Small Molecules

Rolipram

cAMP pathway activator; Inhibits type 4 cyclic nucleotide phosphodiesterases (PDE4)

Catalog # 73382 5 mg
73384 25 mg

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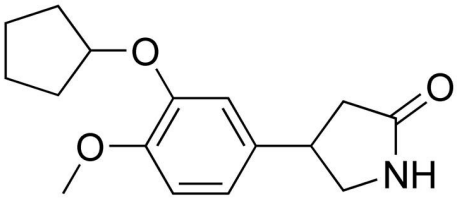
Product Description

Rolipram is a cell-permeable, selective inhibitor of Type 4 cyclic nucleotide phosphodiesterases (PDE4), which mediate cyclic AMP (cAMP) degradation. Rolipram preferably inhibits PDE4 isoform A (IC_{50} = 3 nM) over other isoforms such as B and D (IC_{50} = 130 and 240 nM, respectively; MacKenzie & Houslay). It inhibits interferon (IFN)-γ-stimulated phosphorylation of p38 mitogen-activated protein (MAP) kinase through PDE4B and/or PDE4D isoform inhibition (MacKenzie & Houslay).

Molecular Name:	Rolipram
Alternative Names:	SB 95952; ZK 62711
CAS Number:	61413-54-5
Chemical Formula:	$C_{16}H_{21}NO_3$
Molecular Weight:	275.3 g/mol
Purity:	≥ 98%
Chemical Name:	4-[3-(cyclopentyloxy)-4-methoxyphenyl]-2-pyrrolidinone
Structure:	

Properties

Physical Appearance:	A crystalline solid
Storage:	Product stable at -20°C as supplied. Protect from prolonged exposure to light. Stable as supplied for 12 months from date of receipt.
Solubility:	· DMSO ≤ 35 mM · Absolute ethanol ≤ 15 mM For example, to prepare a 10 mM stock solution in DMSO, resuspend 5 mg in 1.82 mL of DMSO. Prepare stock solution fresh before use. Information regarding stability of small molecules in solution has rarely been reported, however, as a general guide we recommend storage in DMSO at -20°C. Aliquot into working volumes to avoid repeated freeze-thaw cycles. The effect of storage of stock solution on compound performance should be tested for each application. Compound has low solubility in aqueous media. For use as a cell culture supplement, stock solution should be diluted into culture medium immediately before use. Avoid final DMSO concentration above 0.1% due to potential cell toxicity.

Published Applications

REPROGRAMMING
· Induces reprogramming of adult human dermal fibroblasts (AHDFs) into induced neuronal stem cells, in combination with A 83-01 (Catalog #72022), CHIR99021 (Catalog #72052), Sodium Butyrate (Catalog #72242), LPA, SP600125 (Catalog #72642), and exogenous OCT4 expression (Zhu et al.).
DIFFERENTIATION
· Enhances osteoblastic differentiation of mouse mesenchymal stem cells (MSCs) induced by BMP-2 (Munisso et al.).
· Induces neural differentiation of human bone marrow-derived MSCs (Alexanian et al.).
IMMUNOLOGY
· Inhibits inflammation by suppressing leukocyte function, inhibiting C5a-stimulated leukotriene C4 (LTC4) synthesis in human eosinophils (Tenor et al.).
· Inhibits lipopolysaccharide-induced tumor necrosis factor synthesis in human monocytes (Souness et al.).
DISEASE MODELING
· Promotes survival of newly formed mouse hippocampal neurons in a mouse model of ischemia (Sasaki et al.).
· Reverses amphetamine-induced reductions in auditory-evoked potentials in a C57BL/6J mouse model of schizophrenia (Maxwell et al.).

References

Alexanian AR et al. (2011) Transplanted neurally modified bone marrow-derived mesenchymal stem cells promote tissue protection and locomotor recovery in spinal cord injured rats. Neurorehabil Neural Repair 25(9): 873–80.
MacKenzie SJ & Houslay MD. (2000) Action of rolipram on specific PDE4 cAMP phosphodiesterase isoforms and on the phosphorylation of cAMP-response-element-binding protein (CREB) and p38 mitogen-activated protein (MAP) kinase in U937 monocytic cells. Biochem J 347(Pt 2): 571–8.
Maxwell CR et al. (2004) Phosphodiesterase inhibitors: a novel mechanism for receptor-independent antipsychotic medications. Neuroscience 129(1): 101–7.
Munisso MC et al. (2012) Cilomilast enhances osteoblast differentiation of mesenchymal stem cells and bone formation induced by bone morphogenetic protein 2. Biochimie 94(11): 2360–5.
Sasaki T et al. (2007) The phosphodiesterase inhibitor rolipram promotes survival of newborn hippocampal neurons after ischemia. Stroke 38(5): 1597–605.
Souness JE et al. (1996) Evidence that cyclic AMP phosphodiesterase inhibitors suppress TNF alpha generation from human monocytes by interacting with a “low-affinity” phosphodiesterase 4 conformer. Br J Pharmacol 118(3): 649–58.
Tenor H et al. (1996) Effects of theophylline and rolipram on leukotriene C4 (LTC4) synthesis and chemotaxis of human eosinophils from normal and atopic subjects. Br J Pharmacol 118(7): 1727–35.
Zhu S et al. (2014) Small molecules enable OCT4-mediated direct reprogramming into expandable human neural stem cells. Cell Res 24(1): 126–9.

Related Small Molecules

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