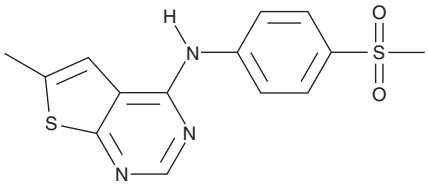
PRODUCT INFORMATION

ARUK2001607

Item No. 41527

CAS Registry No.:	2924824-56-4
Formal Name:	6-methyl-N-[4-(methylsulfonyl)phenyl]-thieno[2,3-d]pyrimidin-4-amine
MF:	$C_{14}H_{13}N_3O_2S_2$
FW:	319.4
Purity:	≥98%
Supplied as:	A 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

ARUK2001607 is supplied as a solid. A stock solution may be made by dissolving the ARUK2001607 in the solvent of choice, which should be purged with an inert gas. ARUK2001607 is soluble (≥10 mg/ml) in DMSO.

Description

ARUK2001607 is an inhibitor of phosphatidylinositol 5-phosphate 4-kinase γ (PI5P4Kγ; IC_{50} = 0.079 µM for PI5P4Kγ+, a construct with mutations conferring increased functional activity).[1] It selectively binds to PI5P4Kγ (K_d = 7.1 nM) over 22 other lipid kinases but does bind to phosphatidylinositol 4-phosphate 5-kinase type-1 γ (PIP5K1C; K_d = 230 nM). ARUK2001607 is selective for PI5P4Kγ over PI5P4Kα and PI5P4Kβ (IC_{50}s = >15.8 and >25.1 µM, respectively). It is also selective for PI5P4Kγ over 138 other kinases in a kinase panel and a variety of receptors, enzymes, and ion channels in a safety panel at 10 µM but does inhibit Aurora B kinase and CDC-like kinase 2 (CLK2) by 31 and 37% and dopamine uptake by 59% at 10 µM.

Reference

1. Rooney, T.P.C., Aldred, G.G., Boffey, H.K., *et al.* The identification of potent, selective, and brain penetrant PI5P4Kγ inhibitors as in vivo-ready tool molecules. *J. Med. Chem.* **66(1)**, 804-821 (2023).

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