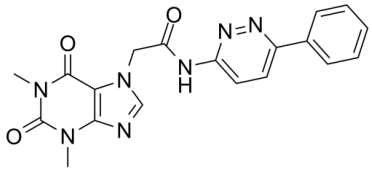
Data Sheet

Product Name:	ETC-159
Cat. No.:	CS-5162
CAS No.:	1638250-96-0
Molecular Formula:	C19H17N7O3
Molecular Weight:	391.38
Target:	Wnt
Pathway:	Stem Cell/Wnt
Solubility:	DMSO : ≥ 34 mg/mL (86.87 mM)



BIOLOGICAL ACTIVITY:

ETC-159 is a potent, orally available **PORCN** inhibitor. It inhibits β-catenin reporter activity with an **IC_{50}** of 2.9 nM. IC50 & Target: IC50: 2.9 nM (β-catenin)[1] **In Vitro:** ETC-159 blocks the secretion and activity of all Wnts. ETC-159 has robust activity in multiple cancer models driven by high Wnt signaling. ETC-159 is highly efficacious in molecularly defined colorectal cancers (CRCs) with R-spondin translocations[1] **In Vivo:** ETC-159 inhibits mouse PORCN with an IC_{50} of 18.1 nM, whereas the IC50 for Xenopus Porcn is approximately four fold higher (70 nM). ETC-159 is remarkably effective in treating RSPO-translocation bearing colorectal cancer (CRC) patient-derived xenografts. ETC-159 exhibits good oral pharmacokinetics in mice allowing preclinical evaluation via oral administration. After a single oral dose of 5 mg/kg, ETC-159 is rapidly absorbed into the blood with a T_{max} of ~0.5 h and oral bioavailability of 100%[1].

PROTOCOL (Extracted from published papers and Only for reference)

Cell Assay: [1]HEK293 cells stably transfected with STF reporter and pPGK-WNT3A plasmid (STF3A cells) are treated with varying concentrations of compounds. For Wnt secretion, STF3A cells are treated with ETC-159 diluted in 1% fetal bovine serum-containing media[1]. **Animal Administration:** [1]Mouse: For human xenograft models, patient-derived solid tissue fragments are subcutaneously implanted in BALB/c nude mice. All groups are matched for tumor size with equal variance before treatment. ETC-159 formulated in 50% PEG400 (vol/vol) in water is administered by oral gavage at a dosing volume of 10 μL/g body weight[1].

References:

[1]. Madan B, et al. Wnt addiction of genetically defined cancers reversed by PORCN inhibition. Oncogene. 2015 Aug 10. doi: 10.1038/onc.2015.280.

CAIndexNames:

7H-Purine-7-acetamide, 1,2,3,6-tetrahydro-1,3-dimethyl-2,6-dioxo-N-(6-phenyl-3-pyridazinyl)-

SMILES:

O=C(NC1=CC=C(C2=CC=CC=C2)N=N1)CN3C=NC4=C3C(N(C)C(N4C)=O)=O

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

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