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: $C_{26}H_{22}CI_2N_4O_3$

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 (IC₅₀ = 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). It inhibits proliferation of HCC cell lines with an activated FGFR4 signaling pathway (EC₅₀s = 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).

WARNING
THIS PRODUCT IS FOR RESEARCH ONLY - NOT FOR HUMAN OR VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

This material should be considered hazardous until further information becomes available. Do not ingest, inhale, get in eyes, on skin, or on clothing. Wash thoroughly after handling. Before use, the user must review the complete Safety Data Sheet, which has been sent via email to your institution.

WARRANTY AND LIMITATION OF REMEDY

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