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Inhibitors, Screening Libraries, Proteins

RET

RET (REarranged during Transfection) is a transmembrane receptor tyrosine kinase that is activated by a complex consisting of a soluble glial cell line-derived neurotrophic factor (GDNF) family ligand (GFL) and a glycosyl phosphatidylinositol-anchored co-receptor, GDNF family receptors alpha (GFRalpha).

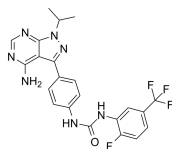
RET signalling is crucial for the development of the enteric nervous system. RET regulates the development of sympathetic, parasympathetic, motor, and sensory neurons, and is necessary for the postnatal maintenance of dopaminergic neurons. RET also plays a role as a driver oncogene in a variety of human cancers. Fusion of RET with several partner genes has been detected in papillary thyroid, lung, colorectal, pancreatic, and breast cancers, and tyrosine kinase inhibitors (TKIs) for RET (particularly RET-specific inhibitors) show promising effects against such cancers.

RET Inhibitors & Agonists

AD80

Cat. No.: HY-101963

AD80, a multikinase inhibitor, inhibits RET, RAF, SRC and S6K, with greatly reduced mTOR activity.



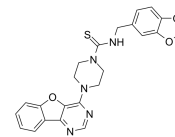
Purity: 99.85%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 1 mg, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

Amuvatinib

(MP470; HPK 56)

Cat. No.: HY-10206

Amuvatinib (MP470) is an orally bioavailable multi-targeted tyrosine kinase inhibitor with potent activity against mutant c-Kit, PDGFR α , Flt3, c-Met and c-Ret.



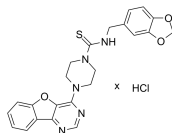
Purity: 98.07%
Clinical Data: Phase 2
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

Amuvatinib hydrochloride

(MP470 hydrochloride; HPK 56 hydrochloride)

Cat. No.: HY-10206A

Amuvatinib hydrochloride (MP470 hydrochloride) is an orally bioavailable multi-targeted tyrosine kinase inhibitor with potent activity against mutant c-Kit, PDGFR α , Flt3, c-Met and c-Ret.



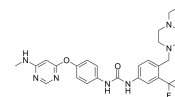
Purity: >98%
Clinical Data: Phase 2
Size: 1 mg, 5 mg

AST 487

(NVP-AST 487)

Cat. No.: HY-15002

AST 487 is a RET kinase inhibitor with IC₅₀ of 880 nM, inhibits RET autophosphorylation and activation of downstream effectors, also inhibits Flt-3 with IC₅₀ of 520 nM.



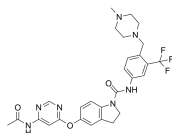
Purity: 99.20%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

BBT594

(NVP-BBT594)

Cat. No.: HY-18840

BBT594 is a potent receptor tyrosine kinase RET inhibitor, used for cancer treatment.

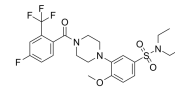


Purity: 99.94%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

BT-13

Cat. No.: HY-124401

BT-13 is a potent and selective glial cell line-derived neurotrophic factor (GDNF) receptor RET agonist independently of GFLs, promoting neurite growth from sensory neurons in vitro and attenuates experimental neuropathy in the Rat.

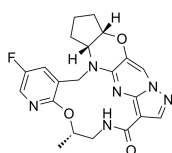


Purity: 99.59%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

Enbezotinib

Cat. No.: HY-145565

Enbezotinib, an inhibitor of RET, can inhibit the RET autophosphorylation. Enbezotinib can be used for the research of cancer.

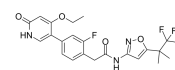


Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

GSK3179106

Cat. No.: HY-100459

GSK3179106 is an orally active and selective RET kinase inhibitor with IC₅₀s of 0.4 nM, 0.2 nM for human RET and rat RET, respectively. GSK3179106 has the potential for irritable bowel syndrome (IBS) through the attenuation of post-inflammatory and stress-induced visceral hypersensitivity.



