Rimcazole dihydrochloride

Cat. No.: HY-108510

CAS No.: 75859-03-9

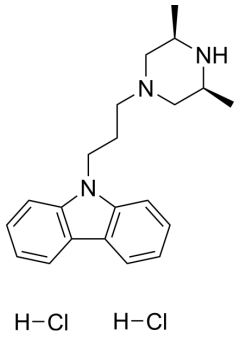
Molecular Formula: $C_{21}H_{29}Cl_2N_3$

Molecular Weight: 394.38

Target: Sigma Receptor; Dopamine Receptor

Pathway: Neuronal Signaling; GPCR/G Protein

Storage: 4°C, sealed storage, away from moisture and light
* In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture and light)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 12.5 mg/mL (31.70 mM; ultrasonic and warming and heat to 60°C)
H_2O : < 0.1 mg/mL (ultrasonic;warming;heat to 60°C) (insoluble)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	2.5356 mL	12.6781 mL	25.3563 mL
5 mM	0.5071 mL	2.5356 mL	5.0713 mL
10 mM	0.2536 mL	1.2678 mL	2.5356 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 1.25 mg/mL (3.17 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 1.25 mg/mL (3.17 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 1.25 mg/mL (3.17 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Rimcazole (BW 234U) dihydrochloride is a carbazole derivative that acts in part as a sigma (σ) receptor antagonist. Rimcazole dihydrochloride also binds with moderate affinity to the dopamine transporter and inhibit dopamine uptake. Rimcazole dihydrochloride can reduce locomotor activity and sensitization. Rimcazole dihydrochloride also can be used for the research of cancer[1][2][3][4].

IC_{50} & Target

sigma (σ) receptor[1]
dopamine transporter[1]

In Vitro

Rimcazole (1-50 μM; 24-48 h) concentration-dependently decreases the number HCT-116p53$^{+/+}$ cells[2].
Rimcazole (50 μM; 1-24 h) dihydrochloride induces a transient accumulation of HIF-1α protei in cancer cells (HCT-116p53$^{+/+}$ and MDA MB 231 cells) but not in normal human dermal fibroblasts[2].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Western Blot Analysis[2]

Cell Line:	HCT-116p53$^{+/+}$ cells
Concentration:	50 μM
Incubation Time:	1, 2, 3, 5, 6, 24 hours
Result:	Accumulation of HIF-1α protein, maximal at 6 h. No change in p53 protein levels. HIF-1α protein levels returned to normal steady-state levels within 24 h.

REFERENCES

[1]. Gilmore DL, et, al. Review of the pharmacological and clinical profile of rimcazole. CNS Drug Rev. Spring 2004;10(1):1-22.

[2]. Achison M, et, al. HIF-1alpha contributes to tumour-selective killing by the sigma receptor antagonist rimcazole. Oncogene. 2007 Feb 22;26(8):1137-46.

[3]. Matsumoto RR, et, al. Rimcazole analogs attenuate the convulsive effects of cocaine: correlation with binding to sigma receptors rather than dopamine transporters. Neuropharmacology. 2001 Dec;41(7):878-86.

[4]. Job MO, et, al. A behavioral economic analysis of the effects of rimcazole on reinforcing effects of cocaine injection and food presentation in rats. Psychopharmacology (Berl). 2019 Dec;236(12):3601-3612.

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