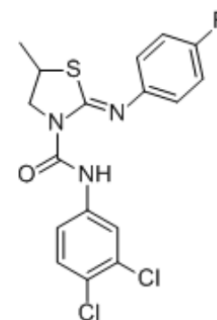


## JR-AB2-011

|                    |  |
|--------------------|--|
| Cat. No.:          | HY-122022  |
| Molecular Formula: | C <sub>17</sub> H <sub>14</sub> Cl <sub>2</sub> FN <sub>3</sub> OS |
| Molecular Weight:  | 398.28   |
| Target:            | mTOR   |
| Pathway:           | PI3K/Akt/mTOR  |
| Storage:           | 4°C, protect from light  |



### SOLVENT & SOLUBILITY

#### In Vitro

DMSO : 62.5 mg/mL (156.92 mM; Need ultrasonic)  
 H<sub>2</sub>O : < 0.1 mg/mL (insoluble)

| Preparing Stock Solutions | Solvent Concentration | Mass      |            |            |
|---------------------------|-----------------------|-----------|------------|------------|
|                           |                       | 1 mg      | 5 mg       | 10 mg      |
|                           | 1 mM                  | 2.5108 mL | 12.5540 mL | 25.1080 mL |
|                           | 5 mM                  | 0.5022 mL | 2.5108 mL  | 5.0216 mL  |
|                           | 10 mM                 | 0.2511 mL | 1.2554 mL  | 2.5108 mL  |

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

#### In Vivo

- Add each solvent one by one: **10% DMSO >> 90% corn oil**  
 Solubility: ≥ 2.08 mg/mL (5.22 mM); Clear solution
- Add each solvent one by one: **10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline**  
 Solubility: ≥ 2.08 mg/mL (5.22 mM); Clear solution

### BIOLOGICAL ACTIVITY

#### Description

JR-AB2-011 is a selective mTORC2 inhibitor with an IC<sub>50</sub> value of 0.36 μM. JR-AB2-011 inhibits mTORC2 activity by blocking Rictor-mTOR association (K<sub>i</sub>: 0.19 μM). JR-AB2-011 has anti-glioblastoma multiforme (GBM) properties<sup>[1]</sup>.

#### IC<sub>50</sub> & Target

mTORC2  
 0.36 μM (IC<sub>50</sub>)

#### In Vitro

JR-AB2-011 (1 μM; 24 hours) has good anti-GBM properties, blocks mTORC2 signaling and Rictor association with mTOR<sup>[1]</sup>.  
 JR-AB2-011 (0.5-2 μM; 48 hours) displays the least toxicity to normal neurons with no significant cytotoxic effects for concentrations up to 10 mM compared to CID613034<sup>[1]</sup>.

### Apoptosis Analysis<sup>[1]</sup>

|                  |   |
|------------------|---|
| Cell Line:       | U87 GBM cells; LN229 GBM cells  |
| Concentration:   | 1 $\mu$ M   |
| Incubation Time: | 24 hours  |
| Result:          | Had good anti-GBM properties and blocked mTORC2 signaling and Rictor association with mTOR. |

### Cell Cytotoxicity Assay<sup>[1]</sup>

|                  |  |
|------------------|--|
| Cell Line:       | Normal mature human neurons  |
| Concentration:   | 0.5, 1, 2 $\mu$ M  |
| Incubation Time: | 48 hours   |
| Result:          | Displayed the least toxicity to normal neurons with no significant cytotoxic effects for concentrations up to 10 mM. |

### In Vivo

Mice receiving JR-AB2-011 (4 mg/kg; daily i.p. for 10 days; 20 mg/kg; daily i.p. for 10 days) at either dosing regimen display marked inhibition of tumor growth rate (JR-AB2-011 at 4 mg/kg/d; 74% inhibition at end of dosing period; tumor growth delay 10.0 days; JR-AB2-011 at 20 mg/kg/d; 80% inhibition at end of dosing period; tumor growth delay 12.0 days) as compared to mice receiving vehicle alone<sup>[1]</sup>.

|                 |   |
|-----------------|---|
| Animal Model:   | LN229 cells in female C.B.-17-scid (Taconic) mice <sup>[1]</sup>  |
| Dosage:         | 4 mg/kg; 20 mg/kg   |
| Administration: | Daily i.p.; 10 days   |
| Result:         | Either dosing regimen displayed marked inhibition of tumor growth rate as compared to mice receiving vehicle alone. |

## REFERENCES

[1]. Benavides-Serrato A, et al. Specific blockade of Rictor-mTOR association inhibits mTORC2 activity and is cytotoxic in glioblastoma. PLoS One. 2017 Apr 28;12(4):e0176599.

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

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