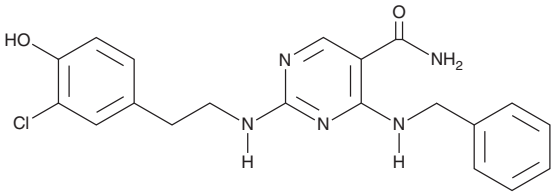
PRODUCT INFORMATION

AS1517499

Item No. 29071

CAS Registry No.:	919486-40-1
Formal Name:	2-[[2-(3-chloro-4-hydroxyphenyl) ethyl]amino]-4-[(phenylmethyl) amino]-5-pyrimidinecarboxamide
MF:	$C_{20}H_{20}ClN_5O_2$
FW:	397.9
Purity:	≥98%
UV/Vis.:	λ_{max}: 232, 263, 306 nm
Supplied as:	A 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

AS1517499 is supplied as a solid. A stock solution may be made by dissolving the AS1517499 in the solvent of choice, which should be purged with an inert gas. AS1517499 is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF). The solubility of AS1517499 in these solvents is approximately 5, 15, and 25 mg/ml, respectively.

AS1517499 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, AS1517499 should first be dissolved in DMF and then diluted with the aqueous buffer of choice. AS1517499 has a solubility of approximately 0.14 mg/ml in a 1:6 solution of DMF:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

AS1517499 is a STAT6 inhibitor (IC_{50} = 21 nM in a reporter assay).[1] It inhibits IL-4-induced Th2 differentiation (IC_{50} = 2.3 nM), but not IL-12-induced Th1 differentiation, of mouse spleen T cells. AS1517499 (10 mg/kg) inhibits bronchial smooth muscle hyperresponsiveness and IL-13 production in ovalbumin-sensitized mice when administered one hour prior to antigen exposure.[2] It inhibits STAT6 phosphorylation and thyroid epithelial cell (TEC) hyperplasia and decreases serum levels of 3,3',5-triiodo-L-thyronine (T3; Item No. 16028) and thyroxine (T4) in a mouse model of Graves' disease when administered at a dose of 10 mg/kg per day.[3] AS1517499 (20 mg/kg twice weekly) also inhibits tumor growth and liver metastasis in a murine orthotopic 4T1 mammary carcinoma model.[4]

References

1. Nagashima, S., Yokota, M., Nakai, E., *et al.* Synthesis and evaluation of 2-{[2-(4-hydroxyphenyl)-ethyl] amino}pyrimidine-5-carboxamide derivatives as novel STAT6 inhibitors. *Bioorg. Med. Chem.* **15(2),** 1044-1055 (2006).
2. Chiba, Y., Todoroki, M., Nishida, Y., *et al.* A novel STAT6 inhibitor AS1517499 ameliorates antigen-induced bronchial hypercontractility in mice. *Am. J. Respir. Cell Mol. Biol.* **41(5),** 516-524 (2009).
3. Jiang, X., Zha, B., Liu, X., *et al.* STAT6 deficiency ameliorates Graves' disease severity by suppressing thyroid epithelial cell hyperplasia. *Cell Death Dis.* **7(12),** e2506 (2016).
4. Binnemars-Postma, K., Bansal, R., Storm, G., *et al.* Targeting the Stat6 pathway in tumor-associated macrophages reduces tumor growth and metastatic niche formation in breast cancer. *FASEB J.* **32(2),** 969-978 (2018).

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