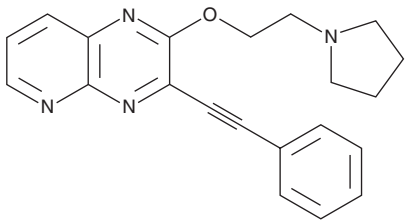
PRODUCT INFORMATION

GK921

Item No. 34359

CAS Registry No.:	1025015-40-0
Formal Name:	3-(2-phenylethynyl)-2-[2-(1-pyrrolidinyl) ethoxy]-pyrido[2,3-b]pyrazine
MF:	$C_{21}H_{20}N_4O$
FW:	344.4
Purity:	≥98%
UV/Vis.:	λ_{max}: 226, 362, 378 nm
Supplied as:	A 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

GK921 is supplied as a solid. A stock solution may be made by dissolving the GK921 in the solvent of choice, which should be purged with an inert gas. GK921 is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide. The solubility of GK921 in these solvents is approximately 30 mg/ml.

GK921 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, GK921 should first be dissolved in ethanol and then diluted with the aqueous buffer of choice. GK921 has a solubility of approximately 0.14 mg/ml in a 1:6 solution of ethanol:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

GK921 is an inhibitor of transglutaminase 2 (TG2; IC_{50} = 7.71 µM).[1] It is cytotoxic against a panel of eight renal cell carcinoma (RCC) cell lines (mean GI_{50} = 0.905 µM). GK921 (8 mg/kg) suppresses tumor growth in ACHN and Caki-1 RCC mouse xenograft models.

Reference

1. Ku, B.M., Kim, S.-J., Kim, N., *et al.* Transglutaminase 2 inhibitor abrogates renal cell carcinoma in xenograft models. *J. Cancer Res. Clin. Oncol.* **140(5)**, 757-767 (2014).

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