

Product Name: TM 38837

Catalog No.: 7131

Batch No.: 1

CAS Number: 1253641-65-4

IUPAC Name: 1-(2,4-Dichlorophenyl)-4-ethyl-N-1-piperidinyl-5-[5-[2-[4-(trifluoromethyl)phenyl]ethynyl]-2-thienyl]-1*H*-pyrazole-3-carboxamide

1. PHYSICAL AND CHEMICAL PROPERTIES

Batch Molecular Formula: C₃₀H₂₅Cl₂F₃N₄OS

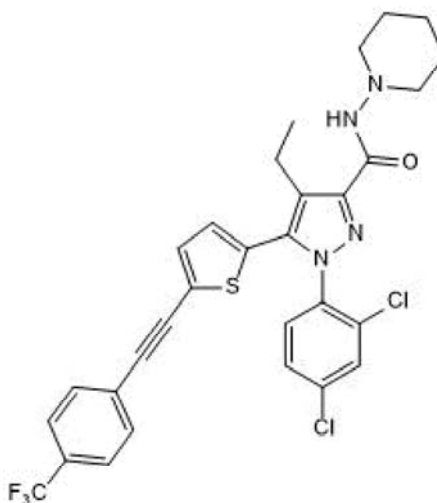
Batch Molecular Weight: 617.51

Physical Appearance: White solid

Solubility: DMSO to 20 mM
ethanol to 20 mM

Storage: Store at -20°C

Batch Molecular Structure:



2. ANALYTICAL DATA

HPLC: Shows 98.6% purity

¹H NMR: Consistent with structure

Mass Spectrum: Consistent with structure

Microanalysis:

	Carbon	Hydrogen	Nitrogen
Theoretical	58.35	4.08	9.07
Found	58.12	4.03	8.97

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Description:

Highly potent cannabinoid 1 receptor (CB₁) inverse agonist (IC₅₀ values are 8.5 nM and 605 nM for inhibition of [³H]-CP 55940 binding at CB₁ and CB₂ receptors, respectively). Displays 71-fold selectivity for CB₁ receptors over CB₂ receptors. Inhibits GTP binding to CB₁-overexpressing cell membranes in vitro (EC₅₀ = 18.5 nM). Peripherally restricted. Promotes fear behaviors when given by intracerebrovascular (icv) injection in mice.

Physical and Chemical Properties:

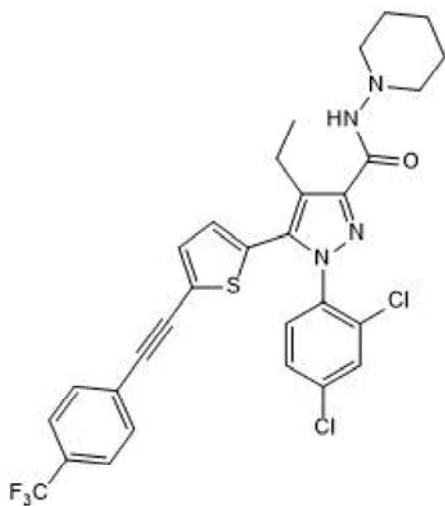
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Batch Molecular Weight: 617.51

Physical Appearance: White solid

Minimum Purity: ≥98%

Batch Molecular Structure:



Storage: Store at -20°C

Solubility & Usage Info:

DMSO to 20 mM

ethanol to 20 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:

Micale et al (2019) The cannabinoid CB₁ antagonist TM38837 with limited penetrance to the brain shows reduced fear-promoting effects in mice. *Front.Pharmacol.* **10**. PMID: 30949045.

Takano et al (2014) Low brain CB₁ receptor occupancy by a second generation CB₁ receptor antagonist TM38837 in comparison with rimonabant in nonhuman primates: a PET study. *Synapse.* **68** 89. PMID: 24293119.

Hung et al (2010) Discovery of 1-(2,4-dichlorophenyl)-4-ethyl-5-(5-(2-(4-(trifluoromethyl)phenyl)ethynyl)thiophen-2-yl)-N-(piperidin-1-yl)-1H-pyrazole-3-carboxamide as a potential peripheral cannabinoid-1 receptor inverse agonist. *Chem.Med.Chem.* **5** 1439. PMID: 20652930.

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