# APY29

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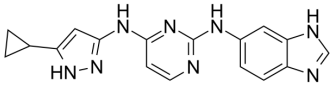
|---|---|
| **Cat. No.:** | HY-17537 |
| **CAS No.:** | 1216665-49-4 |
| **Molecular Formula:** | $C_{17}H_{16}N_8$ |
| **Molecular Weight:** | 332.36 |
| **Target:** | IRE1 |
| **Pathway:** | Cell Cycle/DNA Damage |

**Storage:**

| | | |
|---|---|---|
| Powder | -20°C | 3 years |
| | 4°C | 2 years |
| In solvent | -80°C | 2 years |
| | -20°C | 1 year |



## SOLVENT & SOLUBILITY

**In Vitro**

DMSO : 50 mg/mL (150.44 mM; ultrasonic and warming and heat to 60°C)

$H_2O$ : 5 mg/mL (15.04 mM; ultrasonic and adjust pH to 3 with HCl)

**Preparing Stock Solutions**

| Solvent / Mass / Concentration | 1 mg | 5 mg | 10 mg |
|---|---|---|---|
| 1 mM | 3.0088 mL | 15.0439 mL | 30.0879 mL |
| 5 mM | 0.6018 mL | 3.0088 mL | 6.0176 mL |
| 10 mM | 0.3009 mL | 1.5044 mL | 3.0088 mL |

Please refer to the solubility information to select the appropriate solvent.

**In Vivo**

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 5 mg/mL (15.04 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: 5 mg/mL (15.04 mM); Clear solution; Need ultrasonic
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 5 mg/mL (15.04 mM); Clear solution

## BIOLOGICAL ACTIVITY

**Description**

APY29, an ATP-competitive inhibitor, is an allosteric modulator of IRE1α which inhibits IRE1α autophosphorylation by binding to the ATP-binding pocket with $IC_{50}$ of 280 nM. APY29 acts as a ligand that allosterically activates IRE1α adjacent RNase domain[1].

**$IC_{50}$ & Target**

IC50: 280 nM (IRE1α)

| | |
|---|---|
| **In Vitro** | APY29 divergently modulates the RNase activity and oligomerization state of IRE1α. APY29 is exerting their opposing effects on RNase activity through the same binding site. APY29 divergently affects IRE1α oligomerization. APY29 demonstrates opposing dose-dependent effects on ER stress-induced activation of the RNase of endogenous IRE1α[1].<br>MCE has not independently confirmed the accuracy of these methods. They are for reference only. |

## CUSTOMER VALIDATION

- Sci Transl Med. 2019 Feb 6;11(478). pii: eaau5266.
- Nat Commun. 2023 Nov 17;14(1):7441.
- Sci Adv. 2019 Apr 10;5(4):eaaw0025.
- EMBO J. 2019 Aug 1;38(15):e100999.
- FEBS J. 2019 Apr;286(7):1375-1392.

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## REFERENCES

[1]. Wang L, et al. Divergent allosteric control of the IRE1α endoribonuclease using kinase inhibitors. Nat Chem Biol. 2012 Dec;8(12):982-9.



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