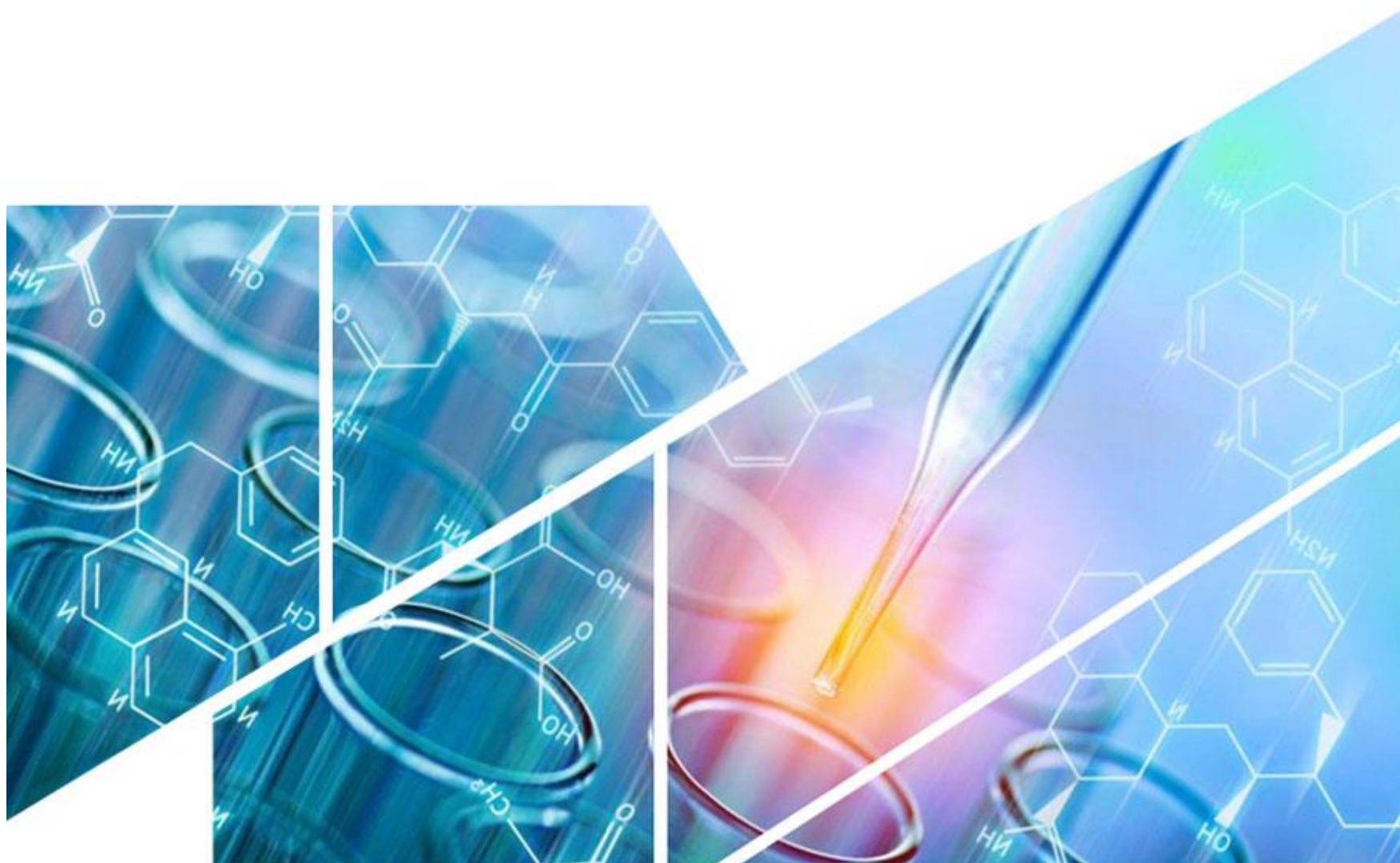


## **Wnt Inhibitors (inhibitors, agonists and modulators)**



The Wnt signaling pathway is an ancient and evolutionarily conserved pathway that regulates crucial aspects of cell fate determination, cell migration, cell polarity, neural patterning and organogenesis during embryonic development. The Wnts are secreted glycoproteins and comprise a large family of nineteen proteins in humans hinting to a daunting complexity of signaling regulation, function and biological output.



