# Epiboxidine

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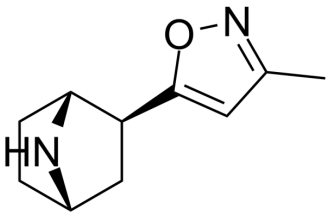
| **Cat. No.:** | HY-138953 |
| **CAS No.:** | 188895-96-7 |
| **Molecular Formula:** | $C_{10}H_{14}N_2O$ |
| **Molecular Weight:** | 178.23 |
| **Target:** | nAChR |
| **Pathway:** | Membrane Transporter/Ion Channel; Neuronal Signaling |
| **Storage:** | Please store the product under the recommended conditions in the Certificate of Analysis. |



## BIOLOGICAL ACTIVITY

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| **Description** | Epiboxidine is a potent and selective neural nAChR agonist with $K_i$s of 0.46 nM and 1.2 nM for rat and human α4β2 nAChRs, respectively. Epiboxidine is a methylisoxazole analog of the alkaloid Epibatidine, and is also an analog of another nAChR agonist, ABT 418[1]. |
| **$IC_{50}$ & Target** | Ki: 0.46 nM (rat α4β2 nAChR) and 1.2 nM (human α4β2 nAChR)[1] |
| **In Vitro** | Epiboxidine has affinity and functional at central neuronal α4β2 receptors, with $K_i$s of 0.46 and 1.2 in rat and humen[1].<br>Epiboxidine has activity at ganglionic-type α3β4*-nicotinic receptors of PC12 cells, with a $K_i$ of 19[1].<br>Epiboxidine is much less toxic than Epibatidine[1].<br>Epiboxidine stimulates sodium-22 influx in PC12 and TE671 cells, with $EC_{50}$s of 0.18 and 2.6 μM[2].<br>MCE has not independently confirmed the accuracy of these methods. They are for reference only. |
| **In Vivo** | Epiboxidine (20 μg/kg; ip; once) treatment shows marked analgetic activity in mice[1].<br>Epiboxidine (50 and 100 mg/kg; intraperitoneal injected; once) causes marked antinociception as measured in the hot-plate assay[2].<br>Epiboxidine inhibits [$^3$H]nicotine binding in rat cerebral cortical membranes, with a $K_i$ of 0.6 nM[2].<br>MCE has not independently confirmed the accuracy of these methods. They are for reference only. |

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| Animal Model: | Adult male NIH Swiss strain mice (25-30 g)[2] |
| Dosage: | 50 and 100 mg/kg |
| Administration: | I.p.; once |
| Result: | Caused a dose-related Straub tail, hypomotility, hypoventilation and piloerection. |

## REFERENCES

[1]. Fitch RW, et al. Homoepiboxidines: further potent agonists for nicotinic receptors. Bioorg Med Chem. 2004;12(1):179-190.

[2]. Badio B, et al. Synthesis and nicotinic activity of epiboxidine: an isoxazole analogue of epibatidine. Eur J Pharmacol. 1997;321(2):189-194.

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

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