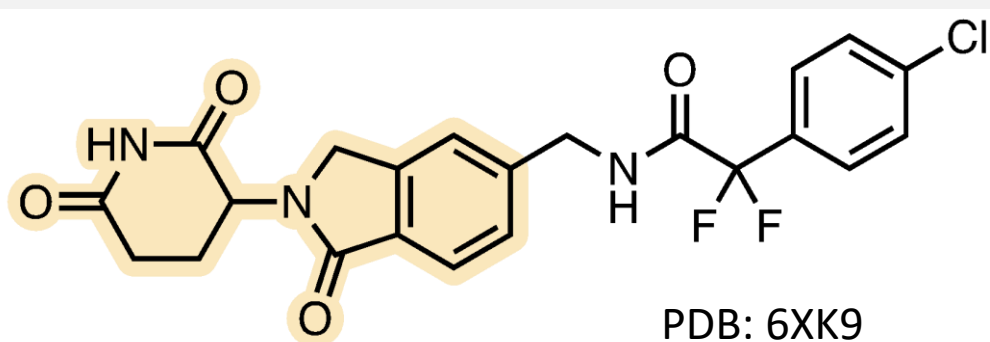


The Molecular Glue Degraders Landscape in 2022

Highlights from Disclosed Molecular Glue Degraders

from CAS Insights Article

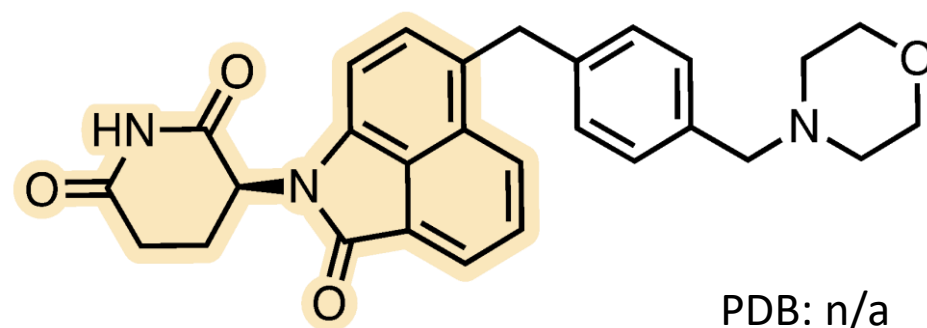
clinical highlights



CC-90009 (eragidomide)

CRBN-based GSPT1 degrader
in Ph. I for AML + MDS
GSPT1 DC_{50} = 9 nM, D_{max} = 51% (4 h)
from phenotypic screening of CRBN mod. library

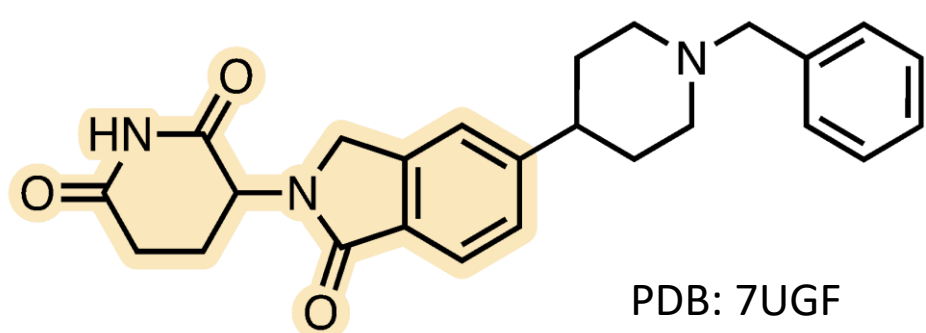
CAS RN: 1860875-51-9
CELGENE/BMS



CFT7455

CRBN-based IZKF1/3 degrader
In Ph. I/II for r/r NHL + MM
IKZF1 DC_{50} = 0.17 nM, E_{max} = 20% (1.5h)
from phenotypic screening of CRBN monoDAC library

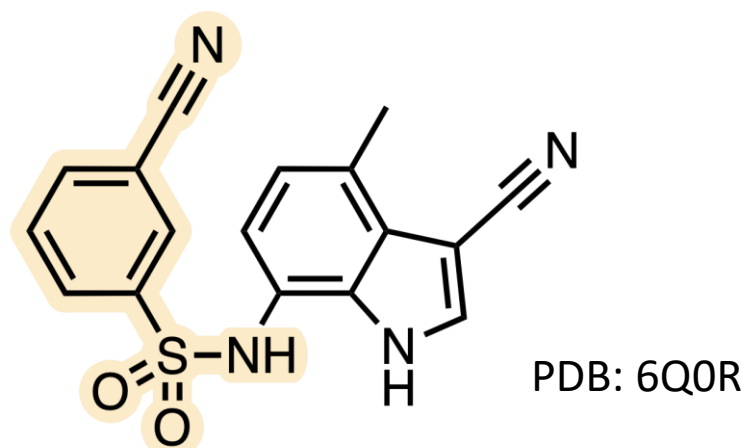
CAS RN: 2504235-67-8
C4 THERAPEUTICS



NVP-DKY709

CRBN-based IKZF2 degrader
in Ph. I/Ib for solid tumors (NSCLC, melanoma)
IKZF2 DC_{50} = 11 nM, D_{max} = 69% (16-20h)
from IKZF2-CRBN recruitment assay

