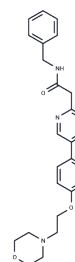


Tirbanibulin

Chemical Properties

| | |
|-------------------|--|
| CAS No. : | 897016-82-9 |
| Formula: | C ₂₆ H ₂₉ N ₃ O ₃ |
| Molecular Weight: | 431.53 |
| Appearance: | no data available |
| Storage: | store at low temperature Powder: -20°C for 3 years In solvent: -80°C for 1 year |



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 ₅₀ = 9 nM), PLC/PRF/5 (GI ₅₀ = 13 nM), Hep3B (GI ₅₀ = 26 nM), and HepG2 (GI ₅₀ = 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 ₅₀ 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 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 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 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 (OD ₅₇₀) signal format.</ (Only for Reference) |

Solubility Information

A DRUG SCREENING EXPERT

| | |
|------------|--|
| Solubility | DMSO: 80 mg/mL (185.39 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) |
|------------|--|

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.

Reference

Lau GM, et al, Dig Dis Sci, 2009, 54(7), 1465-1474.

Chen J, Lei C, Nie D, et al.Inorganic arsenic exposure promotes malignant progression by HDAC6-mediated down-regulation of HTRA1.Journal of Applied Toxicology.2023

Cao T, Li A Q, Zhang Y, et al.Norwogonin attenuates LPS-induced acute lung injury through inhibiting Src/AKT1/NF-κB signaling pathway.Phytomedicine.2025: 156432.

Fallah-Tafti A, et al, Eur J Med Chem, 2011, 46(10), 4853-4858.

Zhang X, Xu H, Bi X, et al. Src acts as the target of matrine to inhibit the proliferation of cancer cells by regulating phosphorylation signaling pathways[J]. 2020

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Tel:781-999-4286 E_mail:info@targetmol.com Address:36 Washington Street,Wellesley Hills,MA 02481