PRODUCT INFORMATION



AT-13148

Item No. 21597

CAS Registry No.:	1056901-62-2	н
Formal Name:	(αS)-α-(aminomethyl)-α-(4-	
	chlorophenyl)-4-(1H-pyrazol-4-yl)-	N
	benzenemethanol	Ń _N
MF:	C ₁₇ H ₁₆ CIN ₃ O	CI
FW:	313.8	
Purity:	≥98%	
UV/Vis.:	λ _{max} : 257 nm	С С С С С С С С С С С С С С С С С С С
Supplied as:	A crystalline solid	
Storage:	-20°C	NH ₂
Stability:	≥4 years	-

Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

AT-13148 is supplied as a crystalline solid. A stock solution may be made by dissolving the AT-13148 in the solvent of choice. AT-13148 is soluble in organic solvents such as DMSO and dimethyl formamide, which should be purged with an inert gas. The solubility of AT-13148 in these solvents is approximately 30 mg/ml.

AT-13148 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, AT-13148 should first be dissolved in DMSO and then diluted with the aqueous buffer of choice. AT-13148 has a solubility of approximately 0.33 mg/ml in a 1:2 solution of DMSO:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

AT-13148 is an orally bioavailable and ATP-competitive multi-AGC kinase inhibitor.¹ It inhibits Akt1, 2, and 3 (IC_{50} = 38, 402, and 50 nM, respectively), in addition to other AGC kinase family members p70S6K, PKA, ROCK1, and ROCK2 (IC₅₀s = 3-8 nM). AT-13148 inhibits growth of cancer cell lines with genetic mutations in PI3K-Akt-mTOR and RAS-RAF signaling pathways (GI₅₀s = 1.54-3.77 μ M). In vivo administration of AT-13148 (50 mg/kg, p.o.) inhibits the growth of MES-SA uterine sarcoma and BT474 breast cancer xenografts in mice by inhibiting Akt and p70S6K kinases. AT-13148 also stably inhibits ROCK-dependent phosphorylation of myosin light chain (MLC2) over a 24-hour period in 4599 mouse melanoma cells (EC₅₀ = 0.1 μ M).² Oral administration at a dose of 40 mg/kg reduces motility of 4699 melanoma cells in murine xenograft model.

References

- 1. Yap, T.A., Walton, M.I., Grimshaw, K.M., et al. AT13148 is a novel, oral multi-AGC kinase inhibitor with potent pharmacodynamic and antitumor activity. Clin. Cancer Res. 18(14), 3912-3923 (2012).
- 2. Sadok, A., McCarthy, A., Caldwell, J., et al. Rho kinase inhibitors block melanoma cell migration and inhibit metastasis. Cancer Res. 75(11), 2272-2284 (2015).

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

SAFETY DATA

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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