# Taselisib

**Cat. No.:** HY-13898

**CAS No.:** 1282512-48-4

**Molecular Formula:** $C_{24}H_{28}N_8O_2$

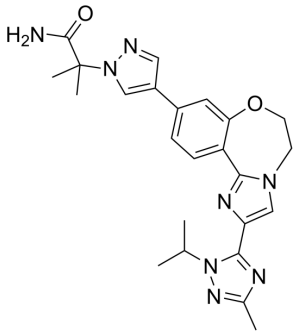
**Molecular Weight:** 460.53

**Target:** PI3K

**Pathway:** PI3K/Akt/mTOR

**Storage:**

| | | |
|---|---|---|
| Powder | -20°C | 3 years |
| | 4°C | 2 years |
| In solvent | -80°C | 1 year |
| | -20°C | 6 months |



## SOLVENT & SOLUBILITY

**In Vitro**

DMSO : 25 mg/mL (54.29 mM; ultrasonic and warming and heat to 60°C)

| Preparing Stock Solutions | Solvent / Mass / Concentration | 1 mg | 5 mg | 10 mg |
|---|---|---|---|---|
| | 1 mM | 2.1714 mL | 10.8571 mL | 21.7141 mL |
| | 5 mM | 0.4343 mL | 2.1714 mL | 4.3428 mL |
| | 10 mM | 0.2171 mL | 1.0857 mL | 2.1714 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: ≥ 2.5 mg/mL (5.43 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (5.43 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (5.43 mM); Clear solution

## BIOLOGICAL ACTIVITY

**Description**

Taselisib (GDC-0032) is a potent PI3K inhibitor targets PIK3CA mutations, with $K_i$s of 0.12 nM, 0.29 nM, 0.97 nM, and 9.1 nM for PI3Kδ, PI3Kα, PI3Kγ and PI3Kβ, respectively.

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

| PI3Kδ | PI3Kα | PI3Kγ | PI3Kβ |
|---|---|---|---|
| 0.12 nM (Ki) | 0.29 nM (Ki) | 0.97 nM (Ki) | 9.1 nM (Ki) |

**In Vitro**

Taselisib (GDC-0032) (100 nM) inhibits AKT/mTOR signaling in PIK3CA mutant cell lines but not in cells with loss or mutation

| | |
|---|---|
| | of PTEN; Taselisib (GDC-0032) enhances radiation-induced apoptosis and inhibits growth in head and neck cancer cell lines that are sensitive to its single-agent activiy[1]. Taselisib (GDC-0032) enhances the effects of MEK1/2 inhibition on both BRAF $^{V600E}$/PTEN$^{Null}$ human melanoma cells autochthonous mouse melanomas[2].<br>MCE has not independently confirmed the accuracy of these methods. They are for reference only. |
| **In Vivo** | Taselisib (GDC-0032) (5 mg/kg, p.o.) potently impairs PI3K signaling and enhances the efficacy of fractionated radiotherapy; Taselisib (GDC-0032) and radiation is more effective than either treatment alone in nude mice implanted with subcutaneous Cal-33 xenografts[1]. The vehicle-treated BRAFV600E/PTENNull melanoma-bearing mice experiencs initial tumor regression after treatment with Taselisib (GDC-0032) (22.5 mg/kg, p.o.)[2].<br>MCE has not independently confirmed the accuracy of these methods. They are for reference only. |

## PROTOCOL

| | |
|---|---|
| **Cell Assay** [1] | Cells are seeded in replicates of 6 in 96-well plates with 500 to 5,000 cells/well overnight and then treated with Taselisib (GDC-0032). After 4 days, the media are removed and the cells are fixed with 4% glutaraldehyde for 30 minutes. Fixed cells are stained with 0.1% crystal violet for 2 minutes, then washed, and dissolved in 10% acetic acid.<br>MCE has not independently confirmed the accuracy of these methods. They are for reference only. |
| **Animal Administration** [1] | Six-week-old Nu/Nu mice are injected bilaterally with $5\times10^5$ cells resuspended in 200 µL of culture media and Matrigel mixed in a 1:1 ratio. After tumors reache approximately 100 to 200 $cm^3$, mice are randomized into treatment arms with 8 to 10 tumors per group. Taselisib (GDC-0032) (5 mg/kg) is dissolved in a vehicle containing 0.5% methylcellulose with 0.2% TWEEN-80 and is administered via daily oral gavage.<br>MCE has not independently confirmed the accuracy of these methods. They are for reference only. |

## CUSTOMER VALIDATION

- Nature. 2018 Aug;560(7719):499-503.
- Cell Discov. 2016 Sep 20;2:16030.
- J Clin Invest. 2021 Dec 15;131(24):e140436.
- Biosens Bioelectron. 2020 Aug 1;161:112240.
- Cancer Res. 2021 Mar 8;canres.3232.2020.

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## REFERENCES


[1]. Zachary S. Zumsteg, et al. Taselisib (GDC-0032), a Potent β-Sparing Small Molecule Inhibitor of PI3K, Radiosensitizes Head and Neck Squamous Carcinomas Containing Activating PIK3CA Alterations. Clin Cancer Res. 2016 Apr 15; 22(8): 2009–2019.

[2]. Marian M. Deuker, et al. PI3′-Kinase Inhibition Forestalls the Onset of MEK1/2 Inhibitor Resistance in BRAF-Mutated Melanoma. Cancer Discov. 2015 Feb; 5(2): 143–153.

[3]. Ndubaku CO, et al. Discovery of 2-{3-[2-(1-isopropyl-3-methyl-1H-1,2-4-triazol-5-yl)-5,6-dihydrobenzo[f]imidazo[1,2-d][1,4]oxazepin-9-yl]-1H-pyrazol-1-yl}-2-methylpropanamide (GDC-0032): a β-sparing phosphoinositide 3-kinase inhibitor with high unbound exposure and robust in vivo antitumor activity. J Med Chem. 2013 Jun 13;56(11):4597-610.

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

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