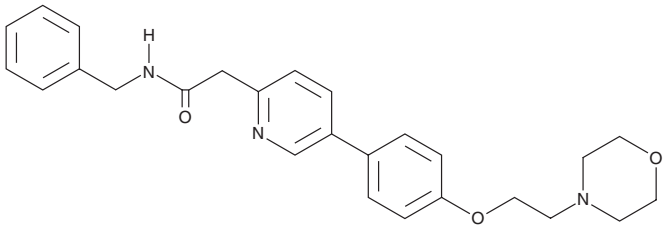
PRODUCT INFORMATION

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_{max}: 268 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

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_{50} = ~20 nM).[1] 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 α (ERα).[2] It inhibits the growth of Huh7, PLC/PRF/5, Hep3B, and HepG2 cells (GI_{50}s = 9, 13, 26, and 60 nM, respectively).[3] 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).[4]

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 α 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).

CAYMAN CHEMICAL
1180 EAST ELLSWORTH RD
ANN ARBOR, MI 48108 · USA
PHONE: [800] 364-9897
[734] 971-3335
FAX: [734] 971-3640
CUSTSERV@CAYMANCHEM.COM
WWW.**CAYMANCHEM**.COM