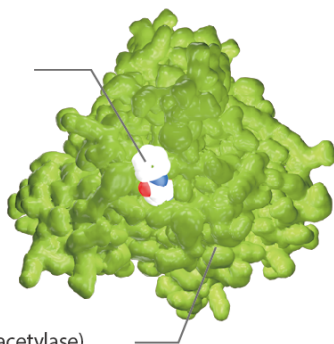


# RET

HDAC Inhibitor:  
Vorinostat (SAHA)













HDAC (Histone deacetylase)

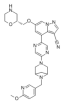
RET (REarranged during Transfection) is a receptor protein tyrosine kinase, which activates multiple signal transduction pathways. RET protein is composed of three domains: an extracellular ligand-binding domain, a transmembrane domain, and a cytoplasmic tyrosine kinase domain. The RET receptor tyrosine kinase (RTK) regulates key aspects of cellular proliferation and survival by regulating the activity of the mitogen- activated protein kinase (MAPK) and PI3K/Akt signaling pathways. RET also interacts directly with other kinases such as the epidermal growth factor receptor (EGFR) and hepatocyte growth factor receptor (MET) and the focal adhesion kinase (FAK). Furthermore, BRAF and p38MAPK are downstream targets of RET.

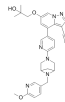
Kinase inhibitors that simultaneously inhibit RET and its downstream targets.


RET tyrosine kinase receptor presents an attractive therapeutic target for the treatment of certain cancer subsets. Deregulated RET activity has been identified as a causative factor in the development, progression and response to therapy of thyroid carcinoma. Elevated RET expression has been associated with the development of endocrine resistance in human breast cancer.


## RET Inhibitors & Modulators


<p><b>AD80</b></p> <p style="text-align: right;">Cat. No.: HY-101963</p> <p><b>Bioactivity:</b> AD80, a multikinase inhibitor, inhibits <b>RET</b>, <b>RAF</b>, <b>SRC</b> and <b>S6K</b>, with greatly reduced mTOR activity.</p> <p><b>Purity:</b> 99.46%</p> <p><b>Clinical Data:</b> No Development Reported</p> <p><b>Size:</b> 10mM x 1mL in DMSO, 1 mg, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg</p> 	<p><b>Apatinib</b> (YN968D1)</p> <p style="text-align: right;">Cat. No.: HY-13342</p> <p><b>Bioactivity:</b> Apatinib is a highly selective <b>VEGFR2</b> inhibitor with an <b>IC<sub>50</sub></b> of 1 nM. Apatinib also potently suppresses the activities of Ret, c-Kit and c-Src with <b>IC<sub>50</sub>s</b> of 13, 429 and 530 nM, respectively.</p> <p><b>Purity:</b> 99.93%</p> <p><b>Clinical Data:</b> Launched</p> <p><b>Size:</b> 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg</p> 
<p><b>AST 487</b> (NVP-AST 487)</p> <p style="text-align: right;">Cat. No.: HY-15002</p> <p><b>Bioactivity:</b> AST 487 is a <b>RET</b> kinase inhibitor with <b>IC<sub>50</sub></b> of 880 nM, inhibits RET autophosphorylation and activation of downstream effectors, also inhibits <b>Flt-3</b> with <b>IC<sub>50</sub></b> of 520 nM.</p> <p><b>Purity:</b> 98.64%</p> <p><b>Clinical Data:</b> No Development Reported</p> <p><b>Size:</b> 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg</p> 	<p><b>BBT594</b> (NVP-BBT594)</p> <p style="text-align: right;">Cat. No.: HY-18840</p> <p><b>Bioactivity:</b> BBT594 is a potent <b>receptor tyrosine kinase RET inhibitor</b>, used for cancer treatment.</p> <p><b>Purity:</b> 99.03%</p> <p><b>Clinical Data:</b> No Development Reported</p> <p><b>Size:</b> 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg</p> 
<p><b>BT-13</b></p> <p style="text-align: right;">Cat. No.: HY-124401</p> <p><b>Bioactivity:</b> BT-13 is a potent and selective <b>glial cell line-derived neurotrophic factor (GDNF) receptor RET</b> agonist independently of GFLs, promoting neurite growth from sensory neurons in vitro and attenuates experimental neuropathy in the Rat <sup>[1]</sup>.</p> <p><b>Purity:</b> 99.0%</p> <p><b>Clinical Data:</b> No Development Reported</p> <p><b>Size:</b> 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg</p> 	<p><b>Pralsetinib</b> (Blu667)</p> <p style="text-align: right;">Cat. No.: HY-112301</p> <p><b>Bioactivity:</b> Pralsetinib (Blu667) is a highly potent and selective <b>RET</b> inhibitor with an <b>IC<sub>50</sub></b> of 0.4 nM for wild type RET kinase.</p> <p><b>Purity:</b> 99.56%</p> <p><b>Clinical Data:</b> No Development Reported</p> <p><b>Size:</b> 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg</p> 
<p><b>Pz-1</b></p> <p style="text-align: right;">Cat. No.: HY-U00437</p> <p><b>Bioactivity:</b> Pz-1 is a potent <b>RET</b> and <b>VEGFR2</b> inhibitor with <b>IC<sub>50</sub>s</b> of less than 1 nM for both wild type kinases.</p> <p><b>Purity:</b> &gt;98%</p> <p><b>Clinical Data:</b> No Development Reported</p> <p><b>Size:</b> 5 mg, 10 mg, 25 mg</p> 	<p><b>Regorafenib</b> (BAY 73-4506)</p> <p style="text-align: right;">Cat. No.: HY-10331</p> <p><b>Bioactivity:</b> Regorafenib (BAY 73-4506) is a multi-targeted receptor tyrosine kinase inhibitor with <b>IC<sub>50</sub>s</b> of 13/4.2/46, 22, 7, 1.5 and 2.5 nM for <b>VEGFR1/2/3</b>, <b>PDGFRβ</b>, <b>Kit</b>, <b>RET</b> and <b>Raf-1</b>, respectively.</p> <p><b>Purity:</b> 99.96%</p> <p><b>Clinical Data:</b> Launched</p> <p><b>Size:</b> 10mM x 1mL in DMSO, 10 mg, 50 mg, 100 mg, 200 mg</p> 
<p><b>Regorafenib Hydrochloride</b> (BAY73-4506 hydrochloride)</p> <p style="text-align: right;">Cat. No.: HY-13308</p> <p><b>Bioactivity:</b> Regorafenib Hydrochloride is a multi-target inhibitor for <b>VEGFR1/2/3</b>, <b>PDGFRβ</b>, <b>Kit</b>, <b>RET</b> and <b>Raf-1</b> with <b>IC<sub>50</sub>s</b> of 13/4.2/46, 22, 7, 1.5 and 2.5 nM, respectively.</p> <p><b>Purity:</b> 99.58%</p> <p><b>Clinical Data:</b> Launched</p> <p><b>Size:</b> 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg</p> 	<p><b>Regorafenib monohydrate</b> (BAY 73-4506 monohydrate)</p> <p style="text-align: right;">Cat. No.: HY-10331A</p> <p><b>Bioactivity:</b> Regorafenib monohydrate is a multi-target inhibitor for <b>VEGFR1/2/3</b>, <b>PDGFRβ</b>, <b>Kit</b>, <b>RET</b> and <b>Raf-1</b> with <b>IC<sub>50</sub>s</b> of 13/4.2/46, 22, 7, 1.5 and 2.5 nM, respectively.</p> <p><b>Purity:</b> 99.96%</p> <p><b>Clinical Data:</b> Launched</p> <p><b>Size:</b> 10mM x 1mL in DMSO, 10 mg, 50 mg, 100 mg, 200 mg</p> 

