TOCRIS
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Print Date: Sep 13th 2019

Certificate of Analysis

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Product Name: **GSK 2830371** **Catalog No.: 5140** **Batch No.: 1**

CAS Number: 1404456-53-6

IUPAC Name: 5-[[(5-Chloro-2-methyl-3-pyridinyl)amino]methyl]-*N*-[(1*S*)-1-(cyclopentylmethyl)-2-(cycloprpylamino)-2-oxoethyl]-2-thiophenecarboxamide

1. PHYSICAL AND CHEMICAL PROPERTIES

Batch Molecular Formula: $C_{23}H_{29}ClN_4O_2S.¼H_2O$

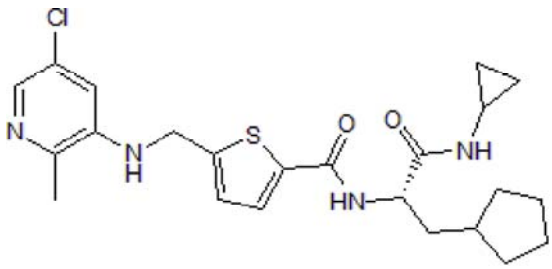
Batch Molecular Weight: 465.52

Physical Appearance: Off White solid

Solubility: DMSO to 100 mM
ethanol to 50 mM

Storage: Store at -20°C

Batch Molecular Structure:



2. ANALYTICAL DATA

TLC: R_f = 0.22 (Ethyl acetate:Petroleum ether [3:7])

HPLC: Shows 99.1% purity

Chiral HPLC: Shows 100% purity

^{1}H NMR: Consistent with structure

Mass Spectrum: Consistent with structure

Microanalysis:

	Carbon	Hydrogen	Nitrogen
Theoretical	59.34	6.39	12.04
Found	59.19	6.35	11.81

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Description:

Potent and selective allosteric inhibitor of Wip1 phosphatase (IC_{50} = 6 nM). Exhibits selectivity for Wip1 over 21 other phosphatases. Increases phosphorylation of Wip1 substrates, including p53, Chk2, H2AX and ATM. Attenuates tumor cell growth in a variety of lymphoid cell lines. Also inhibits lymphoma xenograft growth in vivo. Potentiates growth inhibitory effects of MDM2 inhibition in cancer cell lines in a p53-dependent manner. Orally bioavailable.

Physical and Chemical Properties:

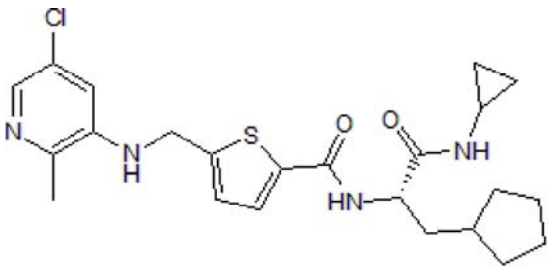
Batch Molecular Formula: $C_{23}H_{29}ClN_4O_2S.¼H_2O$

Batch Molecular Weight: 465.52

Physical Appearance: Off White solid

Minimum Purity: >98%

Batch Molecular Structure:



Storage: Store at -20°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.

Licensing Information:

Sold for research purposes only under agreement from GlaxoSmithKline

References:

Esfandiari *et al* (2016) Chemical inhibition of wild-type p53-induced phosphatase 1 (WIP1/PPM1D) by GSK2830371 potentiates the sensitivity to MDM2 inhibitors in a p53-dependent manner. Mol.Cancer Ther. ***15*** 379. PMID: 26832796.

Gilmartin *et al* (2014) Allosteric Wip1 phosphatase inhibition through flap-subdomain interaction. Nat.Chem.Biol. ***10*** 181. PMID: 24390428.