# **PRODUCT** INFORMATION



KX2-391

Item No. 21429

CAS Registry No.:	897016-82-9
Formal Name:	5-[4-[2-(4-morpholinyl)ethoxy]phenyl]-N-
	(phenylmethyl)-2-pyridineacetamide
Synonyms:	KX 01, Tirbanibulin
MF:	$C_{26}H_{29}N_3O_3$
FW:	431.5
Purity:	≥98%
UV/Vis.:	λ <sub>max</sub> : 268 nm
Supplied as:	A crystalline solid
Storage:	-20°C
Stability:	≥4 years
1	

Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

# Laboratory Procedures

KX2-391 is supplied as a crystalline solid. A stock solution may be made by dissolving the KX2-391 in the solvent of choice, which should be purged with an inert gas. KX2-391 is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide. The solubility of KX2-391 in these solvents is approximately 1, 15, and 10 mg/ml, respectively.

KX2-391 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, KX2-391 should first be dissolved in DMSO and then diluted with the aqueous buffer of choice. KX2-391 has a solubility of approximately 0.5 mg/ml in a 1:1 solution of DMSO:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

# Description

KX2-391 is an inhibitor of Src kinase (IC<sub>50</sub> = ~20 nM).<sup>1</sup> It is selective for Src over PDGFR, EGFR, JAK1, JAK2, Lck, and ZAP-70. KX2-391 induces cell cycle arrest at the  $G_2/M$  phase and apoptosis in breast cancer cells expressing estrogen receptor  $\alpha$  (ERa).<sup>2</sup> It inhibits the growth of Huh7, PLC/PRF/5, Hep3B, and HepG2 cells (Gl<sub>50</sub>s = 9, 13, 26, and 60 nM, respectively).<sup>3</sup> KX2-391 (10 mg/kg) decreases spleen weight and the number of splenic leukemia cells in a mouse model of FLT3 bearing internal-tandem duplication and F691L mutant (FLT3-ITD-F691L) acute myeloid leukemia (AML).<sup>4</sup>

# References

- 1. Naing, A., Cohen, R., Dy, G.K., et al. A phase I trial of KX2-391, a novel non-ATP competitive substrate-pocket- directed SRC inhibitor, in patients with advanced malignancies. Invest. New Drugs 31(4), 967-973 (2013).
- 2. Anbalagan, M., Carrier, L., Glodowski, S., et al. KX-01, a novel Src kinase inhibitor directed toward the peptide substrate site, synergizes with tamoxifen in estrogen receptor  $\alpha$  positive breast cancer. Breast Cancer Res. Treat. 132(2), 391-409 (2012).
- 3. Lau, G.M., Lau, G.M., Yu, G.-L., et al. Expression of Src and FAK in hepatocellular carcinoma and the effect of Src inhibitors on hepatocellular carcinoma in vitro. Dig. Dis. Sci. 54(7), 1465-1474 (2009).
- 4. Wang, P., Xiao, X., Zhang, Y., et al. A dual inhibitor overcomes drug-resistant FLT3-ITD acute myeloid leukemia. J. Hematol. Oncol. 14(1), 105 (2021).

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

### SAFFTY DATA

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.

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