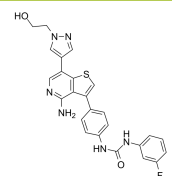
Purity: 99.40%
Clinical Data: Phase 1
Size: 10 mM × 1 mL, 1 mg, 5 mg, 10 mg, 50 mg, 100 mg

Ilorasertib

(ABT-348)

Cat. No.: HY-16018

Ilorasertib (ABT-348) is a potent and ATP-competitive multitargeted kinase inhibitor, which inhibits Aurora C, Aurora B, and Aurora A with IC₅₀s of 1 nM, 7 nM, 120 nM, respectively.



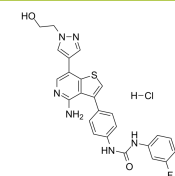
Purity: ≥98.0%
Clinical Data: Phase 2
Size: 50 mg, 100 mg

Ilorasertib hydrochloride

(ABT-348 hydrochloride)

Cat. No.: HY-16018A

Ilorasertib (ABT-348 hydrochloride) is a potent and ATP-competitive multitargeted kinase inhibitor, which inhibits Aurora C, Aurora B, and Aurora A with IC₅₀s of 1 nM, 7 nM, 120 nM, respectively.



Purity: 99.67%
Clinical Data: Phase 2
Size: 10 mM × 1 mL, 1 mg, 5 mg, 10 mg, 50 mg, 100 mg

