

Catalog # 10-2141 GDC-0941

CAS# 957054-30-7

Pictilisib; 4-[2-(1*H*-Indazol-4-yl)-6-[(4-methylsulfonylpiperazin-1-yl)methyl]thieno[3,2-*d*]pyrimidin-4-yl]morpholine Lot # FBS1074

GDC-0941 is a potent and selective inhibitor of class I phosphatidylinositol-3-kinases (PI3K) with significant antitumor activity – IC₅₀'s: PI3K α = 3nM, PI3K β = 33 nM, PI3K δ = 3 nM, PI3K γ = 75 nM.^{1,2} GDC-0941 is the chemical probe of choice for the pan-inhibition of class I PI3K's.³ Currently in clinical trials.⁴

- 1) Folkes et al. (2008), The identification of 2-(1H-indazol-4-yl)-6-(4-methanesulfonyl-piperazin-1-ylmethyl)-4-morpholin-4-yl-thieno[3,2-d]pyrimidine(GDC-0941) as a potent, selective, orally bioavailable inhibitor of class I PI3 kinase for the treatment of cancer, J.Med.Chem. **51** 5522
- 2) Raynaud et al. (2009), Biological properties of potent inhibitors of class I phosphatidylinositide 3-kinase: from PI-103 through PI-540, PI620 to the oral agent GDC-0941; Mol.Cancer Ther. **8** 1725
- 3) Knapp et al. (2013), A public-private partnership to unlock the untargeted kinome; Nat.Chem.Biol. 9 3
- 4) Sarker et al. (2015), First-in-human phase I study of pictilisib (GDC-0941), a potent pan-class I phosphatidylinositol-3-kinase (PI3K) inhibitor, in patients with advanced solid tumors; Clin.Cancer Res. 21 77

PHYSICAL DATA

Molecular Weight: 513.64

Molecular Formula: $C_{23}H_{27}N_7O_3S_2$ Purity: 99% by HPLC

NMR: (Conforms)

Solubility: DMSO (>25 mg/mL)
Physical Description: White or off-white solid

Storage and Stability: Store as supplied at -20°C for up to 1 year from the date of purchase. Solutions in

DMSO may be stored at -20°C for up to 3 months.

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