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产品名称：KX2-391 (Mesylate)
产品别名：Tirbanibulin Mesylate

生物活性：

Description	Tirbanibulin Mesylate (KX2-391 Mesylate) is an inhibitor of Src that targets the peptide substrate site of Src, with GI_{50} of 9-60 nM in cancer cell lines.
IC_{50} & Target	GI50: 9 nM (Src, in HuH7 cells), 13 nM (Src, in PLC/PRF/5 cells), 26 nM (Src, in Hep3B cells), 60 nM (Src, in HepG2 cells)[1]
In Vitro	Tirbanibulin Mesylate (KX2-391 Mesylate) is a Src inhibitor that is directed to the Src substrate pocket. Tirbanibulin (KX2-391) shows steep dose-response curves against Huh7 (GI50=9 nM), PLC/PRF/5 (GI50=13 nM), Hep3B (GI50=26 nM), and HepG2 (GI50=60 nM), four hepatic cell cancer (HCC) cell lines[1]. Tirbanibulin Mesylate (KX2-391 Mesylate) is found to inhibit certain leukemia cells that are resistant to current commercially available drugs, such as those derived from chronic leukemia cells with the T3151 mutation. Tirbanibulin Mesylate (KX2-391 Mesylate) is evaluated in engineered Src driven cell growth assays inNIH3T3/c-Src527F and SYF/c-Src527F cells and exhibits GI50 with 23 nM and 39 nM, respectively[2].
In Vivo	Orally administered Tirbanibulin Mesylate (KX2-391 Mesylate) is shown to inhibit primary tumor growth and to suppress metastasis, in pre-clinical animal models of cancer[2].
Solvent&Solubility	***In Vitro:*** **DMSO : ≥ 57 mg/mL (108.03 mM)** * "≥" means soluble, but saturation unknown.

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	1.8953 mL	9.4763 mL	18.9527 mL
5 mM	0.3791 mL	1.8953 mL	3.7905 mL
10 mM	0.1895 mL	0.9476 mL	1.8953 mL

*请根据产品在不同溶剂中的溶解度选择合适的溶剂配制储备液；一旦配成溶液，请分装保存，避免反复冻融造成的产品失效。

储备液的保存方式和期限：-80°C, 6 months; -20°C, 1 month。-80°C 储存时，请在 6 个月内使用，-20°C 储存时，请在 1 个月内使用。

References

[1]. Lau GM, et al. Expression of Src and FAK in hepatocellular carcinoma and the effect of Src inhibitors on hepatocellular carcinoma in vitro. Dig Dis Sci, 2009, 54(7), 1465-1474.

[2]. Fallah-Tafti A, et al. Thiazolyl N-benzyl-substituted acetamide derivatives: synthesis, Src kinase inhibitory and anticancer activities. Eur J Med Chem, 2011, 46(10), 4853-4858.

实验参考：

Liver cell lines including Huh7, PLC/PRF/5, Hep3B, and HepG2 are routinely cultured and maintained in basal medium containing 2% fetal bovine serum (FBS) at 37°C and 5% CO_2. Cells are seeded at 4.0×10^3/190 µL and 8.0×10^3/190 µL per well of 96-well plate in basal medium containing 1.5% FBS. These are cultured overnight at 37°C and 5% CO_2 prior to the addition of Tirbanibulin (KX2-391), at concentrations ranging from 6,564 to 0.012 nM in triplicates. Treated cells are

Cell Assay	incubated for 3 days. Ten μLs of 3-(4,5-dimethylthiazol- 2-yl)-2,5-diphenyltetrazolium bromide (MTT) solution (5 mg/mL) is then added to each well on day 3 and cells incubated for 4 hours. The formazan product is dissolved with 10% SDS in dilute HCl. Optical density at 570 nm is measured. For comparison of activity and potency, parallel experiments are performed using Tirbanibulin (KX2-391). Growth inhibition curves, 50% inhibition concentration (GI_{50}), and 80% inhibition concentration (GI_{80}) are determined using GraphPad Prism 5 statistical software. Data are normalized to represent percentage of maximum response as well as reported in optical density at wavelength of 570 nm (OD570) signal format. [1]
References	[1]. Lau GM, et al. Expression of Src and FAK in hepatocellular carcinoma and the effect of Src inhibitors on hepatocellular carcinoma in vitro. Dig Dis Sci, 2009, 54(7), 1465-1474. [2]. Fallah-Tafti A, et al. Thiazolyl N-benzyl-substituted acetamide derivatives: synthesis, Src kinase inhibitory and anticancer activities. Eur J Med Chem, 2011, 46(10), 4853-4858.