<b>RET-IN-1</b>	<b>Cat. No.:</b> HY-112950
<b>Bioactivity:</b> RET-IN-1 is a <b>RET kinase</b> inhibitor extracted from patent WO2018071447A1, Compound Example 552, has <b>IC<sub>50</sub>s</b> of 1 nM, 7 nM, and 101 nM for RET (WT), RET (V804M) , and RET (G810R), respectively <sup>[1]</sup> .	
<b>Purity:</b> >98%	
<b>Clinical Data:</b> No Development Reported	
<b>Size:</b> 250 mg, 500 mg	

<b>Selpercatinib</b>	<b>Cat. No.:</b> HY-114370
<b>Bioactivity:</b> Selpercatinib is a <b>RET kinase</b> inhibitor extracted from patent WO2018071447A1, Compound Example 163, has an <b>IC<sub>50</sub></b> of 14.0 nM, 24.1 nM, and 530.7 nM for RET (WT), RET (V804M) , and RET (G810R), respectively <sup>[1]</sup> . Antineoplastic activity <sup>[2]</sup> .	
<b>Purity:</b> 98.10%	
<b>Clinical Data:</b> No Development Reported	
<b>Size:</b> 5 mg, 10 mg, 50 mg, 100 mg	

<b>SPP-86</b>	<b>Cat. No.:</b> HY-110193
<b>Bioactivity:</b> SPP-86 is a potent and selective cell permeable inhibitor of <b>RET tyrosine kinase</b> , with an <b>IC<sub>50</sub></b> of 8 nM. SPP-86 inhibits RET-induced phosphatidylinositide 3-kinases (PI3K)/Akt and MAPK signaling, also inhibits RET-induced estrogen recept...	
<b>Purity:</b> 99.0%	
<b>Clinical Data:</b> No Development Reported	
<b>Size:</b> 5 mg	

<b>TG101209</b>	<b>Cat. No.:</b> HY-10410
<b>Bioactivity:</b> TG101209 is a selective <b>JAK2</b> inhibitor with <b>IC<sub>50</sub></b> of 6 nM, less potent to <b>Flt3</b> and <b>RET</b> with <b>IC<sub>50</sub></b> of 25 nM and 17 nM, approx 30-fold selective for JAK2 than JAK3, and sensitive to JAK2V617F and MPLW515L/K mutations.	
<b>Purity:</b> 98.94%	
<b>Clinical Data:</b> No Development Reported	
<b>Size:</b> 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg	

<b>WHI-P180 hydrochloride</b> (Janex 3 hydrochloride; )	<b>Cat. No.:</b> HY-15769A
<b>Bioactivity:</b> WHI-P180 (Janex 3) is a multi-kinase inhibitor; inhibits <b>RET</b> , <b>KDR</b> and <b>EGFR</b> with <b>IC<sub>50</sub>s</b> of 5 nM, 66 nM and 4 μM, respectively.	
<b>Purity:</b> >98%	
<b>Clinical Data:</b> No Development Reported	
<b>Size:</b> 2 mg, 5 mg, 10 mg, 50 mg	