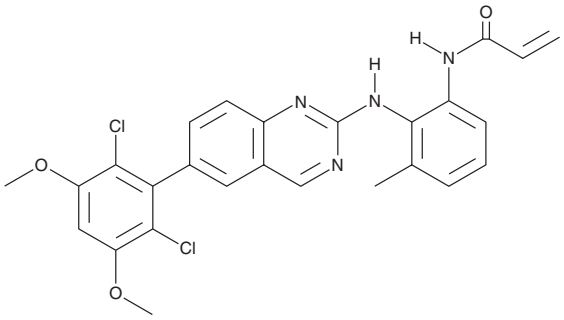
PRODUCT INFORMATION

BLU-9931

Item No. 18405



CAS Registry No.:	1538604-68-0
Formal Name:	N-[2-[[6-(2,6-dichloro-3,5-dimethoxyphenyl)-2-quinazolinyl]amino]-3-methylphenyl]-2-propenamide
MF:	$C_{26}H_{22}Cl_2N_4O_3$
FW:	509.4
Purity:	≥98%
UV/Vis.:	λ_{max}: 251 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

BLU-9931 is supplied as a crystalline solid. A stock solution may be made by dissolving the BLU-9931 in the solvent of choice. BLU-9931 is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF), which should be purged with an inert gas. The solubility of BLU-9931 in ethanol is approximately 0.2 mg/ml and approximately 20 mg/ml in DMSO and DMF.

BLU-9931 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, BLU-9931 should first be dissolved in DMSO and then diluted with the aqueous buffer of choice. BLU-9931 has a solubility of approximately 0.3 mg/ml in a 1:2 solution of DMSO:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

Fibroblast growth factor receptor 4 (FGFR4) is the receptor for fibroblast growth factor 19 (FGF19), which is a tightly controlled hormone that regulates bile acid synthesis and hepatocyte proliferation in the normal liver. Aberrant signaling through the FGFR4/FGF19 signaling complex has been implicated in hepatocellular carcinoma (HCC).[1] BLU-9931 is a small molecule inhibitor of FGFR4 (IC_{50} = 3 nM) that is selective for FGFR4 over other FGFR family members (IC_{50}s = 591, 493, and 150 nM for FGFR1, 2, and 3, respectively) and an extensive panel of additional kinases (selectivity score for BLU-9931 at 3 µM is 0.005).[1] It inhibits proliferation of HCC cell lines with an activated FGFR4 signaling pathway (EC_{50}s = 0.02-0.11 µM) and demonstrates antitumor activity when administered orally at 100 mg/kg to mice bearing HCC xenografts that overexpress FGF19 due to amplification or to mice bearing liver tumor xenografts that overexpress FGF19 mRNA but lack FGF19 amplification.[1]

Reference

1. Hagel, M., Miduturu, C., Sheets, M., *et al.* First selective small molecule inhibitor of FGFR4 for the treatment of hepatocellular carcinomas with an activated FGFR4 signaling pathway. *Cancer Discov.* **5(4)**, 424-437 (2015).

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