Subject: Darolutamid ODM-201
Posted by Nomadd on Mon, 11 Dec 2017 12:39:26 GMT
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Zitat:
Darolutamide (INN) (developmental code names ODM-201, BAY-1841788) is a nonsteroidal antiandrogen (NSAA) specifically, a selective high affinity silent antagonist of the androgen receptor (AR) that is under development by Orion and Bayer HealthCare for the treatment of advanced, castration-resistant prostate cancer (CRPC).[2][3][4]

Relative to enzalutamide (MDV3100 or Xtandi) and apalutamide (ARN-509), two other recent NSAAs, darolutamide shows some advantages.[4] Darolutamide appears to negligibly cross the blood-brain-barrier.[4] This is beneficial due to the reduced risk of seizures and other central side effects from off-target GABAA receptor inhibition that tends to occur in NSAAs that are structurally similar to enzalutamide.[4] Moreover, in accordance with its lack of central penetration, darolutamide does not seem to increase testosterone levels in mice or humans, unlike other NSAAs.[4] Another advantage is that darolutamide has been found to block the activity of all tested/well-known mutant ARs in prostate cancer, including the recently identified clinically-relevant F876L mutation that produces resistance to enzalutamide and apalutamide.[4] Finally, darolutamide shows higher affinity and inhibitory efficacy at the AR (Ki = 11 nM relative to 86 nM for enzalutamide and 93 nM for apalutamide; IC50 = 26 nM relative to 219 nM for enzalutamide and 200 nM for apalutamide) and greater potency/efficaciousness in non-clinical models of prostate cancer.[4] ...

Source: https://en.wikipedia.org/wiki/Darolutamide

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https://newdrugapprovals.org/2016/03/12/odm-201/

HLT-Diskussion:
https://www.hairlosstalk.com/interact/threads/darolutamide-odm-201-a-better-topical-than-enzalutamide.105402/