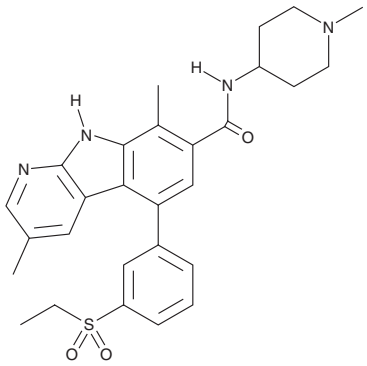
PRODUCT INFORMATION

TAK-901

Item No. 23772



CAS Registry No.:	934541-31-8
Formal Name:	5-[3-(ethylsulfonyl)phenyl]-3,8-dimethyl-N-(1-methyl-4-piperidinyl)-9H-pyrido[2,3-b]indole-7-carboxamide
MF:	$C_{28}H_{32}N_4O_3S$
FW:	504.6
Purity:	≥98%
UV/Vis.:	λ_{max}: 215, 309, 354 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-901 is supplied as a crystalline solid. A stock solution may be made by dissolving the TAK-901 in the solvent of choice. TAK-901 is soluble in organic solvents such as DMSO and dimethyl formamide, which should be purged with an inert gas. The solubility of TAK-901 in these solvents is approximately 20 mg/ml.

Description

TAK-901 is a non-selective Aurora kinase inhibitor (IC_{50}s = 3.1, 10, and 4.2 nM for Aurora A, B, and C, respectively).[1] It also inhibits JAK3, c-Src, CLK2, FGR, YES1, LRRK2, FLT3, Fyn, Abl, and FGFR2 (IC_{50}s = 1.2-6.4 nM) and several other kinases. TAK-901 inhibits Aurora kinase complexes with IC_{50} values of 21 and 15 nM for the Aurora A and targeting protein for Xklp2 (TPX2) and Aurora B and inner centromere protein (INCENP) complexes, respectively. It decreases histone H3 phosphorylation in human prostate PC3 cancer cells (EC_{50} = 0.16 µM) and inhibits c-Src, FAK, FGFR2, FLT3, Abl, and Axl autophosphorylation in a panel of cancer cell lines (EC_{50}s = 0.19-3.7 µM). TAK-901 inhibits the growth of lung, colon, stomach, skin, kidney, breast, ovarian, uterine, and prostate cancer cell lines (EC_{50}s = 0.043-1.5 µM). *In vivo*, TAK-901 (40 mg/kg per day, i.v.) inhibits histone H3 phosphorylation and reduces tumor growth in an A2780 ovarian cancer nude rat xenograft model. TAK-901 also inhibits tumor growth in colorectal, acute myeloid leukemia (AML), and chronic myeloid leukemia (CML) mouse xenograft models.

Reference

1. Farrell, P., Shi, L., Matuszkiewicz, J., *et al.* Biological characterization of TAK-901, an investigational, novel, multitargeted Aurora B kinase inhibitor. *Mol. Cancer Ther.* **12(4)**, 460-470 (2013).

CAYMAN CHEMICAL
1180 EAST ELLSWORTH RD
ANN ARBOR, MI 48108 · USA
PHONE: [800] 364-9897
[734] 971-3335
FAX: [734] 971-3640
CUSTSERV@CAYMANCHEM.COM
WWW.**CAYMANCHEM**.COM