PRODUCT INFORMATION



SHP099

Purity:

Item No. 20000

CAS Registry No.: 1801747-42-1

Formal Name: 6-(4-amino-4-methyl-

1-piperidinyl)-3-(2,3-

dichlorophenyl)-2-pyrazinamine

MF: C₁₆H₁₉Cl₂N₅ 352.3 FW:

UV/Vis.: λ_{max} : 247, 351 nm Supplied as: A crystalline solid

≥98%

Storage: -20°C Stability: ≥4 years

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

Laboratory Procedures

SHP099 is supplied as a crystalline solid. A stock solution may be made by dissolving the SHP099 in the solvent of choice. SHP099 is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF), which should be purged with an inert gas. The solubility of SHP099 in ethanol is approximately 10 mg/ml and approximately 30 mg/ml in DMSO and DMF.

SHP099 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, SHP099 should first be dissolved in DMSO and then diluted with the aqueous buffer of choice. SHP099 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

SHP099 is an orally bioavailable inhibitor of SHP2, a non-receptor protein tyrosine phosphatase (IC₅₀ = 71 nM).^{1,2} It stabilizes SHP2 in an auto-inhibited conformation.² SHP099 suppresses signaling through the Ras-ERK pathway and blocks the proliferation of receptor tyrosine kinase-driven human cancer cells in vitro and in vivo.2

References

- 1. Garcia Fortanet, J., Chen, C. H.-T., Chen, Y-N.P., et al. Allosteric inhibition of SHP2: Identification of a potent, selective, and orally efficacious phosphatase inhibitor. J. Med. Chem. 59(17), 7773-7782 (2016).
- Chen, Y.-N. P., LaMarche, M.J., Chan, H.M., et al. Allosteric inhibition of SHP2 phosphatase inhibits cancers driven by receptor tyrosine kinases. Nature 535(7610), 148-152 (2016).

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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