

Product Name: MK 0343

Catalog No.: 4415

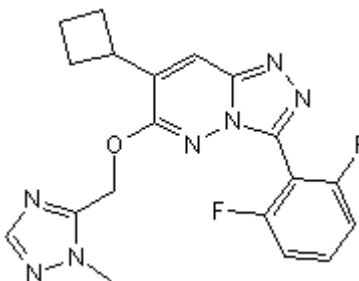
Batch No.: 1

CAS Number: 233275-76-8

IUPAC Name: 7-Cyclobutyl-3-(2,6-difluorophenyl)-6-[(1-methyl-1*H*-1,2,4-triazol-5-yl)methoxy]-1,2,4-triazolo[4,3-*b*]pyridazine

1. PHYSICAL AND CHEMICAL PROPERTIES

Batch Molecular Formula: C₁₉H₁₇F₂N₇O
Batch Molecular Weight: 397.38
Physical Appearance: White solid
Solubility: DMSO to 100 mM
ethanol to 50 mM
Storage: Store at +4°C
Batch Molecular Structure:



2. ANALYTICAL DATA

TLC: R_f = 0.18 (Ethyl acetate:Petroleum ether [2:1])
HPLC: Shows 99.8% purity
¹H NMR: Consistent with structure
Mass Spectrum: Consistent with structure
Microanalysis:

	Carbon	Hydrogen	Nitrogen
Theoretical	57.43	4.31	24.67
Found	57.17	4.26	24.61

Caution - Not Fully Tested • Research Use Only • Not For Human or Veterinary Use

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Description:

Subtype-selective GABA_A partial agonist (K_i values are 0.21, 0.22, 0.23 and 0.40 for α_3 , α_1 , α_5 and α_2 respectively); occupies the benzodiazepine site of GABA_A receptors. Displays greater agonist efficacy at α_3 compared to α_1 . Exhibits anxiolytic and non-sedating properties in rodent models. Brain penetrant.

Physical and Chemical Properties:

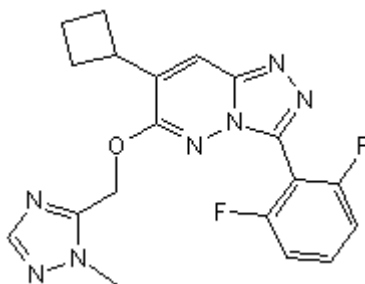
Batch Molecular Formula: C₁₉H₁₇F₂N₇O

Batch Molecular Weight: 397.38

Physical Appearance: White solid

Minimum Purity: >99%

Batch Molecular Structure:



References:

de Haas et al (2008) Pharmacodynamic and pharmacokinetic effects of MK-0343, a GABA_A $\alpha_{2,3}$ subtype-selective agonist, compared to lorazepam and placebo in healthy male volunteers. *J.Psychopharmacol.* **22** 24. PMID: 18187530.

Atack et al (2010) Benzodiazepine binding site occupancy by the novel GABA_A receptor subtype-selective drug 7-(1,1-dimethylethyl)-6-(2-ethyl-2*H*-1,2,4-triazol-3-ylmethoxy)-3-(2-fluorophenyl)-1,2,4-triazolo[4,3-*b*]pyridazine (TPA023) in rats, primates, and humans. *J.Pharmacol.Exp.Ther.* **332** 17.

Atack et al (2011) MRK-409 (MK-0343), a GABA_A receptor subtype-selective partial agonist, is a non-sedating anxiolytic in preclinical species but causes sedation in humans. *J.Psychopharmacol.* **25** 314. PMID: 20147571.

Storage: Store at +4°C

Solubility & Usage Info:

DMSO to 100 mM

ethanol to 50 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.

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