### **NCB-0846 - CAS 1792999-26-8**

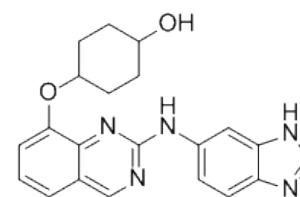
**Catalog Number:** B0084-007723

**Price:** \$688/300 mg

**Molecular Weight:** 375.42

**Molecular Formula:** C<sub>21</sub>H<sub>21</sub>N<sub>5</sub>O<sub>2</sub>

**Description:** NCB-0846 is an orally available, first-in-class TNIK inhibitor (IC<sub>50</sub>= 21 nM) and shows marked anti-tumour and anti-CSC activities.



### **XAV 939 - CAS 284028-89-3**

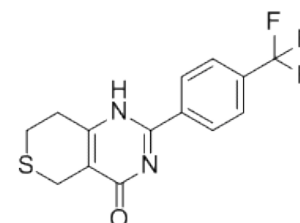
**Catalog Number:** B0084-212112

**Price:** \$168/50 mg

**Molecular Weight:** 312.31

**Molecular Formula:** C<sub>14</sub>H<sub>11</sub>F<sub>3</sub>N<sub>2</sub>O<sub>2</sub>S

**Description:** XAV 939 is a tankyrase (TNKS) inhibitor with IC<sub>50</sub> values of 11 nM and 4 nM for tankyrase 1 and 2 respectively. XAV 939 antagonizes Wnt signaling via stimulation of β-catenin degradation and stabilization of axin. It also suppresses proliferation of the μ-catenin-dependent colon carcinoma cell line DLD-1.



### **BML-284 - CAS 853220-52-7**

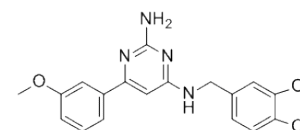
**Catalog Number:** B0084-474867

**Price:** \$198/25 mg

**Molecular Weight:** 350.37

**Molecular Formula:** C<sub>19</sub>H<sub>18</sub>N<sub>4</sub>O<sub>3</sub>

**Description:** BML-284 is potent selective, and cell-permeable Wnt signaling activator that does not inhibit GSK-3β (IC<sub>50</sub> > 60 μM). It appears to mimic the effects of a Wnt ligand in a Xenopus model and may be a useful tool in the study of physiological processes that involve the Wnt pathway in vivo. It is effective in vivo, decreasing tissue damage and improving renal function after ischemia-reperfusion in rats. It induces in vitro β-catenin and transcription factor (TCF) dependent transcriptional activity in 293T cells in a dose dependent manner with an EC<sub>50</sub> of 0.7 mM in vitro.



