Immunoregulatory Kinases

R428

AXL kinase inhibitor. Suppressed myeloid cell activation and enhanced PD-1 blockade therapy. $^{1,2}\!$

Product No: 10-4676

5 mg/ 25 mg/_

Pexidartinib

Dual inhibitor of CSF1R and c-KIT. Inhibits suppression of antitumor immunity³ and increases efficacy of ACT⁴, anti-PD-1⁵, and DC immunotherapy⁶.

Product No: 10-4829	5 mg/	25 mg/

Idelalisib

Selective PI3K δ inhibitor. Increases CD8 T cells via attenuation of regulatory T cells. 7

Product No: 10-4820 10 mg/ 50 mg/

IPI-549

Selective PI3K γ inhibitor. Promotes cytotoxic T cell-mediated tumor regression. Anti-PD-1 checkpoint blockade resistance was overcome when combined with IPI-549.⁸

Product No: 10-4827

<u>5 mg/ 25 mg/</u>

Duvelisib

Dual PI3K δ/γ inhibitor. Enhanced PD-L1 efficacy in T cell-inflamed tumor models via suppression of myeloid-derived suppressor cells.⁹

Product No: 10-4788 5 mg/ 25 mg/

Defactinib

FAK inhibitor. Shows synergistic activity in combination with checkpoint blockade.^{10,11}

Product No: 10-4828 5 mg/ 25 mg/

Galunisertib

TGF- β kinase inhibitor. Reversed TGF- β and Treg mediated T cell suppression and enhanced PD-L1 blockade therapy.¹²

Product No: 10-4110

5 mg/ 25 mg/_

GSK8612

SHP2 phosphatase inhibitor. SHP2 mediates PD-1 and BTLA immune checkpoint pathways. $^{\rm 13}$

Product No: 10-4693

5 mg/ 25 mg/

10 mg/ 50 mg/_

Trametinib

MEK Inhibitor. Inhibits expansion of myeloid-derived suppressor cells.14,15

Product No: 10-4759 10 mg/ 50 mg/

Axitinib

VEGFR inhibitor. Decreases myeloid-derived suppressor cell by downregulating STAT3^{16,17}

Product No: 10-2118

Palbociclib

CDK4/6 inhibitor. Suppressed Treg cells and enhanced tumor antigen presentation.¹⁸

Product No: 10-4760 5 mg/ 25 mg/_

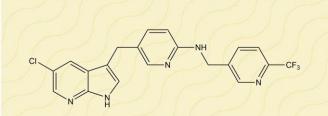
Deucravacitinib

Potent and selective allosteric inhibitor of TYK2. Blocked signaling and functional responses in human $T_H17,\,T_H1,\,B$ cells, and myeloid cells.^19

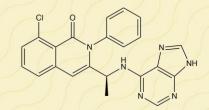
Product No: 10-4756

5 mg/ 25 mg/_

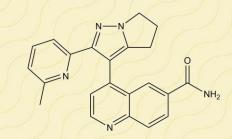




Pexidartinib



Duvelisib



Galunisertib

REFERENCES

- 1. Guo, et al. (2017), Oncotarget 8 89761
- 2. Ludwig, et al.(2018), Cancer Res. 78 246
- 3. DeNardo, et al. (2011), Cancer Disc. 1 54
- 4. Mok et al. (2014) Cancer Res. 74 15
- 5. Shi et al. (2019), Mol. Ther. 27 244
- 6. Dammeijer et al. (2017), Cancer Immunol.Res. 5 535
- 7. Ahmad et al. (2017), Cancer Res. 77 1892
- 8. De Henau et al. (2016), Nature 539 443
- 9. Davis et al. (2017), Cancer Res. 77 2607
- 10. Ring, et al. (2015), J.Immunother.Cancer 3 354
- 11. Jiang et al. (2016), Nat.Med.22 851
- 12. Holgaard et al. (2018), J.Immunother. Cancer 6 47
- 13. Thomson *et al.* (2019), ACS Med. Chem. Lett. **10** 780
- 14. Allegrezza et al. (2016), Cancer Res. 76 6253
- 15. Vella et al. (2014), Cancer Immunol.Res. 2 351
- 16. Yuan et al. (2015), Biomed.Pharmacother. 68 751
- 17. Zhang et al. (2014), Anticancer Drugs **25** 204
- 18. Goel *et al.* (2017), Nature **548** 471
- 19. Burke et al. (2019), Sci. Tranls. Med. 11 eaaw1736

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