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Produktinformation

- Forschungsprodukte & Biochemikalien
- Zellkultur & Verbrauchsmaterial
- Diagnostik & molekulare Diagnostik
- Laborgeräte & Service

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Lieferung & Zahlungsart

siehe unsere Liefer- und Versandbedingungen

Zuschläge

- Mindermengenzuschlag
- Trockeneiszuschlag
- Gefahrgutzuschlag
- Expressversand

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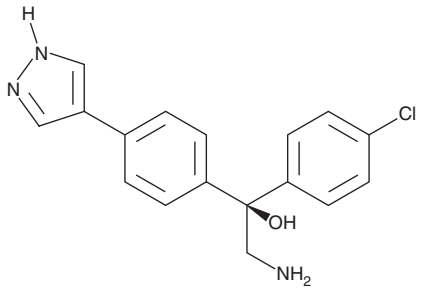
PRODUCT INFORMATION

AT-13148

Item No. 21597

CAS Registry No.:	1056901-62-2
Formal Name:	(αS)-α-(aminomethyl)-α-(4-chlorophenyl)-4-(1H-pyrazol-4-yl)-benzenemethanol
MF:	$C_{17}H_{16}ClN_3O$
FW:	313.8
Purity:	≥98%
UV/Vis.:	λ_{max}: 257 nm
Supplied as:	A crystalline solid
Storage:	-20°C
Stability:	≥2 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}s = 38, 402, and 50 nM, respectively), in addition to other AGC kinase family members p70S6K, PKA, ROCK1, and ROCK2 (IC_{50}s = 3-8 nM). AT-13148 inhibits growth of cancer cell lines with genetic mutations in PI3K-Akt-mTOR and RAS-RAF signaling pathways (GI_{50}s = 1.54-3.77 µM). *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 (EC_{50} = 0.1 µM).[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).

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