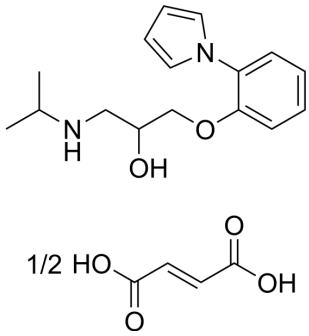
Isamoltane hemifumarate

Cat. No.:	HY-19578B
CAS No.:	874882-92-5
Molecular Formula:	$C_{16}H_{22}N_2O_2.1/2C_4H_4O_4$
Molecular Weight:	332.4
Target:	5-HT Receptor; 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	Isamoltane hemifumarate is a selective antagonist of 5-HT_{1B} receptor, with an IC_{50} of 39 nM for inhibits the binding of [^{125}I]ICYP to 5-HT_{1B} recognition sites in rat brain membranes. Isamoltane hemifumarate is also a β-adrenoceptor ligand, with an IC_{50} of 8.4 nM. Isamoltane hemifumarate shows anxiolytic activity[1].

IC_{50} & Target	β-adrenoceptor	5-HT_{1B} Receptor
	8.4 nM (IC_{50})	39 nM (IC_{50})

In Vitro

Isamoltane exhibits 27-fold selectivity for the 5-HT_{1B} receptor over 5-HT_{1A} (IC_{50}=1070 nM) in rat brain membranes[1]. Isamoltane (0.01-10 μM) increases the [^{3}H]-overflow elicited by electrical stimulation in a concentration-dependent manner in rat cortical slices[1].

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

In Vivo

Isamoltane (0.3-30 mg/kg; i.p) does not alter the accumulation of 5-HTP in the rat hippocampus, and increases 5-HT synthesis in cortical tissue. Isamoltane reduces 5-HTP accumulation in the striatum[1].

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Animal Model:	Male Sprague-Dawley rats (200-300 g)[1]
Dosage:	0.3, 1, 3, 10, 30 mg/kg
Administration:	I.p.
Result:	38% reduction of 5-HTP accumulation was found with 30 mg/ kg in the striatum. Did not alter the accumulation of 5-HTP in the hippocampus. Increased 5-HT synthesis at 0.3, 1 and 3 mg/kg in cortical tissue.

REFERENCES

[1]. Waldmeier PC, et, al. Interactions of isamoltane (CGP 361A), an anxiolytic phenoxypropanolamine derivative, with 5-HT1 receptor subtypes in the rat brain. Naunyn Schmiedebergs Arch Pharmacol. 1988 Jun; 337(6): 609-20.

[2]. Rényi L, et, al. Biochemical and behavioural effects of isamoltane, a beta-adrenoceptor antagonist with affinity for the 5-HT1B receptor of rat brain. Naunyn

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