# HEAT hydrochloride

**Cat. No.:** HY-100980

**CAS No.:** 30007-39-7

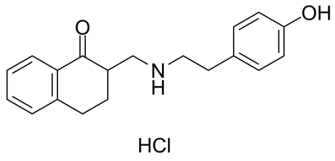
**Molecular Formula:** $C_{19}H_{22}ClNO_2$

**Molecular Weight:** 331.84

**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

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| **Description** | HEAT (BE2254) hydrochloride is a selective alpha 1 adrenergic receptor antagonist. HEAT hydrochloride, a phenethylamine derivative, shows $pK_i$s of 9, 9.1, and 8.57 for alpha 1a, alpha 1b and alpha 1c, respectively[1][2]. |
| **In Vitro** | BE2254 inhibits (-)-noradrenaline-mediated increases in gluconeogenesis with K,sub>B of 0.74 nM[2].<br>The alpha-1 selective antagonist [$^{125}$I]BE2254 is used to specifically label a single class of binding sites with a dissociation constant of 131.0 pM and a maximal binding capacity of 17.6 fmol/mg of protein. Catecholamines compete for [$^{125}$I]BE2254 binding stereospecifically and with the characteristic alpha adrenergic potency series of (-)-epinephrine greater than (-)-norepinephrine much greater than (-)-isoproterenol. The alpha-1 selective antagonist prazosin ($K_d$=2.4 nM) is much more potent in competing for [$^{125}$I]BE2254 binding than is the alpha-2 selective antagonist yohimbine ($K_d$=2900 nM)[3].<br>MCE has not independently confirmed the accuracy of these methods. They are for reference only. |

## REFERENCES

[1]. Chiu G, et al. Design and synthesis of an alpha1a-adrenergic receptor subtype-selective antagonist from BE2254. Chem Biol Drug Des. 2006;67(6):437-439.

[2]. McPherson GA, et al. A study of alpha 1-adrenoceptors in rat renal cortex: comparison of [3H]-prazosin binding with the alpha 1-adrenoceptor modulating gluconeogenesis under physiological conditions. Br J Pharmacol. 1982;77(1):177-184.

[3]. Tsujimoto G, et al. Alpha adrenergic receptors in the rabbit bladder base smooth muscle: alpha-1 adrenergic receptors mediate contractile responses. J Pharmacol Exp Ther. 1986;236(2):384-389.



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