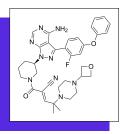
# **Small Molecules of the Month**

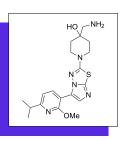
# March 2022 drughunter.com



#### rilzabrutinib (PRN1008) | BTK

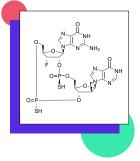
oral reversible covalent BTK inhibitor in Ph. III for immune thrombocytopenia from structure–based drug design + opt. *J. Med. Chem.* 

Principia Biopharma (Sanofi), So. San Francisco, CA



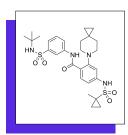
#### INE963 | Pf 3D7

oral blood stage antimalarial in Ph. I (healthy volunteers) from 1.5M cmpd phenotypic screen + opt. *J. Med. Chem.* Novartis (NIBR), Emeryville, CA



### ulevostinag (MK-1454) | STING

intratumoral STING agonist in Ph. II for met. HNSCC cyclic dinucleotide derivative *J. Med. Chem.* Merck, Kenilworth, NJ



## compound 24 | KIF18A

oral kinesin motor protein inhibitor relative AMG 650 in Ph. I for cancer from ~600k compounds HTS + opt J. Med. Chem.

Amgen, Thousand Oaks, CA

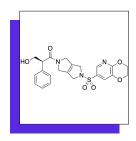


# compound 10 | PPARy

oral PPARg phosphorylation inhibitor, partial agonist

efficacy in diabetic mouse model from structure-based virtual screen

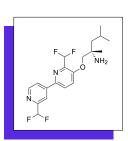
ACS Med. Chem. Lett.
AstraZeneca, Gothenburg, SE



# etavopivat | PKR

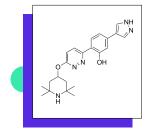
oral pyruvate kinase activator in Ph. II/III for genetic anemias 200 or 400 mg QD, follows etavopivat J Pharmacol Exp Ther.

Forma Therapeutics, Watertown, MA



#### BMS-986176/LX-9211 | AAK1

oral CNS-penetrant AAK1 kinase inhibitor in Ph. II for neuropathic pain from high-throughput screen + opt. J. Med. Chem.
Bristol-Myers Squibb, Wallingford, CT

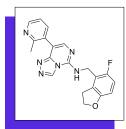


# branaplam | SMN2

oral, CNS-penetrant splicing modulator w/ HTT activity

in Ph. II for Huntington's (prev. SMA) further characterization of SMA candidate *Nat. Commun.* 

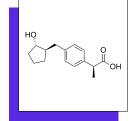
Novartis, Cambridge, MA



#### MAK683 | PRC2 (EED subunit)

oral, allosteric PRC2 inhibitor (EED) in Ph. I/II for cancer (DLBCL); preliminary efficacy from micromolar HTS hit *J. Med. Chem.* 

Novartis, Emeryville, CA



#### HR1405-01 | COX

intravenous NSAID

faster  $\mathbf{T}_{\max}$  and lower dose vs. loxoprofen in Ph. I from loxoprofen metabolite

Eur. J. Med. Chem.

Nanjing Heron Pharmaceutical Co., Nanjing, CN

