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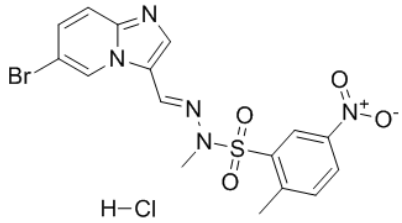
Data Sheet

Global Supplier of Chemical Probes, Inhibitors & Agonists.

Product Name	:	PIK-75
Cat. No.	:	PC-43460
CAS No.	:	372196-77-5
Molecular Formula	:	$C_{16}H_{15}BrClN_5O_4S$
Molecular Weight	:	488.74
Target	:	DNA-PK
Solubility	:	10 mM in DMSO



Biological Activity

PIK-75 (PIK-75 hydrochloride) is a potent, isoform-selective **p110α** inhibitor with IC50 of 0.3 nM, displays >100-fold selectivity over p110β, p110δ and PI3K C2b.
PIK-75 blocks the phosphorylation of PKB induced by insulin on both Ser473 and Thr308 in CHO-IR cell (IC50=78 nM), inhibits PI3K activation associated with dramatic suppression of downstream signaling events, including AKT phosphorylation, IKK activation, and NF-kappaB transcription.
PIK-75 potently and dose dependently inhibits in vitro and in vivo production of TNF-alpha and IL-6, diminishes the induced expression of human endothelial cell adhesion molecules (E-selectin, ICAM-1, and VCAM-1), and blocks human monocyte-endothelial cell adhesion.
PIK-75 exhibits significant anti-tumor effectiveness in vivo.

References

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Dagia NM, et al. ***Am J Physiol Cell Physiol***. 2010 Apr;298(4):C929-41.

Zheng Z, et al. ***Mol Pharmacol.*** 2011 Oct;80(4):657-64.

Hayakawa M, et al. ***Bioorg Med Chem***. 2007 Sep 1;15(17):5837-44.

Caution: Product has not been fully validated for medical applications. Lab Use Only!

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