

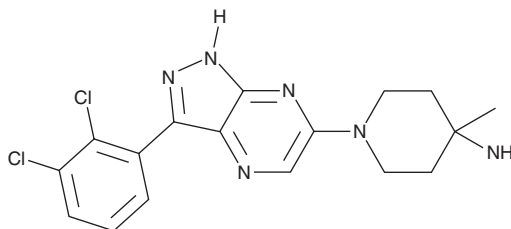
# PRODUCT INFORMATION



## IACS-13909

Item No. 40654

**CAS Registry No.:** 2160546-07-4  
**Formal Name:** 1-[3-(2,3-dichlorophenyl)-1H-pyrazolo[3,4-b]pyrazin-6-yl]-4-methyl-4-piperidinamine  
**MF:** C<sub>17</sub>H<sub>18</sub>Cl<sub>2</sub>N<sub>6</sub>  
**FW:** 377.3  
**Purity:** ≥98%  
**Supplied as:** A solid  
**Storage:** -20°C  
**Stability:** ≥4 years



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

### Laboratory Procedures

IACS-13909 is supplied as a solid. A stock solution may be made by dissolving the IACS-13909 in the solvent of choice, which should be purged with an inert gas. IACS-13909 is sparingly soluble (1-10 mg/ml) in ethanol and DMSO.

### Description

IACS-13909 is an allosteric inhibitor of Src homology region 2 domain-containing phosphatase 2 (SHP-2; IC<sub>50</sub> = 11 nM).<sup>1</sup> It binds wild-type SHP-2 and SHP-2 containing a constitutively activating glutamate-to-lysine substitution at position 76 (SHP-2<sup>E76K</sup>; K<sub>d</sub>s = 49 and 211 nM, respectively). IACS-13909 decreases the levels of phosphorylated ERK in KYSE-520 esophageal squamous cell carcinoma cells (IC<sub>50</sub> = 47 nM) but also inhibits human-ether-a-go-go (hERG) channels (IC<sub>50</sub> = 180 nM in whole-cell patch-clamp assays using CHO cells). It decreases tumor volume without affecting body weight in an EGFR-overexpressing KYSE-520 mouse xenograft model when administered at a dose of 70 mg/kg per day.<sup>2</sup> IACS-13909 (70 mg/kg per day) induces sustained reduction in tumor volume after dosing cessation in a non-small cell lung cancer (NSCLC) mouse xenograft model using H1975 cells expressing drug-resistant EGFR<sup>L858R/T790M/C797S</sup>.

### References

1. Czako, B., Sun, Y., McAfoos, T., *et al.* Discovery of 6-[(3S,4S)-4-amino-3-methyl-2-oxa-8-azaspiro[4.5]decan-8-yl]-3-(2,3-dichlorophenyl)-2-methyl-3,4-dihydropyrimidin-4-one (IACS-15414), a potent and orally bioavailable SHP2 inhibitor. *J. Med. Chem.* **64**(20), 15141-15169 (2021).
2. Sun, Y., Meyers, B.A., Czako, B., *et al.* Allosteric SHP2 inhibitor, IACS-13909, overcomes EGFR-dependent and EGFR-independent resistance mechanisms toward osimertinib. *Cancer Res.* **80**(21), 4840-4853 (2020).

#### 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.

#### WARRANTY AND LIMITATION OF REMEDY

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#### CAYMAN CHEMICAL

1180 EAST ELLSWORTH RD  
ANN ARBOR, MI 48108 · USA

**PHONE:** [800] 364-9897  
[734] 971-3335

**FAX:** [734] 971-3640

CUSTSERV@CAYMANCHEM.COM  
WWW.CAYMANCHEM.COM