Small Molecules

PD173074

Tyrosine kinase inhibitor; Inhibits FGFR

Catalog #72164

10 mg

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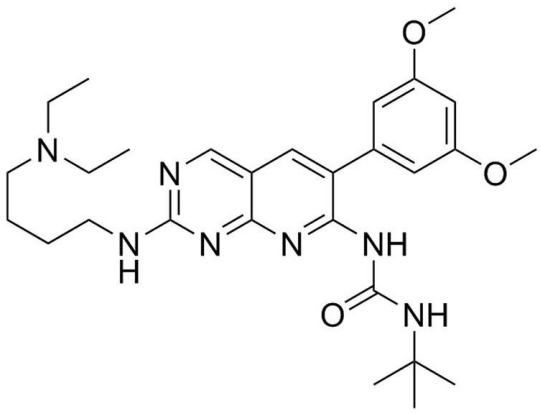
Product Description

PD173074 is a selective and potent, ATP-competitive inhibitor of fibroblast growth factor receptor (FGFR). It acts on both FGFR3 and FGFR1 (IC_{50} = 5 and 21.5 nM, respectively), and also inhibits FGFR2, FGFR4, and vascular endothelial growth factor receptor 2 (VEGFR2). It is approximately 1000 times more potent than another common FGFR inhibitor SU5402 (Catalog #73912). PD173074 shows little to no activity against PDGFR, EGFR, MEK, or PKC (Koziczak et al.; Mohammadi et al.; Trudel et al.).

Molecular Name:	PD173074
Alternative Names:	Not applicable
CAS Number:	219580-11-7
Chemical Formula:	$C_{28}H_{41}N_7O_3$
Molecular Weight:	523.7 g/mol
Purity:	≥ 95%
Chemical Name:	N-[2-[[4-(diethylamino)butyl]amino]-6-(3,5-dimethoxyphenyl)pyrido[2,3-d]pyrimidin-7-yl]-N'-(1,1-dimethylethyl)-urea
Structure:	



Properties

Physical Appearance:	A crystalline solid
Storage:	Product stable at -20°C as supplied. Protect from prolonged exposure to light. Stable as supplied for 12 months from date of receipt.
Solubility:	· DMSO ≤ 1.9 mM · Absolute ethanol ≤ 45 mM For example, to prepare a 10 mM stock solution in DMSO, resuspend 1 mg in 190 µL of fresh DMSO. Prepare stock solution fresh before use. Information regarding stability of small molecules in solution has rarely been reported; however, as a general guide we recommend storage in DMSO at -20°C. Aliquot into working volumes to avoid repeated freeze-thaw cycles. The effect of storage of stock solution on compound performance should be tested for each application. Compound has low solubility in aqueous media. For use as a cell culture supplement, stock solution should be diluted into culture medium immediately before use. Avoid final DMSO or absolute ethanol concentration above 0.1% due to potential cell toxicity.

Published Applications

MAINTENANCE AND SELF-RENEWAL

· Suppresses the differentiation of mouse embryonic stem (ES) cells and maintains the undifferentiated state (Kunath et al.; Ying et al.).

REPROGRAMMING

· Prevents excision-mediated differentiation of mouse induced pluripotent stem cells generated using piggyBac transposons (Kaji et al.).

· Promotes reprogramming of human ES cells to naïve cells, or their maintenance in a naïve state, in combination with with OCT4, KLF4, KLF2, LIF (Catalog #78055), CHIR99021 (Catalog #72052), and PD0325901 (Catalog #72182) (Hanna et al.).

DIFFERENTIATION

· Blocks neural differentiation of mouse ES cells (Stavridis et al.).

· Promotes differentiation of human ES cells, but not when they are in a naïve or ground state (Hanna et al.).

References

Hanna J et al. (2010) Human embryonic stem cells with biological and epigenetic characteristics similar to those of mouse ESCs. Proc Natl Acad Sci USA 107(20): 9222–7.

Kaji K et al. (2009) Virus-free induction of pluripotency and subsequent excision of reprogramming factors. Nature 458(7239): 771–5.

Koziczak M et al. (2004) Blocking of FGFR signaling inhibits breast cancer cell proliferation through downregulation of D-type cyclins. Oncogene 23(20): 3501–8.

Kunath T et al. (2007) FGF stimulation of the Erk1/2 signalling cascade triggers transition of pluripotent embryonic stem cells from self-renewal to lineage commitment. Development 134(16): 2895–902.

Mohammadi M et al. (1998) Crystal structure of an angiogenesis inhibitor bound to the FGF receptor tyrosine kinase domain. EMBO J 17(20): 5896–904.

Stavridis MP et al. (2007) A discrete period of FGF-induced Erk1/2 signalling is required for vertebrate neural specification. Development 134(16): 2889–94.

Trudel S et al. (2004) Inhibition of fibroblast growth factor receptor 3 induces differentiation and apoptosis in t(4;14) myeloma. Blood 103(9): 3521–8.

Ying Q-L et al. (2008) The ground state of embryonic stem cell self-renewal. Nature 453(7194): 519–23.

Related Small Molecules

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This product is hazardous. Please refer to the Safety Data Sheet (SDS).