Product Description

PLX4032 is an ATP-competitive inhibitor of the serine/threonine kinase B-RAF proto-oncogene, with IC$_{50}$ 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: C$_{23}$H$_{18}$ClF$_2$N$_3$O$_3$S  
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:

![Structure Image]

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 ≤ 2 mM  
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.
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

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.

Related Small Molecules

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