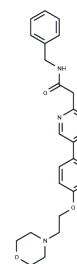


## Tirbanibulin

## Chemical Properties

CAS No. :	897016-82-9
Formula:	C <sub>26</sub> H <sub>29</sub> N <sub>3</sub> O <sub>3</sub>
Molecular Weight:	431.53
Storage:	Store at low temperature Powder: -20°C for 3 years   In solvent: -80°C for 1 year <small>Actual storage temperature shall be subject to the COA.</small>



## Biological Description

Description	Tirbanibulin (KX2-391) is a highly selective Src kinase inhibitor that has demonstrated efficacy in pre-Clinical animal models of colon, pancreatic, prostate and breast cancer. It is a substrate-targeted kinase inhibitor. KX2-391, belongs to an emerging new family of targeted cancer treatments called protein kinase inhibitors.
Targets(IC50)	Microtubule Associated,Src
In vitro	KX2-391, a Src inhibitor targeting the Src substrate pocket, demonstrates steep dose-response curves against Huh7 (GI <sub>50</sub> = 9 nM), PLC/PRF/5 (GI <sub>50</sub> = 13 nM), Hep3B (GI <sub>50</sub> = 26 nM), and HepG2 (GI <sub>50</sub> = 60 nM), four hepatic cell cancer (HCC) cell lines. It also inhibits leukemia cells resistant to current drugs, including those with the T3151 mutation. In engineered Src-driven cell growth assays with NIH3T3/c-Src527F and SYF/c-Src527F cells, KX2-391 shows GI <sub>50</sub> values of 23 nM and 39 nM, respectively. [1][2]
In vivo	In pre-Clinical animal models of cancer, orally administered KX2-391 is shown to inhibit primary tumor growth and to suppress metastasis. [2]
Cell Research	Liver cell lines including Huh7, PLC/PRF/5, Hep3B, and HepG2 (NutriCyte, Buffalo, NY) are routinely cultured and maintained in basal medium containing 2% fetal bovine serum (FBS) at 37 °C and 5% CO <sub>2</sub> . Cells are seeded at 4.0 × 10 <sup>3</sup> /190 μL and 8.0 × 10 <sup>3</sup> /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 <sub>2</sub> prior to the addition of KX2-391, at concentrations ranging from 6,564 to 0.012 nM in triplicates. Treated cells are incubated for 3 days. Ten microliters 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 by using BioTek Synergy HT multiplatform microplate reader. For comparison of activity and potency, parallel experiments are performed using KX2-391. Growth inhibition curves, 50% inhibition concentration (GI <sub>50</sub> ), and 80% inhibition concentration (GI <sub>80</sub> ) 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 (OD <sub>570</sub> ) signal format.</ (Only for Reference)

## Solubility Information

## A DRUG SCREENING EXPERT

Solubility	DMSO: 84.17 mg/mL (195.05 mM),Sonication is recommended. H2O: < 1 mg/mL (insoluble or slightly soluble), Ethanol: < 1 mg/mL (insoluble or slightly soluble), (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+40% PEG300+5% Tween 80+45% Saline: 3.3 mg/mL (7.65 mM),Sonication is recommended. <i>Please add the solvents sequentially, clarifying the solution as much as possible before adding the next one. Dissolve by heating and/or sonication if necessary. Working solution is recommended to be prepared and used immediately. The formulation provided above is for reference purposes only. In vivo formulations may vary and should be modified based on specific experimental conditions.</i>

### Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.3173 mL	11.5867 mL	23.1734 mL
5 mM	0.4635 mL	2.3173 mL	4.6347 mL
10 mM	0.2317 mL	1.1587 mL	2.3173 mL
50 mM	0.0463 mL	0.2317 mL	0.4635 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

### Reference

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