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

PAP-1

Catalog No: tcsc0299

Available Sizes

Size: 5mg

Size: 10mg

Size: 25mg

Size: 50mg

Size: 100mg

Specifications

CAS No:
870653-45-5

Formula:
$C_{21}H_{18}O_5$

Pathway:
Membrane Transporter/Ion Channel

Target:
Potassium Channel

Purity / Grade:
>98%

Solubility:
DMSO : 50 mg/mL (142.71 mM; Need ultrasonic); H2O :

Alternative Names:
5-(4-Phenoxybutoxy)psoralen

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

Observed Molecular Weight:
350.36

Product Description

PAP-1 is a selective inhibitor of Kv1.3, voltage-gated K+ channel. PAP-1 (EC50=2 nM) potently inhibits human T effector memory cell proliferation and delayed hypersensitivity.

IC50 value: 2 nM (EC50) [1]

in vitro: blocks Kv1.3 in a use-dependent manner, with a Hill coefficient of 2 and an EC50 of 2 nM, by preferentially binding to the C-type inactivated state of the channel. PAP-1 is 23-fold selective over Kv1.5, 33- to 125-fold selective over other Kv1-family channels, and 500- to 7500-fold selective over Kv2.1, Kv3.1, Kv3.2, Kv4.2, HERG, calcium-activated K+ channels, Na+,Ca2+, and Cl- channels [1]. The blockade of Kv1.3 results in membrane depolarization and inhibition of TEM proliferation and function. In this study, the in vitro effects of PAP-1 on T cells and the in vivo toxicity and pharmacokinetics (PK) were examined in rhesus macaques (RM) with the ultimate aim of utilizing PAP-1 to define the role of TEMs in RM infected with simian immunodeficiency virus (SIV). Electrophysiologic studies on T cells in RM revealed a Kv1.3 expression pattern similar to that in human T cells. Thus, PAP-1 effectively suppressed TEM proliferation in RM [2].

in vivo: PAP-1 does not exhibit cytotoxic or phototoxic effects, is negative in the Ames test, and affects cytochrome P450-dependent enzymes only at micromolar concentrations. PAP-1 potently inhibits the proliferation of human TEM cells and suppresses delayed type hypersensitivity, a TEM cell-mediated reaction, in rats [1]. When administered intravenously, PAP-1 showed a half-life of 6.4 hrs; the volume of distribution suggested extensive distribution into extravascular compartments. When orally administered, PAP-1 was efficiently absorbed. Plasma concentrations in RM undergoing a 30-day, chronic dosing study indicated that PAP-1 levels suppressive to TEMs in vitro can be achieved and maintained in vivo at a non-toxic dose [2].