

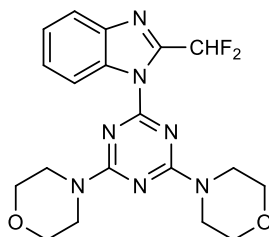
**Catalog # 10-2180**

**ZSTK474**

475110-96-4

2-(2-Difluoromethylbenzimidazol-1-yl)-4,6-dimorpholino-1,3,5-triazine

Lot # X106728



Novel Class I phosphatidylinositol 3-kinase (PI3K) inhibitor. ZSTK474 is an ATP-competitive inhibitor of all four Class I PI3K isoforms. However, it inhibits PI3K $\delta$  most potently, with a  $K_i$  of 1.8 nM, while inhibiting the  $\alpha$ ,  $\beta$  and  $\gamma$  isoforms at slightly higher concentrations (6.7 nM, 10.4 nM and 11.7 nM, respectively)<sup>1</sup>. Displays potent antitumor activity against human cancer xenografts (A549, PC-3 and WiDr) when administered to mice<sup>2</sup>. It displays potent anti-inflammatory activity via modulation of human CD14+ monocyte-derived dendritic cell functions and suppresses experimental autoimmune encephalomyelitis<sup>3</sup>. Ameliorates the progression of adjuvant-induced arthritis in a rat model<sup>4</sup>.

- 1) Kong and Yamori *et al.* (2007), *ZSTK474 is an ATP-competitive inhibitor of class I phosphatidylinositol 3 kinase isoforms*; *Cancer Sci.*, **98** 1638
- 2) Yaguchi *et al.* (2006), *Antitumor activity of ZST474, a new phosphatidylinositol 3-kinase inhibitor*; *J. Natl. Cancer Inst.*, **98** 545
- 3) Xue *et al.* (2014), *ZSTK474, a novel PI3K inhibitor, modulates human CD14+ monocyte-derived dendritic cell functions and suppresses experimental autoimmune encephalomyelitis*; *J. Mol. Med. (Berl.)*, **92** 1057
- 4) Haruta *et al.* (2012), *Inhibitory effects of ZST474, a phosphatidylinositol 3-kinase inhibitor, on adjuvant-induced arthritis in rats*; *Inflamm. Res.*, **61** 551

**PHYSICAL DATA**

Molecular Weight:	417.41
Molecular Formula:	C <sub>19</sub> H <sub>21</sub> F <sub>2</sub> N <sub>7</sub> O <sub>2</sub>
Purity:	99% by HPLC
	NMR: (Conforms)
Solubility:	DMSO (up to 20 mg/ml), Ethanol (up to 2.5 mg/ml with warming)
Physical Description:	White or off-white solid
Storage and Stability:	Store as supplied desiccated at -20°C for up to 2 years from the date of purchase. Solutions in DMSO or ethanol may be stored at -20°C for up to 3 months.

**Materials provided by Focus Biomolecules are for laboratory research use only and are not intended for human or veterinary applications.**