

**Product Name:** GNTI dihydrochloride

**Catalog No.:** 1282

**Batch No.:** 4

CAS Number: 351183-88-5

IUPAC Name: 5'-Guanidinyl-17-(cyclopropylmethyl)-6,7-dehydro-4,5 $\alpha$ -epoxy-3,14-dihydroxy-6,7-2',3'-indolomorphinan dihydrochloride

**1. PHYSICAL AND CHEMICAL PROPERTIES**

**Batch Molecular Formula:** C<sub>27</sub>H<sub>29</sub>N<sub>5</sub>O<sub>3</sub>·2HCl·1½H<sub>2</sub>O

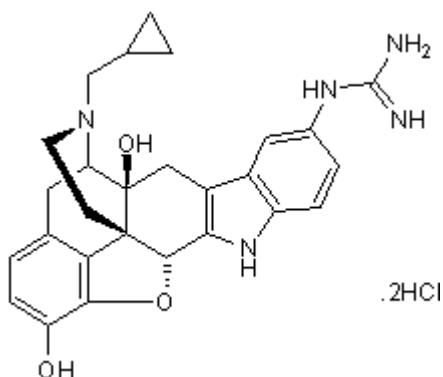
**Batch Molecular Weight:** 571.5

**Physical Appearance:** White solid

**Solubility:** water to 100 mM  
DMSO to 100 mM

**Storage:** Desiccate at -20°C

**Batch Molecular Structure:**



**2. ANALYTICAL DATA**

**TLC:** R<sub>f</sub> = 0.3 (Dichloromethane:Methanol:Ammonia soln. [9:1:0.1])

**Melting Point:** Greater than 250°C(dec)

**HPLC:** Shows 96.8% purity

**<sup>1</sup>H NMR:** Consistent with structure

**Mass Spectrum:** Consistent with structure

**Microanalysis:**

	Carbon	Hydrogen	Nitrogen
Theoretical	56.74	6	12.25
Found	56.67	5.99	12.15

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**Description:**

Highly potent  $\kappa$  opioid receptor antagonist ( $K_i$  = 0.18 nM for human cloned  $\kappa$  receptors expressed in CHO cells). Displays 208- and 799-fold selectivity over  $\mu$  and  $\delta$  receptors respectively. Reduces feeding behavior in rats with a much higher potency (300-30,000-fold) and a shorter duration of action than norbinaltorphimine (Cat.No. 0347).

**Physical and Chemical Properties:**

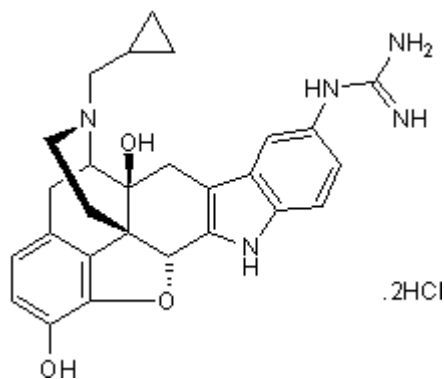
Batch Molecular Formula: C<sub>27</sub>H<sub>29</sub>N<sub>5</sub>O<sub>3</sub>.2HCl.1½H<sub>2</sub>O

Batch Molecular Weight: 571.5

Physical Appearance: White solid

**Minimum Purity:** >96%

**Batch Molecular Structure:**



**Storage:** Desiccate at -20°C

**Solubility & Usage Info:**

water to 100 mM  
DMSO to 100 mM

**Stability and Solubility Advice:**

Some solutions can be difficult to obtain and can be encouraged by rapid stirring, sonication or gentle warming (in a 45-60°C water bath).

Information concerning product stability, particularly in solution, has rarely been reported and in most cases we can only offer a general guide. Our standard recommendations are:

**SOLIDS:** Provided storage is as stated on the product label and the vial is kept tightly sealed, the product can be stored for up to 6 months from date of receipt.

**SOLUTIONS:** We recommend that stock solutions, once prepared, are stored aliquoted in tightly sealed vials at -20°C or below and used within 1 month. Wherever possible solutions should be made up and used on the same day.

**References:**

**Jones et al** (1998) Mutational evidence for a common  $\kappa$  antagonist binding pocket in the wild type  $\kappa$  and mutant  $\mu$ [K303E] opioid receptors. *J.Med.Chem.* **41** 4911. PMID: 9836606.

**Jones and Portoghese** (2000) 5'-Guanidinonaltrindole, a highly selective and potent  $\kappa$ -opioid receptor antagonist. *Eur.J.Pharmacol.* **396** 49. PMID: 10822054.

**Jewett et al** (2001) The kappa-opioid antagonist GNTI reduces U50,488-, DAMGO-, and deprivation-induced feeding, but not butorphanol- and neuropeptide Y-induced feeding in rats. *Brain Res.* **909** 75. PMID: 11478923.

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