

Product Data Sheet

Product Name: APY29
Cat. No.: GC17139

Chemical Properties

Cas. No. 1216665-49-4

Chemical Name (E)-N-(6-((5-cyclopropyl-1H-pyrazol-3-yl)imino)-1,6-dihydropyrimidin-2-yl)-1H-benzo[d]imidazol-6-amine

SMILES C1(C2=CC(/N=C(N3)\C=CN=C3NC4=CC5=C(N=CN5)C=C4)=NN2)CC1

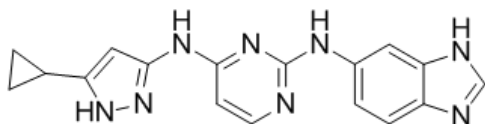
Formula $C_{17}H_{16}N_8$ M.Wt 332.36

Solubility $\geq 16\text{mg/mL}$ in DMSO Storage Store at -20°C

General tips For obtaining a higher solubility, please warm the tube at 37°C and shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.

Shipping Condition Evaluation sample solution: ship with blue ice All other available size: ship with RT, or blue ice upon request.

Structure



Background

APY29 is an inhibitor of IRE1 α with IC₅₀ value of 0.28 μM [1].

IRE1 α is a transmembrane protein in ER. It can be activated when unfolded proteins accumulate in ER. APY29 is found to interact with the ATP-binding site of wild-type IRE1 α and activate the kinase

Caution: Product has not been fully validated for medical applications. For research use only.

Tel: (909) 407-4943 Fax: (626) 353-8530 E-mail: tech@glpbio.com

Address: 10292 Central Ave. #205, Montclair, CA, USA

Product Data Sheet

activity of IRE1 α even without upstream ER stress. APY29 acts as a slight activator and stabilizes IRE1 α 's kinase domain in an alternative conformation. It is found to restore the ability of dephosphorylated IRE1 α to cleave the substrate XBP1 up to about 60% of the levels of normal IRE1 α . Furthermore, APY29 increases the oligomer/monomer ratio of IRE1 α and finally displays a decrease of IRE1 α trans-autophosphorylation [1].

References:

[1] Wang L, Perera BG, Hari SB, Bhatarai B, Backes BJ, Seeliger MA, Schürer SC, Oakes SA, Papa FR, Maly DJ. Divergent allosteric control of the IRE1 α endoribonuclease using kinase inhibitors. Nat Chem Biol. 2012 Dec;8(12):982-9.

Caution: Product has not been fully validated for medical applications. For research use only.

Tel: (909) 407-4943 Fax: (626) 353-8530 E-mail: tech@glpbio.com

Address: 10292 Central Ave. #205, Montclair, CA, USA