

Product Data Sheet

Product Name: Supinoxin

Cat. No.: GC60348

Chemical Properties

Cas. No. 888478-45-3

SMILES O=C(N1CCN(C2=CC(OC)=CC(OC)=C2)CC1)NC3=NC4=CC(F)=CC=C4N=C3OC

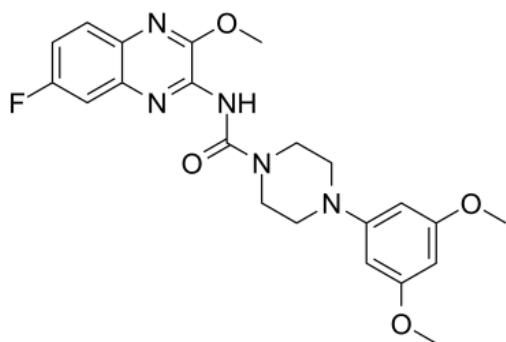
Formula $C_{22}H_{24}FN_5O_4$ M.Wt 441.46

Solubility 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.

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

Structure



Background

Caution: Product has not been fully validated for medical applications. For research use only.

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Supinoxin (RX-5902) is an orally active inhibitor of phosphorylated-p68 RNA helicase (P-p68) and a potent first-in-class anti-cancer agent. Supinoxin interacts with Y593 phosphorylated-p68 and attenuates the nuclear shuttling of β -catenin. Supinoxin induces cell apoptosis and inhibits growth of TNBC cancer cell lines with IC50s ranging from 10 nM to 20 nM[1][2].

RX-5902 (0-10 μ M; 72 hours) is active against cell lines of all TNBC molecular subtypes and is active against cell lines with mutations in p53, RB1, CDKN2A, and loss of PTEN[1].RX-5902 (20-100 nM; 24 hours) treatment results in a dose-dependent increase in tetraploid cells, consistent with induction of G2-M cell-cycle arrest[1].RX-5902 (0-100 nM; 72 hours) exhibits no significant induction of apoptosis in cell lines resistant to the antiproliferative effects of RX5902. But in sensitive cells, the observed activation of apoptosis begins at 24-48 hours and reaches a peak at 72 hours. The induced apoptosis is greasted with a dose of 100 nM[1].RX-5902 (0-100 nM; 24 or 48 hours) decreases MCL-1 expression as a dose-dependent manner in TNBC cell lines sensitive to RX-5902[1].RX-5902 inhibits cell growth, MDA-MB-231, Caki-1, UMRC2, PANC-1, A549, MKN-45, HepG2, HCT116, HT29, PC-3, U251, HeLa, SK-MEL-28 and OVCAR-3 with IC50 values range from 0.01 μ M to 0.021 μ M in the growth inhibition of cancer cells[2]. Cell Viability Assay[1] Cell Line: MDA-MB-231, HCC1806, Hs578t, CAL-85-1, HCC38, HCC1187, MDA-MB-436, CAL-51, HCC38, BT549, MDAMB-157, HDQ-P1, HCC1395, MDA-MB-436, HCC1937, CAL-120, BT20 cells

RX-5902 (oral administration; 160/320/600 mg/kg; once weekly for 3 weeks) significant dose-dependent tumor growth inhibition (TGI) in the MDA-MB-231 model, exhibits TGI of 55.7%, 80.29% and 94.58% at 160 mg/kg, 320 mg/kg and 600 mg/kg, respectively. It is more efficacious than the chemotherapy control arm of nab-paclitaxel (TGI 45%)[1]. Animal Model: MDAMD-231 xenograft model in mice[1]

[1]. Kost GC, et al. A Novel Anti-Cancer Agent, 1-(3,5-Dimethoxyphenyl)-4-[(6-Fluoro-2-Methoxyquinoxalin-3-yl)Aminocarbonyl] Piperazine (RX-5902), Interferes With β -Catenin Function Through Y593 Phospho-p68 RNA Helicase.J Cell Biochem. 2015 Aug;116(8):1595-601. [2]. Capasso A, et al. First-in-Class Phosphorylated-p68 Inhibitor RX-5902 Inhibits β -Catenin Signaling and Demonstrates Antitumor Activity in Triple-Negative Breast Cancer.Nov;18(11):1916-1925.

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