CAS RN: 2291360-73-9
NOVARTIS



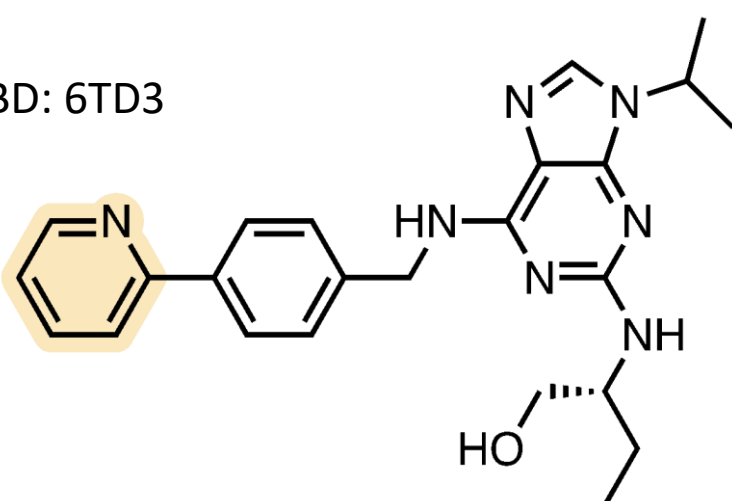
E7820

DCAF15-based RBM23/39 degrader
in Ph. II for AML, MDS + CMML
RBM39 DC_{50} = 17 nM, D_{max} = 46% (24h)
from sandwich TF screening assay

CAS RN: 289483-69-8
EISAI

preclinical highlights

PDB: 6TD3

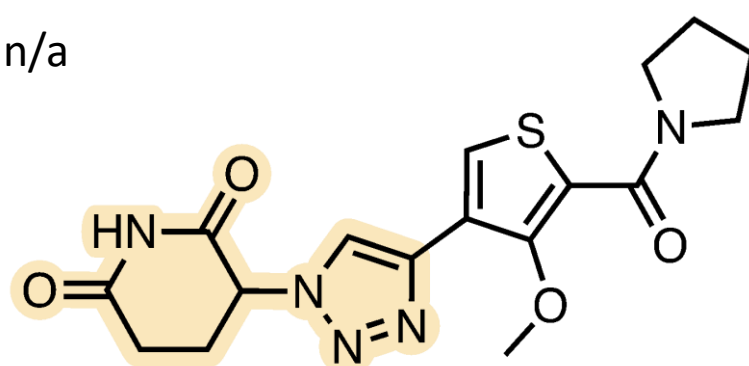


(R)-CR8

CRL4-based cyclin K degrader
DBBI/CR8-CDK12-cyclin K complex $K_{app} \leq 100$ nM
from data mining of 4.5K library

CAS RN: 294646-77-8
BROAD/FRIEDRICH MIESCHER (FMI)

PDB: n/a

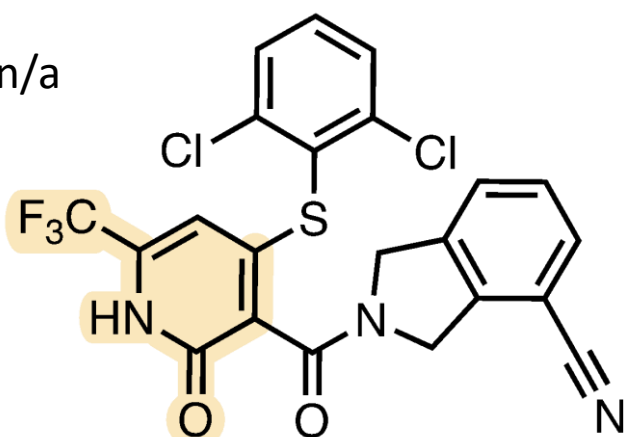


TMX-4116

CRBN-based CK1 α degrader
CK1 α DC_{50} < 200 nM (4h)
from selectivity opt. of known IMiDs

CAS RN: 2766385-56-0
DANA-FARBER/STANFORD

PDB: n/a

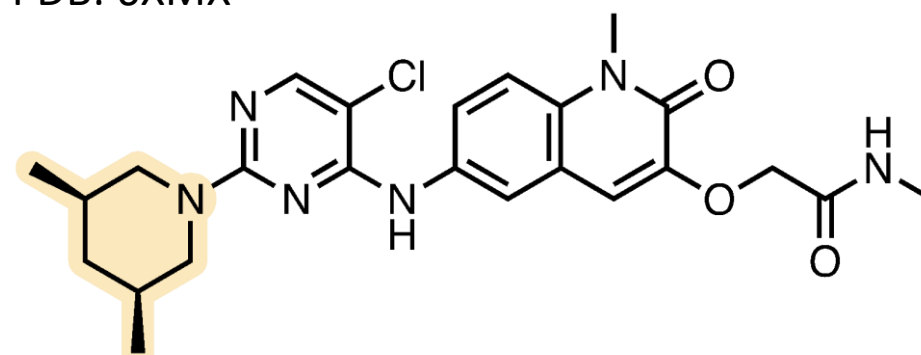


NRX-252114

β -TrCP-based β -catenin degrader
pSer33/S37A β -catenin EC_{50} = 6.5 nM, K_d = 0.4 nM
from HTS of 350K library

CAS RN: 2763260-39-3
NURIX THERAPEUTICS

PDB: 6XMX



BI-3802

SIAH1-based BCL6 degrader
BCL6 $IC_{50} \leq 3$ nM, 80% degradation (5 μ M, 90 min)
from HTS of 1.7M library

CAS RN: 2166387-65-9
BOEHRINGER INGELHEIM

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hunter

drughunter.com

Access the 2022 CAS Insights article here: drughunters.com/molglues