<p>JNJ-38158471</p> <p style="text-align: right;">Cat. No.: HY-18317</p>	<p>Lenvatinib (E7080)</p> <p style="text-align: right;">Cat. No.: HY-10981</p>
<p>JNJ-38158471 is a well tolerated, orally available, highly selective VEGFR-2 inhibitor, with an IC_{50} of 40 nM. JNJ-38158471 also inhibits Ret and Kit with IC_{50}s of 180 and 500 nM, respectively.</p> <p>Purity: >98%</p> <p>Clinical Data: No Development Reported</p> <p>Size: 1 mg, 5 mg</p>	<p>Lenvatinib (E7080) is an oral, multi-targeted tyrosine kinase inhibitor that inhibits VEGFR1-3, FGFR1-4, PDGFR, KIT, and RET, shows potent antitumor activities.</p> <p>Purity: 99.87%</p> <p>Clinical Data: Launched</p> <p>Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg</p>
<p>Lenvatinib mesylate (E7080 mesylate)</p> <p style="text-align: right;">Cat. No.: HY-10981A</p>	<p>Lenvatinib-d4 (E7080-d4)</p> <p style="text-align: right;">Cat. No.: HY-10981S</p>
<p>Lenvatinib mesylate (E7080 mesylate), an oral, multi-targeted tyrosine kinase inhibitor that inhibits VEGFR1-3, FGFR1-4, PDGFR, KIT, and RET, shows potent antitumor activities.</p> <p>Purity: 99.86%</p> <p>Clinical Data: Launched</p> <p>Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg</p>	<p>Lenvatinib-d4 (E7080-d4) is the deuterium labeled Lenvatinib. Lenvatinib (E7080) is an oral, multi-targeted tyrosine kinase inhibitor that inhibits VEGFR1-3, FGFR1-4, PDGFR, KIT, and RET, shows potent antitumor activities.</p> <p>Purity: >98%</p> <p>Clinical Data: No Development Reported</p> <p>Size: 1 mg, 5 mg</p>
<p>Lenvatinib-d5 (E7080-d5)</p> <p style="text-align: right;">Cat. No.: HY-10981S1</p>	<p>ML786 dihydrochloride</p> <p style="text-align: right;">Cat. No.: HY-14979A</p>
<p>Lenvatinib-d5 (E7080-d5) is the deuterium labeled Lenvatinib. Lenvatinib (E7080) is an oral, multi-targeted tyrosine kinase inhibitor that inhibits VEGFR1-3, FGFR1-4, PDGFR, KIT, and RET, shows potent antitumor activities.</p> <p>Purity: >98%</p> <p>Clinical Data: No Development Reported</p> <p>Size: 1 mg, 5 mg</p>	<p>ML786 dihydrochloride is a potent and orally bioavailable Raf inhibitor, with IC_{50}s of 2.1, 4.2, and 2.5 nM for $^{600E}\Delta B$-Raf, wt B-Raf, and C-Raf, respectively. ML786 dihydrochloride also inhibits Abl-1, DDR2, EPHA2, KDR, and RET (IC_{50} = <0.5, 7.0, 11, 6.2, 0.8 nM).</p> <p>Purity: >98%</p> <p>Clinical Data: No Development Reported</p> <p>Size: 1 mg, 5 mg</p>
<p>PF 477736 (PF 00477736)</p> <p style="text-align: right;">Cat. No.: HY-10032</p>	<p>Pralsetinib (BLU-667)</p> <p style="text-align: right;">Cat. No.: HY-112301</p>
<p>PF 477736 (PF 00477736) is a potent, selective and ATP-competitive inhibitor of Chk1, with a K_i of 0.49 nM, it is also a Chk2 inhibitor, with a K_i of 47 nM.</p> <p>Purity: 99.21%</p> <p>Clinical Data: No Development Reported</p> <p>Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg</p>	<p>Pralsetinib (BLU-667) is a highly potent, selective RET inhibitor. Pralsetinib (BLU-667) inhibits WT RET, RET mutants V804L, V804M, M918T and CCDC6-RET fusion with IC_{50}s of 0.4, 0.3, 0.4, 0.4, and 0.4 nM, respectively.</p> <p>Purity: 99.98%</p> <p>Clinical Data: Launched</p> <p>Size: 10 mM × 1 mL, 2 mg, 5 mg, 10 mg, 50 mg, 100 mg</p>
<p>Pz-1</p> <p style="text-align: right;">Cat. No.: HY-U00437</p>	<p>Regorafenib (BAY 73-4506)</p> <p style="text-align: right;">Cat. No.: HY-10331</p>
<p>Pz-1 is a potent RET and VEGFR2 inhibitor with IC_{50}s of less than 1 nM for both wild type kinases.</p> <p>Purity: 99.50%</p> <p>Clinical Data: No Development Reported</p> <p>Size: 10 mM × 1 mL, 5 mg, 10 mg, 25 mg</p>	<p>Regorafenib (BAY 73-4506) is a multi-targeted receptor tyrosine kinase inhibitor with IC_{50}s of 13/4.2/46, 22, 7, 1.5 and 2.5 nM for VEGFR1/2/3, PDGFRβ, Kit, RET and Raf-1, respectively.</p> <p>Purity: 99.65%</p> <p>Clinical Data: Launched</p> <p>Size: 10 mM × 1 mL, 10 mg, 50 mg, 100 mg</p>

