

Small Molecules

AZ628

MEK/ERK pathway inhibitor; Inhibits B-RAF, B-RAV600E, and C-RAF-1

Catalog # 72982
72984

1 mg
10 mg



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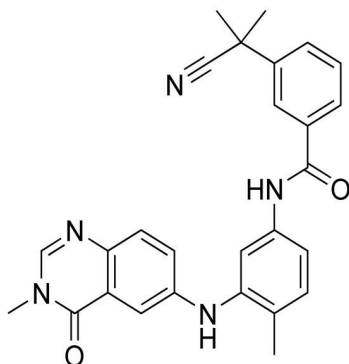
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Product Description

AZ628 is a quinazolinone that inhibits several rapidly accelerated fibrosarcoma (RAF) kinases, including B-RAF, B-RAF V600E, and C-RAF-1 (in vitro kinase assay IC_{50} values of 105, 34, and 29 nM respectively; Khazak et al.). It strongly promotes B-RAF dimerization as a tight-binding inhibitor with a very slow off rate (Hatzivassiliou et al.; Lavoie et al.). From specificity profiling it is known to prevent activation of several other tyrosine kinases, including vascular endothelial growth factor receptor 2 (VEGFR2), discoidin domain receptor 2 (DDR2), Lck/Yes Novel (LYN), feline McDonough sarcoma (FMS), FMS-like tyrosine kinase 1 (FLT1), and others (Khazak et al.).

Molecular Name: AZ628
Alternative Names: Not applicable
CAS Number: 878739-06-1
Chemical Formula: $C_{27}H_{25}N_5O_2$
Molecular Weight: 451.5 g/mol
Purity: $\geq 98\%$
Chemical Name: 3-(2-cyanopropan-2-yl)-N-[4-methyl-3-[(3-methyl-4-oxoquinazolin-6-yl)amino]phenyl]benzamide
Structure:



Properties

Physical Appearance: A crystalline solid
Storage: Product stable at -20°C as supplied. Protect from prolonged exposure to light. For product expiry date, please contact techsupport@stemcell.com.
Solubility:
· DMSO ≤ 65 mM
· Absolute ethanol ≤ 0.5 mM
For example, to prepare a 10 mM stock solution in DMSO, resuspend 1 mg in 221 μL of 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 concentration above 0.1% due to potential cell toxicity.

Published Applications

CANCER RESEARCH

· Inhibits tumor growth, induces cell cycle arrest and causes apoptosis in a variety of cancer cell lines, especially in lines with the B-RAF V600E mutation (McDermott et al.; Khazak et al.).

References

Hatzivassiliou G et al. (2010) RAF inhibitors prime wild-type RAF to activate the MAPK pathway and enhance growth. *Nature* 464(7287): 431–5.

Khazak V et al. (2007) Selective Raf inhibition in cancer therapy. *Expert Opin Ther Targets* 11(12): 1587–609.

Lavoie H et al. (2013) Inhibitors that stabilize a closed RAF kinase domain conformation induce dimerization. *Nat Chem Biol* 9(7): 428–436.

McDermott U et al. (2007) Identification of genotype-correlated sensitivity to selective kinase inhibitors by using high-throughput tumor cell line profiling. *Proc Natl Acad Sci U S A* 104(50): 19936–41.

Related Small Molecules

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