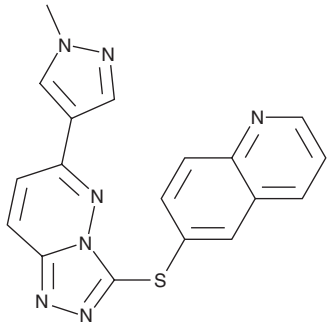
PRODUCT INFORMATION

SGX523

Item No. 18093

CAS Registry No.:	1022150-57-7
Formal Name:	6-[[6-(1-methyl-1H-pyrazol-4-yl)-1,2,4-triazolo[4,3-b]pyridazin-3-yl]thio]-quinoline
MF:	$C_{18}H_{13}N_7S$
FW:	359.4
Purity:	≥98%
UV/Vis.:	λ_{max}: 212, 234 nm
Supplied as:	A crystalline 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

SGX523 is supplied as a crystalline solid. A stock solution may be made by dissolving the SGX523 in the solvent of choice, which should be purged with an inert gas. SGX523 is soluble in organic solvents such as DMSO and dimethyl formamide. The solubility of SGX523 in these solvents is approximately 0.2 and 0.3 mg/ml, respectively.

Description

The hepatocyte growth factor receptor c-Met commonly shows elevated activity in several forms of cancer.[1,2] SGX523 is a potent, selective, ATP-competitive inhibitor that blocks the tyrosine kinase activity of c-Met with an IC_{50} value of 4 nM.[1] It is over 1,000-fold selective for c-Met over a panel of other kinases.[1] SGX523 is orally active and dose-dependently inhibits the growth of a variety of tumor xenografts in mice.[1,3] The effectiveness of SGX523 is enhanced when combined with other chemotherapeutic compounds, including inhibitors of EGFR.[2,4] SGX523 is metabolized, at least in part, by aldehyde oxidase, an enzyme that differs in activity across different species.[5]

References

1. Buchanan, S.G., Hendle, J., Lee, P.S., *et al.* SGX523 is an exquisitely selective, ATP-competitive inhibitor of the MET receptor tyrosine kinase with antitumor activity *in vivo*. *Mol. Cancer Ther.* **8(12)**, 3181-3190 (2009).
2. Padda, S., Neal, J.W., and Wakelee, H.A. MET inhibitors in combination with other therapies in non-small cell lung cancer. *Transl. Lung Cancer Res.* **1(4)**, 238-253 (2012).
3. Guessous, F., Zhang, Y., diPierro, C., *et al.* An orally bioavailable c-Met kinase inhibitor potently inhibits brain tumor malignancy and growth. *Anticancer Agents Med. Chem.* **10(1)**, 28-35 (2010).
4. Zhang, Y.-W., Staal, B., Essenburg, C., *et al.* Strengthening context-dependent anticancer effects on non-small cell lung carcinoma by inhibition of both MET and EGFR. *Mol. Cancer Ther.* **12(8)**, 1429-1441 (2013).
5. Diamond, S., Boer, J., Maduskuie, T.P., Jr., *et al.* Species-specific metabolism of SGX523 by aldehyde oxidase and the toxicological implications. *Drug Metab. Dispos.* **38(8)**, 1277-1285 (2010).

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