Product Name: Vemurafenib (PLX4032, RG7204)

Revision Date: 6/30/2016

**Product Data Sheet**

**Chemical Properties**

**Product Name:** Vemurafenib (PLX4032, RG7204)

**Cas No.:** 918504-65-1

**M.Wt:** 489.93

**Formula:** C23H18ClF2N3O3S

**Synonyms:** Vemurafenib, Zelboraf, PLX-4032, RG7204, RO5185426,

**Chemical Name:** N-[3-[5-(4-chlorophenyl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl]-2,4-difluorophenyl]propane-1-sulfonamide

**Canonical SMILES:** CCCS(=O)(=O)NC1=C(C(=C(C=C1)F)C(=O)C2=CNC3=NC=C(=C(C23)C4=CC=C(C=C4)Cl)F

**Solubility:** >24.5mg/mL in DMSO

**Storage:** Store at -20°C

**General tips:** For obtaining a higher solubility, please warm the tube at 37°C and shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.

**Shopping Condition:** Evaluation sample solution: ship with blue ice
All other available size: ship with RT, or blue ice upon request

**Biological Activity**

**Targets:** MEK1/2

**Pathways:** MAPK Signaling >> MEK1/2

**Description:**

Vemurafenib is an inhibitor of BRAF kinase. It inhibits BRAFV600E and also has inhibitory activity in vitro against several other kinds of kinases, including CRAF, ARAF and wild-type BRAF. Vemurafenib is a competitive small-molecule serine–threonine kinase inhibitor that functions by binding to the ATP-binding domain of mutant BRAF. Vemurafenib can also give rise to activation
of downstream MEK by normal RAF homo- and heterodimers in non-BRAF mutated cells, which has been shown to be caused by transactivation of the nondrug-bound partner in BRAF to CRAF heterodimers and CRAF to CRAF homodimers.

Reference:

Protocol

Cell experiment:

Cell lines MALME-3M melanoma cell lines
Preparation method The solubility of this compound in DMSO is >10 mM. General tips for obtaining a higher concentration: Please warm the tube at 37 °C for 10 minutes and/or shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.
Reacting conditions 24 h; 10 μM
Applications In melanoma cell lines, RG7204 was a potent inhibitor of proliferation in those expressing BRAFV600E but not BRAFWT. RG7204 also potently inhibited proliferation of melanoma cell lines expressing other codon 600 BRAF mutations (V600D, V600 K, and V600R).

Animal experiment [3]:

Animal models Athymic nude mice
Dosage form 100 mg/kg bid; oral taken.
Applications In mice bearing Colo829 tumor xenografts, RG7204 at 100 mg/kg bid for 21 days showed greatly improved antitumor activity compared both with vehicle (P = 0.001) at the end of the study on day 38 after the tumor cell implant. There was complete tumor regression in all 10 mice treated with RG7204 by the end of the study. Survival in the mice treated with RG7204 was significantly better than in those treated with vehicle (P = 0.0008).

Other notes Please test the solubility of all compounds indoor, and the actual solubility may slightly differ with the theoretical value. This is caused by an experimental system error and it is normal.
Reference:

Product Validation

PLX4032 decreases pERK levels and inhibits growth of D4M cells. (A, B) Immunoblots of 2.5 μg of protein lysate for pERK or pAKT from (A) D4M.3A and (B) VMM5 cells, treated with DMSO, 3 μM PLX4032, or 10 μM U0126 over a time course (minutes post-treatment). Total ERK and total AKT were used as loading controls.

Caution

FOR RESEARCH PURPOSES ONLY.

NOT FOR HUMAN, VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

Specific storage and handling information for each product is indicated on the product datasheet. Most ApexBio products are stable under the recommended conditions. Products are sometimes shipped at a temperature that differs from the recommended storage temperature. Shortterm storage of many products are stable in the short-term at temperatures that differ from that required for long-term storage. We ensure that the product is shipped under conditions that will maintain the quality of the reagents. Upon receipt of the product, follow the storage recommendations on the product data sheet.