Web: www.taiclone.com
Tel: +886-2-2735-9682
Email: order@taiclone.com

ONC212

Catalog No: tcsc0035118

Available Sizes

Size: 5mg

Size: 10mg

Size: 25mg

Size: 50mg

Size: 100mg

Specifications

CAS No:
1807861-48-8

Formula:
$C_{24}H_{23}F_3N_4O$

Pathway:
GPCR/G Protein

Target:
GHSR

Purity / Grade:
>98%

Solubility:
10 mM in DMSO

Observed Molecular Weight:
440.46

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Product Description

ONC212, a fluorinated-ONC201 analogue, is a promising anti-cancer drug and also a selective agonist of **GPR132**.

IC50 & Target: GPR132[1]

In Vitro: Cell proliferation assay reveals that at least a ten-fold lower concentration of ONC212 is needed to achieve 50% growth inhibition in comparison to ONC201. ONC212 shows GI_{50} values in the range of 0.1 to 0.4 μM, while the corresponding ONC201 GI_{50} values are in the range of 4 to 9 μM for the seven pancreatic cancer cell lines tested. Long-term cell proliferation assay shows that both ONC201 and ONC212 are comparable in inhibiting colony formation at a 20 μM dose. However, at a 5 μM dose, ONC212 is about 50-times more potent than ONC201 in preventing colony formation in four out of the seven pancreatic cancer cell lines tested. Induction of apoptosis by ONC212 is an earlier event than ONC201. Treatment with ONC201 and ONC212 reduces the expression of anti-apoptotic markers such as XIAP and MCL-1. Western blot analysis shows that in the HPAF-II cell line, ATF4 and phosphorylated EIF2α are upregulated as early as 6 to 12 hours post ONC201 or ONC212 treatment[2].

In Vivo: Biweekly oral administration of 50 mg/kg ONC212 markedly inhibits Acute myeloid leukemia (AML) expansion and prolongs overall survival (p=0.0003). Median survival increases from 43 d in controls to 49 d in the ONC212-treated group (+14%)[1]. ONC212 treatment exhibits significantly greater growth inhibition in comparison to ONC201. A dose of 50 mg/kg of ONC212 administered three-times a week is sufficient to lead to significant growth inhibition of tumors compare to the control group for these two models. Results demonstrate that ONC212 treated tumors show reduced proliferation in the HPAF-II model[2]. *In vivo* toxicity assessment experiments show that ONC212 is well tolerated up to 250 mg/kg. 300 mg/kg of ONC212 causes splenic damage and elevates liver enzymes. ONC212 has a slightly shorter half-life than ONC201, with a clearance from the blood at 12 hours, $T_{1/2}$ of 4.3 hours, and C_{max} of 1.4 μg/mL[3].