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

TAK-715

Catalog No: tcsc0073

Available Sizes

Size: 5mg

Size: 10mg

Size: 50mg

Specifications

CAS No:
303162-79-0

Formula:
$C_{24}H_{21}N_3OS$

Pathway:
MAPK/ERK Pathway

Target:
p38 MAPK

Purity / Grade:
>98%

Solubility:
10 mM in DMSO

Observed Molecular Weight:
399.51

Product Description

TAK-715 is a p38 MAPK inhibitor for p38α with IC50 of 7.1 nM, 28-fold more selective for p38α over p38β, no inhibition to p38γ/δ, JNK1, ERK1, IKKβ, MEKK1 or TAK1.

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IC50 value: 7.1 nM [1]

Target: p38α MAPK

in vitro: TAK 715 inhibits LPS-stimulated release of TNF-alpha from THP-1 with IC50 of 48 nM [1]. TAK 715 (10 μM) inhibits Wnt-3a-induced hDvl2 phosphorylation and the hDvl2 shift in U2OS-EFC cells [2]. The amide NH of TAK 715 is hydrogen bonded to the main-chain carbonyl of Met109 of p38 alpha. TAK 715 binds relatively high in the ATP pocket, occupying the hydrophobic back pocket, the adenine region and the front pocket of p38 as well as extending to most of the length of the Gly-rich loop [3].

in vivo: TAK 715 (10 mg/kg, po) inhibits LPS-induced TNF-alpha production in mice with 87.6% inhibition. TAK 715 has a modest mouse bioavailability of 18.4% and a slightly improved rat bioavailability of 21.1%. TAK 715 has a modest mouse bioavailability of 18.4% and a slightly improved rat bioavailability of 21.1%. TAK 715 results in Cmax of 0.19 μg/mL and AUC(0-24 hours) of 1.16 μg·h/mL in rats. TAK 715 (30 mg/kg, po) significantly reduces the secondary paw volume with 25 % inhibition in a rat adjuvant-induced arthritis (AA) model [1].