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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

SZABO-SCANDIC HandelsgmbH

Quellenstraße 110, A-1100 Wien

T. +43(0)1 489 3961-0

F. +43(0)1 489 3961-7

mail@szabo-scandic.com

www.szabo-scandic.com

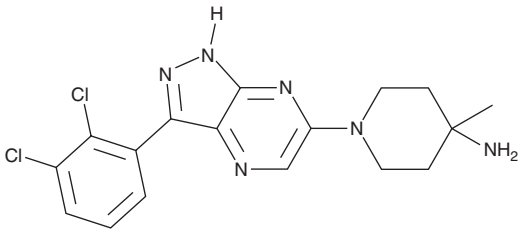
linkedin.com/company/szaboscandic

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:	$C_{17}H_{18}Cl_2N_6$
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_{50} = 11 nM).[1] It binds wild-type SHP-2 and SHP-2 containing a constitutively activating glutamate-to-lysine substitution at position 76 (SHP-2^{E76K}; K_ds = 49 and 211 nM, respectively). IACS-13909 decreases the levels of phosphorylated ERK in KYSE-520 esophageal squamous cell carcinoma cells (IC_{50} = 47 nM) but also inhibits human-ether-a-go-go (hERG) channels (IC_{50} = 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.[2] 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 $EGFR^{L858R/T790M/C797S}$.

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).

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