Product Data Sheet

Chemical Properties

Product Name: Everolimus (RAD001)
Cas No.: 159351-69-6
M.Wt: 958.22
Formula: C53H83NO14
Synonyms: Everolimus,RAD001

Chemical Name: N/A
Canonical SMILES: OCCO[C@H][C@H][OC][C@H][C[C@H]C@H][(CC[[C@H](C)[/C=C([C@H](C)CC1[C@H][C@H][H]CC(C2=OC)=O)O4]=O)=O]=O)
Solubility: >47.9mg/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: mTOR
Pathways: PI3K/Akt/mTOR Signaling >> mTOR
Description:
Everolimus, also known as RAD001, is a potent and orally bio-available inhibitor of mammalian target of rapamycin (mTOR), a key component of active PI3K/Akt pathway in human cancers. It
binds to intracellular receptor FKBP12 in the mTOR pathway with high affinity forming an everolimus-FKBP12 complex. The complex further binds to mTOR resulting in reducing the activity of the downstream effectors S6 ribosomal protein kinase (S6K1) and translational repressor protein eukaryotic elongation factor 4E-binding protein (4EBP). Besides its immunosuppressive activity for the prevention of organ transplant rejection, everolimus exhibits antineoplastic activity and is currently used to treat renal cell cancer and other tumors.

Reference:

Laura Elibenschutz, Delia Colombo, and Caterina Catricala. Everolimus for compassionate use in multiple basal cell carcinomas. Case Reports in Dermatological Medicine 2013

Raffaele Pezzani, Beatrice Rubin, Marco Redaelli, Claudia Radu, Susi Barollo, Maria Verena Cicala, Monica Salva, Caterina Mian, Carla Mucignat-Caretta, Paolo Simioni, Maurizio Iacobone and Franco Mantero. The antiproliferative effects of ouabain and everolimus on adrenocortical tumor cells. Endocrine journal, 2013

Protocol

Cell experiment:

Cell lines The pancreatic tumor cell line Panc-1 and the small cell lung cancer cell line ScLc.

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 IC50: 50 μg/mL (Panc-1); 5 μg/mL (ScLc), 24h

Applications Everolimus exerted antiproliferation activity. It dose-dependently inhibited BrdU incorporation in Panc-1 and ScLc with IC50 values of 50 μg/mL and 5 μg/mL, respectively. Both are high concentrations that would not be possible in humans. Therapeutic serum levels of everolimus range between 0.005 and 0.01 μg/mL.

Animal experiment [3]:

Animal models TgMISIIR-TAg-DR26 mice model of ovarian cancer
Dosage form: RAD001 was formulated at 2% (w/v) in a microemulsion vehicle and was diluted in double-distilled water just before administration by gavage. Mice were treated with placebo (control) or 5 mg/kg of RAD001 twice weekly started from age of 5 weeks and continued to 20 weeks. Mice treated with placebo or RAD001 were scanned by MRM.

Applications: RAD001 suppressed tumorigenesis. Body weights of RAD001-treated mice were ~10% lower than in placebo-treated mice.

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:

Everolimus and rapamycin blocked the mTOR pathway in kidneys. A: A representative Western blot image of p-p70s6k and P70s6k in the indicated experimental groups. 1. db/m, 2. db/m+e, 3. db/db, 4. db/db+rap, 5. db/db+e; B: Average relative values (p-p70s6k/P70s6k ratio) in the indicated experimental mice. **P<0.05 vs. db/db+rap, *P<0.05 vs. db/db. e: everolimus, rap: rapamycin.

RAD001 significantly inhibited the phosphorylation of RPS6 which is the downstream target of mTOR. Method: western blot; Cell Lines: primary mouse T lymphocytes; Concentration: 10 nM; Incubation Time: 24 h.
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.

ApexBio Technology

www.apexbt.com

7505 Fannin street, Suite 410, Houston, TX 77054.

Tel: +1-832-696-8203 | Fax: +1-832-641-3177 | Email: info@apexbt.com