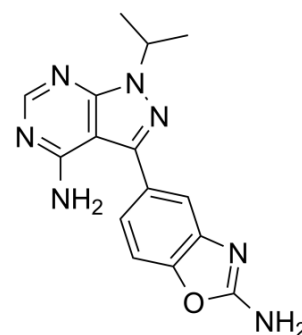


Sapanisertib

| | | | |
|--------------------|--|-------|----------|
| Cat. No.: | HY-13328 | | |
| CAS No.: | 1224844-38-5 | | |
| Molecular Formula: | C ₁₅ H ₁₅ N ₇ O | | |
| Molecular Weight: | 309.33 | | |
| Target: | mTOR; Autophagy | | |
| Pathway: | PI3K/Akt/mTOR; Autophagy | | |
| Storage: | Powder | -20°C | 3 years |
| | | 4°C | 2 years |
| | In solvent | -80°C | 6 months |
| | | -20°C | 1 month |



SOLVENT & SOLUBILITY

In Vitro

DMSO : ≥ 83.3 mg/mL (269.29 mM)

* "≥" means soluble, but saturation unknown.

| Preparing Stock Solutions | Solvent Concentration | Mass | | |
|---------------------------|-----------------------|-----------|------------|------------|
| | | 1 mg | 5 mg | 10 mg |
| | 1 mM | 3.2328 mL | 16.1640 mL | 32.3279 mL |
| | 5 mM | 0.6466 mL | 3.2328 mL | 6.4656 mL |
| | 10 mM | 0.3233 mL | 1.6164 mL | 3.2328 mL |

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

In Vivo

- Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
Solubility: ≥ 2.5 mg/mL (8.08 mM); Clear solution
- Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
Solubility: ≥ 2.5 mg/mL (8.08 mM); Clear solution
- Add each solvent one by one: 10% DMSO >> 90% corn oil
Solubility: ≥ 2.5 mg/mL (8.08 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Sapanisertib (INK-128; MLN0128; TAK-228) is an orally available, ATP-dependent mTOR1/2 inhibitor with an IC₅₀ of 1 nM for mTOR kinase.

IC₅₀ & Target

| | | | |
|----------------------------------|--------|--------|-------------------------------------|
| mTOR 1 nM (IC ₅₀) | mTORC1 | mTORC2 | PI3Kα 219 nM (IC ₅₀) |
|----------------------------------|--------|--------|-------------------------------------|

| | PI3K γ 221 nM (IC ₅₀) | PI3K δ 230 nM (IC ₅₀) | PI3K β 5.293 μ M (IC ₅₀) | Autophagy |
|-----------------|--|---|---|-----------|
| In Vitro | <p>Sapanisertib (INK-128) exhibits an enzymatic inhibition activity against mTOR and more than 100-fold selectivity to PI3K kinases^[1]. Sapanisertib (INK-128) selectively decreases the expression of YB1, MTA1, vimentin and CD44 at the protein but not transcript level in PC3 cells. Sapanisertib (INK-128) decreases the invasive potential of PC3 prostate cancer cells. Furthermore, Sapanisertib (INK-128) inhibits cancer cell migration starting at 6 h of treatment, precisely correlating with when decreases in the expression of pro-invasion genes are evident, but preceding any changes in the cell cycle or overall global protein synthesis^[2].</p> <p>MCE has not independently confirmed the accuracy of these methods. They are for reference only.</p> | | | |
| In Vivo | <p>In a ZR-75-1 breast cancer xenograft model, Sapanisertib (INK-128) shows tumor growth inhibition efficacy at a dose of 0.3 mg/kg/day^[1]. 4EBP1 and p70S6K1/2 phosphorylation is completely restored to wild-type levels after treatment with INK128 in PtenL/L mice. Sapanisertib (INK-128) treatment results in a 50% decrease in prostatic intraepithelial neoplasia (PIN) lesions in PtenL/L mice and induces programmed cell death in multiple cancer cell lines in mice^[2].</p> <p>MCE has not independently confirmed the accuracy of these methods. They are for reference only.</p> | | | |

PROTOCOL

| | |
|---|--|
| Cell Assay ^[2] | <p>PC3 cells are treated with the appropriate drug for 48 h, and proliferation is measured using CellTiter-Glo Luminescent reagent. The concentration of Sapanisertib (INK-128) necessary to achieve inhibition of cell growth by 50% (IC₅₀) is calculated using concentrations ranging from 20.0 μM to 0.1 nM (12-point curve).</p> <p>MCE has not independently confirmed the accuracy of these methods. They are for reference only.</p> |
| Animal Administration ^[2] | <p>Nude mice are inoculated subcutaneously in the right subscapular region with 5\times10⁶ MDA-MB-361 cells. After tumours reach a size of 150-200 mm³, mice are randomly assigned into vehicle control or treatment groups. Sapanisertib (INK-128) is formulated in 5% polyvinylpropylene, 15% NMP, 80% water and administered by oral gavage at 0.3 mg/kg and 1 mg/kg daily.</p> <p>MCE has not independently confirmed the accuracy of these methods. They are for reference only.</p> |

CUSTOMER VALIDATION

- **Nature**. 2016 Dec 1;540(7631):119-123.
- **Cell Stem Cell**. 2020 Sep 3;27(3):441-458.e10.
- **Cell Stem Cell**. 2018 Mar 1;22(3):369-383.e8.
- **Sci Transl Med**. 2018 Jul 18;10(450). pii: eaaq1093.
- **Nat Commun**. 2017 Jun 8;8:15617.

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REFERENCES

- [1]. Liu A, et al. mTOR Mediated Anti-Cancer Drug Discovery. Drug Discovery Today: Therapeutic Strategies. 2009, 6(2), 47-55.
- [2]. Hsieh AC, et al. The translational landscape of mTOR signalling steers cancer initiation and metastasis. Nature. 2012 Feb 22;485(7396):55-61.

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

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