## 5'-Guanidinonaltrindole hydrochloride

HY-107748 Cat. No.: CAS No.: 351183-88-5 Molecular Formula:  $C_{27}H_{31}Cl_2N_5O_3$ Molecular Weight: 544.47

Target: **Opioid Receptor** 

Pathway: GPCR/G Protein; Neuronal Signaling

Storage: -20°C, sealed storage, away from moisture

\* In solvent: -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture)

**Product** Data Sheet

## **SOLVENT & SOLUBILITY**

In Vitro

DMSO: 125 mg/mL (229.58 mM; ultrasonic and warming and heat to 60°C)

Preparing Stock Solutions	Solvent Mass Concentration	1 mg	5 mg	10 mg
	1 mM	1.8366 mL	9.1832 mL	18.3665 mL
	5 mM	0.3673 mL	1.8366 mL	3.6733 mL
	10 mM	0.1837 mL	0.9183 mL	1.8366 mL

Please refer to the solubility information to select the appropriate solvent.

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Description	5'-Guanidinonaltrindole (5'-GNTI) hydrochloride is a highly selective and potent κ-opioid receptor antagonist with a $K_i$ of 0.18 nM for human κ-opioid receptor <sup>[1]</sup> .	
IC <sub>50</sub> & Target	human μ-opioid receptor human μ-opioid receptor 8.49 (pA2)	
In Vitro	5'-Guanidinonaltrindole (5'-GNTI) also binds to human $\mu$ - and δ-opioid receptors with K <sub>i</sub> s of 36.9±2.3 nM and 70.0±0.3 respectively <sup>[1]</sup> . 5'-Guanidinonaltrindole is most effective at κ-opioid receptors (pA <sub>2</sub> =10.4±0.10), with substantially lower antagonist post $\mu$ -opioid (pA <sub>2</sub> =8.49±0.09) and δ-opioid receptors (pA <sub>2</sub> =7.81±0.06) <sup>[1]</sup> . MCE has not independently confirmed the accuracy of these methods. They are for reference only.	
In Vivo	5'-Guanidinonaltrindole (5'-GNTI) (0.03-3 mg/kg; s.c.; once) induces scratching in mice <sup>[2]</sup> .  MCE has not independently confirmed the accuracy of these methods. They are for reference only.	

Animal Model:	Male Swiss-Webster mice <sup>[2]</sup>
Dosage:	0.03, 0.1, 0.3, 1 and 3 mg/kg
Administration:	Subcutaneous injection, once
Result:	Induced scratching, the scratch A <sub>50</sub> value was calculated to be 0.17 mg/kg.

## **REFERENCES**

[1]. Jones R M, et al. 5'-Guanidinonaltrindole, a highly selective and potent κ-opioid receptor antagonist. European journal of pharmacology, 2000, 396(1): 49-52.

[2]. Cowan A, et al. Itching-like behavior: A common effect of the kappa opioid receptor antagonist 5'-guanidinonaltrindole and the biased kappa opioid receptor agonist 6'-guanidinonaltrindole in mice. Medicine in Drug Discovery, 2021, 11: 100097.

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

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