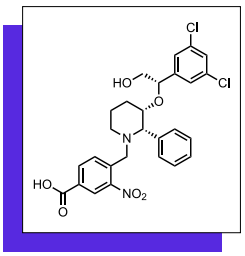
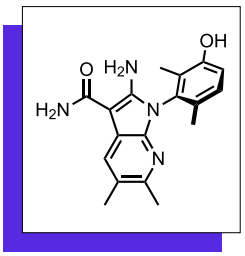
Small Molecules of the Month

July 2022
drughunter.com



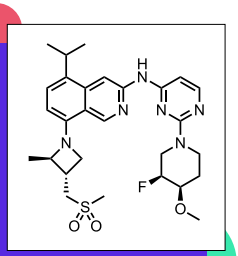
Compound 33 | PKG1α

intravenous PKG1α activator
satisfactory in vivo rat PK profile
from 2.9 M compounds HTS, SBDD and opt
J. Med. Chem.
Merck & Co., Inc., South San Francisco, CA



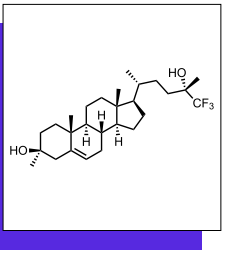
RP-6306 | PKMYT1

oral PKMYT1 inhibitor
three Ph. I studies currently ongoing
initial screen of 560 known kinase inhibitors
J. Med. Chem.
Repare Therapeutics, Inc., Ville St- Laurent, CA



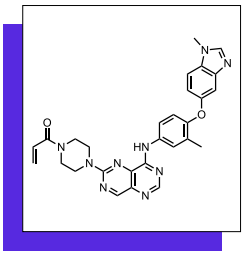
BLU-945 | EGFR$^{T790M/C797S}$

oral EGFR mutant inhibitor
Ph. I/II candidate oncology
>25k compound library screening and opt
J. Med. Chem.
Blueprint Medicines, Cambridge, MA



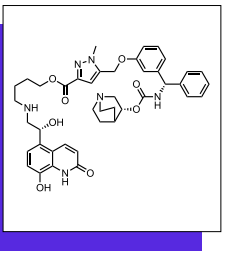
SAGE-718 | NMDAR

oral NMDAR positive allosteric modulator
Ph. II candidate in neurology
from previously disclosed PAM and opt
J. Med. Chem.
Sage Therapeutics, Inc., Cambridge, MA



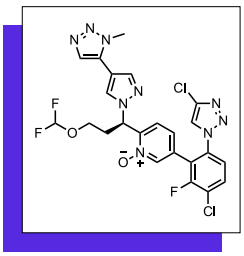
BI-4142 | HER2 exon 20 insertion

oral HER2 exon 20 mutants selective inhibitor
tumor regression in the HER2^{YVMA} xenograft model
from 12k compounds in-house library screening and opt
Nat. Cancer
Boehringer Ingelheim RCV, Vienna, AT



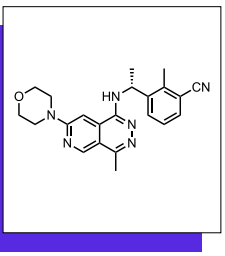
CHF-6366 | mAChRs & ADRB2

inhaled mAChR and ADRB2 agonist
Ph. I/II candidate in Asthma and COPD discontinued
soft drug design from previously disclosed lead MABA
J. Med. Chem.
Chiesi Farmaceutici S.p.A, Parma, IT



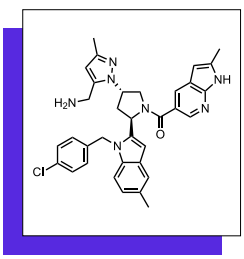
Compound 3f | FXIa

oral Factor XIa inhibitor
IV efficacy in rabbit AV shunt model
from literature starting point and SBDD
J. Med. Chem.
Janssen Research & Development, L.L.C., Spring House, PA



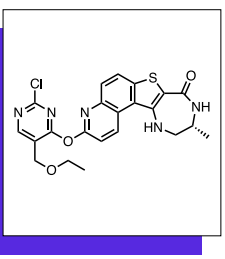
MRTX0902 | SOS1:KRAS^{G12C} PPI

oral brain-penetrant SOS1:KRAS^{G12C} PPI inhibitor
efficacy in MIA PaCa-2 tumor mouse xenograft model
from literature starting point and opt
J. Med. Chem.
Mirati Therapeutics, San Diego, CA



Compound 14 | NNMT

elective NNMT inhibitor
100-times higher inhibitory activity than original peptide
peptide library screening, de novo design and SBDD
J. Med. Chem.
Shionogi Pharmaceutical Research Center, Toyonaka, JP



CC-99677 | MK2

oral, covalent MK2 inhibitor
Ph. II candidate in ankylosing spondylitis
from previously disclosed MK2 inhibitor and SBDD
Transl Res
Bristol Myers Squibb, Princeton, NJ

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