Arotinolol

Cat. No.: HY-122537A

CAS No.: 68377-92-4

Molecular Formula: $C_{15}H_{21}N_3O_2S_3$

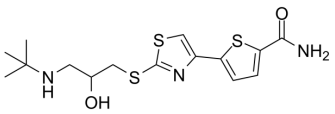
Molecular Weight: 371.54

Target: Adrenergic Receptor; 5-HT Receptor

Pathway: GPCR/G Protein; Neuronal Signaling

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	6 months
	-20°C	1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 125 mg/mL (336.44 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.6915 mL	13.4575 mL	26.9150 mL
	5 mM	0.5383 mL	2.6915 mL	5.3830 mL
	10 mM	0.2692 mL	1.3458 mL	2.6915 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: ≥ 2.08 mg/mL (5.60 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.08 mg/mL (5.60 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (5.60 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Arotinolol is a nonselective α/β-adrenergic receptor blocker and a vasodilating β-blocker[1]. Arotinolol also shows potency for inhibiting the binding of the radioligand ^{125}I-ICYP to $5HT_{1B}$-serotonergic receptor sites[2]. Arotinolol is an antihypertensive agent for the treatment of a variety of cardiovascular pathologies as well as non-cardiovascular diseases[1].

IC_{50} & Target

$5\text{-}HT_{2A}$ Receptor

In Vitro

Arotinolol shows its selectivity of β-adrenergic receptors, the result of Arotinolol for β1 and β2 adrenoceptors in ^{125}I-ICYP

	binding to rat cerebral cortical membranes with pK_i value of 9.74 and 9.26 respectively. The selectively of β1 and β2 is equal [2]. Arotinolol shows its potency for inhibiting the binding of the same radioligand to 5HT1B-serotonergic receptor site, Arotinolol displaces ^{125}I-ICYP binding to 5HT1B-receptors with the pK_i values of 7.97 and 8.16 resepectively for β1 and β2 adrenergic receptors[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	Arotinolol (oral gavage; 200 mg/kg; 8 weeks) can significantly decrease central arterial pressure (CAP) and pulse wave velocity (PWV), in addition, it reduces aortic collagen depositions and finally improves arterial stiffness in SHR mouse[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	SHR mice[1]
Dosage:	200 mg/kg
Administration:	Orally gavage; 200 mg/kg; once daily; 8 weeks
Result:	Improved arterial stiffness in SHR.

REFERENCES

[1]. Zhou W, et al. Mechanisms of improved aortic stiffness by arotinolol in spontaneously hypertensive rats.PLoS One. 2014 Feb 12;9(2):e88722.

[2]. Hiroshi TSUCHIHASHI, et al. Characteristics of 1251-Iodocyanopindolol Binding to 8-Adrenergic and Serotonin-1B Receptors of Rat Brain: Selectivity of 19-Adrenergic Agents

Caution: Product has not been fully validated for medical applications. For research use only.

Tel: 609-228-6898 Fax: 609-228-5909 E-mail: tech@MedChemExpress.com

Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA