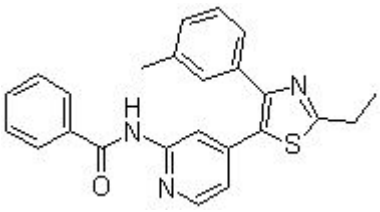
Product Introduction

TAK-715

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. Phase 2.

Technical Data:

Molecular Weight (MW):	399.51	
Formula:	$C_{24}H_{21}N_3OS$	
Solubility (25°C) * <1 mg/ml means slightly soluble or insoluble:	DMSO 80 mg/mL	
	Water <1 mg/mL	
	Ethanol 16 mg/mL	
Purity:	>98%	
Storage:	3 years -20℃Powder	
	6 months-80℃in DMSO	
CAS No.:	303162-79-0	

Biological Activity

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]

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]

References

[1] Miwatashi S, et al. J Med Chem, 2005, 48(19), 5966-5979.
[2] Verkaar F, et al. Chem Biol, 2011, 18(4), 485-494.

Note： Products protected by valid patents are not offered for sale in countries where the sale of such products constitutes a patent infringement and its liability is at buyer’s risk. This item is only for R&D purpose not for commercial business in kilos. Buyers should overview the patent issue in their countries.