### **Adavivint - CAS 1467013-03-3**

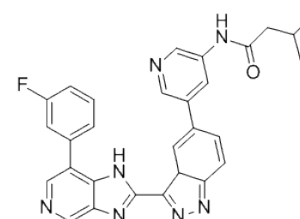
**Catalog Number:** B0084-260283

**Price:** \$198/5 mg

**Molecular Weight:** 505.5574

**Molecular Formula:** C<sub>29</sub>H<sub>24</sub>N<sub>7</sub>O

**Description:** Adavivint is a Wnt pathway inhibitor.



### **iCRT 14 - CAS 677331-12-3**

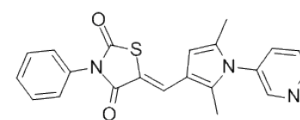
**Catalog Number:** B0084-272145

**Price:** \$298/25 mg

**Molecular Weight:** 375.44

**Molecular Formula:** C<sub>21</sub>H<sub>17</sub>N<sub>3</sub>O<sub>2</sub>S

**Description:** iCRT 14 is a novel potent inhibitor of  $\beta$ -catenin-responsive transcription (CRT) that inhibits Wnt signaling. It is thought to directly influence the interaction between  $\beta$ -catenin and TCF4. It also induces marked G<sub>0</sub>/G<sub>1</sub> cell cycle arrest in HCT-116 and HT29 cell lines.



iCRT 14  
CAS: 677331-12-3

### **IWR-1-endo - CAS 1127442-82-3**

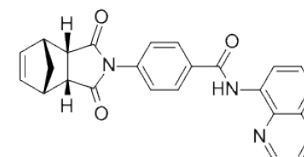
**Catalog Number:** B0084-262341

**Price:** \$198/25 mg

**Molecular Weight:** 409.44

**Molecular Formula:** C<sub>25</sub>H<sub>19</sub>N<sub>3</sub>O<sub>3</sub>

**Description:** IWR-1-endo is a potent inhibitor of the Wnt response, blocking a cell-based Wnt/ $\beta$ -catenin pathway reporter response with an IC<sub>50</sub> value of 180 nM.



IWR-1-endo  
CAS: 1127442-82-3

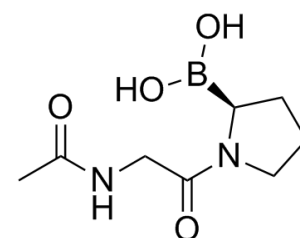
### **KYA1797K - CAS 1956356-56-1**

**Catalog Number:**

**Molecular Weight:** 442.51

**Molecular Formula:** C<sub>17</sub>H<sub>11</sub>KN<sub>2</sub>O<sub>6</sub>S<sub>2</sub>

**Description:** KYA1797K is a potent, selective and dual inhibitor of Wnt/ $\beta$ -catenin (IC<sub>50</sub>= 0.75  $\mu$ M) that significantly decreases reporter activities for the Wnt/ $\beta$ -catenin and MAPK/ERK pathways.



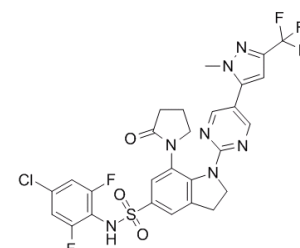
### **KY1220 - CAS 292168-79-7**

**Catalog Number:**

**Molecular Weight:** 314.32

**Molecular Formula:** C<sub>14</sub>H<sub>10</sub>N<sub>4</sub>O<sub>3</sub>S

**Description:** KY1220 is a novel inhibitor of the Wnt/ $\beta$ -catenin pathway, destabilizing both  $\beta$ -catenin and Ras via targeting the Wnt/ $\beta$ -catenin pathway (IC<sub>50</sub>= 2.1  $\mu$ M in HEK293 reporter cells).



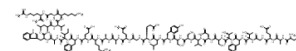
### **LF3 - CAS 664969-54-4**

**Catalog Number:**

**Molecular Weight:** 416.56

**Molecular Formula:** C<sub>20</sub>H<sub>24</sub>N<sub>4</sub>O<sub>2</sub>S<sub>2</sub>

**Description:** LF3 is a potent inhibitor of Wnt/ $\beta$ -catenin signaling (IC<sub>50</sub>= 1.65  $\mu$ M), but does not interfere with E-cadherin/ $\beta$ -catenin-mediated cell-cell adhesion. antitumor activity.



