PRODUCT INFORMATION



A-66

Item No. 17382

CAS Registry No.: 1166227-08-2

Formal Name: (2S)-N1-[2-(1,1-dimethylethyl)-

4'-methyl[4,5'-bithiazol]-2'-yl]-

1,2-pyrrolidinedicarboxamide

MF: $C_{17}H_{23}N_5O_2S_2$

FW: 393.5 **Purity:** ≥98% UV/Vis.: λ_{max} : 306 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

A-66 is supplied as a crystalline solid. A stock solution may be made by dissolving the A-66 in the solvent of choice, which should be purged with an inert gas. A-66 is soluble in organic solvents such as DMSO and dimethyl formamide. The solubility of A-66 in these solvents is approximately 10 mg/ml.

A-66 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, A-66 should first be dissolved in DMSO and then diluted with the aqueous buffer of choice. A-66 has a solubility of approximately 0.25 mg/ml in a 1:3 solution of DMSO:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

A-66 is a potent, selective inhibitor of the PI3K isoform p110a (IC_{50} = 32 nM in a cell-free assay).¹ It displays over 100-fold selectivity for p110a over other isoforms. A-66 is effective in vivo, suppressing the growth of SKOV3 tumor xenografts in mice. It also impairs all measures of in vivo insulin action in mice. 2 A-66 partially suppresses B cell receptor-dependent Akt activation and proliferation.³

References

- 1. Jamieson, S., Flanagan, J.U., Kolekar, S., et al. A drug targeting only p110a can block phosphoinositide 3-kinase signalling and tumour growth in certain cell types. Biochem. J. 438(1), 53-62 (2011).
- 2. Smith, G.C., Ong, W.K., Rewcastle, G.W., et al. Effects of acutely inhibiting PI3K isoforms and mTOR on regulation of glucose metabolism in vivo. Biochem. J. 442(1), 161-169 (2012).
- So, L., Yea, S.S., Oak, J.S., et al. Selective inhibition of phosphoinositide 3-kinase p110a preserves lymphocyte function. The Journal of Biological Chemistry 288(8), 5718-5731 (2013).

WARNING
THIS PRODUCT IS FOR RESEARCH ONLY - NOT FOR HUMAN OR VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

This material should be considered hazardous until further information becomes available. Do not ingest, inhale, get in eyes, on skin, or on clothing. Wash thoroughly after handling. Before use, the user must review the complete Safety Data Sheet, which has been sent via email to your institution.

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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