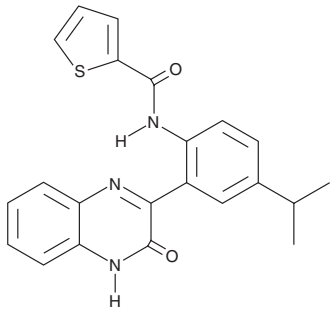
PRODUCT INFORMATION

ML-281

Item No. 20116

CAS Registry No.:	1404437-62-2
Formal Name:	N-[2-(3,4-dihydro-3-oxo-2-quinoxalinyl)-4-(1-methylethyl) phenyl]-2-thiophenecarboxamide
MF:	$C_{22}H_{19}N_3O_2S$
FW:	389.5
Purity:	≥98%
UV/Vis.:	λ_{max}: 284 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

ML-281 is supplied as a crystalline solid. A stock solution may be made by dissolving the ML-281 in the solvent of choice, which should be purged with an inert gas. ML-281 is soluble in organic solvents such as DMSO and dimethyl formamide (DMF). The solubility of ML-281 in these solvents is approximately 20 and 33 mg/ml, respectively.

ML-281 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, ML-281 should first be dissolved in DMF and then diluted with the aqueous buffer of choice. ML-281 has a solubility of approximately 0.2 mg/ml in a 1:4 solution of DMF:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

ML-281 is an inhibitor of the serine/threonine kinase STK33 (IC_{50} = 14 nM for purified, recombinant STK33), a kinase whose downregulation is toxic to KRAS-dependent cancer cell lines.[1] It has 700- and 550-fold selectivity for STK33 over PKA and Aurora B kinase, respectively. It is also selective over 81 other kinases in a panel at a concentration of 1 µM, but does inhibit the activity of Fms-like tyrosine kinase (FLT3) and vascular endothelial growth factor receptor 2 (VEGFR2/KDR) by greater than 25%. ML-281 does not decrease viability of KRAS-dependent or KRAS-independent cell lines.

Reference

1. Weïwer, M., Spoonamore, J., Wei, J., *et al.* A potent and selective quinoxalinone-based STK33 inhibitor does not show synthetic lethality in KRAS-dependent cells. *ACS Med. Chem. Lett.* **3(12)**, 1034-1038 (2012).

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