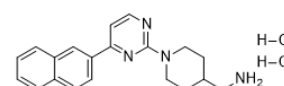
### **WAY 262611 dihydrochloride**

**Catalog Number:**

**Molecular Weight:** 391.34

**Molecular Formula:** C<sub>20</sub>H<sub>22</sub>N<sub>4</sub>.2HCl

**Description:** WAY 262611 dihydrochloride is an inhibitor of Dickkopf-1 (DKK1), which antagonizes Wnt/ $\beta$ -Catenin signaling. DKK1 is a soluble inhibitor of Wnt-3a mediated Wnt/ $\beta$ -catenin signaling required for embryonic head development. Inhibition of DKK1 by WAY 262611 activates the Wnt signaling pathway and stimulates  $\beta$ -catenin/TCF-dependent transcription with an EC<sub>50</sub> value of 0.63  $\mu$ M.



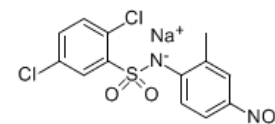
### **FH535 sodium salt**

**Catalog Number:**

**Molecular Weight:** 383.18

**Molecular Formula:** C<sub>13</sub>H<sub>9</sub>Cl<sub>2</sub>N<sub>2</sub>NaO<sub>4</sub>S

**Description:** FH535 sodium salt is a dual inhibitor of peroxisome proliferator-activated receptor (PPAR) and Wnt/ $\beta$ -catenin/TCF/LEF signaling. FH535 inhibits recruitment of the coactivators  $\beta$ -catenin and GRIP1. FH535 is selectively toxic to carcinomas expressing the Wnt/ $\beta$ -Catenin pathway, leading to the inhibition of proliferation and motility of multiple cancer cells.



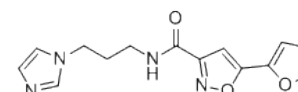
### **SKL 2001 - CAS 909089-13-0**

**Catalog Number:**

**Molecular Weight:** 286.29

**Molecular Formula:** C<sub>14</sub>H<sub>14</sub>N<sub>4</sub>O<sub>3</sub>

**Description:** SKL 2001 is a Wnt/ $\beta$ -catenin signaling pathway agonist that modulates the differentiation of mesenchymal stem cells. It upregulates the  $\beta$ -catenin responsive transcription via increasing the intracellular  $\beta$ -catenin protein level, and inhibits the phosphorylation of  $\beta$ -catenin at residues Ser33/37/Thr41 and Ser45.

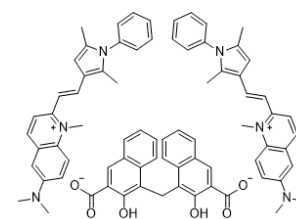


### **Pyrvinium pamoate - CAS 3546-41-6**

**Catalog Number:**

**Molecular Weight:** 575.7      **Molecular Formula:** C<sub>26</sub>H<sub>28</sub>N<sub>3.1</sub>/2C<sub>23</sub>H<sub>14</sub>O<sub>6</sub>

**Description:** Pyrvinium pamoate, a nonabsorbed anthelmintic drug, is a potent androgen receptor inhibitor and a selective WNT pathway inhibitor. Pyrvinium pamoate is a potential drug candidate for the treatment of cryptosporidiosis in both immunocompetent and immunocompromised individuals.



### **Foxy 5 - CAS 881188-51-8**

**Catalog Number:**

**Molecular Weight:** 694.77      **Molecular Formula:** C<sub>26</sub>H<sub>42</sub>N<sub>6</sub>O<sub>12</sub>S<sub>2</sub>

**Description:** Foxy 5 is a Wnt signaling pathway modulator used for the treatment of metastatic breast cancer, prostate cancer and colorectal cancer. It impairs migration and invasion of 4T1 breast cancer cells in vitro.

