Print Date: Jan 31st 2020

Certificate of Analysis

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Product Name: **PF 915275** **Catalog No.: 3291** **Batch No.: 2**

CAS Number: 857290-04-1

IUPAC Name: *N*-(6-Amino-2-pyridinyl)-4'-cyano-[1,1'-biphenyl]-4-sulfonamide

1. PHYSICAL AND CHEMICAL PROPERTIES

Batch Molecular Formula: $C_{18}H_{14}N_4O_2S$

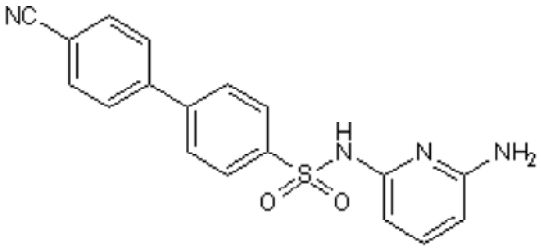
Batch Molecular Weight: 350.39

Physical Appearance: White solid

Solubility: DMSO to 100 mM

Storage: Store at RT

Batch Molecular Structure:



2. ANALYTICAL DATA

TLC: R_f = 0.43 (Dichloromethane:Methanol [9:1])

HPLC: Shows 99.5% purity

^{1}H NMR: Consistent with structure

Mass Spectrum: Consistent with structure

Microanalysis:

	Carbon	Hydrogen	Nitrogen
Theoretical	61.7	4.03	15.99
Found	61.59	4.05	16.03

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Product Information

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IUPAC Name: *N*-(6-Amino-2-pyridinyl)-4'-cyano-[1,1'-biphenyl]-4-sulfonamide

Description:

Potent and selective 11β-hydroxysteroid dehydrogenase type 1 (11βHSD1) inhibitor (K_i = 2.3 nM) that displays little activity at 11βHSD2 (1.5% inhibition at 10 μM). Inhibits the conversion of prednisone to prednisolone in human hepatocytes in vitro (EC_{50} = 15 nM) and has antidiabetic activity in vivo. Orally active.

Physical and Chemical Properties:

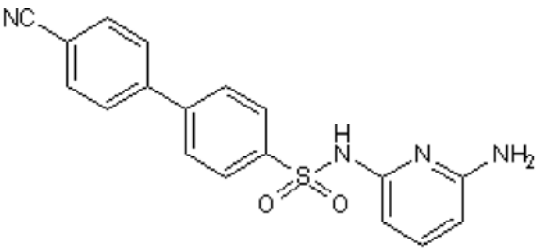
Batch Molecular Formula: $C_{18}H_{14}N_4O_2S$

Batch Molecular Weight: 350.39

Physical Appearance: White solid

Minimum Purity: ≥98%

Batch Molecular Structure:



Storage: Store at RT

Solubility & Usage Info:

DMSO 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:

Bhat *et al* (2008) Demonstration of proof of mechanism and pharmacokinetics and pharmacodynamic relationship with 4'-cyano-biphenyl-4-sulfonic acid (6-amino-pyridin-2-yl)-amide (PF-915275), an inhibitor of 11β-hydroxysteroid dehydrogenase type 1 in cynomolgus monke J.Pharmacol.Exp.Ther. ***324*** 299. PMID: 17921190.

Courtney *et al* (2008) Modulation of 11β-hydroxysteroid dehydrogenase (11βHSD) activity biomarkers and pharmacokinetics of PF-00915275, a selective 11βHSD1 inhibitor. J.Clin.Endocrinol.Metab. ***93*** 550. PMID: 17986636.

Fotsch and Wang (2008) Blockade of glucocorticoid excess at the tissue level: inhibitors of 11β-hydroxysteroid dehydrogenase type 1 as a therapy for type 2 diabetes. J.Med.Chem. ***51*** 4851. PMID: 18652443.

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