<p>Regorafenib Hydrochloride (BAY 73-4506 hydrochloride)</p>	<p>Regorafenib monohydrate (BAY 73-4506 monohydrate)</p>
<p>Regorafenib Hydrochloride (BAY 73-4506 hydrochloride) is a multi-target inhibitor for VEGFR1/2/3, PDGFRβ, Kit, RET and Raf-1 with IC₅₀s of 13/4.2/46, 22, 7, 1.5 and 2.5 nM, respectively.</p> <p>Purity: 99.58% Clinical Data: Launched Size: 10 mM × 1 mL, 10 mg, 50 mg, 100 mg</p>	<p>Regorafenib monohydrate (BAY 73-4506 monohydrate) is a multi-target inhibitor for VEGFR1/2/3, PDGFRβ, Kit, RET and Raf-1 with IC₅₀s of 13/4.2/46, 22, 7, 1.5 and 2.5 nM, respectively.</p> <p>Purity: 99.96% Clinical Data: Launched Size: 10 mM × 1 mL, 10 mg, 50 mg, 100 mg</p>
<p>Regorafenib-13C,d3 (BAY 73-4506-13C,d3)</p>	<p>Regorafenib-d3 (BAY 73-4506-d3)</p>
<p>Regorafenib-13C,d3 is the 13C- and deuterium labeled. Regorafenib (BAY 73-4506) is a multi-targeted receptor tyrosine kinase inhibitor with IC₅₀s of 13/4.2/46, 22, 7, 1.5 and 2.5 nM for VEGFR1/2/3, PDGFRβ, Kit, RET and Raf-1, respectively.</p> <p>Purity: >98% Clinical Data: No Development Reported Size: 1 mg, 5 mg</p>	<p>Regorafenib D3 (BAY 73-4506 D3) is a deuterium labeled Regorafenib. Regorafenib is a multi-targeted receptor tyrosine kinase inhibitor.</p> <p>Purity: >98% Clinical Data: No Development Reported Size: 1 mg, 5 mg</p>
<p>RET V804M-IN-1</p>	<p>RET-IN-1</p>
<p>RET V804M-IN-1 (compound 5) is a wt-RET -selective inhibitors of RETV804M kinase, with an IC₅₀ of 20 nM.</p> <p>Purity: 98.37% Clinical Data: No Development Reported Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg</p>	<p>RET-IN-1 is a RET kinase inhibitor extracted from patent WO2018071447A1, Compound Example 552, has IC₅₀s of 1 nM, 7 nM, and 101 nM for RET (WT), RET (V804M), and RET (G810R), respectively.</p> <p>Purity: >98% Clinical Data: No Development Reported Size: 1 mg, 5 mg</p>
<p>RET-IN-10</p>	<p>RET-IN-11</p>
<p>RET-IN-10 is a potent inhibitor of RET. RET loss of function mutations leads to Hirschsprung's disease, while its gain of function mutations is associated with a variety of human tumors.</p> <p>Purity: >98% Clinical Data: No Development Reported Size: 1 mg, 5 mg</p>	<p>RET-IN-11 is a potent and selective RET inhibitor with IC₅₀s of 6.20 nM, 18.68 nM for RET and RET^{V804M}, respectively. RET-IN-11 shows anti-proliferation and migration activity in CDC6-RET-driven LC-2/ad cells. RET-IN-11 induces cell apoptosis.</p> <p>Purity: >98% Clinical Data: No Development Reported Size: 1 mg, 5 mg</p>
<p>RET-IN-12</p>	<p>RET-IN-13</p>
<p>RET-IN-12 (compound 2) is a RET inhibitor, with IC₅₀ values of 0.3 nM and 1 nM for RET(WT) and RET(V804M), respectively.</p> <p>Purity: >98% Clinical Data: No Development Reported Size: 1 mg, 5 mg</p>	<p>RET-IN-13 (compound 1), a quinoline compound, is a potent RET inhibitor with IC₅₀s of 0.5 nM, 0.9 nM for RET (WT) and RET (V804M), respectively. RET-IN-13 has the potential for tumors or intestinal diseases related to abnormal activation of RET research.</p> <p>Purity: >98% Clinical Data: No Development Reported Size: 1 mg, 5 mg</p>

