

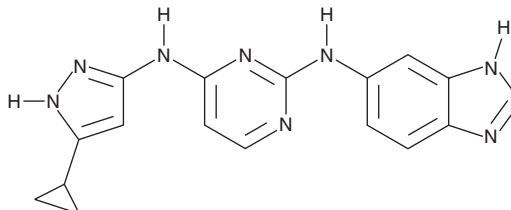
# PRODUCT INFORMATION



## APY-29

Item No. 22913

**CAS Registry No.:** 1216665-49-4  
**Formal Name:** N<sup>2</sup>-1H-benzimidazol-6-yl-N<sup>4</sup>-(5-cyclopropyl-1H-pyrazol-3-yl)-2,4-pyrimidinediamine  
**MF:** C<sub>17</sub>H<sub>16</sub>N<sub>8</sub>  
**FW:** 332.4  
**Purity:** ≥98%  
**UV/Vis.:** λ<sub>max</sub>: 249, 273, 306 nm  
**Supplied as:** A crystalline solid  
**Storage:** -20°C  
**Stability:** ≥4 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

### Laboratory Procedures

APY-29 is supplied as a crystalline solid. A stock solution may be made by dissolving the APY-29 in the solvent of choice. APY-29 is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF), which should be purged with an inert gas. The solubility of APY-29 in ethanol is approximately 15 mg/ml and approximately 10 mg/ml in DMSO and DMF.

APY-29 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, APY-29 should first be dissolved in ethanol and then diluted with the aqueous buffer of choice. APY-29 has a solubility of approximately 0.25 mg/ml in a 1:3 solution of ethanol:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

### Description

APY-29 is a modulator of inositol requiring enzyme 1  $\alpha$  (IRE1 $\alpha$ ), which is a protein located in the endoplasmic reticulum (ER) membrane that has kinase and RNase activities triggered by ER stress.<sup>1</sup> It binds to the ATP-binding site on IRE1 $\alpha$ , where it inhibits its autophosphorylation (IC<sub>50</sub> = 280 nM) and enhances its RNase function (EC<sub>50</sub> = 460 nM). *In vitro*, it restores the ability of dephosphorylated IRE1 $\alpha$  to cleave XBP1 mRNA in a dose-dependent manner. It also enhances IRE1 $\alpha$  oligomerization in a crosslinking assay.

### Reference

1. Wang, L., Perera, B.G., Hari, S.B., *et al.* Divergent allosteric control of the IRE1 $\alpha$  endoribonuclease using kinase inhibitors. *Nat. Chem. Biol.* **8**(12), 982-989 (2012).

#### WARNING

THIS PRODUCT IS FOR RESEARCH ONLY - NOT FOR HUMAN OR VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

#### SAFETY DATA

This material should be considered hazardous until further information becomes available. Do not ingest, inhale, get in eyes, on skin, or on clothing. Wash thoroughly after handling. Before use, the user must review the complete Safety Data Sheet, which has been sent via email to your institution.

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