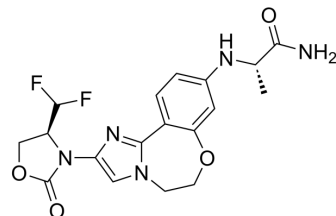


## Inavolisib

<b>Cat. No.:</b>	HY-101562		
<b>CAS No.:</b>	2060571-02-8		
<b>Molecular Formula:</b>	C <sub>18</sub> H <sub>19</sub> F <sub>2</sub> N <sub>5</sub> O <sub>4</sub>		
<b>Molecular Weight:</b>	407.37		
<b>Target:</b>	PI3K; Apoptosis		
<b>Pathway:</b>	PI3K/Akt/mTOR; Apoptosis		
<b>Storage:</b>	Powder	-20°C	3 years
		4°C	2 years
	In solvent	-80°C	6 months
		-20°C	1 month



### SOLVENT & SOLUBILITY

#### In Vitro

DMSO : 100 mg/mL (245.48 mM; Need ultrasonic)

Concentration	Mass		
	1 mg	5 mg	10 mg
1 mM	2.4548 mL	12.2739 mL	24.5477 mL
5 mM	0.4910 mL	2.4548 mL	4.9095 mL
10 mM	0.2455 mL	1.2274 mL	2.4548 mL

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

#### In Vivo

- Add each solvent one by one: 5% DMSO >> 40% PEG300 >> 5% Tween-80 >> 50% saline  
Solubility: ≥ 2.75 mg/mL (6.75 mM); Clear solution
- Add each solvent one by one: 5% DMSO >> 95% (20% SBE-β-CD in saline)  
Solubility: ≥ 2.75 mg/mL (6.75 mM); Clear solution
- Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline  
Solubility: ≥ 2.08 mg/mL (5.11 mM); Clear solution
- Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)  
Solubility: ≥ 2.08 mg/mL (5.11 mM); Clear solution
- Add each solvent one by one: 1% DMSO >> 99% saline  
Solubility: ≥ 0.55 mg/mL (1.35 mM); Clear solution

### BIOLOGICAL ACTIVITY

#### Description

GDC-0077 (RG6114) is a potent, orally available, and selective PI3Kα inhibitor (IC<sub>50</sub>=0.038 nM). GDC-0077 (RG6114) exerts its activity by binding to the ATP binding site of PI3K, thereby inhibiting the phosphorylation of PIP2 to PIP3. GDC-0077 (RG6114) is more selective for mutant versus wild-type PI3Kα<sup>[1]</sup>.

<b>IC<sub>50</sub> &amp; Target</b>	PI3K $\alpha$ 0.038 nM (IC <sub>50</sub> )
<b>In Vitro</b>	GDC-0077 (RG6114) is >300-fold more selective for PI3K $\alpha$ over the other class I PI3K isoforms ( $\beta$ , $\delta$ , and $\gamma$ ) and >2000-fold more selective over PIK family members. GDC-0077 selectively degrades mutant PI3K $\alpha$ in a proteasome-dependent fashion resulting in reduction of PI3K pathway activity biomarkers such as pAKT and pPRAS40, inhibition of cell proliferation, and increased apoptosis in human PIK3CA-mutant breast cancer cell lines to a greater extent when compared to PIK3CA wild-type cells <sup>[1]</sup> . MCE has not independently confirmed the accuracy of these methods. They are for reference only.
<b>In Vivo</b>	GDC-0077 (p.o.) results in tumor regressions, induction of apoptosis, and a reduction of pAKT, pPRAS40, and pS6RP in a dose-dependent fashion in PIK3CA-mutant breast cancer xenograft models <sup>[1]</sup> . MCE has not independently confirmed the accuracy of these methods. They are for reference only.

## CUSTOMER VALIDATION

- Clin Transl Med. 2022 May;12(5):e835.
- Cancer Sci. 2023 May 9.

See more customer validations on [www.MedChemExpress.com](http://www.MedChemExpress.com)

## REFERENCES

[1]. R Hong, Abstract PD4-14: GDC-0077 is a selective PI3K $\alpha$  inhibitor that demonstrates robust efficacy in PIK3CA mutant breast cancer models as a single agent and in combination with standard of care therapies. 2017 San Antonio Breast Cancer Symposium.

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

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