



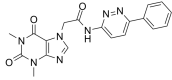
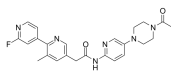
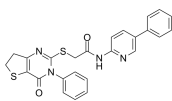
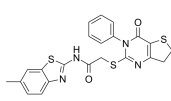
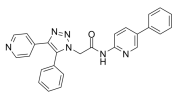
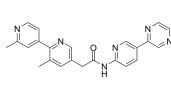
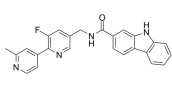
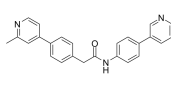
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Inhibitors, Screening Libraries, Proteins

# Porcupine

Porcupine (Porc) protein may be involved in secretion or ER transport, as Wingless is retained in the ER in porcupine mutant *Drosophila* embryos. In *C. elegans*, the porcupine homolog *mom-1* has a similar function in promoting secretion of the Wnt protein *Mom-2*. Porcupine has some homology to a family of *o*-acyl transferases and may be involved in lipid modification of Wnt proteins. A special form of monounsaturated palmitoylation has been detected on a serine residue in the Wnt protein and could be mediated by porc as well. The human Porcupine gene is implicated in a genetic disease, Focal dermal hypoplasia. Porcupine, encodes a multipass transmembrane ER protein, which is required for normal distribution of Wg in embryos. Porc stimulates the processing of Wg when expressed in *Drosophila* cells in vitro and is also necessary for the localization of *Drosophila* Wnt-3 on the axon tracts of the embryonic central nervous system.

## Porcupine Inhibitors

<p><b>ETC-159</b> (ETC-1922159)</p> <p style="text-align: right;"><b>Cat. No.:</b> HY-18988</p>	<p><b>GNF-6231</b></p> <p style="text-align: right;"><b>Cat. No.:</b> HY-100408</p>
<p>ETC-159 (ETC-1922159) is a potent, orally available <b>PORCN</b> inhibitor. ETC-159 inhibits <math>\beta</math>-catenin reporter activity with an <math>IC_{50}</math> of 2.9 nM.</p> <div style="text-align: center;">  </div> <p><b>Purity:</b> <math>\geq 98.0\%</math>  <b>Clinical Data:</b> Phase 1  <b>Size:</b> 10 mM <math>\times</math> 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg</p>	<p>GNF-6231 is a potent, selective, and orally bioavailable Porcupine inhibitor that blocks Wnt signaling. 1) GNF-6231 shows <math>IC_{50}</math>s of greater than 10 <math>\mu</math>M on all CYP isoforms tested 2) GNF-6231 have favorable potency and a PK profile across preclinical species upon oral administration.</p> <div style="text-align: center;">  </div> <p><b>Purity:</b> 99.81%  <b>Clinical Data:</b> No Development Reported  <b>Size:</b> 10 mM <math>\times</math> 1 mL, 2 mg, 5 mg, 10 mg, 50 mg, 100 mg</p>
<p><b>IWP L6</b> (Porcn Inhibitor III)</p> <p style="text-align: right;"><b>Cat. No.:</b> HY-15825</p>	<p><b>IWP-2</b></p> <p style="text-align: right;"><b>Cat. No.:</b> HY-13912</p>
<p>IWP L6 (Porcn Inhibitor III) is a <b>Porcn</b> inhibitor with an <math>EC_{50}</math> of 0.5 nM.</p> <div style="text-align: center;">  </div> <p><b>Purity:</b> 99.02%  <b>Clinical Data:</b> No Development Reported  <b>Size:</b> 10 mg, 50 mg, 100 mg</p>	<p>IWP-2 is an inhibitor of <b>Wnt</b> processing and secretion with an <math>IC_{50}</math> of 27 nM. IWP-2 targets the membrane-bound O-acyltransferase porcupine (Porcn) and thus preventing a crucial Wnt ligand palmitoylation.</p> <div style="text-align: center;">  </div> <p><b>Purity:</b> 99.51%  <b>Clinical Data:</b> No Development Reported  <b>Size:</b> 5 mg, 10 mg, 25 mg, 50 mg, 100 mg</p>
<p><b>IWP-O1</b></p> <p style="text-align: right;"><b>Cat. No.:</b> HY-100853</p>	<p><b>LGK974</b> (WNT974)</p> <p style="text-align: right;"><b>Cat. No.:</b> HY-17545</p>
<p>IWP-O1 is a highly potent <b>Porcupine</b> (Porcn) inhibitor, with an <math>EC_{50}</math> of 80 pM in L-Wnt-STF cells. IWP-O1 prevents the secretion of <b>Wnt</b> proteins. IWP-O1 suppresses the phosphorylation of Dvl2/3 and LRP6 in HeLa cells.</p> <div style="text-align: center;">  </div> <p><b>Purity:</b> 99.61%  <b>Clinical Data:</b> No Development Reported  <b>Size:</b> 10 mM <math>\times</math> 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg</p>	<p>LGK974 (WNT974) is an orally bioavailable and specific <b>Porcupine</b> (PORCN) inhibitor with an <math>IC_{50}</math> of 0.1 nM.</p> <div style="text-align: center;">  </div> <p><b>Purity:</b> 99.79%  <b>Clinical Data:</b> Phase 2  <b>Size:</b> 10 mM <math>\times</math> 1 mL, 5 mg, 10 mg, 50 mg, 100 mg</p>
<p><b>Porcn-IN-1</b></p> <p style="text-align: right;"><b>Cat. No.:</b> HY-111472</p>	<p><b>Wnt-C59</b> (C59)</p> <p style="text-align: right;"><b>Cat. No.:</b> HY-15659</p>
<p>Porcn-IN-1 is potent <b>porcupine</b> inhibitor with an <math>IC_{50}</math> of <math>0.5 \pm 0.2</math> nM.</p> <div style="text-align: center;">  </div> <p><b>Purity:</b> 99.92%  <b>Clinical Data:</b> No Development Reported  <b>Size:</b> 10 mM <math>\times</math> 1 mL, 5 mg, 10 mg</p>	<p>Wnt-C59 (C59) is a highly potent and oral <b>porcupine</b> (PORCN) inhibitor with an <math>IC_{50}</math> of 74 pM.</p> <div style="text-align: center;">  </div> <p><b>Purity:</b> 99.83%  <b>Clinical Data:</b> No Development Reported  <b>Size:</b> 10 mM <math>\times</math> 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg</p>