# Isamoltane hemifumarate

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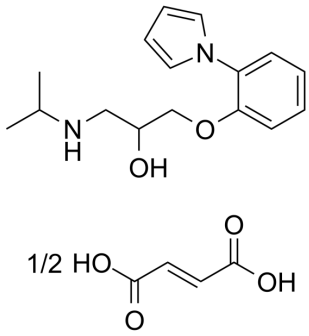
| **Cat. No.:** | HY-19578B |
| **CAS No.:** | 874882-92-5 |
| **Molecular Formula:** | $C_{16}H_{22}N_2O_2.1/2C_4H_4O_4$ |
| **Molecular Weight:** | 332.4 |
| **Target:** | 5-HT Receptor; Adrenergic Receptor |
| **Pathway:** | GPCR/G Protein; Neuronal Signaling |
| **Storage:** | Please store the product under the recommended conditions in the Certificate of Analysis. |




## BIOLOGICAL ACTIVITY

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| **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 |
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| | 8.4 nM ($IC_{50}$) | 39 nM ($IC_{50}$) |

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| **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].<br>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.<br>Did not alter the accumulation of 5-HTP in the hippocampus.<br>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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