Product Data Sheet

Product Name: Prazosin
Cat. No.: GC16785

Chemical Properties

Cas. No.	19216-56-9		
Chemical Name	[4-(4-amino-6,7-dimethoxyquinazolin-2-yl)piperazin-1-yl]-(furan-2-yl)methanone		
SMILES	COC1=C(C=C2C(=C1)C(=NC(=N2)N3CCN(CC3)C(=O)C4=CC=CO4)N)OC		
Formula	$C_{19}H_{21}N_5O_4$	M.Wt	383.4
Solubility	DMSO : 41.67 mg/mL (108.69 mM; ultrasonic and warming and heat to 60°C); H_2O : < 0.1 mg/mL (insoluble)	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	Prazosin		

Protocol

Cell experiment [1]:

Cell lines	MG63 and 143B cells

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Preparation Method	Cells were cultured in Dulbecco's Modified Eagle Medium (DMEM) medium supplemented with 10% fetal bovine serum (FBS) at 37 °C with 5% CO_2. The cells were treated with different concentrations of Prazosin (0, 2.5, 5, 7.5, 10, 15, 20, 30, 40, and 50μM), with Prazosin's effect on cell viability being analyzed by CCK8 assay. After treatment for 24h, cells were cultured with CCK8 reagent (10μL/well) at 37°C for 90min with absorbance being measured at 450nm.
Reaction Conditions	0, 2.5, 5, 7.5, 10, 15, 20, 30, 40, and 50μM; 24h
Applications	Prazosin dose-dependently reduced the viability of MG63 and 143B cells.

Animal experiment [2]:

Animal models	C57 Bl/6 J mice
Preparation Method	Each mouse was tested only once. The group n was 9 to 10. The basal tail-flick scores were first measured followed by a second tail-flick measurement 30min after i.p. administration of the test drugs (Prazosin 0.01, 0.1, 0.25, 0.5, 1 or 2mg/kg, or clonidine 5mg/kg, or cirazoline 0.3mg/kg, or corynanthine 0.5mg/kg, or saline). Then, a 5mg/kg test dose of morphine was administered to all mice, and 30min later, tail-flick scores were measured for the third time. Tail-flick scores were converted to %MPE (Maximal Possible Effect): %MPE=(measured tail-flick score—average tail-flick score of saline-treated control group)/(cutoff time—average tail-flick score of saline-treated control group)×100%.

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Dosage form	0.01, 0.1, 0.25, 0.5, 1 and 2mg/kg/day; single-dose; i.p.
Applications	Prazosin enhances the analgesic effect of morphine in the tail flick test in mice, while Prazosin given alone has no analgesic effect.

References:
[1] An M, Ma W H, Jia H W, et al. Prazosin inhibits the growth and mobility of osteosarcoma cells[J]. Translational cancer research, 2019, 8(5): 1997.
[2] Özdogan Ü K, Lähdesmäki J, Scheinin M. Influence of prazosin and clonidine on morphine analgesia, tolerance and withdrawal in mice[J]. European journal of pharmacology, 2003, 460(2-3): 127-134.

Background

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Background

Prazosin is a specific antagonist of the α1-adrenergic receptor (α_1-AR), with binding constants (K_i values) of 0.2, 0.25, and 0.32nM for human recombinant α_1A-AR, α_1B-AR, and α_1D-AR, respectively, and 340 and 3.7nM for α_2-AR (in HT-29 cells expressing α_{2A}-AR and NG108 cells expressing α_{2B}-AR) [1-2]. The α_1-AR belongs to the seven-transmembrane structure and G protein-coupled receptor superfamily, and α1-AR located in the central and peripheral nervous systems have significant therapeutic significance [3]. Prazosin can have anti-inflammatory effects, relieve anxiety, alleviate panic, prevent memory decline, and regulate the analgesic effect of opioid drugs, and can be used in the research of hypertension and Alzheimer's disease [4].

In vitro, Prazosin (0, 2.5, 5, 7.5, 10, 15, 20, 30, 40, and 50μM; 24h) dose-dependently reduced the viability of MG63 and 143B cells and significantly weakened the cell migration and invasion ability. Prazosin inhibits the Akt/mTOR pathway by down-regulating the phosphorylation levels of Akt and mTOR and the expression of P70 and cyclin D1 [5]. Prazosin (30μM; 1h) pretreatment significantly increased the cytotoxicity of docetaxel-induced PC-3 and -LNCaP cells [6].

In vivo, Prazosin (1mg/kg/day; 2 weeks; i.p.) treatment can prevent memory decline in Alzheimer's disease (AD) mice, increase the anti-inflammatory response and astrocyte activation of mice, and significantly increase the number of GFAP-positive cells and neuronal cells [1]. Prazosin (0.01, 0.1, 0.25, 0.5, 1, and 2mg/kg/day; single-dose; i.p.) enhances the analgesic effect of morphine in mice in the tail flick test, while Prazosin alone has no analgesic effect [7].

References:

[1] Katsouri L, Vizcaychipi MP, McArthur S, et al. Prazosin, an α(1)-adrenoceptor antagonist, prevents memory deterioration in the APP23 transgenic mouse model of Alzheimer's disease. Neurobiol Aging. 2013;34(4):1105-1115.
[2] Bylund DB, Ray-Prenger C. Alpha-2A and alpha-2B adrenergic receptor subtypes: attenuation of cyclic AMP production in cell lines containing only one receptor subtype. *J Pharmacol Exp Ther*. 1989;251(2):640-644.
[3] Sarma P K S, Tiwari A, Pal A. α1-Adrenoceptors as potential therapeutic targets[J]. Expert Opinion on Therapeutic Patents, 2005, 15(10): 1333-1351.

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[4] Ozdoğan UK, Lähdesmäki J, Scheinin M. Influence of prazosin and clonidine on morphine analgesia, tolerance and withdrawal in mice. *Eur J Pharmacol*. 2003;460(2-3):127-134.
[5] An M, Ma W H, Jia H W, et al. Prazosin inhibits the growth and mobility of osteosarcoma cells[J]. Translational cancer research, 2019, 8(5): 1997.
[6] Spencer B H, McDermott C M, Chess-Williams R, et al. Prazosin but not tamsulosin sensitises PC-3 and LNCaP prostate cancer cells to docetaxel[J]. Pharmacology, 2018, 102(1-2): 17-25.
[7] Ozdoğan UK, Lähdesmäki J, Scheinin M. Influence of prazosin and clonidine on morphine analgesia, tolerance and withdrawal in mice. *Eur J Pharmacol*. 2003;460(2-3):127-134.

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