Chemical Properties

Product Name: CGK733
Cas No.: 905973-89-9
M.Wt: 555.84
Formula: C23H18Cl3FN4O3S

Chemical Name: 2,2-diphenyl-N-[2,2,2-trichloro-1-[(4-fluoro-3-nitrophenyl)carbamoyl]amino]ethyl]acetamide

Canonical SMILES: C1=CC=C(C=C1)C(C2=CC=CC=C2)C(=O)NC(C(Cl)(Cl)Cl)NC(=S)NC3=CC(=C(C=C3)F)[N+](=O)[O-]

Solubility: Soluble in DMSO > 10 mM
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: ATM/ATR
Pathways: DNA Damage/DNA Repair >> ATM/ATR

Description:
CGK733 is an inhibitor of kinase ATM and ATR with IC50 value of ~200 nM [1]. Ataxia telangiectasia mutated (ATM) is a serine/threonine kinase which plays pivotal role in DNA repair and cell cycle checkpoints. And when in hypoxia circumstance, loss of ATM-related (ATR)
kinase induces the decreasing of HIF-1 DNA binding, which consequently affects GLUT-1 and CAIX expression in the protein level. The components of ATM and ATR regulated signaling pathways which enhances cellular sensitivity to chemo- and radiotherapy thus provide attractive pharmacological targets [2, 3].

CGK733 selectively inhibited ATM and ATR kinase activities and blocked their checkpoint signaling pathways. Treated HEK-293 cells with GSK733 would increase the sensitivity of cells to radiotherapy [1]. When tested with HBV-positive HCC cell line HepG2.2.15, CGK733 treatment significantly increased the cells sensitivity to taxol via inducing the formation of multinucleated cells [2]. Treated with CGK733, senescent breast, lung, and colon carcinoma cells were induced to undergo cell death [4]. CGK733 treated tumor cells could enhance the sensitivity to radio therapy via inhibiting DNA repair and cell cycle checkpoints of tumor cells [5]. In MCF-7 breast cancer cells, CGK733 treatment induced detectable decline levels of cyclin D1 protein and reduced phosphorylated and total retinoblastoma protein (RB) which inhibited cell proliferation [3].

Reference:

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. Short-term 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.
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