

fenebrutinib

BTK

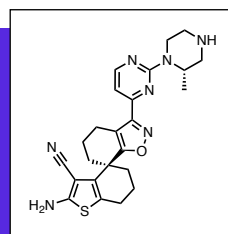
oral BTK inhibitor

Ph. III for multiple sclerosis

from ATP site-directed compound libraries + optimization

Clinical data release, May 16, 2023

GENENTECH, SOUTH SAN FRANCISCO, CA



BI-2493

pan-KRAS

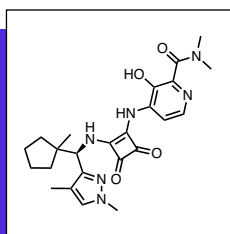
oral reversible pan-KRAS inhibitor

oral activity in xenograft models (10-90 mpk BID)

from prior covalent KRAS(G12C) inhibitor

Nature, May 31, 2023

BOEHRINGER INGELHEIM, VIENNA, AT



PF-07054894

CCR6

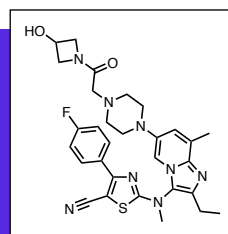
oral, insurmountable, selective CCR6 antagonist

Ph. I in HV completed, in Ph. I for ulcerative colitis

from directed screening for novel negative allosteric modulators

J. Pharm. Exp. Ther., May 4, 2023

PFIZER, CAMBRIDGE, MA



ziritaxestat

ATX

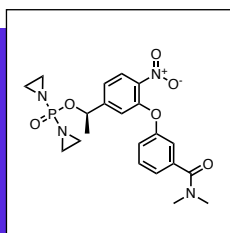
oral ATX inhibitor

negative outcomes in Ph. III in IPF (200-600 mg QD)

from HTS and optimization

JAMA, May 9, 2023

GALAPAGOS SASU, ROMAINVILLE, FR



OBI-3424

AKR1C3-activated prodrug

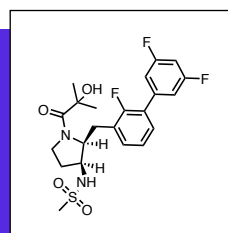
IV AKR1C3-activated cytotoxin prodrug

Ph. I/II in advanced solid tumors

prodrug of DNA cross-linking agent

Br. J. Cancer, May 12, 2023

OBI PHARMA, TW; THRESHOLD PHARMA, CA



TAK-994

OX2R

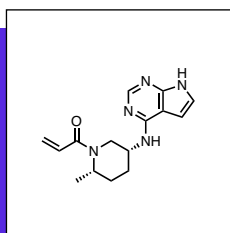
oral, brain-penetrant OX2R agonist

Ph. II for narcolepsy; discontinued for safety signal

from prior OX2R agonists derived from HTS

J. Pharm. Exp. Ther., May 17, 2023

TAKEDA, FUJISAWA, JP



ritlecitinib

JAK3/TEC

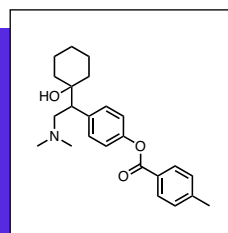
oral, dual JAK3/TEC kinase inhibitor

Ph. III for alopecia areata

structure-based design from tofacitinib

The Lancet, May 6, 2023

PFIZER, GROTON, CT



LY03005

triple reuptake inhibitor

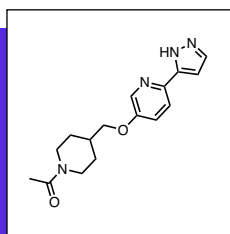
oral serotonin-norepinephrine-dopamine reuptake inhibitor

approved in China for major depressive disorder

from serotonin-norepinephrine reuptake inhibitor, desvenlafaxine

Transl. Psychiatry, May 10, 2023

SHANDONG LUYE PHARMACEUTICAL CO., CN



TP0472993

20-HETE

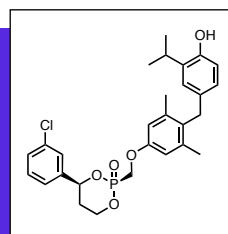
oral 20-hydroxyeicosatetraenoic acid synthesis inhibitor

preclinical efficacy in mouse kidney fibrosis model

from in-house screen and optimization

J. Pharm. Exp. Ther., May 4, 2023

TAISHO PHARMACEUTICAL CO., JP



VK2809

THR-beta

oral THR agonist prodrug

positive Ph. II data in NASH

thyroid hormone mimetic prodrug

Clinical data release, May 16, 2023

METABASIS, CA / VIKING THERAPEUTICS, CA