

CI-1044

Catalog No: tcsc0018397



Available Sizes

Size: 1mg

Size: 5mg

Size: 10mg



Specifications

CAS No:

197894-84-1

Formula:

$C_{23}H_{19}N_5O_2$

Pathway:

Metabolic Enzyme/Protease

Target:

Phosphodiesterase (PDE)

Purity / Grade:

>98%

Solubility:

DMSO : 125 mg/mL (314.52 mM; Need ultrasonic)

Alternative Names:

PD-189659

Observed Molecular Weight:

397.43

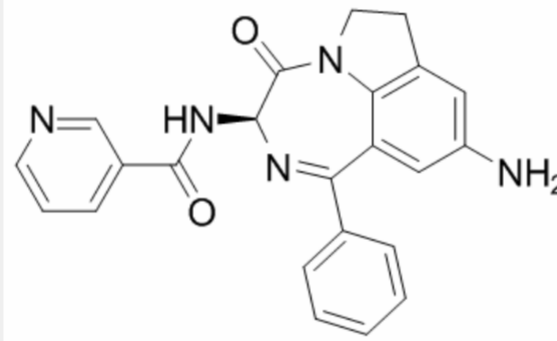
Product Description

CI-1044 is an orally active **PDE4** inhibitor with **IC₅₀**s of 0.29, 0.08, 0.56, 0.09 μM for **PDE4A5**, **PDE4B2**, **PDE4C2** and **PDE4D3**, respectively.

IC50 & Target: IC50: 0.29 μM (PDE4A5), 0.08 μM (PDE4B2), 0.56 μM (PDE4C2), 0.09 μM (PDE4D3)^[1]

In Vitro: CI-1044 is an orally active PDE4 inhibitor with **IC₅₀**s of 0.29, 0.08, 0.56, 0.09 μM for PDE4A5, PDE4B2, PDE4C2 and PDE4D3, respectively. CI-1044 selectively inhibits PDE4 crude extract from U937 cells with an **IC₅₀** value of $0.27 \pm 0.02 \mu\text{M}$ being threefold more potent than rolipram (**IC₅₀**= 0.91 ± 0.14) and tenfold less potent than cilomilast (**IC₅₀**= 0.026 ± 0.007) in the same assay. In the presence of PDE4 inhibitors, the production of TNF- α is dose dependently decreased with mean **IC₅₀** values from three separate experiments of 0.31 ± 0.05 , 0.26 ± 0.05 and $0.11 \pm 0.01 \mu\text{M}$, for CI-1044, cilomilast and rolipram, respectively^[1].

In Vivo: TNF- α production is dose-dependently inhibited by CI-1044, rolipram and cilomilast with **ID₅₀**s of 0.4, 1.4 and 1.6 mg/kg respectively following single oral administration. Following repeated administration with CI-1044, the **ID₅₀** value represents 0.5 mg/kg p.o.. CI-1044 plasma levels increase proportionally with doses ranging between 0.1 and 40 mg/kg p.o. ($R^2=0.878$). CI-1044 dose dependently inhibits the accumulation of eosinophils in Bronchoalveolar lavages (BAL) fluids with an **ID₅₀** value of 3.25 mg/kg. A single dose treatment with CI-1044 (10 mg/kg, p.o.) 24, 8, 3 or 1 h before the antigen challenge induces 6, 56, 48 and 79% inhibition in the number of eosinophils in BAL^[1].



All products are for RESEARCH USE ONLY. Not for diagnostic & therapeutic purposes!