PLX4032

Small Molecules

MEK/ERK pathway inhibitor; Inhibits B-

Catalog # 73332 10 mg 73334

50 mg



Scientists Helping Scientists[™] | www.stemcell.com

TOLL FREE PHONE 1 800 667 0322 • PHONE +1 604 877 0713 INFO@STEMCELL.COM • TECHSUPPORT@STEMCELL.COM FOR GLOBAL CONTACT DETAILS VISIT OUR WERSITE

Product Description

PLX4032 is an ATP-competitive inhibitor of the serine/threonine kinase B-RAF proto-oncogene, with IC₅₀ values of 31 and 100 nM for the wild-type and V600E mutant forms, respectively (Khazak et al.; Sala et al.).

Molecular Name: PLX4032

Alternative Names: RG-7204; Ro 51-85426; Vemurafenib

CAS Number: 918504-65-1 Chemical Formula: C₂₃H₁₈CIF₂N₃O₃S Molecular Weight: 489.9 g/mol

Purity: ≥ 98%

Chemical Name: N-[3-[[5-(4-chlorophenyl])-1H-pyrrolo[2,3-b]pyridin-3-yl]carbonyl]-2,4-difluorophenyl]-1-propanesulfonamide

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:

For example, to prepare a 1 mM stock solution in DMSO, resuspend 10 mg in 20.4 mL 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.

Small Molecules PLX4032



Published Applications

CANCER RESEARCH

- · Inhibits proliferation in colon, melanoma, and thyroid carcinoma cancer cell lines expressing B-RAF V600E, alone or in synergy with taxol, vinblastine, and oxaliplatin compounds (Khazak et al.).
- · Suppresses MEK and ERK phosphorylation downstream of B-RAF in melanoma cells with mutations at the V600 position, correlated with antiproliferative effects (Joseph et al.; Yang et al.).
- · Inhibits tumor growth in B-RAF V600E melanoma tumor xenograft models (Yang et al.).

References

Joseph EW et al. (2010) The RAF inhibitor PLX4032 inhibits ERK signaling and tumor cell proliferation in a V600E BRAF-selective manner. Proc Natl Acad Sci USA 107(33): 14903–8.

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

Sala E et al. (2008) BRAF silencing by short hairpin RNA or chemical blockade by PLX4032 leads to different responses in melanoma and thyroid carcinoma cells. Mol Cancer Res 6(5): 751–9.

Yang H et al. (2010) RG7204 (PLX4032), a selective BRAF V600E inhibitor, displays potent antitumor activity in preclinical melanoma models. Cancer Res 70(13): 5518–27.

Related Small Molecules

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

STEMCELL TECHNOLOGIES INC.'S QUALITY MANAGEMENT SYSTEM IS CERTIFIED TO ISO 13485. PRODUCTS ARE FOR RESEARCH USE ONLY AND NOT INTENDED FOR HUMAN OR ANIMAL DIAGNOSTIC OR THERAPEUTIC USES UNLESS OTHERWISE STATED.

Copyright © 2017 by STEMCELL Technologies Inc. All rights reserved including graphics and images. STEMCELL Technologies & Design, STEMCELL Shield Design, and Scientists Helping Scientists are trademarks of STEMCELL Technologies Canada Inc. All other trademarks are the property of their respective holders. While STEMCELL has made all reasonable efforts to ensure that the information provided by STEMCELL and its suppliers is correct, it makes no warranties or representations as to the accuracy or completeness of such information.