<p>RET-IN-14</p> <p>Cat. No.: HY-144170</p>	<p>RET-IN-15</p> <p>Cat. No.: HY-144422</p>
<p>RET-IN-14 (compound 49) is a potent RET inhibitor with IC_{50}s of <0.51 nM, 9.3 nM, 1.3 nM, 9.2 nM, 15 nM for RET (WT), RET (G810R), RET (V804M), BTK and BTK (C481S), respectively. RET-IN-14 has the potential for tumors research.</p> <p>Purity: >98% Clinical Data: No Development Reported Size: 1 mg, 5 mg</p>	<p>RET-IN-15 is a rearranged during transfection (RET) kinase inhibitor extracted from patent WO2021115457A1 compound 51. RET-IN-15 can be used for the research of cancer.</p> <p>Purity: >98% Clinical Data: No Development Reported Size: 1 mg, 5 mg</p>
<p>RET-IN-16</p> <p>Cat. No.: HY-146710</p>	<p>RET-IN-3</p> <p>Cat. No.: HY-133553</p>
<p>RET-IN-16 is a potent and selective RET inhibitor with IC_{50}s of 3.98 nM, 8.42 nM, 15.05 nM, 7.86 nM, 5.43 nM and 8.86 nM for RET(WT), RET(M918T), RET(V804L), RET(V804M), RET-CCDC6 and RET-KIF5B, respectively. RET-IN-16 has anticancer effects.</p> <p>Purity: >98% Clinical Data: No Development Reported Size: 1 mg, 5 mg</p>	<p>RET-IN-3 (compound 34) is a selective RETV804M kinase inhibitor, with an IC_{50} of 19 nM.</p> <p>Purity: 99.68% Clinical Data: No Development Reported Size: 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg</p>
<p>RET-IN-4</p> <p>Cat. No.: HY-132193</p>	<p>RET-IN-5</p> <p>Cat. No.: HY-145023</p>
<p>RET-IN-4 is a potent, selective and orally active RET inhibitor with IC_{50}s of 1.29 nM, 1.97 nM, and 0.99 nM for RET (WT), RET (V804M), and RET (M918T), respectively. RET-IN-4 exhibits better kinases selectivity against JAK2 (IC_{50} of 4.4 nM) and FLT3 (IC_{50} of 30.8 nM).</p> <p>Purity: >98% Clinical Data: No Development Reported Size: 1 mg, 5 mg</p>	<p>RET-IN-5 is a potent RET (rearranged during transfection) inhibitor with an IC_{50}s of 0.4 nM and 135.1 nM for RET and VEGFR2, respectively (WO2021213476A1, compound 18).</p> <p>Purity: >98% Clinical Data: No Development Reported Size: 1 mg, 5 mg</p>
<p>RET-IN-6</p> <p>Cat. No.: HY-145024</p>	<p>RET-IN-7</p> <p>Cat. No.: HY-141896</p>
<p>RET-IN-6 is a potent RET (rearranged during transfection) inhibitor with an IC_{50} of 4.57 nM (CN113461670A, compound 321).</p> <p>Purity: >98% Clinical Data: No Development Reported Size: 1 mg, 5 mg</p>	<p>RET-IN-7 demonstrates potent in vitro RET kinase inhibition and robust in vivo efficacy in RET-driven tumor xenografts upon multiday dosing in mice.</p> <p>Purity: >98% Clinical Data: No Development Reported Size: 1 mg, 5 mg</p>
<p>RET-IN-8</p> <p>Cat. No.: HY-143545</p>	<p>RET-IN-9</p> <p>Cat. No.: HY-143546</p>
<p>RET-IN-8 is a rearranged during transfection (RET) kinase inhibitor extracted from patent WO2021093720A1 compound I-1. RET-IN-8 can be used for the research of cancer.</p> <p>Purity: >98% Clinical Data: No Development Reported Size: 1 mg, 5 mg</p>	<p>RET-IN-9 is a potent inhibitor of RET.</p> <p>Purity: >98% Clinical Data: No Development Reported Size: 1 mg, 5 mg</p>

