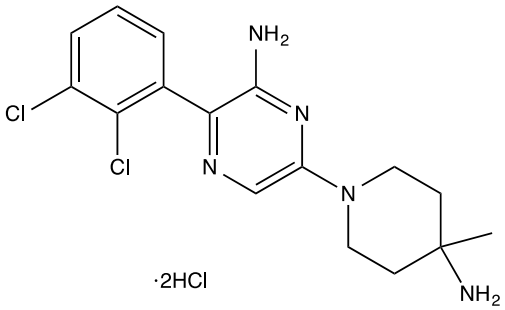
Catalog #10-4604

SHP099

CAS# 1801747-42-4

6-(4-Amino-4-methylpiperidin-1-yl)-3-(2,3-dichlorophenyl)pyrazin-2-amine dihydrochloride

Lot # FBA7052



SHP099 is a potent (IC_{50} = 71 nM) and selective allosteric inhibitor of SHP2. SHP2 is a non-receptor tyrosine phosphatase that regulates cell survival and proliferation through activating the RAS-ERK pathway. It also mediates programmed cell death 1 (PD-1) and T-lymphocyte attenuator (BTLA) immune checkpoint pathways. Treatment with SHP099, in combination with ceritinib, halts growth of ALK-inhibitor resistant non-small-cell lung cancer cells.[3] Thus, reduction of SHP2 activity is a potential important cancer therapy target. It showed no activity against a panel of 21 other human phosphatases and 66 kinases.

1) Fortanet *et al.* (2016), *Allosteric Inhibition of SHP2: Identification of a Potent, Selective, and Orally Efficacious Phosphatase Inhibitor*; J.Med.Chem. **59** 7773
2) Chen *et al.* (2016), *Allosteric inhibition of SHP2 phosphatase inhibits cancers driven by receptor tyrosine kinases*; Nature **535** 148
3) Dardaei *et al.* (2018), *SHP2 inhibition restores sensitivity in ALK-rearranged non-small-cell lung cancer resistant to ALK inhibitors*; Nat. Med. **24** 512

PHYSICAL DATA

Molecular Weight:	425.18
Molecular Formula:	$C_{16}H_{19}Cl_2N_5 \cdot 2HCl$
Purity:	>98% (HPLC) NMR: (Conforms)
Solubility:	DMSO (5 mg/mL) and water (>20 mg/mL)
Physical Description:	Yellow solid
Storage and Stability:	Store as supplied at -20°C for up to 1 year from the date of purchase. Solutions in DMSO or distilled water may be stored at -20°C for up to 3 months.

Focus Biomolecules LLC 400 Davis Drive, Suite 600 Plymouth Meeting PA 19462

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