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SB590885

B-Raf kinase (BRAF) inhibitor

Catalog #100-1656 5 mg

Product Description

SB590885 is a potent inhibitor of serine/threonine protein kinase B-Raf (BRAF; K_d = 300 pM), a key component in the extracellular signal-regulated kinase (ERK; a group of MAP kinases) pathway (Takle et al.). Mutations in the B-Raf regulatory domain result in increased kinase activity, associated with maintaining tumorigenicity of melanoma and other cancers. SB590885 selectively inhibits B-Raf kinase activity by binding competitively to the ATP-binding domain of B-Raf (King et al.; Roskoski).

Alternative Names: Not applicable

CAS Number: 405554-55-4

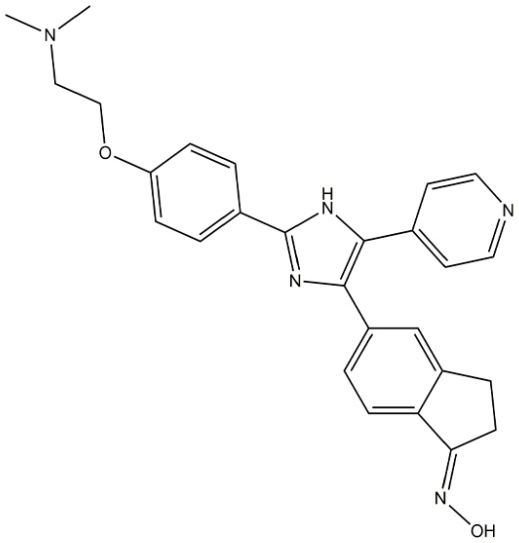
Chemical Formula: $C_{27}H_{27}N_5O_2$

Molecular Weight: 453.5 g/mol

Purity: ≥ 98%

Chemical Name: 5-[2-[4-[2-(Dimethylamino)ethoxy]phenyl]-5-(4-pyridinyl)-1H-imidazol-4-yl]-2,3-dihydro-1H-inden-1-one oxime

Structure:



Properties

Product Format: An off-white powder

Stability and Storage: Product stable at -20°C as supplied. As a precaution, STEMCELL recommends storing all small molecules away from direct light. For long-term storage, store with a desiccant. Stable as supplied for 12 months from date of receipt.

Preparation:

• DMSO ≤ 30 mM
For example, to prepare a 10 mM stock solution in DMSO, resuspend 1 mg in 221 µL of DMSO.
If not fully dissolved, warm the 10 mM stock solution in a 37°C water bath or incubator with periodic mixing until the solution is clear.

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
• Disrupts endolysosomal pathway resulting in accumulation of acidic vacuole-like vesicles and sensitizing human melanoma cell lines to endoplasmic reticulum stress (Palušová et al.).
• Inhibits ERK phosphorylation, cell proliferation, anchorage-independent growth of human melanoma cell lines, and reduces tumor growth in a mouse xenograft model (King et al.).
DISEASE MODELING
• Induces hypertrophy in rat cardiomyocytes and promotes cardiac hypertrophy in vivo in a mouse model (Clerk et al.).

References

Clerk A et al. (2022) Cardiomyocyte BRAF and type 1 RAF inhibitors promote cardiomyocyte and cardiac hypertrophy in mice in vivo. Biochem J 479(3): 401–24.
King AJ et al. (2006) Demonstration of a genetic therapeutic index for tumors expressing oncogenic BRAF by the kinase inhibitor SB-590885. Cancer Res 66(23): 11100–5.
Palušová V et al. (2020) Dual targeting of BRAF and mTOR signaling in melanoma cells with pyridinyl imidazole compounds. Cancers 12(6): 1–24.
Roskoski R. (2010) RAF protein-serine/threonine kinases: Structure and regulation. Biochem Biophys Res Commun 399(3): 313–7.
Takle AK et al. (2006) The identification of potent and selective imidazole-based inhibitors of B-Raf kinase. Bioorg Med Chem Lett 16(2): 378–81.

Related Products

For a complete list of small molecules available from STEMCELL Technologies, visit www.stemcell.com/smallmolecules or contact us at techsupport@stemcell.com.

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