Certificate of Analysis

Product Name: **VU 0650991** **Catalog No.: 6355** **Batch No.: 1**

CAS Number: 488097-06-9

IUPAC Name: 7-(4-Chlorophenyl)-5,8-dihydro-1,3-dimethyl-5,5-bis(trifluoromethyl)pyrimido[4,5-*d*]pyrimidine-2,4(1*H*,3*H*)-dione

1. PHYSICAL AND CHEMICAL PROPERTIES

Batch Molecular Formula: $C_{16}H_{11}ClF_6N_4O_2$

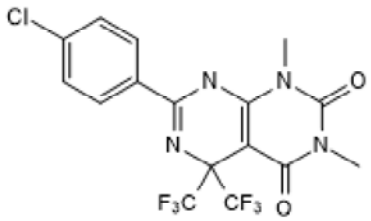
Batch Molecular Weight: 440.73

Physical Appearance: Pale yellow solid

Solubility: DMSO to 100 mM
ethanol to 10 mM with gentle warming

Storage: Store at -20°C

Batch Molecular Structure:



2. ANALYTICAL DATA

TLC: R_f = 0.5 (Ethyl acetate:Petroleum ether [3:7])

HPLC: Shows >99.8% purity

^{1}H NMR: Consistent with structure

Mass Spectrum: Consistent with structure

Microanalysis:

	Carbon	Hydrogen	Nitrogen
Theoretical	43.6	2.52	12.71
Found	43.68	2.48	12.64

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Description:

Noncompetitive glucagon-like peptide-1 (GLP-1) receptor antagonist (IC_{50} = 0.65 - 0.69 µM). Displays >40-fold selectivity for GLP-1 receptor over glucagon receptors. Blocks activity of Exendin-4 (Cat. No. 1933) in potentiating insulin secretion from primary mouse pancreatic islets in vitro. Decreases blood insulin and increases blood glucose levels in vivo. Brain penetrant and orally bioavailable.

Physical and Chemical Properties:

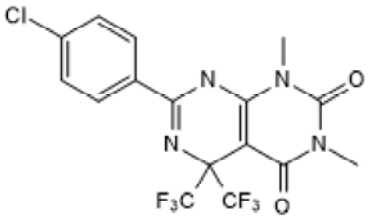
Batch Molecular Formula: $C_{16}H_{11}ClF_6N_4O_2$

Batch Molecular Weight: 440.73

Physical Appearance: Pale yellow solid

Minimum Purity: >98%

Batch Molecular Structure:



Storage: Store at -20°C

Solubility & Usage Info:

DMSO to 100 mM
ethanol to 10 mM with gentle warming

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:

Nance *et al* (2017) Discovery of a novel series of orally bioavailable and CNS penetrant glucagon-like peptide-1 receptor (GLP-1R) noncompetitive antagonists based on a 1,3-disubstituted-7-aryl-5,5-bis(trifluoromethyl)-5,8-dihydropyrimido[4,5-*d*]pyrimidine-2,4(1*H*,3*H*)-dione core. J.Med.Chem. ***60*** 1611. PMID: 28103022.