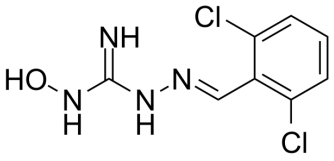
Guanoxabenz

Cat. No.:	HY-U00123
CAS No.:	24047-25-4
Molecular Formula:	$C_8H_8Cl_2N_4O$
Molecular Weight:	247.08
Target:	Adrenergic Receptor
Pathway:	GPCR/G Protein; Neuronal Signaling
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description	Guanoxabenz is an α2 adrenergic receptor agonist, with a K_i of 4000 nM and the fully activated form 40 nM for an α2A adrenoceptor[1][2][3].
IC_{50} & Target	Adrenergic receptor[1]
In Vitro	The formation of high-affinity Guanoxabenz binding seems to be inhibited by a series of N-hydroxyguanidine analogs to Guanoxabenz, as well as by a series of metabolic inhibitors that included allopurinol, 1-chloro-2,4-dinitrobenzene, 5,59-dithiobis-(2-nitrobenzoic acid), cibacron blue, phenyl-p-benzoquinone, didox, and trimidox. The formation of Guanoxabenz high-affinity binding is also inhibited in a time- and concentration-dependent fashion by preincubating the membranes with the LW03 N-hydroxyguanidine analogue of Guanoxabenz[1]. The spleen cytosolic fraction mediates the reduction of Guanoxabenz to guanabenz, the latter having an almost 100-fold higher affinity for rat alpha2A-adrenoceptors than Guanoxabenz itself[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	Guanoxabenz and guanabenz are both known as centrally active antihypertensive drugs. High affinity Guanoxabenz binding is induced in rat brain membranes after addition of NADH or NADPH cofactors. The rat cerebral cortex contains an enzymatic activity that may activate Guanoxabenz leading to formation of a metabolite showing high affinity for alpha 2-adrenoceptors [3]. Guanoxabenz (0.1-3 mg/kg, i.p.) causes a dose-related reduction in locomotor activity; a dose of 1 mg/kg is selected since this induces a pronounced and sustained behavioural hypoactivity[4]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Rats[4].
Dosage:	0.5 mg/kg (RX 781094 or saline vehicle was injected intravenously (tail vein) at the time of peak effect of the agonist (20min for clonidine and 30 min for Guanoxabenz).
Administration:	IP.
Result:	RX 781094 (0.1-1.0 mg/kg, i.v.) produces a rapid (< 5 set) and complete antagonism of the EEG and behavioural effects induced by clonidine and Guanoxabenz.

REFERENCES

[1]. Uhlén S, et al. Characterization of the enzymatic activity for biphasic competition by guanoxabenz (1-(2,6-dichlorobenzylidene-amino)-3-hydroxyguanidine) at alpha2-adrenoceptors. I. Description of an enzymatic activity in spleen membranes. Biochem Pharmacol. 1998 Nov 1;56(9):1111-9.

[2]. Dambrova M, et al. Characterization of the enzymatic activity for biphasic competition by guanoxabenz (1-(2,6-dichlorobenzylidene-amino)-3-hydroxyguanidine) at alpha2-adrenoceptors. II. Description of a xanthine-dependent enzymatic activity in spleen cytosol. Biochem Pharmacol. 1998 Nov 1;56(9):1121-8.

[3]. Dambrova M, et al. Characterization of Guanoxabenz reducing activity in rat brain. Pharmacol Toxicol. 1998 Oct;83(4):158-63.

[4]. P W Dettmar, et al. Neuropharmacological studies in rodents on the action of RX 781094, a new selective alpha 2-adrenoceptor antagonist. Neuropharmacology. 1983 Jun;22(6):729-37.

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