol. 2013 Mar;386(3):197-204.

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