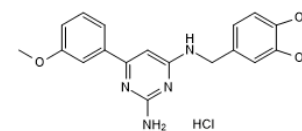
*N*-Formyl-Met-Asp-Gly-Cys-Glu-Leu

### **AMBMP hydrochloride - CAS 2095432-75-8**

**Catalog Number:**

**Molecular Weight:** 386.84      **Molecular Formula:** C<sub>19</sub>H<sub>18</sub>N<sub>4</sub>O<sub>3</sub>.HCl

**Description:** The hydrochloride salt form of AMBMP, which has been found to be a Wnt canonical signaling activator and could probably be effective in the treatment of muscle dystrophy.

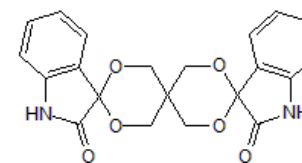


### **JW 67 - CAS 442644-28-2**

**Catalog Number:**

**Molecular Weight:** 394.38      **Molecular Formula:** C<sub>21</sub>H<sub>18</sub>N<sub>2</sub>O<sub>6</sub>

**Description:** JW 67 has been found to be a new antagonist of canonical Wnt signaling and exhibit activities in restraining growth and downregulated Wnt target genes in human colorectal cancer cells.



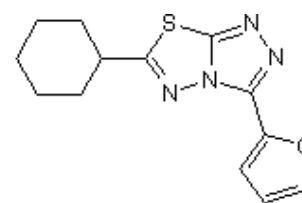
### **Cardionogen 1 - CAS 577696-37-8**

**Catalog Number:**

**Molecular Weight:** 274.34

**Molecular Formula:** C<sub>13</sub>H<sub>14</sub>N<sub>4</sub>O<sub>3</sub>

**Description:** Cardionogen 1 has been found to be a Wnt signaling modulator that could affect cardiomyocyte generation.



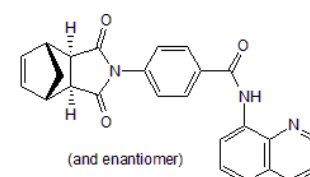
### **exo-IWR 1 - CAS 1127442-87-8**

**Catalog Number:**

**Molecular Weight:** 409.44

**Molecular Formula:** C<sub>25</sub>H<sub>19</sub>N<sub>3</sub>O<sub>3</sub>

**Description:** exo-IWR 1, the enantiomer of IWR-1 and the diastereomer of IWR-1-endo, is a potent SAR anticancer Wnt response inhibitor, which is a kind of small secreted proteins and are active in tissue homeostasis, tumorigenesis and embryonic development. It shows decreased activity against the Wnt/ $\beta$ -catenin pathway. It may be an ideal control for tests involving the active form, IWR-1-endo. It may be used in the treatment of some diseases and conditions such as degenerative diseases, cancers, osteopetrosis and type II diabetes.



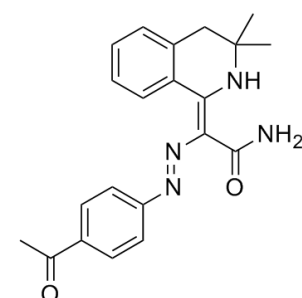
### **IQ-1 - CAS 331001-62-8**

**Catalog Number:**

**Molecular Weight:** 362.42

**Molecular Formula:** C<sub>21</sub>H<sub>22</sub>N<sub>4</sub>O<sub>2</sub>

**Description:** IQ-1, a cell-permeable tetrahydroisoquinolinylidene compound, disrupts Wnt signaling that enables Wnt/ $\beta$ -catenin-driven expansion of mouse ESCs and prevents spontaneous differentiation.



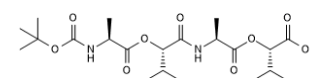
### **NSC 668036 - CAS 144678-63-7**

**Catalog Number:**

**Molecular Weight:** 460.52

**Molecular Formula:** C<sub>21</sub>H<sub>36</sub>N<sub>2</sub>O<sub>9</sub>

**Description:** NSC-668036 is a Wnt signaling inhibitor, binding to the PDZ domain of the dishevelled protein. It can inhibit proliferation, migration and TGF- $\beta$ -induced differentiation of fibroblasts in vitro.

