Product Data Sheet

Product Name: Mianserin
Cat. No.: GC15518

Chemical Properties

Cas. No.	24219-97-4		
Chemical Name	(R)-3-methyl-1,2,3,4,4a,9-hexahydrodibenzo[c,f]pyrazino[1,2-a]azepine		
SMILES	[H]C1(C2=C([H])C([H])=C([H])C([H])=C2C([H])([H])C3=C([H])C([H])=C([H])C([H])=C43)N4C([H])([H])C([H])([H])N(C([H])([H])[H])C1([H])[H]		
Formula	$C_{18}H_{20}N_2$	M.Wt	264.36
Solubility	Soluble in DMSO; >16 mg/mL in DMSO; >50 mg/mL in Methanol with ultrasonic; >10 mg/mL in EtOH with ultrasonic	Storage	Store at -20°C
General tips	For obtaining a higher solubility , please warm the tube at 37 ℃ and shake it in the ultrasonic bath for a while.Stock solution can be stored below -20℃ for several months.		
Shipping Condition	Evaluation sample solution : ship with blue ice All other available size: ship with RT , or blue ice upon request.		
Structure	Mianserin		

Protocol

Cell experiment [1]:

Cell lines	HepG2 cells

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Preparation Method	HepG2 cells were grown in Dulbecco's modified Eagle's medium (DMEM) supplemented with 10% fetal bovine serum in a humidified 37°C incubator with 5% CO_2. Cells were cultured in 96-well microplates for 24h. Then, several different concentrations (5, 15, 25, 35, 45, 55, 65, 75, and 85μg/ml) of Mianserin were prepared and applied to the cells. After 72h of incubation, the media containing the MTT solution were provided. Four hours later, the solubilization solution was added for overnight incubation. The cell proliferation index was measured by optical density at 570nm.
Reaction Conditions	5, 15, 25, 35, 45, 55, 65, 75, and 85μg/ml; 72h
Applications	Mianserin treatment significantly inhibited the viability of HepG2 cells in a dose-dependent manner.

Animal experiment [2]:

Animal models	Male BALB/c nude mice
Preparation Method	Male BALB/c nude mice (4-6 weeks old) were maintained under standard conditions. To establish a colorectal tumor model, approximately 5×10^6 SW480 cells were collected, mixed with Matrigel at a 1:1 volume ratio, and injected into the lower back of each mouse. When the tumor volume reached 100-150mm^3, the tumor-bearing mice were randomly divided into 2 groups (N=6). Treatment regimens (by intraperitoneal injection every 3 days) were as follows: (A) control group, 10ml/kg vehicle (5% PEG400:95% saline) and (B) Mianserin group (30mg/kg Mianserin treatment). A dose of Mianserin of 30mg/kg was chosen to be given every three days for 20 days. The body weight of the mice was recorded, and the tumor size was measured every 3 days with a digital caliper.

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Dosage form	30mg/kg every three days for 20 days; i.p.
Applications	Mianserin treatment inhibited SW480 tumor growth without affecting body weight in SW480 xenograft nude mice.

References:
[1] Huang Y H, Yeh C T. Anticancer effects of antidepressants in hepatocellular carcinoma cells[J]. Anticancer research, 2023, 43(3): 1201-1206.
[2] Duan Z, Zhou Z, Lu F, et al. Antitumor activity of mianserin (a tetracyclic antidepressant) primarily driven by the inhibition of SLC1A5-mediated glutamine transport[J]. Investigational New Drugs, 2022, 40(5): 977-989.

Background

Mianserin is a tetracyclic compound, with a K_i value of 0.056 ± 0.012μM for 5-HT_6R[1].

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Mianserin inhibits 5-HT-stimulated $[Ca^{2+}]_i$ increase with an IC_{50} value of 16 ± 3.8nM and suppresses amplification by 5-HT of ADP-induced aggregation of canine platelets with an IC_{50} value of 3.18μM[2-3]. Mianserin has been widely used to regulate dopamine levels in the prefrontal cortex of animals[4].

In vitro, Mianserin treatment for 72h inhibited the proliferation of SW480 cells with an IC_{50} value of 37.5μM[5]. Treatment of Hep2 and Huh7 cells with 15μg/ml Mianserin for 72 hours significantly inhibited cell viability and induced cell apoptosis[6].

In vivo, Mianserin treatment via daily intraperitoneal injection at a dose of 2mg/kg for 3 weeks blocked both 5-HT-2 and 5-HT-1A receptors in a rat model of depression[7]. Intra-articular injection of 50μM Mianserin (50μl) into both knees once a week for 8 weeks prevented cartilage degeneration in a rat model of osteoarthritis and inhibited Wnt/β-catenin signaling in articular chondrocytes[8].

References:
[1] Więckowski K, Szałaj N, Gryzło B, et al. Serotonin 5-HT6 receptor ligands and butyrylcholinesterase inhibitors displaying antioxidant activity—design, synthesis and biological evaluation of multifunctional agents against Alzheimer's disease[J]. International Journal of Molecular Sciences, 2022, 23(16): 9443.
[2] Ohsuka N, Mashiko H, Kaneko M, et al. Effects of Antidepressants and antipsychotics on the 5HT2 receptor-mediated signal transducing system in human platelets[J]. Psychopharmacology, 1995, 121(4): 428-432.
[3] Bush L R. Effects of the serotonin antagonists, cyproheptadine, ketanserin and mianserin, on cyclic flow reductions in stenosed canine coronary arteries[J]. The Journal of pharmacology and experimental therapeutics, 1987, 240(2): 674-682.
[4] Tanda G, Bassareo V, Chiara D. Mianserin markedly and selectively increases extracellular dopamine in the prefrontal cortex as compared to the nucleus accumbens of the rat[J]. Psychopharmacology, 1996, 123(2): 127-130.
[5] Duan Z, Zhou Z, Lu F, et al. Antitumor activity of mianserin (a tetracyclic antidepressant) primarily driven by the inhibition of SLC1A5-mediated glutamine transport[J]. Investigational New Drugs, 2022, 40(5): 977-989.
[6] Huang Y H, Yeh C T. Anticancer effects of antidepressants in hepatocellular carcinoma cells[J]. Anticancer research, 2023, 43(3): 1201-1206.

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[7] Jotaro A, Kounosuke T, Yuuko M, et al. Effects of chronic mianserin administration on serotonin metabolism and receptors in the 5-hydroxytryptophan depression model[J]. Progress in Neuro-Psychopharmacology and Biological Psychiatry, 1994, 18(1): 165-179.
[8] Okura T, Ohkawara B, Takegami Y, et al. Mianserin suppresses R-spondin 2-induced activation of Wnt/β-catenin signaling in chondrocytes and prevents cartilage degradation in a rat model of osteoarthritis[J]. Scientific Reports, 2019, 9(1): 2808.

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