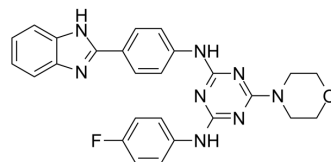


IITZ-01

| | | | | | | | | | | | | | |
|---------------------------|--|---------|-------|---------|--|-----|---------|------------|-------|---------|--|-------|--------|
| Cat. No.: | HY-112897 | | | | | | | | | | | | |
| CAS No.: | 1807988-47-1 | | | | | | | | | | | | |
| Molecular Formula: | C ₂₆ H ₂₃ FN ₈ O | | | | | | | | | | | | |
| Molecular Weight: | 482.51 | | | | | | | | | | | | |
| Target: | Autophagy; PI3K; Apoptosis | | | | | | | | | | | | |
| Pathway: | Autophagy; PI3K/Akt/mTOR; Apoptosis | | | | | | | | | | | | |
| Storage: | <table border="0"> <tr> <td>Powder</td> <td>-20°C</td> <td>3 years</td> </tr> <tr> <td></td> <td>4°C</td> <td>2 years</td> </tr> <tr> <td>In solvent</td> <td>-80°C</td> <td>2 years</td> </tr> <tr> <td></td> <td>-20°C</td> <td>1 year</td> </tr> </table> | Powder | -20°C | 3 years | | 4°C | 2 years | In solvent | -80°C | 2 years | | -20°C | 1 year |
| Powder | -20°C | 3 years | | | | | | | | | | | |
| | 4°C | 2 years | | | | | | | | | | | |
| In solvent | -80°C | 2 years | | | | | | | | | | | |
| | -20°C | 1 year | | | | | | | | | | | |



SOLVENT & SOLUBILITY

| | | | | | |
|---|---|--------------------------|--------------|------------|------------|
| In Vitro | DMSO : 150 mg/mL (310.87 mM; Need ultrasonic) | | | | |
| | | Solvent Concentration | Mass 1 mg | 5 mg | 10 mg |
| | Preparing Stock Solutions | 1 mM | 2.0725 mL | 10.3625 mL | 20.7250 mL |
| | | 5 mM | 0.4145 mL | 2.0725 mL | 4.1450 mL |
| 10 mM | | 0.2072 mL | 1.0362 mL | 2.0725 mL | |
| Please refer to the solubility information to select the appropriate solvent. | | | | | |
| In Vivo | <ol style="list-style-type: none"> Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline Solubility: ≥ 2.5 mg/mL (5.18 mM); Clear solution Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline) Solubility: 2.5 mg/mL (5.18 mM); Suspended solution; Need ultrasonic Add each solvent one by one: 10% DMSO >> 90% corn oil Solubility: ≥ 2.5 mg/mL (5.18 mM); Clear solution | | | | |

BIOLOGICAL ACTIVITY

| | | |
|-------------------------------------|--|-----------|
| Description | IITZ-01 is a potent lysosomotropic autophagy inhibitor with single-agent antitumor activity, with an IC ₅₀ of 2.62 μM for PI3K γ. | |
| IC₅₀ & Target | PI3Kγ 2.62 μM (IC ₅₀) | Autophagy |
| In Vitro | IITZ-01 (0-2 μM, 24 h) enhances autophagosomes formation as indicated by increased expression of LC3-II levels time- and | |

dose-dependently in triple-negative breast cancer (TNBC) cell lines (MDA-MB-231 and MDA-MB-453). IITZ-01 also demonstrates potent autophagy inhibitory activity in other breast, lung, and colon cancer cells^[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Western Blot Analysis^[1]

| | |
|------------------|--|
| Cell Line: | Triple-negative breast cancer (TNBC) cell lines (MDA-MB-231 and MDA-MB-453). |
| Concentration: | 0-2 μ M. |
| Incubation Time: | 24 hours. |
| Result: | Enhanced autophagosomes formation as indicated by increased expression of LC3-II levels. |

In Vivo

IITZ-01 (45 mg/kg, i.p. every alternate day for 4 weeks) inhibits average breast tumor growth when compared with control from third day of treatment in triple-negative breast tumor models in mice^[1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

| | |
|-----------------|---|
| Animal Model: | MDA-MB-231 (TNBC)/green fluorescent protein (GFP) orthotopic breast cancer xenografts were developed in CrTac:NCr-Foxn ^{nu} BALB/c female nude mice ^[1] . |
| Dosage: | 45 mg/kg. |
| Administration: | Intraperitoneal every alternate day for 4 weeks. |
| Result: | Inhibited average breast tumor growth when compared with control from third day of treatment. |

REFERENCES

[1]. Guntuku L, et al. IITZ-01, a novel potent lysosomotropic autophagy inhibitor, has single-agent antitumor efficacy in triple-negative breast cancer in vitro and in vivo. *Oncogene*. 2018 Aug 30.

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

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