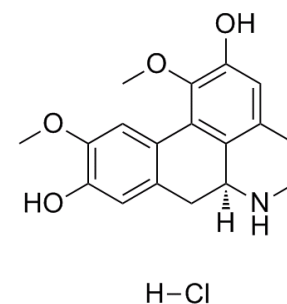


### Heparan Sulfate - CAS 9050-30-0

**Catalog Number:**

**Molecular Weight:** 593.47 (monomer)     **Molecular Formula:** C<sub>12</sub>H<sub>19</sub>NO<sub>2</sub>S<sub>3</sub> (monomer)

**Description:** Heparan sulfate, a complex and linear polysaccharide in which the backbone is composed of repeating sulfated disaccharide units, exists as part of glycoproteins named heparan sulfate proteoglycans, which are expressed abundantly on the cell surface and in the extracellular matrix.

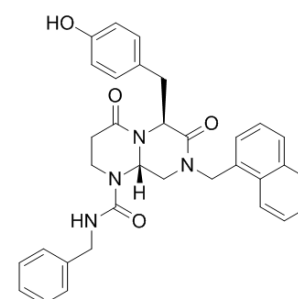


### PRI-724 - CAS 847591-62-2

**Catalog Number:** 847591-62-2

**Molecular Weight:** 548.63     **Molecular Formula:** C<sub>33</sub>H<sub>32</sub>N<sub>4</sub>O<sub>4</sub>

**Description:** PRI-724 is a potent, specific inhibitor of the canonical Wnt signaling pathway in cancer stem cells with potential antineoplastic activity. Wnt signaling pathway inhibitor PRI-724 specifically inhibits the recruiting of beta-catenin with its coactivator CBP (the binding protein of the cAMP response element-binding protein CREB); together with other transcription factors beta-catenin/CBP binds to WRE (Wnt-responsive element) and activates transcription of a wide range of target genes of Wnt/beta-catenin signaling. Blocking the interaction of CBP and beta-catenin by this agent prevents gene expression of many proteins necessary for growth, thereby potentially suppressing cancer cell growth. The Wnt/beta-catenin signaling pathway regulates cell morphology, motility, and proliferation; aberrant regulation of this pathway leads to neoplastic proliferation.

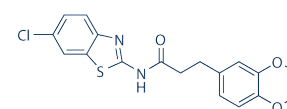


### KY02111 - CAS 1118807-13-8

**Catalog Number:** 1118807-13-8

**Molecular Weight:** 376.86     **Molecular Formula:** C<sub>18</sub>H<sub>17</sub>ClN<sub>2</sub>O<sub>3</sub>S

**Description:** KY02111 promotes differentiation of hPSCs to cardiomyocytes by inhibiting Wnt signaling, may act downstream of APC and GSK3β.

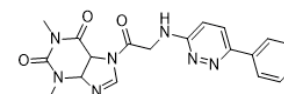


### ETC-159 - CAS 1638250-96-0

**Catalog Number:** 1638250-96-0

**Molecular Weight:** 393.41     **Molecular Formula:** C<sub>19</sub>H<sub>19</sub>N<sub>7</sub>O<sub>3</sub>

**Description:** ETC-159, also known as ETC-1922159, is a potent, selective and orally available PORCN inhibitor. ETC-159 blocks the secretion and activity of all Wnts.



**CCT251545 - CAS 1661839-45-7**

**Catalog Number:** 1661839-45-7

**Molecular Weight:** 421.92

**Molecular Formula:** C<sub>23</sub>H<sub>24</sub>ClN<sub>5</sub>O

**Description:** CCT251545, a small molecule inhibitor of WNT signaling with oral activity, can be used as a selective chemical probe that exhibits >100-fold selectivity for the human Mediator complex-associated protein kinases CDK8 and CDK19 over 291 other kinases. IC<sub>50</sub>:

