Product Data Sheet

Product Name: Escitalopram
Cat. No.: GC13161

Chemical Properties

Cas. No.	128196-01-0		
Chemical Name	(1S)-1-[3-(dimethylamino)propyl]-1-(4-fluorophenyl)-3H-2-benzofuran-5-carbonitrile		
SMILES	CN(C)CCCC1(C2=C(CO1)C=C(C=C2)C#N)C3=CC=C(C=C3)F		
Formula	$C_{20}H_{21}FN_2O$	M.Wt	324.39
Solubility	DMF: 25 mg/ml,DMSO: 33 mg/ml,DMSO:PBS (pH 7.2) (1:1): 0.5 mg/ml,Ethanol: 20 mg/ml	Storage	Store at 2-8°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	Escitalopram		

Protocol

Cell experiment [1]:

Cell lines	Rat B104, human SH-SY5Y, IMR32 and Kelly neuroblastoma cell lines
Preparation Method	Neuroblastoma cells were exposed to increasing concentrations of Escitalopram (25–175μM). Cell viability was assessed by the neutral red assay.

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Reaction Conditions	25–175μM; 24h
Applications	Escitalopram showed a concentration-dependent cytotoxicity on all neuroblastoma cell lines, with IMR32 being the most sensitive. Escitalopram significantly down-regulated the expression of MYBL2, BIRC5 and BARD1 poor prognosis factors of neuroblastoma.

Animal experiment [2]:

Animal models	SCID mice
Preparation Method	Xenografted tumors were generated by subcutaneously injecting 5 x 10^6 Huh-7 cells into SCID mice. When the tumor volume was approximately 20mm^3, the mice were treated daily with PBS, 2.5mg/kg Escitalopram and 12.5mg/kg Escitalopram through oral gavage.
Dosage form	2.5 or 12.5mg/kg; oral gavage; daily for 7day
Applications	Escitalopram (12.5mg/kg) significantly attenuated the growth of xenografted Huh-7 cells, resulting in a significantly smaller mean tumor volume compared to PBS-treated mice.

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References:
[1] Sakka L, Delétage N, Chalus M, et al. Assessment of citalopram and escitalopram on neuroblastoma cell lines. Cell toxicity and gene modulation. Oncotarget. 2017 Jun 27;8(26):42789-42807.
[2] Chen LJ, Hsu TC, Chan HL, et al. Protective Effect of Escitalopram on Hepatocellular Carcinoma by Inducing Autophagy. Int J Mol Sci. 2022 Aug 17;23(16):9247.

Background

Escitalopram is a highly selective serotonin reuptake inhibitor (K_i=0.89nM). Escitalopram increases serotonin concentration in the central nervous system by highly selectively inhibiting the serotonin transporter, while exhibiting weak effects on norepinephrine and dopamine reuptake. Escitalopram can be used in research related to depression, panic disorder, generalized anxiety disorder, and obsessive-compulsive disorder[1-4].

In vitro, HEK293/tau441 cells pre-incubated with Forskolin (4μM) for 2 hours were treated with Escitalopram (20–80μM) for 22 hours. Escitalopram significantly alleviated tau hyperphosphorylation at Ser214 and Ser198/199/202 sites, while increasing the levels of serine-9-phosphorylated GSK-3β and Ser473-phosphorylated Akt[5]. Rat B104, human SH-SY5Y, IMR32, and Kelly neuroblastoma cell lines were treated with Escitalopram (25–175μM). Escitalopram significantly reduced cell viability and down-regulated the expression of poor prognosis factors for neuroblastoma, including MYBL2, BIRC5, and BARD1[6].

In vivo, SCID mice bearing Huh-7 cell xenograft tumors were orally administered Escitalopram (12.5mg/kg) once daily for 4 weeks. Escitalopram significantly reduced tumor volume[7]. Obsessive-compulsive disorder model Wistar rats were intraperitoneally injected with Escitalopram (10mg/kg/day) once daily for 7 days.

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Escitalopram significantly increased GDNF and BDNF levels in serum and brain tissues, as well as brain 5-HT content[8].

References:

[1] Zhang P, Cyriac G, Kopajtic T, et al. Structure-activity relationships for a novel series of citalopram (1-(3-(dimethylamino)propyl)-1-(4-fluorophenyl)-1,3-dihydroisobenzofuran-5-carbonitrile) analogues at monoamine transporters. J Med Chem. 2010 Aug 26;53(16):6112-21.
[2] Pastoor D, Gobburu J. Clinical pharmacology review of escitalopram for the treatment of depression. Expert Opin Drug Metab Toxicol. 2014 Jan;10(1):121-8.
[3] Wu C, Gong WG, Wang YJ, et al. Escitalopram alleviates stress-induced Alzheimer's disease-like tau pathologies and cognitive deficits by reducing hypothalamic-pituitary-adrenal axis reactivity and insulin/GSK-3β signal pathway activity. Neurobiol Aging. 2018 Jul;67:137-147.
[4] Cirrito JR, Wallace CE, Yan P, et al. Effect of escitalopram on Aβ levels and plaque load in an Alzheimer mouse model. Neurology. 2020 Nov 10;95(19):e2666-e2674.
[5] Ren QG, Wang YJ, Gong WG, et al. Escitalopram Ameliorates Forskolin-Induced Tau Hyperphosphorylation in HEK239/tau441 Cells. J Mol Neurosci. 2015 Jun;56(2):500-8.
[6] Sakka L, Delétage N, Chalus M, et al. Assessment of citalopram and escitalopram on neuroblastoma cell lines. Cell toxicity and gene modulation. Oncotarget. 2017 Jun 27;8(26):42789-42807.
[7] Chen LJ, Hsu TC, Chan HL, et al. Protective Effect of Escitalopram on Hepatocellular Carcinoma by Inducing Autophagy. Int J Mol Sci. 2022 Aug 17;23(16):9247.
[8] Guo HR, Huang BL, Wang YL, et al. Effect of Escitalopram on Serum GDNF and BDNF Levels and 5-HT Level of Brain Tissue of Obsessive-Compulsive Disorder Rats. Cell Mol Neurobiol. 2020 Aug;40(6):991-997.

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