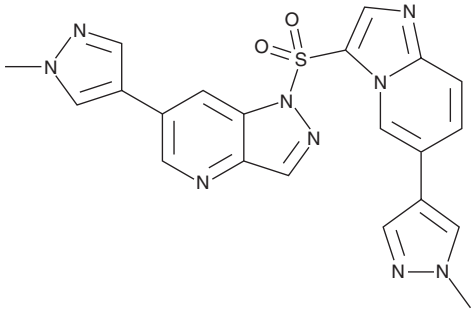
PRODUCT INFORMATION

Glumetinib

Item No. 28952

CAS Registry No.:	1642581-63-2
Formal Name:	6-(1-methyl-1H-pyrazol-4-yl)-1-[[6-(1-methyl-1H-pyrazol-4-yl) imidazo[1,2-a]pyridin-3-yl]sulfonyl]-1H-pyrazolo[4,3-b]pyridine
Synonym:	SCC244
MF:	$C_{21}H_{17}N_9O_2S$
FW:	459.5
Purity:	≥98%
UV/Vis.:	λ_{max}: 264, 316 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

Glumetinib is supplied as a crystalline solid. A stock solution may be made by dissolving the glumetinib in the solvent of choice, which should be purged with an inert gas. Glumetinib is soluble in organic solvents such as DMSO and dimethyl formamide (DMF). The solubility of glumetinib in these solvents is approximately 30 and 50 mg/ml, respectively.

Glumetinib is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, glumetinib should first be dissolved in DMF and then diluted with the aqueous buffer of choice. Glumetinib has a solubility of approximately 0.5 mg/ml in a 1:1 solution of DMF:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

Glumetinib is a potent inhibitor of c-Met (IC_{50} = 0.42 nM).[1] It is greater than 2,400-fold selective for c-Met over a panel of 312 kinases. Glumetinib (0.001-1 µM) inhibits c-Met phosphorylation in and proliferation of *MET*-overexpressing EBC-1 lung and MKN45 gastric cancer cells. It inhibits NCI H441 cell motility and invasion induced by hepatocyte growth factor (HGF) when used at a concentration of 10 nM. Glumetinib (2.5-10 mg/kg) reduces tumor volume in MKN45, SNU-5, and EBC-1 mouse xenograft models. It also reduces tumor growth in *MET* amplification-containing non-small cell lung cancer (NSCLC) and hepatocellular carcinoma (HCC) patient-derived xenograft (PDX) mouse models.

Reference

1. Ai, J., Chen, Y., Peng, X., *et al.* Preclinical evaluation of SCC244 (glumetinib), a novel, potent, and highly selective inhibitor of c-Met in MET-dependent cancer models. *Mol. Cancer Ther.* **17(4),** 751-762 (2017).

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