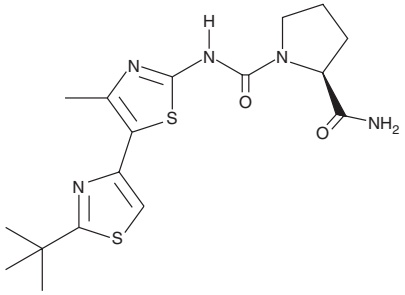
PRODUCT INFORMATION

A-66

Item No. 17382

CAS Registry No.:	1166227-08-2
Formal Name:	(2S)-N^1-[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 p110α (IC_{50} = 32 nM in a cell-free assay).[1] It displays over 100-fold selectivity for p110α over other isoforms. A-66 is effective *in vivo*, suppressing the growth of SKOV3 tumor xenografts in mice.[1] 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 p110α 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).
3. So, L., Yea, S.S., Oak, J.S., *et al.* Selective inhibition of phosphoinositide 3-kinase p110α preserves lymphocyte function. *The Journal of Biological Chemistry* **288(8)**, 5718-5731 (2013).

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