Inavolisib

Cat. No.: HY-101562

CAS No.: 2060571-02-8

Molecular Formula: $C_{18}H_{19}F_2N_5O_4$

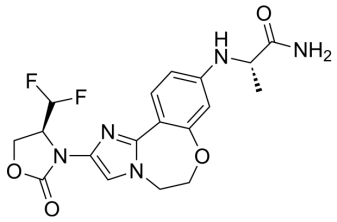
Molecular Weight: 407.37

Target: PI3K; Apoptosis

Pathway: PI3K/Akt/mTOR; Apoptosis

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

Preparing Stock Solutions	Solvent / Mass / Concentration	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

1. 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
2. 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
3. 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
4. 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
5. 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_{50}=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[1].

IC_{50} & Target	PI3Kα 0.038 nM (IC_{50})
In Vitro	GDC-0077 (RG6114) is >300-fold more selective for PI3Kα over the other class I PI3K isoforms (β, δ, and γ) and >2000-fold more selective over PIK family members. GDC-0077 selectively degrades mutant PI3Kα 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[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	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[1]. 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.

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REFERENCES

[1]. R Hong, Abstract PD4-14: GDC-0077 is a selective PI3Kalpha 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.

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