

Certificate of Analysis

Product Name: GR 144053 trihydrochloride

Catalog No.: 1263

Batch No.: 2

CAS Number: 1215333-48-4

IUPAC Name: 4-[4-[4-(Aminoiminomethyl)phenyl]-1-piperazinyl]-1-piperidineacetic acid trihydrochloride

1. PHYSICAL AND CHEMICAL PROPERTIES

Batch Molecular Formula: $C_{18}H_{27}N_5O_2 \cdot 3HCl \cdot 3H_2O$

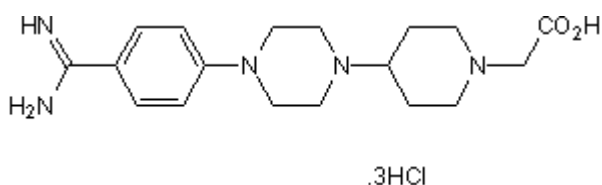
Batch Molecular Weight: 508.87

Physical Appearance: Tan solid

Solubility: water to 100 mM

Storage: Desiccate at +4°C

Batch Molecular Structure:



2. ANALYTICAL DATA

TLC: $R_f = 0.15$ (Pyridine:Acetic acid:Water:Butanol [3:8:11:14])

Melting Point: At 209°C(dec)

HPLC: Shows >99.3% purity

¹H NMR: Consistent with structure

Microanalysis:

	Carbon	Hydrogen	Nitrogen
Theoretical	42.49	7.13	13.76
Found	42.26	6.75	13.37

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Description:

A potent and selective platelet fibrinogen receptor glycoprotein IIb/IIIa (GpIIb/IIIa) antagonist (IC₅₀ = 37 nM). Orally active and highly effective at inhibiting thrombus formation in vivo.

Physical and Chemical Properties:

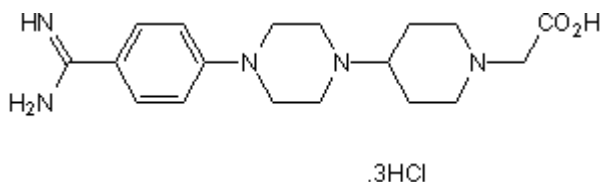
Batch Molecular Formula: C₁₈H₂₇N₅O₂·3HCl·3H₂O

Batch Molecular Weight: 508.87

Physical Appearance: Tan solid

Minimum Purity: >99%

Batch Molecular Structure:



Storage: Desiccate at +4°C

Solubility & Usage Info:

water 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:

Eldred et al (1994) Orally active non-peptide fibrinogen receptor (GpIIb/IIIa) antagonists: identification of 4-[4-[4-(aminoiminomethyl)phenyl]-1-piperazinyl]-1-piperidineacetic acid as a long-acting, broad spectrum antithrombotic agent. *J.Med.Chem.* **37** 3882. PMID: 7966149.

Matsuno et al (1997) GR 144053, a fibrinogen receptor antagonist, enhances the suppression of neointima formation by losartan, an angiotensin II receptor antagonist, in the injured carotid artery of hamster. *Br.J.Pharmacol.* **122** 1099. PMID: 9480031.

Matsuno et al (1999) Comparative antiplatelet effects of aspirin, vapiprost and GR 144053, a GPIIb/IIIa antagonist with special reference to the role of platelet microaggregates. *Br.J.Pharmacol.* **127** 1129. PMID: 10455258.

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