TOCRIS b i o s c i e n c e

Certificate of Analysis

www.tocris.com

Print Date: Feb 8th 2014

Product Name: MK 1903

Catalog No.: 4622 Batch No.: 1

CAS Number: IUPAC Name:

ne: (4aR,5aR)-4,4a,5,5a-Tetrahydro-1H-cyclopropa[4,5]cyclopenta[1,2]pyrazole-3-carboxylic acid

1. PHYSICAL AND CHEMICAL PROPERTIES

1268882-43-4

Batch Molecular Formula: Batch Molecular Weight: Physical Appearance: Solubility:

Storage: Batch Molecular Structure: C₈H₈N₂O₂ 164.16 Off-white solid DMSO to 100 mM ethanol to 50 mM Store at +4°C

CO₂H

2. ANALYTICAL DATA

TLC:	R _f = 0.2 (Dichloromethane:Methanol [4:1])
HPLC:	Shows 99.6% purity
Chiral HPLC:	Shows 99.4% purity
¹ H NMR:	Consistent with structure
Mass Spectrum:	Consistent with structure
Optical Rotation:	$[\alpha]_{D}$ = +40.9 (Concentration = 1, Solvent = Methanol)
Microanalysis:	Carbon Hydrogen Nitrogen
	Theoretical 58.53 4.91 17.06
	Found 58.54 4.95 16.9

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

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Description:

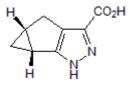
Potent and selective hydroxycarboxylic acid receptor 2 (HCA₂, GPR109A) full agonist; exhibits greater potency than Niacin in a whole cell HTRF-cAMP assay (EC₅₀ values are 12.9 and 51 nM respectively). Exhibits no binding at the GRP109B receptor. Shown to lower plasma free fatty acid levels in humans.

Physical and Chemical Properties:

Batch Molecular Formula: C₈H₈N₂O₂ Batch Molecular Weight: 164.16 Physical Appearance: Off-white solid

Minimum Purity: >98%

Batch Molecular Structure:



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 $45-60^{\circ}$ 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:

Boatman (2012) (1aR,5aR)1a,3,5,5a-Tetrahydro-1H-2,3-diaza-cyclopropa[α]pentalene-4-carboxylic acid (MK-1903): a potent GPR109a agonist that lowers free fatty acids in humans. J.Med.Chem. **55** 3644. PMID: 22435740.

Lauring (2012) Niacin lipid efficacy is independent of both the niacin receptor GPR109A and free fatty acid suppression. Sci.Transl.Med. 4 (148) 148ra115. PMID: 22914621.

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