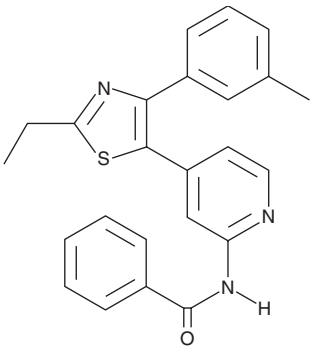
PRODUCT INFORMATION

TAK-715

Item No. 26170



CAS Registry No.:	303162-79-0
Formal Name:	N-[4-[2-ethyl-4-(3-methylphenyl)-5-thiazolyl]-2-pyridinyl]-benzamide
MF:	$C_{24}H_{21}N_3OS$
FW:	399.5
Purity:	≥95%
UV/Vis.:	λ_{max}: 236, 296 nm
Supplied as:	A crystalline solid
Storage:	-20°C
Stability:	≥4 years

Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

TAK-715 is supplied as a crystalline solid. A stock solution may be made by dissolving the TAK-715 in the solvent of choice, which should be purged with an inert gas. TAK-715 is soluble in organic solvents such as ethanol and DMSO. The solubility of TAK-715 in these solvents is approximately 15 and 80 mg/ml, respectively.

Description

TAK-715 is an inhibitor of p38α MAPK (IC_{50} = 7.1 nM).[1] It is selective for p38α over p38β (IC_{50} = 200 nM), as well as over p38γ/δ, JNK, ERK1, IKKβ, MEKK1, and TAK1 (IC_{50} = >10 µM for all) but also inhibits casein kinase Iδ (CK1δ) and CK1ε.[1,2] It inhibits TNF-α release induced by LPS from THP-1 cells (IC_{50} = 48 nM) and inhibits LPS-induced TNF-α production by 87.6% in mice when administered at a dose of 10 mg/kg.[1] TAK-715 (30 mg/kg) reduces adjuvant-induced paw swelling in a rat model of rheumatoid arthritis. It also inhibits phosphorylation of human Disheveled 2 (hDvl2) by Wnt3a in a p38α-independent manner.[2]

References

1. Miwatashi, S., Arikawa, Y., Kotani, E., *et al.* Novel inhibitor of p38 MAP kinase as an anti-TNF-α drug: Discovery of N-[4-[2-ethyl-4-(3-methylphenyl)-1,3-thiazol-5-yl]-2-pyridyl]benzamide (TAK-715) as a potent and orally active anti-rheumatoid arthritis agent. *J. Med. Chem.* **48(19)**, 5966-5979 (2005).
2. Verkaar, F., van der Stelt, M., Blankesteijn, W.M., *et al.* Discovery of novel small molecule activators of β-catenin signaling. *PLoS One* **6(4)**, 1-7 (2011).

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