E – Newsletter

Tuesday, October 13, 2020

In the today's Newsletter

- Synkinase: **10 Newly updated products**

Synkinase:

New Products Highlights in October 2020:

- Cereblon (CRBN) modulator, CC-220(Iberdomide) (SYN-1288)

  CC-220(Iberdomide) is a novel oral immunomodulatory compound that targets cereblon, part of the CRL4CRBN E3 ubiquitin ligase complex, with an IC50 of 60 nM in a competitive TR-FRET assay. Iberdomide (CC-220) induces apoptosis with antitumor and immunostimulatory activities.

- Selective,potent and orally active bruton tyrosine kinase (BTK) inhibitor, Remibrutinib (SYN-1289)

  Remibrutinib, is a potent and orally active bruton tyrosine kinase (BTK) inhibitor with an IC50 value of 1 nM. Remibrutinib (LOU064) exhibits an exquisite kinase selectivity due to binding to an inactive conformation of BTK and has the potential for the treatment of autoimmune diseases.

- Selective, orally bioavailable, potent Mps1 inhibitor, BAY 1161909 (Empersertib) (SYN-1290)

  BAY 1161909 (Empersertib) is an orally bioavailable, selective inhibitor of the serine/threonine monopolar spindle 1 (Mps1) kinase (IC50 of < 1 nM), with potential antineoplastic activity.

- Potent and highly selective TBK1/IKKε inhibitor , BAY-985 (SYN-1291)

  BAY-985 is a potent and highly selective TBK1/IKK ε inhibitor. BAY-985 shows high potency toward TBK1 (IC50 = 2 nM, low ATP assay; 30 nM, high ATP assay) and IKK ε (IC50 = 2 nM), as well

as high potency in the mechanistic pIRF3 assay (IC50 = 74 nM), and an antiproliferative effect on SK-MEL-2 cells (IC50 = 900 nM).

- Selective, potent and orally DDR1/2 inhibitor, VU6015929 (SYN-1292)

  VU6015929 is a potent, selective and orally active dual discoidin domain receptor 1/2 (DDR1/2) inhibitor with IC50s of 4.67 nM and 7.39 nM, respectively. VU6015929 potently blocks collagen-induced DDR1 activation and collagen-IV production.

- Potent and specific tankyrase inhibitor, RK-287107 (SYN-1293)

  RK-287107 is a potent and specific tankyrase inhibitor with IC50s of 14.3 and 10.6 nM for tankyrase-1 and tankyrase-2, respectively. RK-287107 blocks colorectal cancer cell growth.

- Cell-active, selective, covalent KRAS(G12C) inhibitor , ARS-853 (SYN-1294)

  ARS-853 is a cell-active, selective, covalent KRAS(G12C) inhibitor with an IC50 of 2.5 μ M. ARS-853 inhibits mutant KRAS-driven signaling by binding to the GDP-bound oncoprotein and preventing activation.

- Selective, and allosteric inhibitor of oncogenic mutant K-Ras(G12C), 6H05 (SYN-1295)

  6H05 is a selective, and allosteric inhibitor of oncogenic mutant K-Ras (G12C). IC50 value: Target: K-Ras G12C 6H05 gives the greatest degree of modification, which allosterically modifies the oncogenic G12C mutant of highly homologous protein H-Ras without affecting wild-type K-Ras. 6H05 can be used as an intermediate for the synthesis of other oncogenic K-Ras(G12C) inhibitors.

- Selective, potent, and orally active novel Axl/Mer inhibitor, ONO-7475 (SYN-1296)

  ONO-7475 is a potent, selective, and orally active novel Axl/Mer inhibitor with IC50 values of 0.7 nM and 1.0 nM, respectively.ONO-7475 suppresses the emergence and maintenance of tolerant cells to the initial EGFR-TKIs, osimertinib or dacomitinib, in AXL-overexpressing EGFR-mutated NSCLC cells. ONO-7475 arrests growth and kills FMS-like tyrosine kinase 3-internal tandem duplication mutant acute myeloid leukemia cells.

- Selective and potent TAS2R8 antagonists , S6821 (SYN-1297)

  S6821 is a selective and potent TAS2R8 antagonists. In human sensory tests, S6821 and S7958, two of the most potent analogues from the series, demonstrated efficacy in blocking TAS2R8-mediated bitterness and were selected for development.

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