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Produktinformation

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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

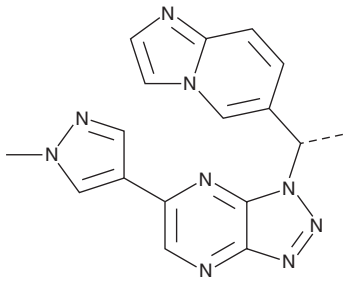
linkedin.com/company/szaboscandic

PRODUCT INFORMATION

Savolitinib

Item No. 33332

CAS Registry No.:	1313725-88-0
Formal Name:	1-[(1S)-1-imidazo[1,2-a]pyridin-6-ylethyl]-6-(1-methyl-1H-pyrazol-4-yl)-1H-1,2,3-triazolo[4,5-b]pyrazine
Synonyms:	AZD6094, HMPL-504, Volitinib
MF:	$C_{17}H_{15}N_9$
FW:	345.4
Purity:	≥98%
UV/Vis.:	λ_{max}: 225, 348 nm
Supplied as:	A crystalline 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

Savolitinib is supplied as a crystalline solid. A stock solution may be made by dissolving the savolitinib in the solvent of choice, which should be purged with an inert gas. Savolitinib is soluble in organic solvents such as DMSO and dimethyl formamide. The solubility of savolitinib in these solvents is approximately 1 mg/ml.

Savolitinib is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, savolitinib should first be dissolved in DMSO and then diluted with the aqueous buffer of choice. Savolitinib has a solubility of approximately 0.05 mg/ml in a 1:20 solution of DMSO:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

Savolitinib is an inhibitor of c-Met (IC_{50} = 5 nM).[1] It is selective for c-Met over a panel of 274 other kinases at 1 µM. Savolitinib inhibits c-Met autophosphorylation in NCI H441 human non-small cell lung cancer (NSCLC) cells (IC_{50} = 3 nM). It also inhibits proliferation of NCI H441 cells induced by HGF (Item No. 32052; IC_{50} = 6 nM) and in a panel of gastric cancer cells with dysregulated c-Met (EC_{50}s = 0.6-14.7 nM).[1,2] Savolitinib (3 mg/kg) inhibits intratumor c-Met autophosphorylation by 94% and reduces tumor growth in an Hs 746T human stomach cancer mouse xenograft model.[2]

References

1. Jia, H., Dai, G., Weng, J., *et al.* Discovery of (S)-1-(1-(Imidazo[1,2-a]pyridin-6-yl)ethyl)-6-(1-methyl-1H-pyrazol-4-yl)-1H-[1,2,3]triazolo[4,5-b]pyrazine (volitinib) as a highly potent and selective mesenchymal-epithelial transition factor (c-Met) inhibitor in clinical development for treatment of cancer. *J. Med. Chem.* **57(18)**, 7577-7589 (2014).
2. Gavine, P.R., Ren, Y., Han, L., *et al.* Volitinib, a potent and highly selective c-Met inhibitor, effectively blocks c-Met signaling and growth in *c-MET* amplified gastric cancer patient-derived tumor xenograft models. *Mol. Oncol.* **9(1)**, 323-333 (2015).

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