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

Rolipram

Catalog No: tcsc1754

Available Sizes

Size: 10mg

Size: 50mg

Size: 100mg

Size: 200mg

Size: 500mg

Size: 1g

Size: 2g

Size: 5g

Specifications

CAS No:
61413-54-5

Formula:
$C_{16}H_{21}NO_3$

Pathway:
Metabolic Enzyme/Protease

Target:
Phosphodiesterase (PDE)

Purity / Grade:
>98%

Solubility:

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DMSO : ≥ 41 mg/mL (148.91 mM)

Alternative Names:
(R,S)-Rolipram;SB 95952;ZK 62711

Observed Molecular Weight:
275.34

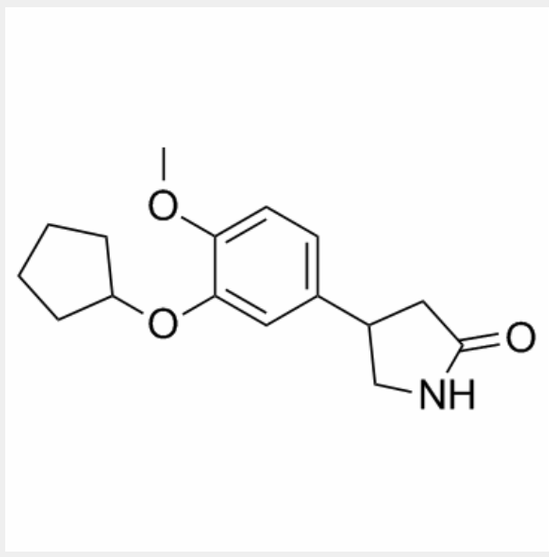
Product Description

Rolipram is a selective inhibitor of phosphodiesterases **PDE4** with **IC_{50}** of 3 nM, 130 nM and 240 nM for PDE4A, PDE4B, and PDE4D, respectively.

IC50 & Target: IC50: 3 nM (PDE4A), 130 nM (PDE4B), 240 nM (PDE4D)[1]

In Vitro: The PDE4 selective inhibitor, Rolipram, inhibits immunopurified PDE4B and PDE4D activities similarly, with IC_{50}s of approx. 130 nM and 240 nM respectively. In contrast, Rolipram inhibits immunopurified PDE4A activity with a dramatically lower IC_{50} of around 3 nM. Rolipram increases phosphorylation of cAMP-response-element-binding protein (CREB) in U937 cells in a dose-dependent fashion, which implies the presence of both high affinity (IC_{50} approx. 1 nM) and low affinity (IC_{50} approx. 120 nM) components. Rolipram dose-dependently inhibits the IFN-gamma-stimulated phosphorylation of p38 MAPK in a simple monotonic fashion with an IC_{50} of approx. 290 nM[1]. Rolipram is a selective PDE4 inhibitor that inhibits all PDE4 isoforms A, B, C and D. Rolipram inhibits LPS-induced TNF production in a dose-dependent manner (IC_{50} 25.9 nM), and maximal/submaximal inhibition is observed with 2 μM drug concentration in J774 cells[2].

In Vivo: TNF mRNA and protein expression is induced by LPS in peritoneal macrophages (PM) from WT mice, and that is clearly (by 74 and 63% for TNF mRNA and TNF protein, respectively) inhibited by Rolipram. LPS-induced TNF production is enhanced in PM from MKP-1(-/-) mice as compared to that in PM from WT mice, which is in line with the published results. Interestingly, the inhibition of TNF mRNA and protein expression by Rolipram is markedly attenuated in PM from MKP-1(-/-) mice and does not reach statistical significance[2]. Repeated administration of Rolipram (1.25 mg/kg, i.p.) reduces the number of escape failures in learned helplessness rats[3].



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