Product Data Sheet

Chemical Properties

Product Name: Zibotentan (ZD4054)
Cas No.: 186497-07-4
M.Wt: 424.43
Formula: C19H16N6O4S
Synonyms: N/A
Chemical Name: N-(3-methoxy-5-methylpyrazin-2-yl)-2-[4-{1,3,4-oxadiazol-2-yl}phenyl]pyridine-3-sulfonamide
Canonical SMILES: CC1=CN=C(=N1)OC)NS(=O)(=O)C2=C(N=CC=C2)C3=CC=C(C=C3)C4=NN=CO4
Solubility: ≥7.2mg/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: GPCR/G protein
Pathways: Endothelin Receptor
Description:
ZD4054 is a specific antagonist of the endothelin A receptor. The autocrine endothelin (ET)-1/endothelin A receptor (ETAR) pathway is an important regulator of several processes involved in ovarian cancer progression. In vitro: In the human ovarian cancer ETAR positive cell lines, ZD4054 effectively inhibited the basal and ET-1-induced cell proliferation, with the inhibition of AKT and p42/44MAPK
phosphorylation, and increased apoptosis, through the inhibition of bcl-2 and activation of caspase-3 and poly(ADP-ribose) polymerase proteins. [1].

In vivo: In HEY ovarian cancer xenografts, ZD4054 inhibited tumor growth to the same degree as paclitaxel. Moreover, ZD4054-dependent tumor growth inhibition was associated with a reduction in proliferation index, MMP-2 expression, and microvessel microvessel density [2]. Clinical trial: The PK and safety profiles of ZD4054 determined in this Chinese patient population are similar to those previously reported. Findings suggest no clinically relevant inter-ethnic differences in ZD4054 disposition between the patient populations analyzed [3].

Reference:

Product Citations


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.