

Certificate of Analysis

Print Date: Feb 16th 2023

www.tocris.com

Product Name: PP 242 Catalog No.: 4257 Batch No.: 2

CAS Number: 1092351-67-1

IUPAC Name: 2-[4-Amino-1-(1-methylethyl)-1*H*-pyrazolo[3,4-*d*]pyrimidin-3-yl]-1*H*-indol-5-ol

1. PHYSICAL AND CHEMICAL PROPERTIES

Batch Molecular Formula: $C_{16}H_{16}N_6O$ Batch Molecular Weight: 308.34

Physical Appearance: Off White solid
Solubility: DMSO to 25 mM
Storage: Store at +4°C

Batch Molecular Structure:

2. ANALYTICAL DATA

HPLC: Shows 98.5% purity

¹H NMR: Consistent with structure

Mass Spectrum: Consistent with structure

Microanalysis: Carbon Hydrogen Nitrogen

Theoretical 62.33 5.23 27.26 Found 62.12 5.35 27.3

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



Product Information

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Description:

PP 242 is an ATP-competitive mTORC1/mTORC2 inhibitor (IC $_{50}$ = 8 nM). Displays selectivity for mTOR over other PI 3K family kinases (IC $_{50}$ values are 0.102, 0.408, 1.27, 1.96 and 2.2 μM for p110γ, DNA-PK, p110δ, p110α and p110β respectively) and 215 further kinases. Displays modest inhibition of PKCα, JAK2, PKCβI, PKCβII and RET (IC $_{50}$ values are 0.049, 0.110, 0.185, 0.198 and 0.224 μM respectively). Inhibits both S6K and 4EBP1 phosphorylation; activity causes a decrease in cap-dependent protein translation. Also triggers downregulation of cFLIP $_{\rm S}$ and augments TRAIL-induced apoptosis of cancer cells. PP... Please see product specific page on www.tocris.com for full description.

Physical and Chemical Properties:

Batch Molecular Formula: $C_{16}H_{16}N_6O$ Batch Molecular Weight: 308.34 Physical Appearance: Off White solid

Minimum Purity: ≥98%

Batch Molecular Structure:

Storage: Store at +4°C

Solubility & Usage Info:

DMSO to 25 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:

Ricoult et al (2016) Oncogenic PI3K and K-Ras stimulate de novo lipid synthesis through mTORC1 and SREBP. Oncogene 35 1250. PMID: 26028026.

Zhao et al (2013) mTOR complex 2 is involved in regulation of Cbl-dependent c-FLIP regulation and sensitivity of TRAIL-induced apoptosis. Cancer Res. **73** 1946. PMID: 23319802.

Janes et al (2010) Effective and selective targeting of leukemia cells using a TORC1/2 kinase inhibitor. Nat.Med. 16 205. PMID: 20072130.

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