<p>RPI-1</p> <p style="text-align: right;">Cat. No.: HY-101246</p>	<p>Selpercatinib (LOXO-292)</p> <p style="text-align: right;">Cat. No.: HY-114370</p>
<p>RPI-1 is a specific, orally available 2-indolinone Ret tyrosine kinase inhibitor. RPI-1 inhibits proliferation, Ret tyrosine phosphorylation, Ret protein expression, and the activation of PLCgamma, ERKs and AKT in human medullary thyroid carcinoma TT cells. Antitumor activity.</p> <p>Purity: 98.97%</p> <p>Clinical Data: No Development Reported</p> <p>Size: 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg</p>	<p>Selpercatinib (LOXO-292) is a RET kinase inhibitor extracted from patent WO2018071447A1, Compound Example 163, has an IC₅₀ of 14.0 nM, 24.1 nM, and 530.7 nM for RET (WT), RET (V804M), and RET (G810R), respectively. Antineoplastic activity.</p> <p>Purity: 99.87%</p> <p>Clinical Data: Launched</p> <p>Size: 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg</p>
<p>SPP-86</p> <p style="text-align: right;">Cat. No.: HY-110193</p>	<p>TG101209</p> <p style="text-align: right;">Cat. No.: HY-10410</p>
<p>SPP-86 is a potent and selective cell permeable inhibitor of RET tyrosine kinase, with an IC₅₀ of 8 nM. SPP-86 inhibits RET-induced phosphatidylinositide 3-kinases (PI3K)/Akt and MAPK signaling, also inhibits RET-induced estrogen receptorα (ERα) phosphorylation in MCF7 cells.</p> <p>Purity: 99.62%</p> <p>Clinical Data: No Development Reported</p> <p>Size: 10 mM × 1 mL, 1 mg, 5 mg</p>	<p>TG101209 is a selective JAK2 inhibitor with IC₅₀ of 6 nM, less potent to Flt3 and RET with IC₅₀ of 25 nM and 17 nM, approx 30-fold selective for JAK2 than JAK3, and sensitive to JAK2V617F and MPLW515L/K mutations.</p> <p>Purity: 99.72%</p> <p>Clinical Data: No Development Reported</p> <p>Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg</p>
<p>trans-Pralsetinib (trans-BLU-667)</p> <p style="text-align: right;">Cat. No.: HY-112301A</p>	<p>Vepafestininb</p> <p style="text-align: right;">Cat. No.: HY-132846</p>
<p>trans-Pralsetinib (trans-BLU-667) is a rearranged during transfection (RET) inhibitor extracted from patent US20170121312A1, Compound Example 129.</p> <p>Purity: 96.82%</p> <p>Clinical Data: No Development Reported</p> <p>Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg</p>	<p>Vepafestininb (compound 6) is a RET inhibitor (extracted from patent WO2019039439).</p> <p>Purity: >98%</p> <p>Clinical Data: No Development Reported</p> <p>Size: 1 mg, 5 mg</p>
<p>WF-47-JS03</p> <p style="text-align: right;">Cat. No.: HY-133551</p>	<p>WHI-P180 hydrochloride (Janex 3 hydrochloride;)</p> <p style="text-align: right;">Cat. No.: HY-15769A</p>
<p>WF-47-JS03 is a potent and selective RET kinase inhibitor with IC₅₀s of 1.7 nM and 5.3 nM for KIF5B-RET transfected Ba/F3 cells and CCDC6-RET transfected LC-2/ad lung cancer cells, respectively.</p> <p>Purity: 99.63%</p> <p>Clinical Data: No Development Reported</p> <p>Size: 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg</p>	<p>WHI-P180 (Janex 3) is a multi-kinase inhibitor; inhibits RET, KDR and EGFR with IC₅₀s of 5 nM, 66 nM and 4 μM, respectively.</p> <p>Purity: >98%</p> <p>Clinical Data: No Development Reported</p> <p>Size: 1 mg, 5 mg</p>
<p>Zeteletinib (BOS-172738; DS-5010)</p> <p style="text-align: right;">Cat. No.: HY-139590</p>	<p>Zeteletinib hemiadipate (BOS-172738 hemiadipate; DS-5010 hemiadipate)</p> <p style="text-align: right;">Cat. No.: HY-139590A</p>
<p>Zeteletinib (BOS-172738; DS-5010) is an orally active, selective RET kinase inhibitor with nanomolar potency against RET and >300-fold selectivity against VEGFR2.</p> <p>Purity: 99.06%</p> <p>Clinical Data: No Development Reported</p> <p>Size: 5 mg, 10 mg, 25 mg, 50 mg, 100 mg</p>	<p>Zeteletinib (BOS-172738; DS-5010) hemiadipate is an orally active, selective RET kinase inhibitor with nanomolar potency against RET and >300-fold selectivity against VEGFR2.</p> <p>Purity: >98%</p> <p>Clinical Data: No Development Reported</p> <p>Size: 1 mg, 5 mg</p>