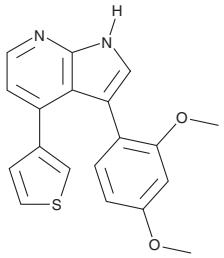
PRODUCT INFORMATION

ARN3236

Item No. 31457

CAS Registry No.:	1613710-01-2
Formal Name:	3-(2,4-dimethoxyphenyl)-4-(3-thienyl)-1H-pyrrolo[2,3-b]pyridine
MF:	$C_{19}H_{16}N_2O_2S$
FW:	336.4
Purity:	≥98%
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

ARN3236 is supplied as a crystalline solid. A stock solution may be made by dissolving the ARN3236 in the solvent of choice, which should be purged with an inert gas. ARN3236 is soluble in organic solvents such as DMSO and dimethyl formamide. The solubility of ARN3236 in these solvents is approximately 30 mg/ml.

ARN3236 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, ARN3236 should first be dissolved in DMSO and then diluted with the aqueous buffer of choice. ARN3236 has a solubility of approximately 0.2 mg/ml in a 1:4 solution of DMSO:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

ARN3236 is an inhibitor of salt-inducible kinase 2 (SIK2; IC_{50} = <1 nM).[1] It is selective for SIK2 over SIK1 and SIK3 (IC_{50}s = 21.63 and 6.63 nM, respectively). It inhibits TNF-α secretion in RAW 264.7 cells (IC_{50} = ~2.5 µM) and reduces phosphorylation of the SIK2 targets CRTC3 and HDAC4 in macrophages when used at a concentration of 3 µM. ARN3236 inhibits growth of 10 ovarian cancer cell lines (IC_{50}s = 0.8-2.6 µM) and increases the sensitivity of eight of them to paclitaxel (Item No. 10461).[2] It halts the cell cycle at the G_2/M phase and induces apoptosis and tetraploidy in SKOV3 cells when used at a concentration of 1 µM. ARN3236 (60 mg/kg per day) has an additive effect on reducing tumor growth when used in combination with paclitaxel in an ovarian cancer mouse xenograft model.

References

1. Lombardi, M.S., Gilliéron, C., Dietrich, D., *et al.* SIK inhibition in human myeloid cells modulates TLR and IL-1R signaling and induces an anti-inflammatory phenotype. *J. Leukoc. Biol.* **99(5)**, 711-721 (2016).
2. Zhou, J., Alfraidi, A., Zhang, S., *et al.* A novel compound ARN-3236 inhibits salt-inducible kinase 2 and sensitizes ovarian cancer cell lines and xenografts to paclitaxel. *Clin. Cancer Res.* **23(8)**, 1945-1954 (2017).

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